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Lo et al.

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(54) **PESTICIDAL COMPOSITIONS AND PROCESSES RELATED THERETO**

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(51) **Int. Cl.**

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A01N 37/10	(2006.01)
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A01N 37/18	(2006.01)
A01N 43/84	(2006.01)
A01N 43/40	(2006.01)

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(52) **U.S. Cl.**

CPC ***C07D 401/10*** (2013.01); ***A01N 37/18*** (2013.01); ***A01N 37/20*** (2013.01); ***A01N 37/28*** (2013.01); ***A01N 37/34*** (2013.01); ***A01N 37/46*** (2013.01); ***A01N 41/10*** (2013.01); ***A01N 43/08*** (2013.01); ***A01N 43/16*** (2013.01); ***A01N 43/20*** (2013.01); ***A01N 43/40*** (2013.01); ***A01N 43/50*** (2013.01); ***A01N 43/54*** (2013.01); ***A01N 43/60*** (2013.01); ***A01N 43/653*** (2013.01); ***A01N 43/78*** (2013.01); ***A01N 43/82*** (2013.01); ***A01N 47/10*** (2013.01); ***A01N 47/22*** (2013.01); ***A01N 47/28*** (2013.01); ***A01N 47/32*** (2013.01); ***A01N 47/36*** (2013.01); ***A01N 47/38*** (2013.01); ***A01N 53/00*** (2013.01); ***A61K 31/166*** (2013.01); ***A61K 31/167*** (2013.01); ***A61K 31/17*** (2013.01); ***A61K 31/4196*** (2013.01); ***A61K 31/426*** (2013.01); ***A61K 31/4245*** (2013.01); ***A61K 31/4965*** (2013.01); ***A61K 31/505*** (2013.01); ***A61K 31/5375*** (2013.01); ***A61K 45/06*** (2013.01); ***C07C 63/70*** (2013.01); ***C07C 211/27*** (2013.01); ***C07C 211/29*** (2013.01); ***C07C 211/30*** (2013.01); ***C07C 211/42*** (2013.01); ***C07C 233/13*** (2013.01); ***C07C 233/56*** (2013.01); ***C07C 233/65*** (2013.01); ***C07C 233/66*** (2013.01); ***C07C 233/83*** (2013.01); ***C07C 237/06*** (2013.01); ***C07C 237/24*** (2013.01); ***C07C 239/08*** (2013.01); ***C07C 243/14*** (2013.01); ***C07C 243/22*** (2013.01); ***C07C 243/32*** (2013.01); ***C07C 251/44*** (2013.01); ***C07C 255/46*** (2013.01); ***C07C 255/57*** (2013.01); ***C07C 259/08*** (2013.01); ***C07C 271/22*** (2013.01); ***C07C 271/24*** (2013.01); ***C07C 275/24*** (2013.01); ***C07C 327/46*** (2013.01); ***C07C 335/14*** (2013.01); ***C07D 207/16*** (2013.01); ***C07D 213/61*** (2013.01); ***C07D 239/26*** (2013.01); ***C07D 241/12*** (2013.01); ***C07D 249/14*** (2013.01); ***C07D 271/10*** (2013.01); ***C07D 277/32*** (2013.01); ***C07D 295/12*** (2013.01); ***C07D 295/192*** (2013.01); ***C07D 331/04*** (2013.01); *C07C 2101/02* (2013.01); *C07C 2101/04* (2013.01); *C07C 2102/08* (2013.01); *C07C 2102/10* (2013.01)

(58) **Field of Classification Search**

None

See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

4,833,158	A	5/1989	Twydell et al.	
7,375,232	B2 *	5/2008	Clark et al.	546/275.1

(Continued)

FOREIGN PATENT DOCUMENTS

WO	WO 86/07590 A1	12/1986	
WO	WO 2010078300 A1 *	7/2010	A61K 47/4803
WO	PCT/US2013/76113 A1	3/2012	

OTHER PUBLICATIONS

Cornell, Pesticide Safety Education Program (http://psep.cce.cornell.edu/issues/foodsafety-issues.aspx, cached Jun. 29, 2010).*

(Continued)

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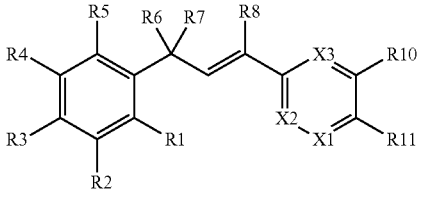
(57) **ABSTRACT**

This document discloses molecules having the following formula ("Formula One"):

Formula One



and processes associated therewith.

72 Claims, No Drawings

(51) **Int. Cl.**

A01N 43/36	(2006.01)
A01N 43/20	(2006.01)
C07C 255/57	(2006.01)
C07C 233/65	(2006.01)
C07D 295/12	(2006.01)
C07D 213/61	(2006.01)
C07D 207/16	(2006.01)
C07D 331/04	(2006.01)
A01N 43/50	(2006.01)
A01N 43/08	(2006.01)
A01N 43/78	(2006.01)
A01N 43/60	(2006.01)
A01N 43/16	(2006.01)
A01N 43/82	(2006.01)
A01N 43/48	(2006.01)
A01N 43/90	(2006.01)
C07C 233/66	(2006.01)
C07C 63/70	(2006.01)
A01N 43/54	(2006.01)
A01N 43/653	(2006.01)
A01N 47/32	(2006.01)
A01N 53/00	(2006.01)
A61K 31/166	(2006.01)
A61K 31/17	(2006.01)
A61K 31/4196	(2006.01)
A61K 31/4245	(2006.01)
A61K 31/426	(2006.01)
A61K 31/4965	(2006.01)
A61K 31/505	(2006.01)
A61K 31/5375	(2006.01)
C07C 243/14	(2006.01)
C07C 335/14	(2006.01)
C07D 239/26	(2006.01)
C07D 241/12	(2006.01)
C07D 249/14	(2006.01)
C07D 271/10	(2006.01)
C07D 277/32	(2006.01)
C07D 295/192	(2006.01)
A01N 37/20	(2006.01)
A01N 37/28	(2006.01)
A01N 41/10	(2006.01)
A01N 47/22	(2006.01)
A01N 47/38	(2006.01)
A01N 37/46	(2006.01)
A01N 47/10	(2006.01)
A01N 47/28	(2006.01)
A01N 47/36	(2006.01)
A61K 45/06	(2006.01)
A61K 31/167	(2006.01)
C07C 255/46	(2006.01)
C07C 259/08	(2006.01)
C07C 271/22	(2006.01)
C07C 271/24	(2006.01)
C07C 275/24	(2006.01)
C07C 211/27	(2006.01)
C07C 211/29	(2006.01)
C07C 211/30	(2006.01)
C07C 211/42	(2006.01)
C07C 233/13	(2006.01)
C07C 233/56	(2006.01)
C07C 233/83	(2006.01)
C07C 237/06	(2006.01)
C07C 237/24	(2006.01)
C07C 239/08	(2006.01)
C07C 243/22	(2006.01)
C07C 243/32	(2006.01)
C07C 251/44	(2006.01)
C07C 327/46	(2006.01)

(56) **References Cited**

U.S. PATENT DOCUMENTS

7,951,828	B1 *	5/2011	Mita et al.	514/378
2002/0068838	A1	6/2002	Demassey et al.	
2008/0063678	A1 *	3/2008	von Deyn et al.	424/405
2010/0254959	A1	10/2010	Lahm et al.	
2010/0292253	A1	11/2010	Trullinger et al.	
2011/0160054	A1	6/2011	Breuningger et al.	
2012/0053146	A1	3/2012	Parker et al.	
2014/0171308	A1 *	6/2014	Lo et al.	504/100
2014/0171309	A1 *	6/2014	Lo et al.	504/100
2014/0171310	A1 *	6/2014	Lo et al.	504/100
2014/0171311	A1 *	6/2014	Lo et al.	504/100
2014/0171312	A1 *	6/2014	Lo et al.	504/100
2014/0171313	A1 *	6/2014	Lo et al.	504/100
2014/0171314	A1 *	6/2014	Lo et al.	504/100
2014/0171315	A1 *	6/2014	Lo et al.	504/100
2014/0206537	A1 *	7/2014	Hunter et al.	504/100

OTHER PUBLICATIONS

S. Kagabu et al. Insecticidal and Neuroblocking Activites of Thiamethoxam-Type Compounds in the American Cockroach (Periplaneta americana L.), Journal of Pesticide Science, 2005 [received Sep. 13, 2004; Accepted Nov. 29, 2004]. Entire document.

Y. Shiga et al. Synthesis and Acaricidal Activity of N-(1,3,4-Thiadiazol-2-yl)carboxamides. Journal of Pesticide Science, 2003 [received Sep. 20, 2002; Accepted Oct. 26, 2002]. Entire document.

Peter Ertl. Cheminformatics Analysis of Organic Substituents: Identification of the Most Common Substituents, Calculation of Substituent Properties, and Automatic Identification of Drug-like Bioisosteric Groups. Journal of Chemical Information and Computer Sciences 2003 43 (2), 374-380.

* cited by examiner

PESTICIDAL COMPOSITIONS AND PROCESSES RELATED THERETO

CROSS REFERENCE TO RELATED APPLICATIONS

This application claims the benefit of U.S. Provisional Patent Application Ser. No. 61/739,026 filed Dec. 19, 2012, the entire disclosure of which is hereby expressly incorporated by reference.

FIELD OF THE DISCLOSURE

The invention disclosed in this document is related to the field of processes to produce molecules that are useful as pesticides (e.g., acaricides, insecticides, molluscicides, and nematicides), such molecules, and processes of using such molecules to control pests.

BACKGROUND OF THE DISCLOSURE

Pests cause millions of human deaths around the world each year. Furthermore, there are more than ten thousand species of pests that cause losses in agriculture. The world-wide agricultural losses amount to billions of U.S. dollars each year.

Termites cause damage to all kinds of private and public structures. The world-wide termite damage losses amount to billions of U.S. dollars each year.

Stored food pests eat and adulterate stored food. The world-wide stored food losses amount to billions of U.S. dollars each year, but more importantly, deprive people of needed food.

There is an acute need for new pesticides. Certain pests are developing resistance to pesticides in current use. Hundreds of pest species are resistant to one or more pesticides. The development of resistance to some of the older pesticides, such as DDT, the carbamates, and the organophosphates, is well known. But resistance has even developed to some of the newer pesticides, for example, imidacloprid.

Therefore, for many reasons, including the above reasons, a need exists for new pesticides.

DEFINITIONS

The examples given in the definitions are generally non-exhaustive and must not be construed as limiting the invention disclosed in this document. It is understood that a substituent should comply with chemical bonding rules and steric compatibility constraints in relation to the particular molecule to which it is attached.

"Alkenyl" means an acyclic, unsaturated (at least one carbon-carbon double bond), branched or unbranched, substituent consisting of carbon and hydrogen, for example, vinyl, allyl, butenyl, pentenyl, and hexenyl.

"Alkenyloxy" means an alkenyl further consisting of a carbon-oxygen single bond, for example, allyloxy, butenyloxy, pentenyloxy, hexenyloxy.

"Alkoxy" means an alkyl further consisting of a carbon-oxygen single bond, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, and tert-butoxy.

"Alkyl" means an acyclic, saturated, branched or unbranched, substituent consisting of carbon and hydrogen, for example, methyl, ethyl, (C_3)alkyl which represents n-propyl and isopropyl), (C_4)alkyl which represents n-butyl, sec-butyl, isobutyl, and tert-butyl.

"Alkynyl" means an acyclic, unsaturated (at least one carbon-carbon triple bond), branched or unbranched, substituent consisting of carbon and hydrogen, for example, ethynyl, propargyl, butynyl, and pentynyl.

"Alkynyloxy" means an alkynyl further consisting of a carbon-oxygen single bond, for example, pentynyloxy, hexynyloxy, heptynyloxy, and octynyloxy.

"Aryl" means a cyclic, aromatic substituent consisting of hydrogen and carbon, for example, phenyl, naphthyl, and biphenyl.

"$(C_x$-$C_y)$" where the subscripts "x" and "y" are integers such as 1, 2, or 3, means the range of carbon atoms for a substituent—for example, $(C_1$-$C_4)$alkyl means methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, and tert-butyl, each individually.

"Cycloalkenyl" means a monocyclic or polycyclic, unsaturated (at least one carbon-carbon double bond) substituent consisting of carbon and hydrogen, for example, cyclobutenyl, cyclopentenyl, cyclohexenyl, norbornenyl, bicyclo [2.2.2]octenyl, tetrahydronaphthyl, hexahydronaphthyl, and octahydronaphthyl.

"Cycloalkenyloxy" means a cycloalkenyl further consisting of a carbon-oxygen single bond, for example, cyclobutenyloxy, cyclopentenyloxy, norbornenyloxy, and bicyclo [2.2.2]octenyloxy.

"Cycloalkyl" means a monocyclic or polycyclic, saturated substituent consisting of carbon and hydrogen, for example, cyclopropyl, cyclobutyl, cyclopentyl, norbornyl, bicyclo [2.2.2]octyl, and decahydronaphthyl.

"Cycloalkoxy" means a cycloalkyl further consisting of a carbon-oxygen single bond, for example, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, norbornyloxy, and bicyclo [2.2.2]octyloxy.

"Halo" means fluoro, chloro, bromo, and iodo.

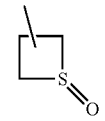
"Haloalkoxy" means an alkoxy further consisting of, from one to the maximum possible number of identical or different, halos, for example, fluoromethoxy, trifluoromethoxy, 2,2-difluoropropoxy, chloromethoxy, trichloromethoxy, 1,1,2,2-tetrafluoroethoxy, and pentafluoroethoxy.

"Haloalkyl" means an alkyl further consisting of, from one to the maximum possible number of, identical or different, halos, for example, fluoromethyl, trifluoromethyl, 2,2-difluoropropyl, chloromethyl, trichloromethyl, and 1,1,2,2-tetrafluoroethyl.

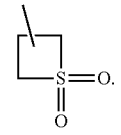
"Heterocyclyl" means a cyclic substituent that may be fully saturated, partially unsaturated, or fully unsaturated, where the cyclic structure contains at least one carbon and at least one heteroatom, where said heteroatom is nitrogen, sulfur, or oxygen. In the case of sulfur, that atom can be in other oxidation states such as a sulfoxide and sulfone. Examples of aromatic heterocyclyls include, but are not limited to, benzofuranyl, benzoisothiazolyl, benzoisoxazolyl, benzoxazolyl, benzothienyl, benzothiazolyl, cinnolinyl, furanyl, imidazolyl, indazolyl, indolyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, oxadiazolyl, oxazolinyl, oxazolyl, phthalazinyl, pyrazinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl, quinoxalinyl, tetrazolyl, thiazolinyl, thiazolyl, thienyl, triazinyl, and triazolyl. Examples of fully saturated heterocyclyls include, but are not limited to, piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, oxetanyl, tetrahydrofuranyl, tetrahydrothienyl and tetrahydropyranyl. Examples of partially unsaturated heterocyclyls include, but are not limited to, 1,2,3,4-tetrahydroquinolinyl, 4,5-dihydro-oxazolyl, 4,5-dihydro-1H-pyrazolyl, 4,5-dihydro-isoxazolyl, and 2,3-dihydro-[1,3,4]-oxadiazolyl.

Additional examples include the following

thietanyl



thietanyl-oxide

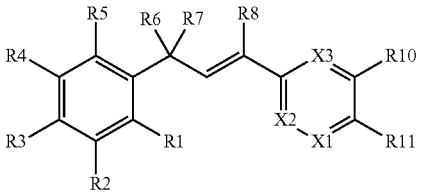


thietanyl-dioxide

DETAILED DESCRIPTION OF THE DISCLOSURE

This document discloses molecules having the following formula ("Formula One"):

Formula One



wherein:

(a) R1 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(b) R2 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(c) R3 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(d) R4 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(e) R5 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(f) R6 is a (C_1-C_8)haloalkyl;

(g) R7 is selected from H, F, Cl, Br, I, OH, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(h) R8 is selected from H, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, OR14, and N(R14)(R15);

(i) R9 is selected from H, F, Cl, Br, I, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, OR14, and N(R14)(R15);

(j) R10 is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), NR14R15, C(═O)H, C(═O)N(R14)(R15), CN(R14)(R15)(═NOH), (C═O)O(C_1-C_8)alkyl, (C═O)OH, heterocyclyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl,

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from OH, (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, S(O)$_2$(C_1-C_8)alkyl, NR14R15, and

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, S(O)$_2$(C_1-C_8)alkyl, and N(R14)(R15);

(k) R11 is (C═X5)N(X6)(R14) wherein

X5 is selected from O, S, or NH, and

X6 is selected from halocyclo(C_3-C_6)alkyl, substituted cyclo(C_3-C_6)alkyl, and substituted halocyclo(C_3-C_6)alkyl,

wherein said substituted cyclo(C_3-C_6)alkyl is substituted with one or more substituents selected from CN, NO_2, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R15)(R16), C(═X5)N(R15)(R16), (C_1-C_8)alkyl-C(═X5)N(R15)(R16), C(═O)(C_1-C_8)alkyl, C(═O)(halo(C_1-C_8)alkyl), C(═O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(═O)O(C_1-C_8)alkyl, and C(═O)H, and

wherein said substituted halocyclo(C_3-C_6)alkyl is substituted with one or more substituents selected from CN, NO_2, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R15)(R16), C(═X5)N(R15)(R16), (C_1-C_8)alkyl-C(═X5)N(R15)(R16), C(═O)(C_1-C_8)alkyl, C(═O)(halo(C_1-C_8)alkyl), C(═O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(═O)O(C_1-C_8)alkyl, and C(═O)H,

wherein each said substituted aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), C(═O)(C_1-C_8)alkyl, C(═O)(halo(C_1-C_8)alkyl), C(═O)(C_3-C_6)cycloalkyl, S(═O)$_2$(C_1-C_8)alkyl, NR14R15, and oxo;

(l) R12 is selected from (v), H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, and cyclo(C_3-C_6)alkyl;

(m) R13 is selected from (v), H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(n) each R14 is independently selected from H, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-C(═O)N(R16)(R17), C(═O)(C_1-C_8)alkyl, C(═O)(halo(C_1-C_8)alkyl), C(═O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(═O)O(C_1-C_8)alkyl, C(═O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), heterocyclyl, C(═O)(C_1-C_8)alkyl, C(═O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(o) each R15 is independently selected from H, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-C(═O)N(R16)(R17), C(═O)(C_1-C_8)alkyl, C(═O)(halo(C_1-C_8)alkyl), C(═O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(═O)O(C_1-C_8)alkyl, C(═O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl

is independently selected), heterocyclyl, C(=O)(C_1-C_8)alkyl, C(=O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(p) each R16 is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(q) each R17 is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(r) X1 is selected from N and CR12;

(s) X2 is selected from N, CR9, and CR13;

(t) X3 is selected from N and CR9; and

(v) R12 and R13 together form a linkage containing 3 to 4 atoms selected from C, N, O, and S, wherein said linkage connects back to the ring to form a 5 to 6 member saturated or unsaturated cyclic ring, wherein said linkage has at least one substituent X4 wherein X4 is selected from R14, N(R14)(R15), N(R14)(C(=O)R14), N(R14)(C(=S)R14), N(R14)(C(=O)N(R14)(R14)), N(R14)(C(=S)N(R14)(R14)), N(R14)(C(=O)N(R14)((C_2-C_8)alkenyl)), N(R14)(C(=S)N(R14)((C_2-C_8)alkenyl)), wherein each R14 is independently selected.

In another embodiment of this invention R1 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the subsequent embodiments.

In another embodiment of this invention R2 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R3 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R4 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R5 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R2 and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, R3, and R5 are H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R2, R3, and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, and R5 are H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R2, R3, and R4 are independently selected from F and Cl and R1 and R5 are H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R1 is selected from Cl and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R2 is selected from CF_3, CH_3, Cl, F, and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R3 is selected from OCH_3, CH_3, F, Cl, or H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R4 is selected from CF_3, CH_3, Cl, F, and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R5 is selected from F, Cl, and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R6 may be selected from any combination of one or more of the following—halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R6 is trifluoromethyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R7 may be selected from any combination of one or more of the following—H, F, Cl, Br, and I. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R7 is selected from H, OCH_3, and OH. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R8 may be selected from any combination of one or more of the following—H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R8 is selected from CH_3 and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R9 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R10 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, CN, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, halo(C_8)alkoxy, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R10 may be selected from any combination of one or more of the following—H, Cl, Br, CH_3, and CF_3. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R10 is selected from Br, C(=NOH)NH_2, C(=O)H, C(=O)NH_2, C(=O)OCH_2CH_3, C(=O)OH, CF_3, CH_2CH_3, CH_2OH, CH3, Cl, CN, F, H, NH_2, NHC(=O)H, $NHCH_3$, NO_2, OCH_3, $OCHF_2$, and pyridyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R11 may be selected from any combination of one or more of the following—(C=O)N(H)(cyclopropyl-(C=O)N(H)(CH_2CF_3)), (C=O)N(H)(cyclopropyl-(C=S)N(H)(CH_2CF_3)), (C=O)N(H)(cyclobutyl-(C=O)N(H)(CH_2CF_3)), (C=O)N(H)(cyclopropyl-CN), and (C=O)N(H)(difluorocyclopropyl). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R11 may be selected from any combination of one or more of the following—(C=(O or S)N(H)(cyclopropyl-(C=(O or S))N(H)(halo(C_1-C_6)alkyl)), (C=(O or S)N(H)(cyclobutyl-(C=(O or S))N(H)(halo(C_1-C_6)alkyl)), and (C=(O or S)N(H)(cyclopropyl-(C=(O or S))N(H)(C_1-C_6)alkyl). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R12 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R12 is selected from CH3, and H.

In another embodiment of this invention R13 may be selected from any combination of one or more of the following—H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R13 is selected from CH_3, Cl and H. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R12-R13 are a hydrocarbyl linkage containing CH═CHCH═CH. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R14 may be selected from any combination of one or more of the following—H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), O—(C_8)alkyl-(substituted-heterocyclyl), methyl-C(C═O)N(R16)(R17), ethyl-C(═O)N(R16)(R17), (C_3)alkyl-C(C═O)N(R16)(R17), (C_4)alkyl-C(C═O)N(R16)(R17), (C_5)alkyl-C(═O)N(R16)(R17), (C_6)alkyl-C(C═O)N(R16)(R17), (C_7)alkyl-C(C═O)N(R16)(R17), and (C_8)alkyl-C(C═O)N(R16)(R17). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R14 may be selected from any combination of one or more of the following—H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, C((CH_3)$_2$)-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O—CH_2-halopyridyl, and CH_2C(═O)N(H)(CH_2CF_3). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R15 may be selected from any combination of one or more of the following—H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), O—(C_8)alkyl-(substituted-heterocyclyl), methyl-C(C═O)N(R16)(R17), ethyl-C(═O)N(R16)(R17), (C_3)alkyl-C(═O)N(R16)(R17), (C_4)alkyl-C(═O)N(R16)(R17), (C_5)alkyl-C(═O)N(R16)(R17), (C_6)alkyl-C(═O)N(R16)(R17), (C_7)alkyl-C(═O)N(R16)(R17), and (C_8)alkyl-C(C═O)N(R16)(R17). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R15 may be selected from any combination of one or more of the following—H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, C((CH_3)$_2$)-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O—CH_2-halopyridyl, and CH_2C(═O)N(H)(CH_2CF_3). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R16 may be selected from any combination of one or more of the following—H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl,

O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), and O—(C_8)alkyl-(substituted-heterocyclyl). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R16 may be selected from any combination of one or more of the following—H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R17 may be selected from any combination of one or more of the following—H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), and O—(C_8)alkyl-(substituted-heterocyclyl). This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention R17 may be selected from any combination of one or more of the following—H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

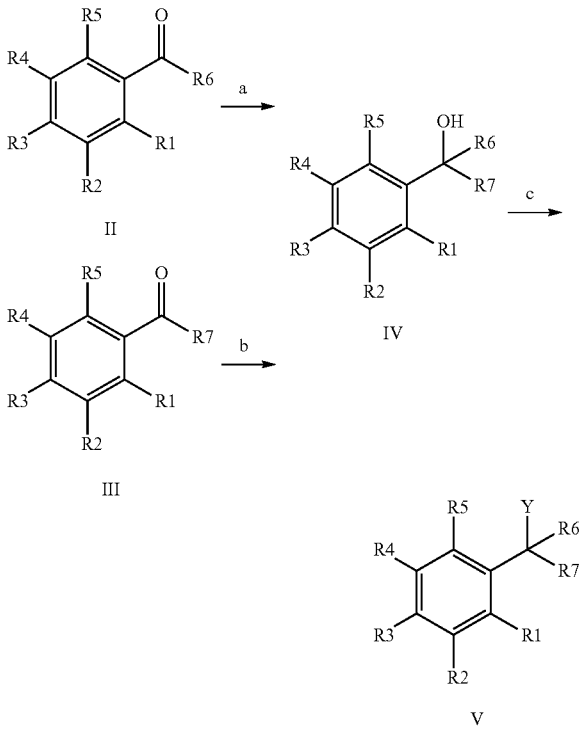
In another embodiment of this invention X1 is CR12, X2 is CR13, and X3 is CR9. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

In another embodiment of this invention a heterocyclyl has preferably about 6 to 10 atoms in the ring structure, more preferably, 6 to 8 atoms. This embodiment also may be combined with any of the preceding embodiments or subsequent embodiments.

The molecules of Formula One will generally have a molecular mass of about 100 Daltons to about 1200 Daltons. However, it is generally preferred if the molecular mass is from about 120 Daltons to about 900 Daltons, and it is even more generally preferred if the molecular mass is from about 140 Daltons to about 600 Daltons.

The benzyl alcohol of Formula IV, wherein R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, can be synthesized in two ways. One way, disclosed in step a of Scheme I, is by treatment of the ketone of Formula II, wherein R1, R2, R3, R4, R5, and R6 are as previously disclosed, with a reducing agent, such as sodium borohydride ($NaBH_4$), under basic conditions, such as aqueous sodium hydroxide (NaOH), in a polar protic solvent, such as methyl alcohol (CH_3OH) at 0° C. Alternatively, an aldehyde of Formula III, wherein R1, R2, R3, R4, R5, and R7 are as previously disclosed, is allowed to react with trifluorotrimethylsilane in the presence of a catalytic amount of tetrabutylammonium fluoride in a polar aprotic solvent, such as tetrahydrofuran (THF), as in step b of Scheme I. The compound of Formula IV can be transformed into the compound of Formula V, wherein Y is selected from Br, Cl or I, and R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, by reaction with a halogenating reagent, such as N-bromosuccinimide and triethyl phosphite in a non-reactive solvent, such as dichloromethane (CH_2Cl_2) at reflux temperature to provide Y=Br, or such as thionyl chloride and pyridine in a hydrocarbon solvent, such as toluene at reflux temperature to provide Y=Cl, as in step c of Scheme I.

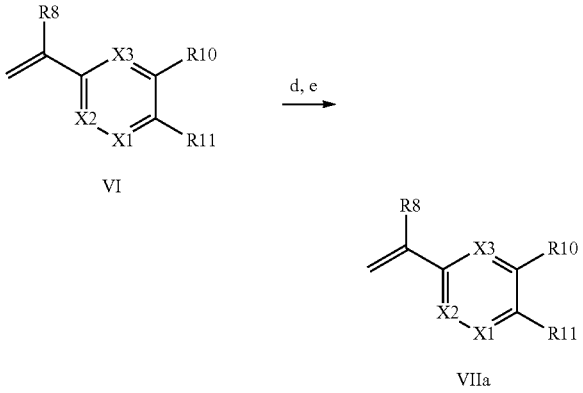
Scheme I



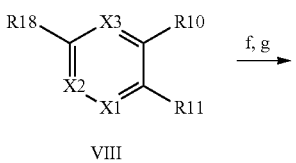
Formation of the styrene coupling partners can be accomplished as in Schemes II, III IV and V.

In Scheme II, a vinylbenzoic acid of Formula VI, wherein R11 is (C═O)OH and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, can be converted in two steps to the vinylbenzamide of Formula VIIa, wherein R11 is (C═O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, and X are as previously disclosed. As in step d of Scheme II, the benzoic acid of Formula VI is treated with oxalyl chloride in the presence of a catalytic amount of N,N-dimethylformamide (DMF) in a non-reactive solvent such as CH_2Cl_2 to form the acid chloride, which is subsequently allowed to react with an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed, in the presence of a base, such as triethylamine, in a polar aprotic solvent, such as THF, to provide the vinyl benzamide of Formula VIIa, wherein R11 is (C═O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, as in step e of Scheme II.

Scheme II



In Schemes III and IV, a halobenzoic acid of Formula VIII, wherein R18 is Br or I, R11 is (C═O)OH and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed can be converted to a vinylbenzoic acid ester of Formula VIIb1 or Formula VIIb2, wherein R18 is Br or I, R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. In step f of Scheme III, the halobenzoic acid of Formula VIII, wherein R18 is Br, is treated with a base, such as n-butyllithium (n-BuLi), and DMF in a polar, aprotic solvent, such as THF, at a temperature of about −78° C. The resulting formyl benzoic acid is allowed to react with an acid, such as sulfuric acid (H_2SO_4), in the presence of an alcohol, such as ethyl alcohol (EtOH), as in step g, to provide the formyl benzoic acid ethyl ester of Formula IX, wherein R11 is (C═O)O(C_1-C_6 alkyl), and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The vinyl benzoic acid ester of Formula VIIb1 is accessed via reaction of the compounds of Formula IX, with a base, such as potassium carbonate (K_2CO_3), and methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, at ambient temperature, as in step h of Scheme III.



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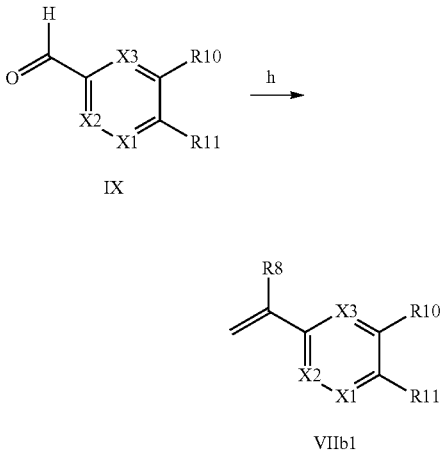
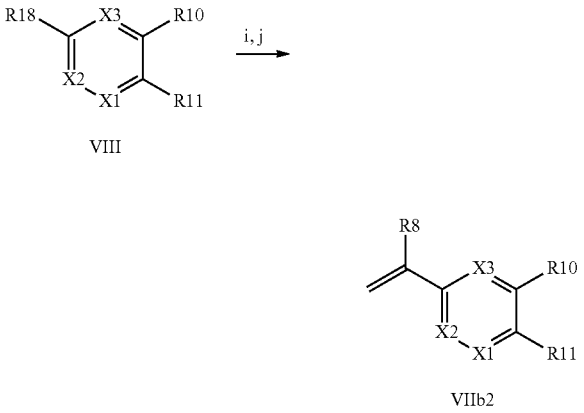


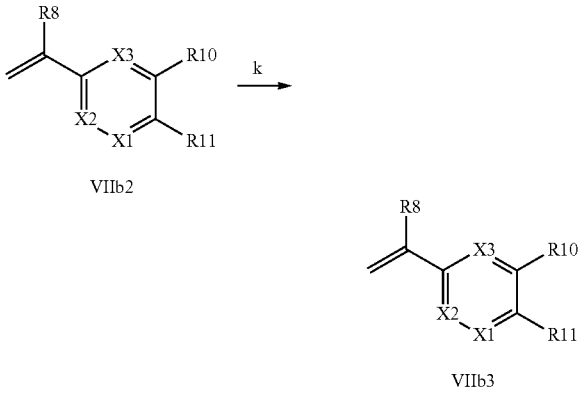
In step i of Scheme IV, the halobenzoic acid of Formula VIII, wherein R18 is Br, R11 is (C═O)OH, and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, is treated with di-tert-butyl dicarbonate in the presence of a base, such as triethylamine (Et_3N) and a catalytic amount of 4-(dimethylamino)pyridine (DMAP) in a polar aprotic solvent, such as THF, at ambient temperature. The resulting benzoic acid tert-butyl ester is allowed to react with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such a tetrakis(triphenylphospine)palladium(0) ($Pd(PPh_3)_4$), and a base, such as K_2CO_3, in a non-reactive solvent such as toluene at reflux temperature, as in step j, to provide the vinyl benzoic acid ester of Formula VIIb2, wherein R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

Scheme IV



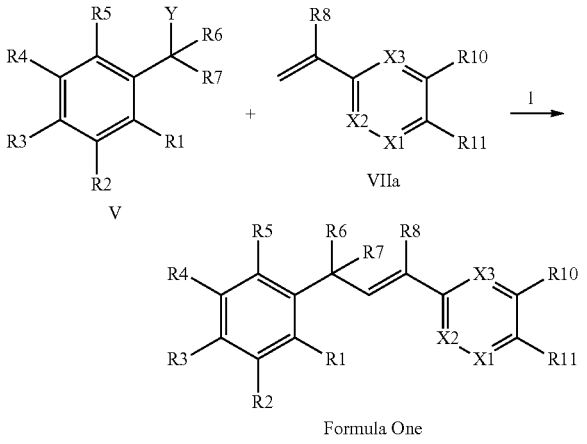
In step k of Scheme V, the vinyl benzoic acid ester of Formula VIIb2, wherein R10 is Br, R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R12, R13, X1, X2, and X3 are as previously defined, can be further transformed into the corresponding vinyl benzoic acid ester of Formula VIIb3, wherein R10 is CN, R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with copper(I) cyanide (CuCN) in a polar aprotic solvent, such as DMF, at 140° C.

Scheme V



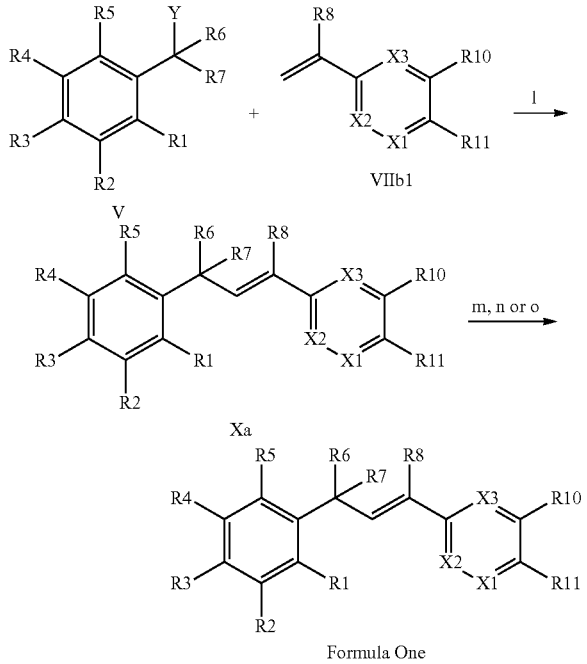
Coupling of the compounds of Formula V with the compounds of Formula VIIa, VIIb1, VIIb2 and VIIb3 can be accomplished as in Schemes VI, VII, and VIII. In step 1 of Scheme VI, a compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzamide of Formula VIIa, wherein R11 is (C═O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of copper(I) chloride (CuCl) and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

Scheme VI



In step 1 of Scheme VII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzoic acid ester of Formula VIIb1, wherein R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the compounds of Formula Xa, wherein R11 is (C═O)O(C_1-C_6 alkyl), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula Xa are then converted to the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, by either a two-step process as disclosed in steps m and n or in one step as disclosed in step o. In step m of Scheme VII, the ester of Formula Xa is saponified to the corresponding acid under acidic conditions, such as about 11 Normal (N) hydrochloric acid (HCl), in a polar aprotic solvent, such as 1,4-dioxane, at about 100° C. The acid can subsequently be coupled to an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed, using peptide coupling reagents, such as 1-hydroxybenzotriazole (HOBt), N-(3-dimethylaminopropyl)-N'-ethyl-carbodiimide hydrochloride (EDC.HCl), benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP), 1-hydroxy-7-azabenzotriazole (HOAt), or O-benzotriazole-N,N,N',N'-tetramethyl-uronium-hexafluoro-phosphate (HBTU) in the presence of a base, such as N,N-diisopropylethylamine (DIEA) or 4-(dimethylamino)pyridine (DMAP), to give the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed. Alternatively, the ester of Formula Xa is allowed to react with an amine (HN(R14)(R15)) in the presence of a solution of trimethylaluminum in toluene in a non-reactive solvent, such as CH_2Cl_2, at ambient temperature, as in step o of Scheme VII, to access the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

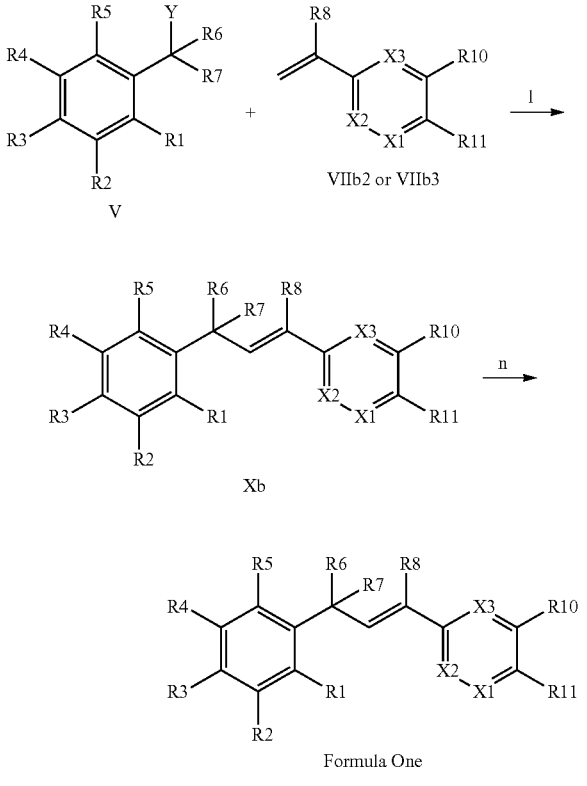
Scheme VII



In step 1 of Scheme VIII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzoic acid ester of Formula VIIb2 or VIIb3, wherein R11 is (C═O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of

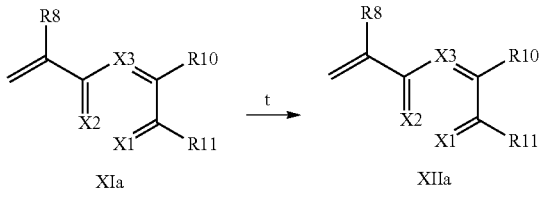
about 180° C. to provide the compounds of Formula Xb, wherein R11 is (C═O)OH, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed. The compounds of Formula Xb are then converted to the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, in one step as disclosed in step n. In step n of Scheme VIII, the acid of Formula Xb can be coupled to an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed, using peptide coupling reagents, such as 1-hydroxybenzotriazole (HOBt), N-(3-dimethylaminopropyl)-N'-ethyl-carbodiimide hydrochloride (EDC.HCl), benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP), 1-hydroxy-7-azabenzotriazole (HOAt), or O-benzotriazole-N,N,N',N'-tetramethyl-uronium-hexafluoro-phosphate (HBTU) in the presence of a base, such as N,N-diisopropylethylamine (DIEA) or 4-(dimethylamino)pyridine (DMAP), to give the molecules of Formula One, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

Scheme VIII



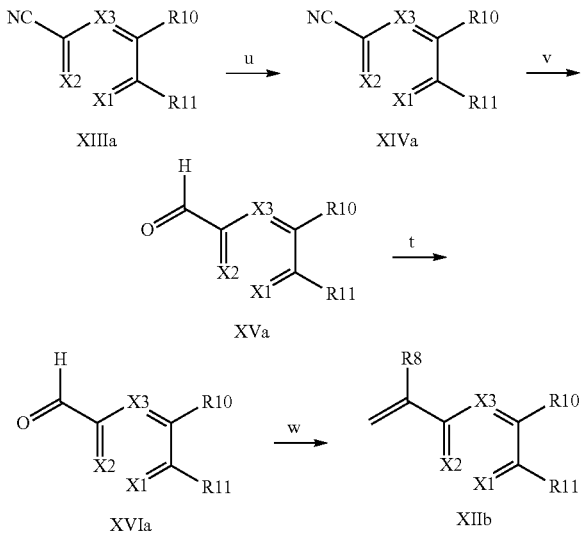
In step t of Scheme XIII, the vinyl benzyl chloride of Formula XIa, wherein R11 is —CH_2Cl and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be transformed into the corresponding phthalimide-protected benzyl amine of Formula XIIa, wherein R11 is CH_2N(Phthalimide), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 70° C.

Scheme XIII



In step u of Scheme XIV, the 4-methylbenzonitrile of Formula XIIIa, wherein R11 is CH_3 and R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be transformed into the corresponding benzyl bromide of Formula XIVa, wherein R11 is CH_2Br and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with N-bromosuccinimide (NBS) and azobisisobutyronitrile (AIBN) in a non-reactive solvent, such as carbon tetrachloride at 77° C. The nitrile group (CN) of Formula XIVa can be reduced to the corresponding aldehyde of Formula XVa, wherein R11 is CH_2Br and R9, R10, R12, R13, X1, X2, and X3 are as previously defined via reaction with diisobutylaluminum hydride (DIBAL-H) in an aprotic solvent, such as toluene, at 0° C., followed by quenching with 1.0 M hydrochloric acid (HCl) as in step v of Scheme XIV. The compound of Formula XVa can be further transformed to the corresponding phthalimide-protected benzyl amine of Formula XVIa, wherein R11 is CH_2N(Phthalimide) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 60° C. as in step t of Scheme XIV. In step w of Scheme XIV, the aldehyde of Formula XVIa can be converted to the olefin of Formula XIIb, wherein R11 is CH_2N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, in the presence of a base, such as K_2CO_3, at ambient temperature.

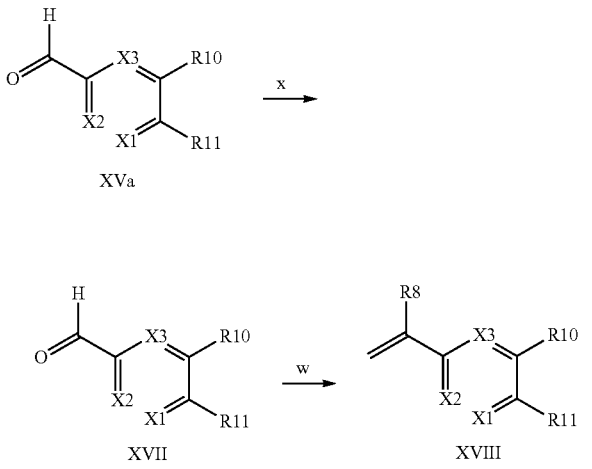
Scheme XIV



The aldehyde of Formula XVa, wherein R11 is CH_2Br and R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be reacted with a nucleophile, such as 2-aminopyridine,

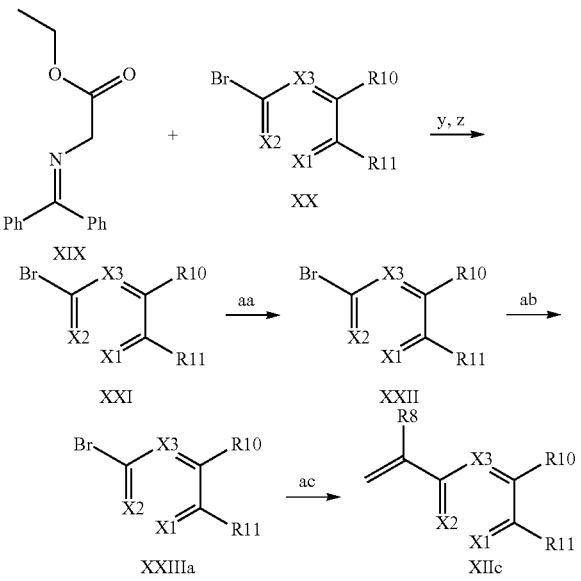
in a polar aprotic solvent, such as N,N-dimethylacetamide (DMA), in the presence of a base, such as K_2CO_3, at ambient temperature to provide the compound of Formula XVII, wherein R11 is CH_2NH(2-pyridine) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, as in step x of Scheme XV. In step w of Scheme XV, the compound of Formula XVII can be converted to the olefin of Formula XVIII, wherein R11 is CH_2NH(2-pyridine) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

Scheme XV



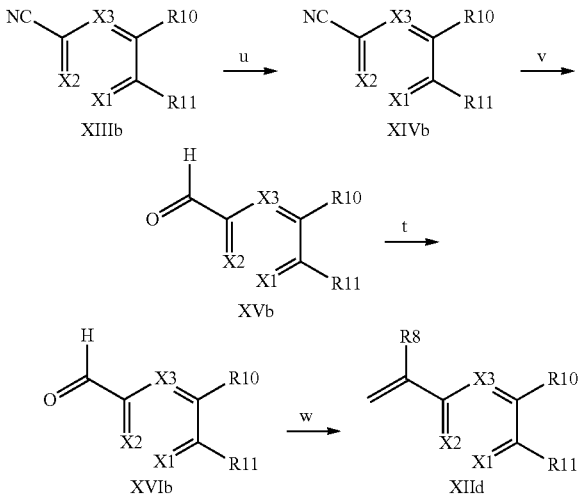
In a two-step, one-pot reaction as in steps y and z of Scheme XVI, the compound of Formula XIX can be reacted with the compounds of Formula XX, wherein R10 and R11 are Cl, X1 is N, and R9, R13, X2, and X3 are as previously disclosed, in the presence of a base, such as sodium hydride (NaH), and a polar aprotic solvent, such as DMF, at ambient temperature to provide the compounds of Formula XXI, wherein R10 is Cl, R11 is $(CH)NH_2CO_2CH_2CH_3$, X1 is N, and R9, R13, X2, and X3 are as previously defined. Hydrolysis and decarboxylation of the compounds of Formula XXI can be accomplished by reaction under acidic conditions, such as with 3 N HCl, at reflux temperature, to afford the compounds of Formula XXII, wherein R10 is Cl, R11 is CH_2NH_2.HCl, X1 is N, and R9, R13, X2, and X3 are as previously disclosed, as in step aa in Scheme XVI. The compounds of Formula XXII can be further transformed to the corresponding phthalimide-protected benzyl amines of Formula XXIIIa, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R9, R13, X1, X2, and X3 are as previously disclosed, by reaction with phthalic anhydride in the presence of a base, such as Et_3N, and an aprotic solvent, such as toluene, at reflux temperature as in step ab of Scheme XVI. The bromide of Formula XXIIIa can be converted to the olefin of Formula XIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R8, R9, R13, X2 and X3 are as previously disclosed, by reaction with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such as $Pd(PPh_3)_4$, and a base, such as K_2CO_3, in a non-reactive solvent such as toluene at reflux temperature, as in step ac of Scheme XVI.

Scheme XVI



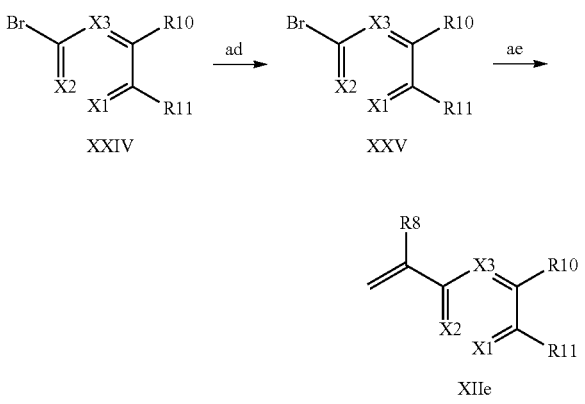
In step u of Scheme XVII, the 4-methylnaphthonitrile of Formula XIIIb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_3, and R12, R13, X1 and X2 are as previously defined, can be transformed into the corresponding naphthyl bromide of Formula XIVb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2Br, and R12, R13, X1 and X2 are as previously disclosed, by reaction with N-bromosuccinimide (NBS) and azobisisobutyronitrile (AIBN) in a non-reactive solvent, such as carbon tetrachloride at 77° C. The nitrile group (CN) of Formula XIVb can be reduced to the corresponding aldehyde of Formula XVb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring (or if desired a non-aromatic ring), R11 is CH_2Br, and R12, R13, X1 and X2 are as previously defined via reaction with diisobutylaluminum hydride (DIBAL-H) in an aprotic solvent, such as toluene, at 0° C., followed by quenching with 1.0 M HCl as in step v of Scheme XVII. The compound of Formula XVb can be further transformed to the corresponding phthalimide-protected benzyl amine of Formula XVIb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide), and R12, R13, X1 and X2 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 60° C. as in step t of Scheme XVII. In step w of Scheme XVII, the aldehyde of Formula XVIb can be converted to the olefin of Formula XIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide), and R8, R12, R13, X1 and X2 are as previously disclosed, by reaction with methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, in the presence of a base, such as K_2CO_3, at ambient temperature.

Scheme XVII



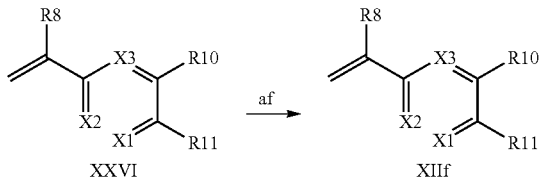
The compound of Formula XXIV, wherein R11 is $NHNH_2$.HCl and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, can be transformed into the corresponding phthalimide-protected hydrazine of Formula XXV, wherein R11 is NHN(Phthalimide) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with phthalic anhydride in glacial acetic acid at reflux temperature as in step ad of Scheme XVIII. The bromide of Formula XXV can be converted to the olefin of Formula XIIe, wherein R11 is NHN(Phthalimide) and R8, R9, R10, R13, X1, X2 and X3 are as previously disclosed, by reaction with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such as $Pd(PPh_3)_4$, and a base, such as K_2CO_3, in a polar aprotic solvent such as 1,2-dimethoxyethane at 150° C. under microwave conditions, as in step ae of Scheme XVIII.

Scheme XVIII



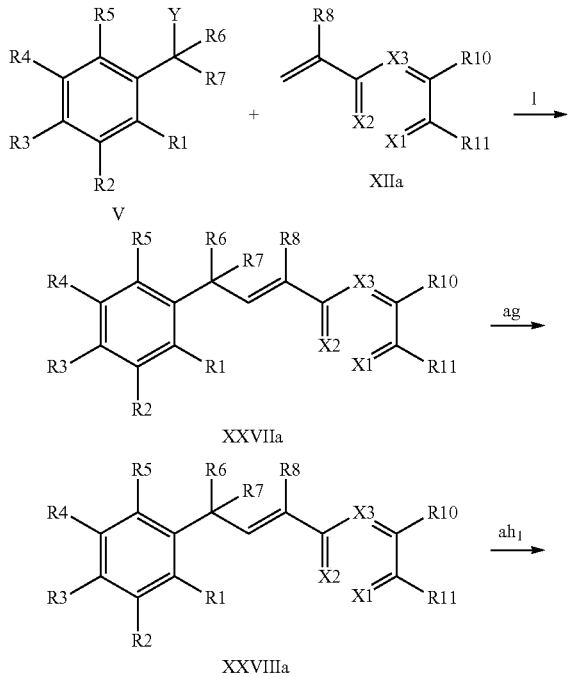
In step af of Scheme XIX, the compound of Formula XXVI, wherein R11 is $B(OH)_2$, and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react with 2-hydroxyisoindoline-1,3-dione in the presence of CuCl and pyridine in a solvent, such as 1,2-dichlorobenzene, at ambient temperature to provide the compound of Formula XIIf, wherein R11 is ON(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

Scheme XIX



In step 1 of Scheme XX, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIa, wherein R11 is CH_2N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIIa, wherein R11 is CH_2N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIa is removed as in step ag of Scheme XX by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIIa, wherein R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIa can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by acylation with an anhydride, such as acetic anhydride, and a base, such as Et_3N, in a non-reactive solvent such as CH_2Cl_2 at 0° C. as in step ah_1 of Scheme XX.

Scheme XX



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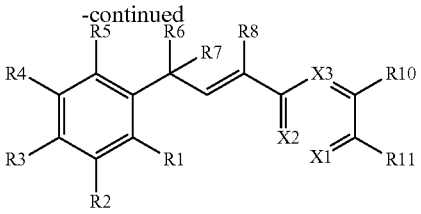


Formula One

In step 1 of Scheme XXI, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIb, wherein R11 is CH_2N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIIb, wherein R11 is CH_2N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIb is removed as in step ag of Scheme XXI by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIIb, wherein R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of HOBt.H_2O, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as DMF, as in step ah_{2a} of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}S)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a thioacid in the presence of HOBt.H_2O, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as DMF, as in step ah_2 of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)N(R14)(R15)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, in two steps. The first step (step ah_{3a} of Scheme XXI) involves reaction with an aldehyde in a polar protic solvent such as methyl alcohol, followed by reaction with sodium borohydride. The second step (step ah_{3b} of Scheme XXI) involves acylation with an acid chloride, such as cyclopropylcarbonyl chloride, and a base, such as Et_3N, in a non-reactive solvent such as CH_2Cl_2 at ambient temperature of Scheme XXI.

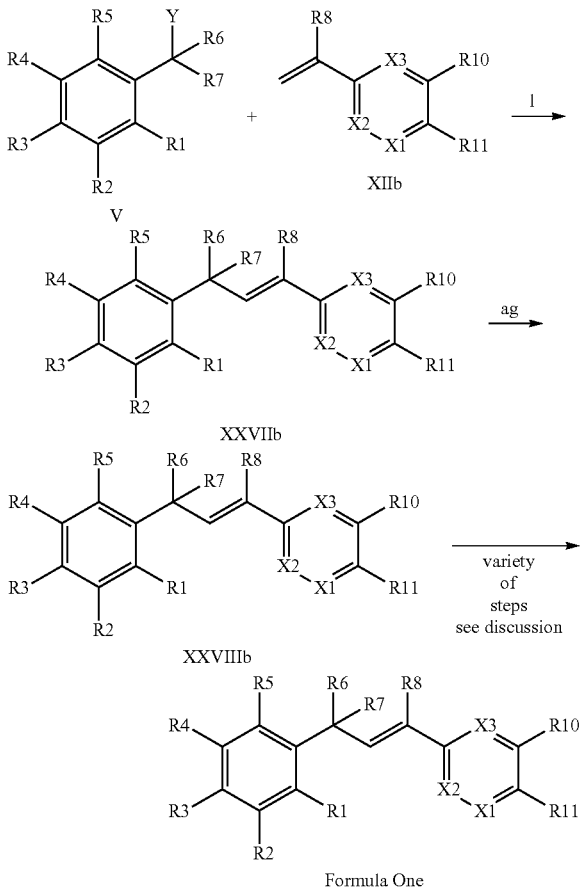
In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)N(R14)(R15)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an isocyanate (step ai_1 of Scheme XXI) or a carbamoyl chloride (step ai_1 of Scheme XXI) in the presence of a base such as Et_3N and in a non-reactive solvent such as CH_2Cl_2 at 0° C.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}S)N(R14)(R15)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an isothiocyanate in the presence of a base such as Et_3N and in a non-reactive solvent such as CH_2Cl_2 at 0° C., as in steps aj of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)O(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a dicarbonate, such as di-tert-butyl dicarbonate in the presence of a base such as Et_3N and in a non-reactive solvent such as CH_2Cl_2 at ambient temperature, as in steps ak of Scheme XXI.

In yet another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(C{=}O)O(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a chlorooxalic acid ester, such as 2-chloro-2-oxoacetate in the presence of a base such as Et_3N and in a non-reactive solvent such as CH_2Cl_2 at 0° C., as in steps al of Scheme XXI.

Scheme XXI

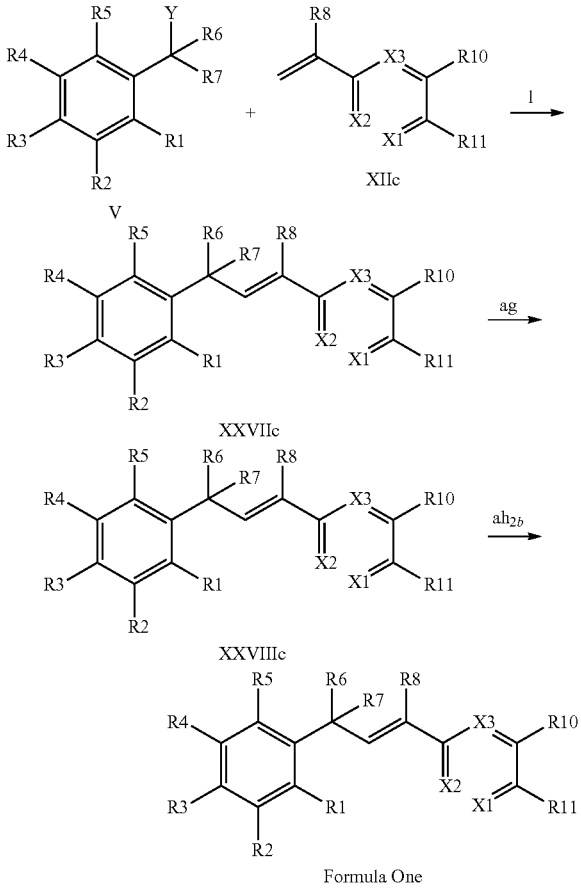


Formula One

In step 1 of Scheme XXII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R8, R9, R12, R13, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1

is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIc is removed as in step ag of Scheme XXII by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIIc, wherein R10 is Cl, R11 is CH_2NH_2, X1 is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIc can be transformed into the compounds of Formula One, wherein R10 is Cl, R11 is $CH_2N(C{=}O)(R14)$, X1 is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of $HOBt.H_2O$, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ah_{2b} of Scheme XXII.

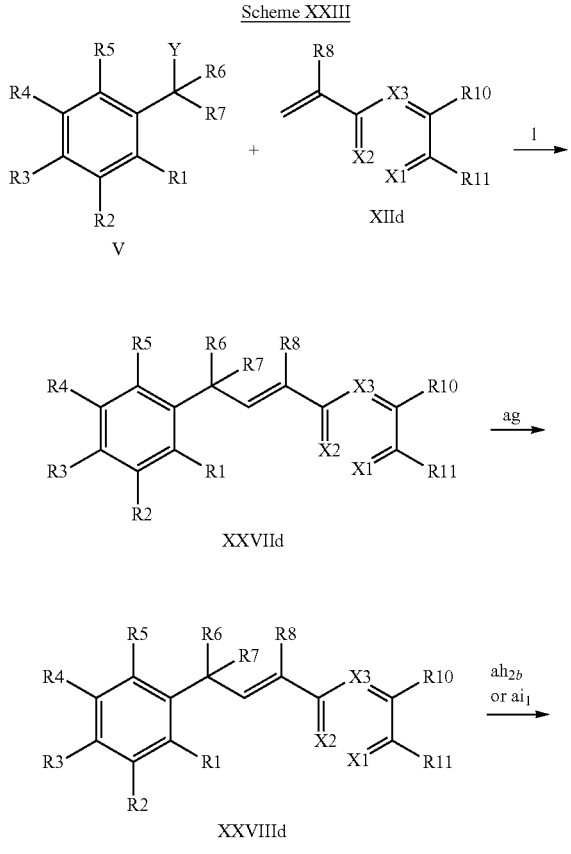
Scheme XXII



In step 1 of Scheme XXIII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring (or if desired a non-aromatic ring), R11 is CH_2N(Phthalimide) and R8, R9, R12, R13, X1 and X2 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIId is removed as in step ag of Scheme XXIII by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed. The compounds of Formula XXVIIId can be transformed into the compounds of Formula One, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed, by reaction with an acid in the presence of $HOBt.H_2O$, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ah_{2b} of Scheme XXIII.

In another embodiment, the compounds of Formula XXVIIId can be transformed into the compounds of Formula One, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is $CH_2N(C{=}O)N(R14)(R15)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1 and X2 are as previously disclosed, by reaction with an isocyanate in the presence of a base such as Et_3N and in a non-reactive solvent such as CH_2Cl_2 at 0° C. as in step ai_1 of Scheme XXIII.

Scheme XXIII



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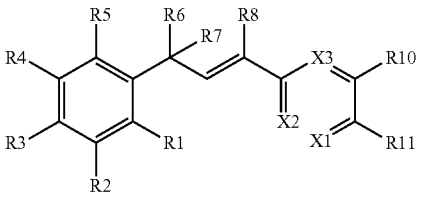

Formula One

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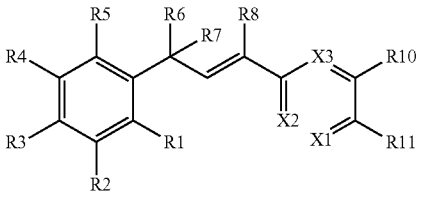
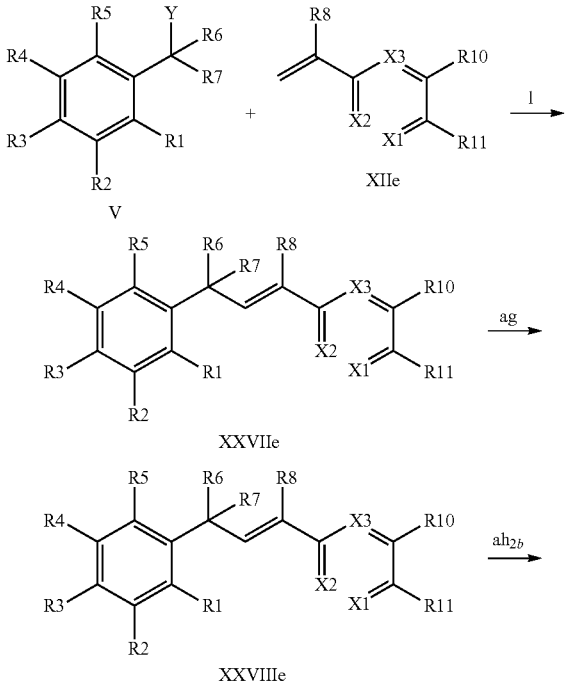


Formula One

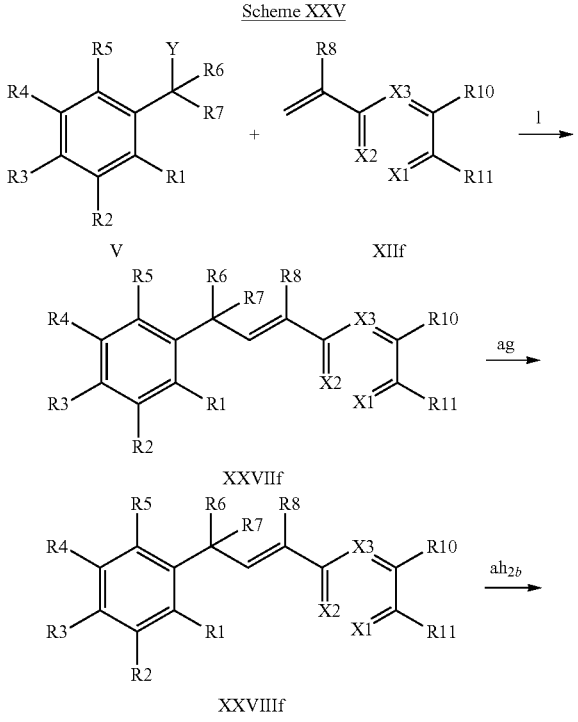
In step 1 of Scheme XXIV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIe, wherein R11 is NHN(Phthalimide) and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIIe, wherein R11 is NHN(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIe is removed as in step ag of Scheme XXIV by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIIe, wherein R11 is $NHNH_2$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIe can be transformed into the compounds of Formula One, wherein R11 is NHN(C═O)(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of $HOBt.H_2O$, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ah_{2b} of Scheme XXIV.

In step 1 of Scheme XXV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIf, wherein R11 is ON(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula XXVIIf, wherein R11 is ON(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIf is removed as in step ag of Scheme XXV by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90° C. to provide the compounds of Formula XXVIIIf, wherein R11 is ONH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIf can be transformed into the compounds of Formula One, wherein R11 is ON(C═O)(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of $HOBt.H_2O$, EDC.HCl and a base, such as DIEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ah_{2b} of Scheme XXV.

Scheme XXIV



Scheme XXV



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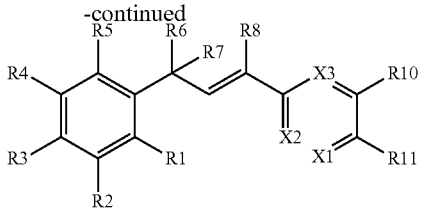
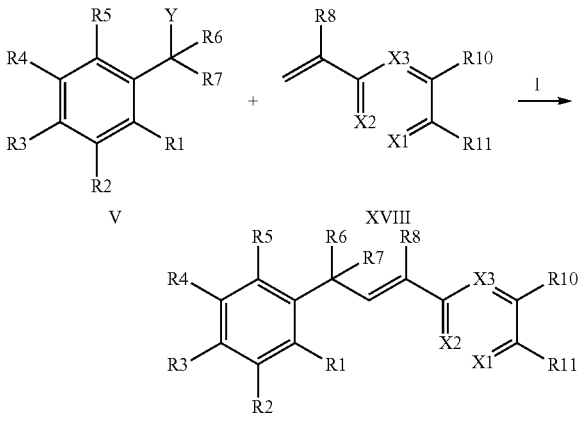


Formula One

In step l of Scheme XXVI, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XVIII, wherein R11 is CH_2NH(2-pyridine) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compounds of Formula One, wherein R11 is CH_2NH(2-pyridine), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

The compounds of Formula One can be further elaborated by standard methods. For example, when R11 contains a thioether, the thioether can be oxidized to the sulfone by treatment with oxone in the presence of an acetone:water mixture at ambient temperature. When R11 contains an oxalate ester, the compound of Formula One can be transformed into the corresponding oxalamide by reaction with an amine hydrochloride and a solution of trimethylaluminum in toluene in a non-reactive solvent such as CH_2Cl_2.

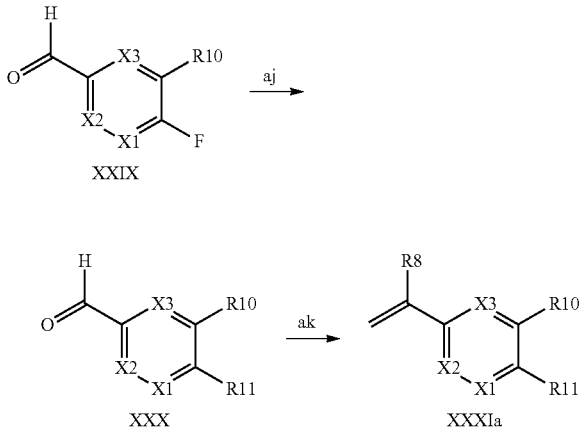
Scheme XXVI



Formula One

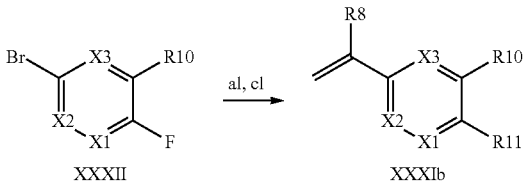
In Scheme XXVII, a fluorobenzaldehyde of Formula XXIX, wherein R10, X1, X2, and X3 are as previously disclosed can be converted to a (1,2,4-triazol-1-yl)benzaldehyde of Formula XXX, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R10, X1, X2, and X3 are as previously disclosed by reaction with a substituted or unsubstituted 1,2,4-triazole in the presence of a base, such as potassium carbonate, in a solvent such as DMF as in step aj. In step ak, the (1,2,4-triazol-1-yl)benzaldehyde of Formula XXX is converted to a (1,2,4-triazol-1-yl)vinyl benzene of Formula XXXIa wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R10, X1, X2, and X3 are as previously disclosed by reaction with triphenyl phosphonium bromide in the presence of a base, such as potassium carbonate, in an aprotic solvent, such as 1,4-dioxane.

Scheme XXVII



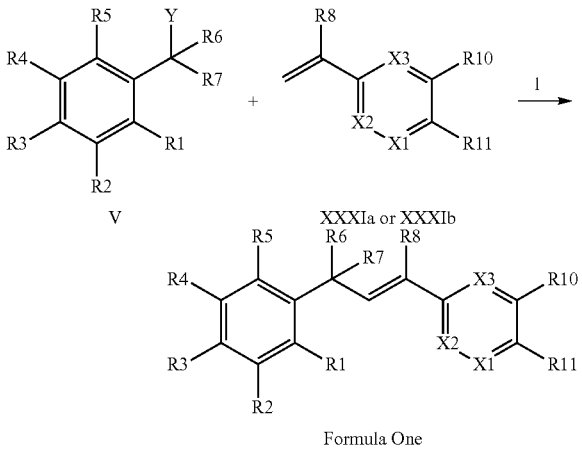
In Scheme XXVIII, a bromofluorobenzene of Formula XXXII, wherein R10, X1, X2, and X3 are as previously disclosed can be converted to a (1,2,4-triazol-1-yl)vinylbenzene of Formula XXXIb, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R10, X1, X2, and X3 are as previously disclosed in two steps. In step al, the bromofluorobenzene is reacted with a substituted or unsubstituted 1,2,4-triazole in the presence of a base, such as potassium carbonate, in a solvent such as DMF to generate the (1,2,4-triazol-1-yl)bromobenzene. In step cl, the (1,2,4-triazol-1-yl)bromobenzene is reacted with vinyl boronic anhydride pyridine complex in the presence of a catalyst, such as Pd $(PPh_3)_4$, and a base, such as potassium carbonate in a solvent such as toluene.

Scheme XXVIII



Coupling of the compounds of Formula V with compounds of Formula XXXIa and XXXIb can be accomplished as in Schemes XXIX. In step l, a compound of Formula V, wherein Y is Br, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and a vinylbenzene of Formula XXXIa or XXXIb, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R9, R10, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the molecules of Formula One, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed.

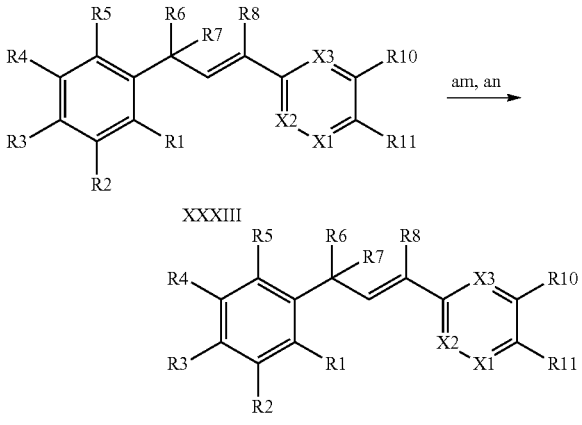
Scheme XXIX



Formula One

In Scheme XXX, compounds of Formula XXXIII wherein R11 is a 3-nitro-1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed can be converted to compounds of Formula One, wherein R11 is a 3-amido-1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed by a two-step process. In step am, the 3-nitro-1,2,4-triazol-1-yl group is reduced to a 3-amino-1,2,4-triazol-1-yl group in the presence of zinc dust and ammonium chloride in a protic solvent, such as methanol. In step an, the 3-amino-1,2,4-triazol-1-yl group is acylated with an acid chloride, such as cyclopropylcarbonyl chloride or acetyl chloride, in the presence of a base, such as triethylamine, in a solvent such as dichloromethane.

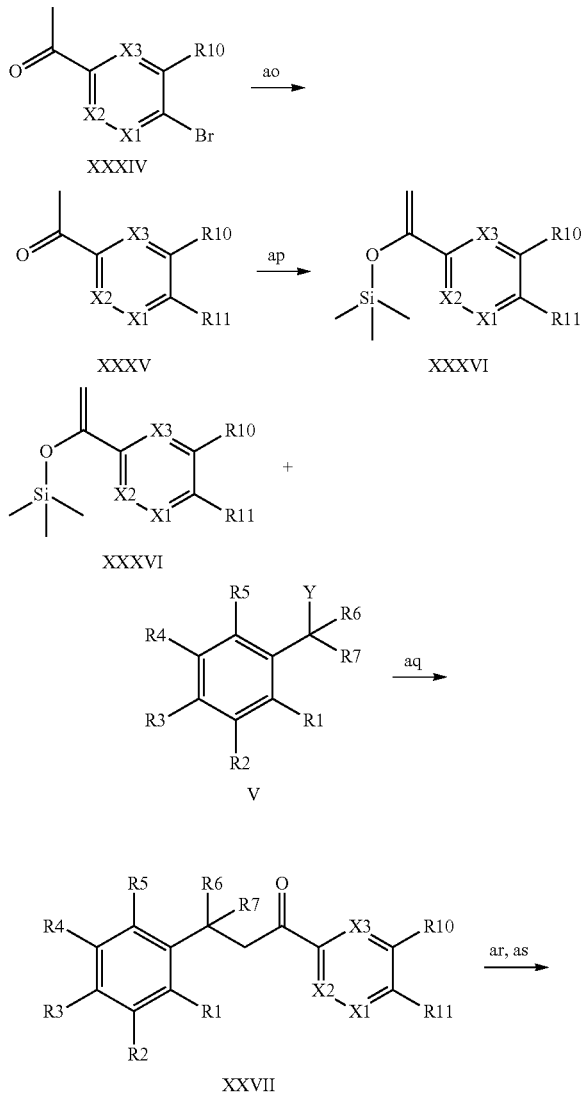
Scheme XXX



Formula One

In step ao of Scheme XXXI, a bromophenyl methyl ketone of Formula XXXIV wherein R10, X1, X2, and X3 are as previously disclosed is converted to an phenyl methyl ketone of the Formula XXXV wherein R11 is a 1,2,4-triazol-1-yl group, and R10, X1, X2, and X3 are as previously disclosed by treatment with 1,2,4-triazole in the presence of a base, such as cesium carbonate, and a catalyst, such as copper iodide, in a solvent, such as DMF. In step ap, the 1,2,4-triazolylacetophenone of Formula XXXV is converted to the trimethylsilyl enol ether of Formula XXXVI by treatment with trimethylsilyl triflluoromethanesulfonate in the presence of a base, such as triethylamine, in an aprotic solvent, such as dichloromethane. In step aq, the silyl enol ether is reacted with a compound of Formula V, wherein Y is Br, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene at a temperature of about 180° C. to generate a ketone of the Formula XXXVII, wherein R11 is a 1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R10, X1, X2, and X3 are as previously disclosed. In step ar, the ketone of the Formula XXXVII is treated with methylmagnesium bromide in an aprotic solvent, such as THF to generate the tertiary alcohol. The tertiary alcohol then undergoes an elimination reaction when treated with a catalytic amount of p-toluenesulfonic acid in a solvent, such as toluene, when heated to a temperature to allow azeotropic removal of water to produce compounds of Formula One wherein R11 is a 1,2,4-triazol-1-yl group, R8 is methyl, and R1, R2, R3, R4, R5, R6, R7, R10, X1, X2, and X3 are as previously disclosed, as in step as.

Scheme XXXI



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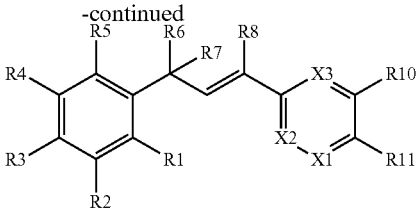
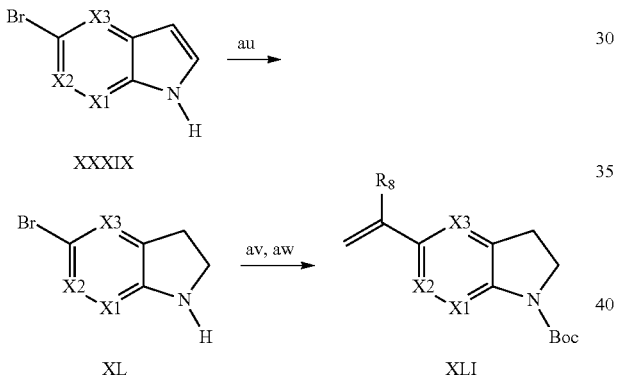


Formula One

In Scheme XXXIII, a compound of Formula XXXIX, wherein X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XL, wherein X1, X2, and X3 are as previously disclosed, by treatment with a reducing agent, such as sodium cyanoborohydride, in a solvent, such as acetic acid, as in step au. In step av, the nitrogen atom is protected with a tert-butyloxycarbonyl (BOC) group by reaction with di-tert-butyl dicarbonate in the presence of a catalyst, such as DMAP, in a solvent, such as acetonitrile. The bromide of Formula XL can be converted to the olefin of Formula XLI, wherein R8, X1, X2 and X3 are as previously disclosed, by reaction with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2(dppf)$, and a base, such as K_2CO_3, in a polar aprotic solvent such as DMSO at 100° C., as in step aw.

Scheme XXXIII



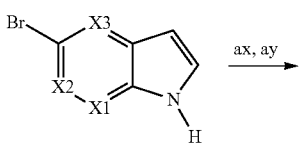
XXXIX

XL

XLI

In Scheme XXXIV, a compound of Formula XXXIX, wherein X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XLII, wherein X1, X2, and X3 are as previously disclosed in two steps. In step ax, the olefin is formed by treatment of the bromide with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2$, and a ligand, such as triphenylphosphine, and a base, such as Cs_2CO_3, in a solvent mixture such as THF/H_2O. In step ay, the nitrogen atom is protected with a tert-butyloxycarbonyl (BOC) group by reaction with di-tert-butyl dicarbonate in the presence of a catalyst, such as DMAP, in a solvent, such as acetonitrile.

Scheme XXXIV



XXXIX

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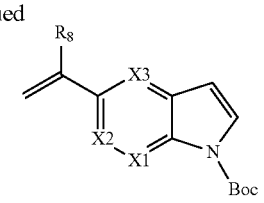
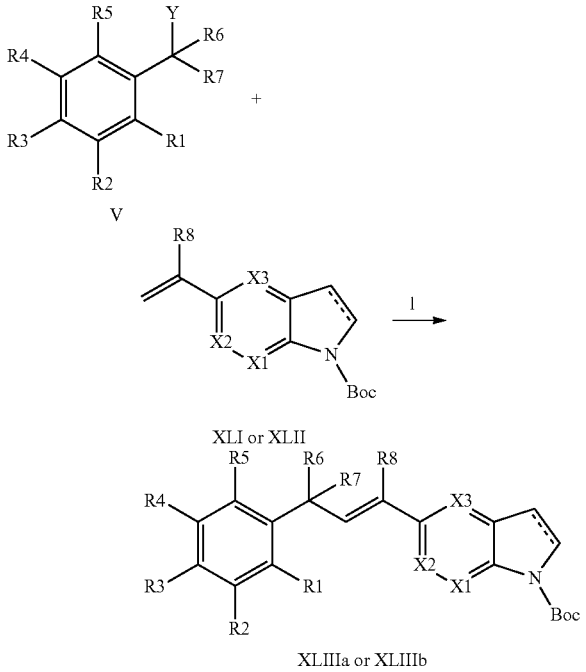


XLII

In step l of Scheme XXXV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XLI or XLII, wherein R8, X1, X2 and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 150° C. to provide the corresponding compounds of Formula XLIIIa or XLIIIb, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed.

Scheme XXXV



V

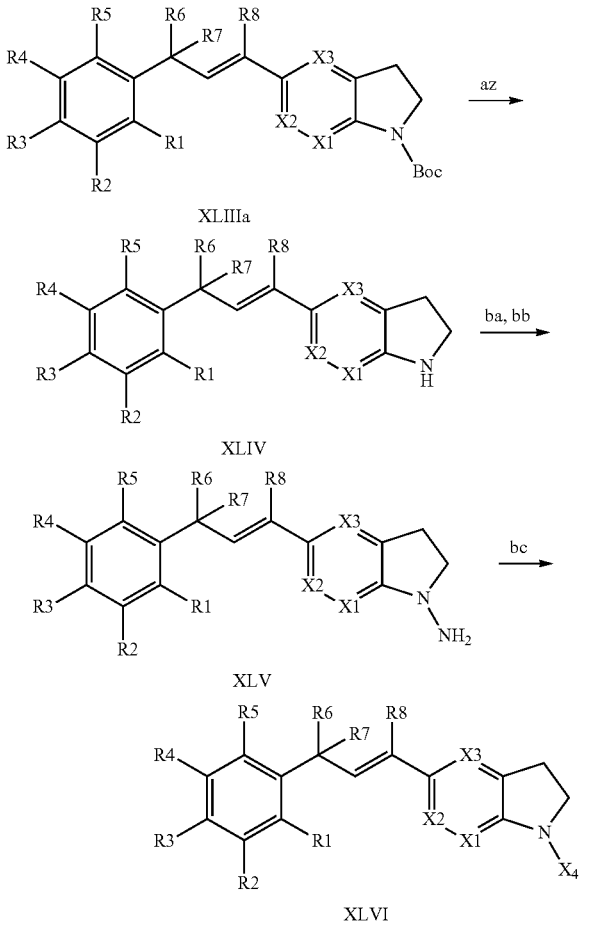
XLI or XLII

XLIIIa or XLIIIb

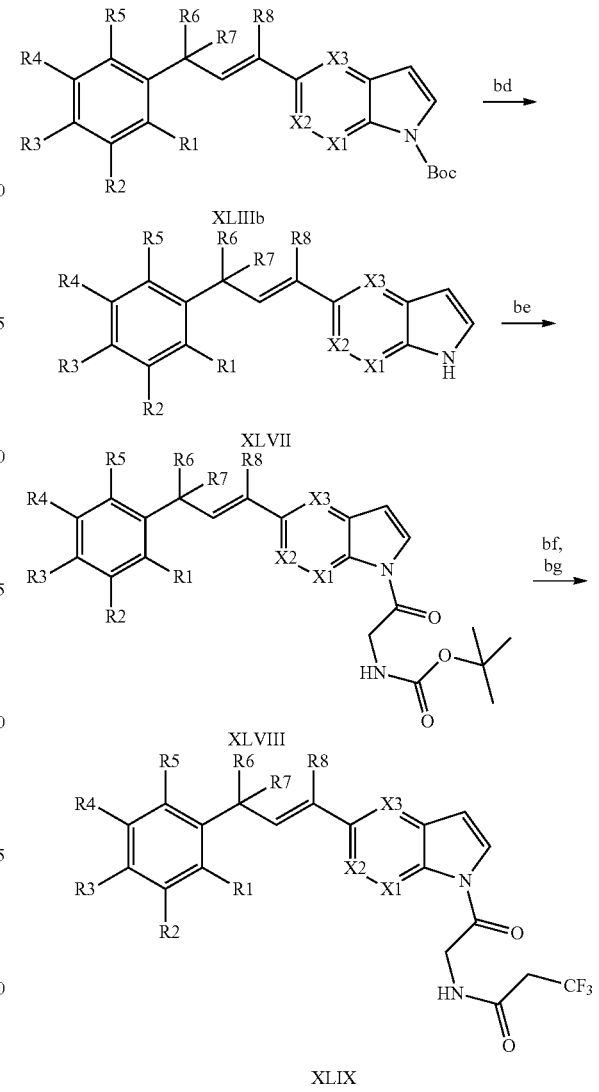
In Scheme XXXVI, a compound of Formula XLIIIa, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XLIV, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed by treatment with trifluoroacetic acid, in a solvent such as dichloromethane, as in step az. Compounds of the Formula XLIV can then be transformed into compounds of the Formula XLV wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, in two steps. In step ba, the indoline is treated with sodium nitrite ($NaNO_2$), in an acid, such as concentrated HCl, at a temperature around 5° C., to form the nitrosoindole. In step bb, the nitrosoindole is reacted with ammonium chloride in the presence of zinc powder in a protic solvent, such as methanol. In step bc, compounds of the Formula XLV are transformed into compounds of the Formula XLVI, wherein X4 is N(R14)(C(=O)R14) and R1, R2, R3, R4, R5, R6, R7,

R8, X1, X2, and X3 are as previously disclosed, by treatment with and acid, such as 3,3,3-trifluoropropanoic acid, PyBOP, and a base, such as DIEA, in a polar aprotic solvent, such as dichloromethane.

Scheme XXXVI



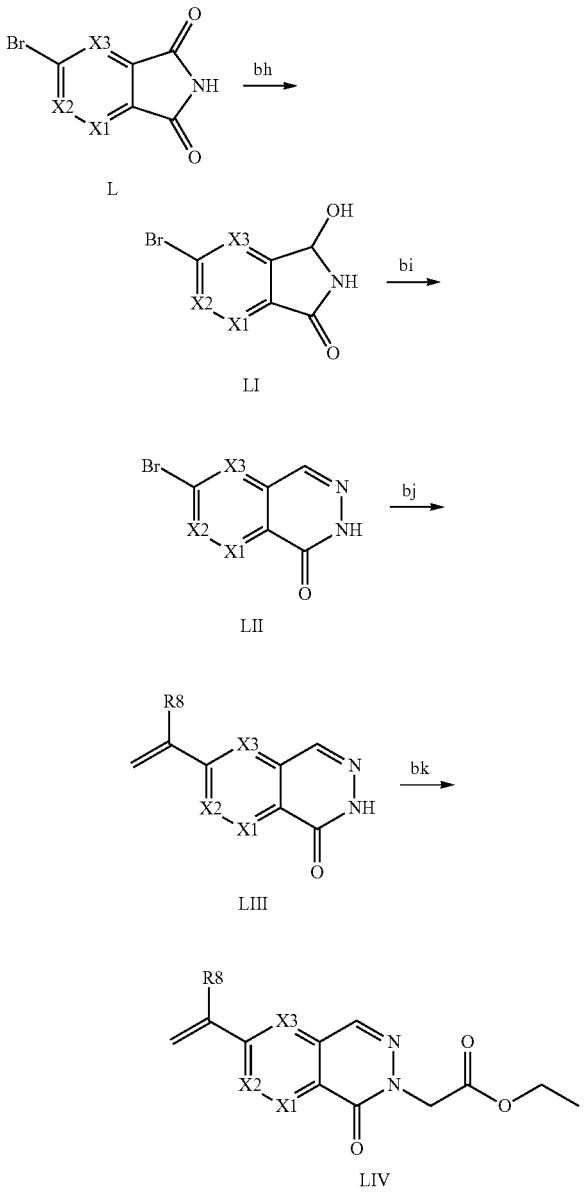
Scheme XXXVII



In Scheme XXXVII, a compound of Formula XLIIIb, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed is converted to an indole of Formula XLVII, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed by treatment with trifluoroacetic acid, in a solvent such as dichloromethane, as in step bd. Compounds of the Formula XLVII can be transformed into compounds of the Formula XLVIII wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, by reaction with 4-nitrophenyl-2-((tert-butoxycarbonyl)amino)acetate in the presence of potassium fluoride and a crown ether, such as 18-crown-6-ether, in a solvent, such as acetonitrile, as in step be. Compounds of the Formula XLVIII can be transformed into compounds of the Formula XLIX, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed in two steps. In step bf, the Boc group is removed by treatment with trifluoroacetic acid, in a solvent such as dichloromethane. In step bg, the amine is treated with 3,3,3-trifluoropropanoic acid, PyBOP, and a base, such as DIEA, in a polar aprotic solvent, such as dichloromethane.

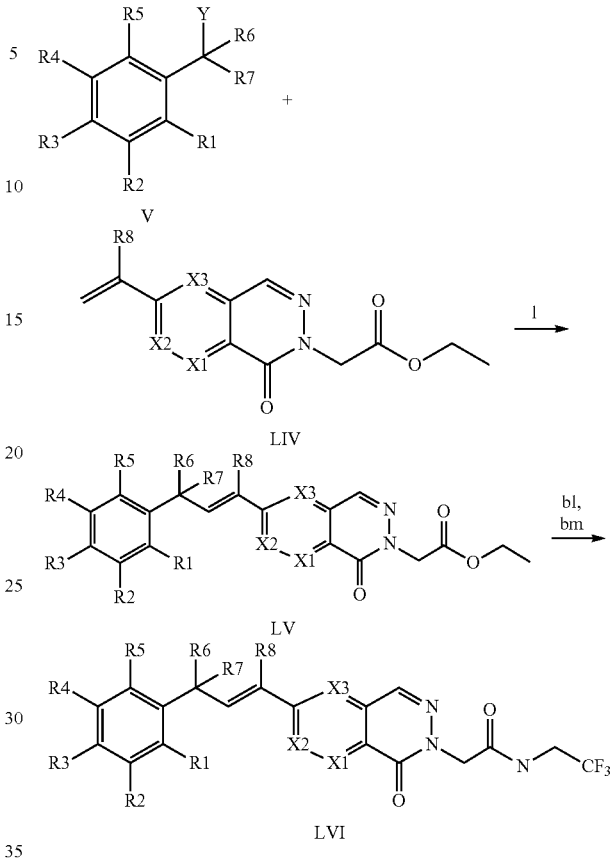
In Scheme XXXVIII, a compound of Formula L, wherein X1, X2, and X3 are as previously disclosed is converted to a compound of the Formula LI, wherein X1, X2, and X3 are as previously disclosed by treatment with copper (II) sulfate pentahydrate and Zn powder in a base, such as sodium hydroxide as in step bh. Compounds of the Formula LI can be transformed into compounds of the Formula LII wherein X1, X2, and X3 are as previously disclosed, by reaction with hydrazine, in a solvent such as water, at a temperature around 95° C., as in step bi. In step bj, the olefin of the Formula LIII wherein X1, X2, and X3 are as previously disclosed is formed by treatment of the bromide with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2$ (dppf), and a base, such as K_2CO_3, in a solvent mixture such as DMSO. Compounds of the Formula LIV, wherein X1, X2, and X3 are as previously disclosed, can be formed from compounds of the Formula LIII by reaction with ethyl bromoacetate, in the presence of a base, such as Cs_2CO_3, in a solvent, such as DMF.

Scheme XXXVIII



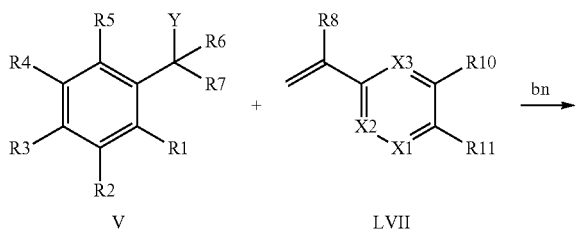
In step l of Scheme XXXIX, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compound of Formula LIV, wherein R8, X1, X2 and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180° C. to provide the corresponding compound of Formula LV, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed. The compound of Formula LV can be further transformed into a compound of the Formula LVI, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, in two steps. In step bl, the ester is hydrolyzed to the acid in the presence of HCl and acetic acid, at a temperature of about 100° C. In step bm, the acid is treated with an amine, such as 2,2,2-trifluoroethylamine, PyBOP, and a base, such as DIEA, in a polar aprotic solvent, such as dichloromethane.

Scheme XXXIX

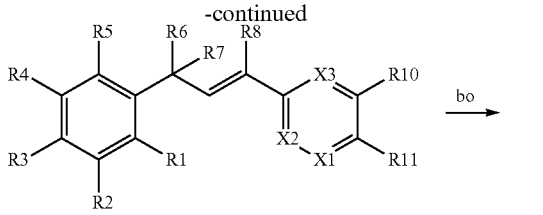


In step bn of Scheme XL, carboxylic acids of the Formula LVII, wherein R11 is C(═O)OH and R8, R10, X1, X2, and X3 are as previously disclosed and compounds of the Formula V, wherein Y is Br and R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as N-methyl pyrrolidine, at a temperature of about 150° C. to afford compounds of Formula LVIII, wherein R11 is (C═O)OH and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, X1, X2, and X3 are as previously disclosed. Compounds of the Formula LVIII can be further transformed to the corresponding benzamides of Formula LIX, wherein R11 is (C═O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, X1, X2, and X3 are as previously disclosed, by treatment with an amine, such as 2-amino-N-(2,2,2-trifluoroethyl)acetamide, PyBOP, and a base, such as DIEA, in a polar aprotic solvent, such as dichloromethane, as in step bo.

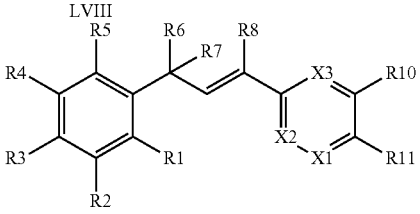
Scheme XL



-continued



LVIII



LIX

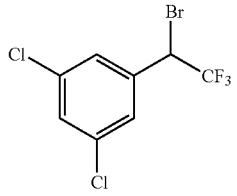
EXAMPLES

The examples are for illustration purposes and are not to be construed as limiting the invention disclosed in this document to only the embodiments disclosed in these examples.

Starting materials, reagents, and solvents that were obtained from commercial sources were used without further purification. Anhydrous solvents were purchased as Sure/Seal™ from Aldrich and were used as received. Melting points were obtained on a Thomas Hoover Unimelt capillary melting point apparatus or an OptiMelt Automated Melting Point System from Stanford Research Systems and are uncorrected. Molecules are given their known names, named according to naming programs within ISIS Draw, ChemDraw, or ACD Name Pro. If such programs are unable to name a molecule, the molecule is named using conventional naming rules. ^{1}H NMR spectral data are in ppm (δ) and were recorded at 300, 400, or 600 MHz, and ^{13}C NMR spectral data are in ppm (δ) and were recorded at 75, 100, or 150 MHz, unless otherwise stated.

Example 1

Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (AI1)



Step 1 Method A.
1-(3,5-Dichlorophenyl)-2,2,2-trifluoroethanol (AI2)

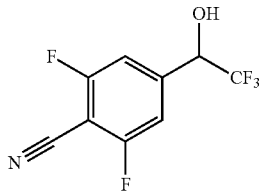
To a stirred solution of 1-(3,5-dichlorophenyl)-2,2,2-trifluoroethanone (procured from Rieke Metals, UK; 5.0 grams (g), 20.5 millimoles (mmol)) in methyl alcohol (CH_3OH; 100 milliliters (mL)) at 0° C. were added sodium borohydride ($NaBH_4$; 3.33 g, 92.5 mL) and 1 Normal (N) aqueous sodium hydroxide solution (NaOH; 10 mL). The reaction mixture was warmed to 25° C. and stirred for 2 hours (h). After the reaction was deemed complete by thin layer chromatography (TLC), saturated (satd) aqueous (aq) ammonium chloride (NH_4Cl) solution was added to the reaction mixture, and the mixture was concentrated under reduced pressure. The residue was diluted with diethyl ether (Et_2O) and washed with water (H_2O; 3×50 mL). The organic layer was dried over sodium sulfate (Na_2SO_4) and concentrated under reduced pressure to afford the title compound as a liquid (4.0 g, 79%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 3H), 5.00 (m, 2H), 2.74 (s, 1H); ESIMS m/z 242.97 ([M−H]$^-$).

Step 1 Method B.
1-(3,5-Dichlorophenyl)-2,2,2-trifluoroethanol (AI2)

To a stirred solution of 3,5-dichlorobenzaldehyde (10 g, 57 mmol) in tetrahydrofuran (THF; 250 mL) were added trifluoromethyltrimethylsilane (9.79 g, 69.2 mmol) and a catalytic amount of tetrabutylammonium fluoride (TBAF). The reaction mixture was stirred at 25° C. for 8 h. After the reaction was deemed complete by TLC, the reaction mixture was diluted with 3 N hydrochloric acid (HCl) and then was stirred for 16 h. The reaction mixture was diluted with H_2O and was extracted with ethyl acetate (EtOAc; 3×). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a liquid (8.41 g, 60%).

The following compounds were made in accordance with the procedures disclosed in Step 1 Method A of Example 1 above.

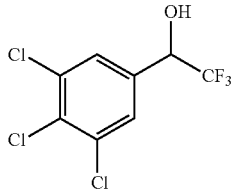
2,6-Difluoro-4-(2,2,2-trifluoro-1-hydroxyethyl)benzonitrile



The product was isolated as a brown solid: mp 83-87° C.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.26 (d, J=9.0 Hz, 2H), 5.12 (d, J=6.0 Hz, 1H), 3.06 (s, 1H); ESIMS m/z 237.1 ([M+H]$^+$).

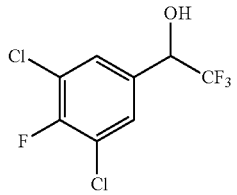
The following compounds were made in accordance with the procedures disclosed in Step 1 Method B of Example 1 above.

2,2,2-Trifluoro-1-(3,4,5-trichlorophenyl)ethanol (AI3)



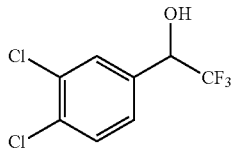
The product was isolated as a pale yellow liquid (500 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (s, 2H), 5.00 (m, 1H), 2.80 (s, 1H); ESIMS m/z 278 ([M+H]$^+$); IR (thin film) 3420, 1133, 718 cm^{-1}.

1-(3,5-Dichloro-4-fluorophenyl)-2,2,2-trifluoroethanol (AI4)



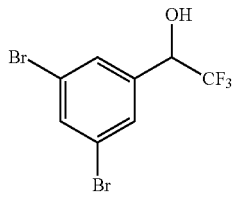
The product was isolated as a pale yellow liquid (500 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 2H), 5.00 (m, 1H), 2.80 (s, 1H); ESIMS m/z 262 ([M+H]$^+$); IR (thin film) 3420, 1133, 718 cm^{-1}.

1-(3,4-Dichlorophenyl)-2,2,2-trifluoroethanol (AI5)



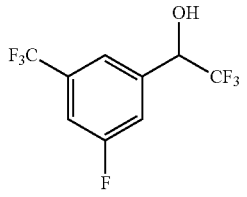
The product was isolated as a pale yellow liquid (500 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (s, 1H), 7.51 (m, 1H), 7.35 (m, 1H), 5.01 (m, 1H), 2.60 (s, 1H); EIMS m/z 244 ([M]$^+$).

1-(3,5-Dibromophenyl)-2,2,2-trifluoroethanol



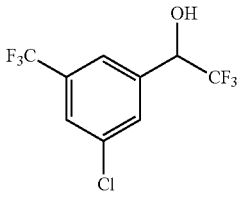
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.67 (s, 1H), 7.58 (s, 2H), 5.08-5.02 (m, 1H), 4.42 (bs, 1H); EIMS m/z 333.7 ([M]$^+$); IR (thin film) 3417, 2966, 1128, 531 cm^{-1}.

2,2,2-Trifluoro-1-(3-fluoro-5-(trifluoromethyl)phenyl)ethanol



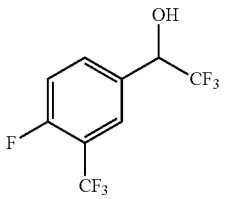
The title molecule was isolated as a clear, colorless oil: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (s, 1H), 7.45-7.37 (m, 2H), 5.11 (q, J=6.4 Hz, 1H), 3.22 (bs, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.42 (d, J=249.5 Hz), 137.46 (d, J=7.8 Hz), 132.89 (qd, J=33.5, 7.9 Hz), 123.67 (q, J=283.8 Hz), 122.92 (q, J=270.68 Hz), 120.10 (t, J=4.1 Hz), 118.13 (d, J=23.0 Hz), 113.94 (dq, J=24.2, 3.9 Hz), 71.57 (q, J=32.4 Hz); EIMS m/z 262 ([M]$^+$).

1-(3-Chloro-5-(trifluoromethyl)phenyl)-2,2,2-trifluoroethanol



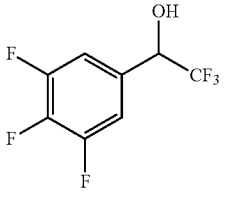
The product was isolated as a white solid (4.98 g, 77%): mp 42-46° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83-7.50 (m, 3H), 5.10 (p, J=6.2 Hz, 1H), 2.88 (d, J=4.3 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.12, 135.84, 131.4, 133.03 (q, J=33.3 Hz), 127.15 (q, J=3.8 Hz), 124.50 (q, J=308.0 Hz), 123.45 (q, J=301.8 Hz), 123.04, 72.06 (q, J=32.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −62.93, −78.43; EIMS m/z 278 ([M]$^+$).

2,2,2-Trifluoro-1-(4-fluoro-3-(trifluoromethyl)phenyl)ethanol



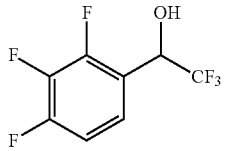
The product was isolated as a brown liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=6.8 Hz, 1H), 7.69-7.67 (m, 1H), 7.28-7.23 (m, 1H), 5.05-5.02 (m, 1H); ESIMS m/z 261.1 ([M−H]$^-$); IR (thin film) 3418, 1131 cm^{-1}.

2,2,2-Trifluoro-1-(3,4,5-trifluorophenyl)ethanol



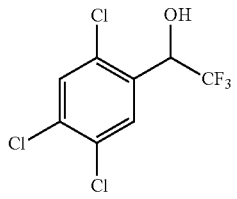
The product was isolated as a colorless liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.19-7.10 (m, 2H), 5.03-4.96 (m, 1H), 2.85 (bs, 1H); EIMS m/z 230.1 ([M]$^+$).

2,2,2-Trifluoro-1-(2,3,4-trifluorophenyl)ethanol



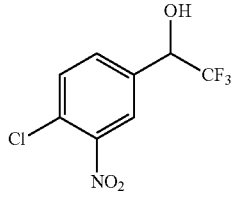
The product was isolated as a clear colorless liquid (4.61 g 66%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (qd, J=7.4, 6.1, 4.2 Hz, 1H), 6.93 (tdd, J=9.2, 6.9, 2.2 Hz, 1H), 5.25 (q, J=6.3 Hz, 1H), 3.02-2.74 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.79 (ddd, J=254.5, 9.8, 3.4 Hz), 149.52 (ddd, J=253.5, 11.0, 3.5 Hz), 139.67 (dt, J=252.5, 15.3 Hz), 123.68 (q, J=282.2 Hz), 122.48 (dt, J=8.2, 4.1 Hz), 118.95 (dd, J=10.6, 3.6 Hz), 112.73 (dd, J=17.7, 3.9 Hz), 66.58-64.42 (m); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.95 (d, J=6.2 Hz), −132.02 (dd, J=20.0, 8.2 Hz), −137.89 (m), 159.84 (t, J=20.3 Hz); EIMS m/z 230 ([M]$^+$).

2,2,2-Trifluoro-1-(2,4,5-trichlorophenyl)ethanol



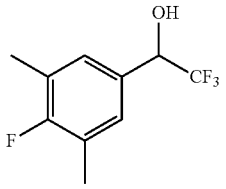
The product was isolated as a white solid (3.37 g, 73%): mp 70-73° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, J=2.5 Hz, 1H), 7.54 (d, J=2.5 Hz, 1H), 5.72-5.57 (m, 1H), 2.85 (d, J=4.8 Hz, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −77.84.

1-(4-Chloro-3-nitrophenyl)-2,2,2-trifluoroethanol



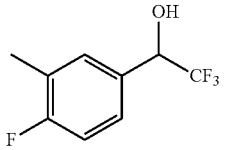
The product was isolated as a yellow oil (6.52 g, 73%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, J=2.0 Hz, 1H), 7.75-7.51 (m, 2H), 5.16 (m, 1H), 3.41 (d, J=4.3 Hz, 1H); ^{13}C NMR (101 MHz, CDCl3) δ 147.65, 134.44, 132.23, 132.17, 128.11, 124.66, 123.60 (q, J=283.8), 70.99 (q, J=32.6 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.47; EIMS m/z 230 ([M]$^+$).

2,2,2-Trifluoro-1-(4-fluoro-3,5-dimethylphenyl)ethanol



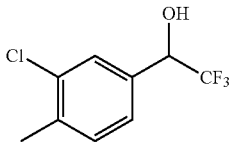
The product was isolated as a white solid (6.49 g, 84%): mp 45-49° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.10 (d, J=6.8 Hz, 2H), 4.89 (m, 1H), 2.63 (d, J=4.3 Hz, 1H), 2.27 (d, J=2.2 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.45 (d, J=246.0 Hz), 128.73, 127.97, 124.92 (d, J=18.6 Hz), 124.19 (q, J=279.1 Hz), 72.36 (q, J=32.0 Hz), 14.61 (d, J=4.1 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.48, −120.14; EIMS m/z 222 ([M]$^+$).

2,2,2-Trifluoro-1-(4-fluoro-3-methylphenyl)ethanol



The product was isolated as a white solid (2.12 g, 33%): mp 40-46° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 (d, J=7.4 Hz, 1H), 7.25-7.14 (m, 1H), 7.01 (t, J=8.9 Hz, 1H), 5.05-4.63 (m, 1H), 3.03 (d, J=4.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.91 (d, J=247.0 Hz), 130.62 (d, J=5.6 Hz), 129.41 (d, J=3.5 Hz), 126.55 (d, J=8.5 Hz), 115.19 (d, J=22.9 Hz), 72.23 (q, J=32.1 Hz), 14.44 (d, J=3.6 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.57, −116.15; EIMS m/z 208 ([M]$^+$).

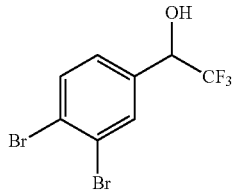
1-(3-Chloro-4-methylphenyl)-2,2,2-trifluoroethanol



The product was isolated as a clear colorless oil (4.99 g, 75%): ^{1}H NMR (400 MHz, CDCl3) δ 7.31 (s, 1H), 7.10 (m, 2H), 4.79 (q, J=6.1 Hz, 1H), 2.89 (bs, 1H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, CDCl3) δ 137.64, 134.67, 132.99, 131.09,

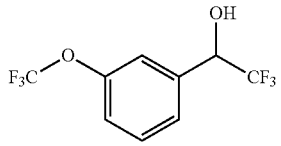
128.01, 125.58, 124.02 (q, J=284.8 Hz), 72.08 (q, J=32.3 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.39; EIMS m/z 224.5 ([M]$^+$).

1-(3,4-Dibromophenyl)-2,2,2-trifluoroethanol



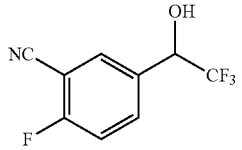
The product was isolated as a clear colorless oil (5.92 g, 88%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=2.0 Hz, 1H), 7.66 (d, J=8.3 Hz, 1H), 7.29 (dd, J=8.3, 2.0 Hz, 1H), 4.99 (qd, J=6.4, 4.2 Hz, 1H), 2.75 (d, J=4.3 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 134.52, 133.81, 132.60, 127.45, 126.19, 125.16, 123.71 (q, J=283.8 Hz), 71.57 (q, J=32.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.44; EIMS m/z 334 ([M]$^+$).

2,2,2-Trifluoro-1-(3-(trifluoromethoxy)phenyl)ethanol



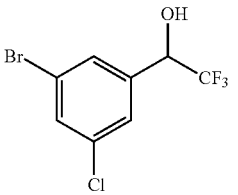
The product was isolated as a clear colorless oil (20.9 g, 79%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55-7.36 (m, 3H), 7.33-7.14 (m, 1H), 5.06 (m, 1H), 2.80 (br m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.36 (q, J=2.0 Hz), 136.04, 129.99, 125.78, 123.91 (q, J=282.8 Hz), 121.90, 120.31 (q, J=258.6 Hz), 120.12, 72.04 (q, J=32.3 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −57.92, −78.49; EIMS m/z 260 ([M]$^+$).

2-Fluoro-5-(2,2,2-trifluoro-1-hydroxyethyl)benzonitrile



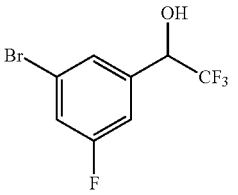
The product was isolated as a clear colorless oil (5.47 g, 58%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (dd, J=5.9, 2.2 Hz, 1H), 7.76 (ddd, J=7.8, 5.0, 2.3 Hz, 1H), 7.30 (d, J=8.6 Hz, 1H), δ 5.09 (qd, J=6.3, 4.2 Hz, 1H), 3.12 (br m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.49 (d, J=261.7 Hz), 134.23 (d, J=8.6 Hz), 132.67, 131.17, 123.66 (q, J=282.4 Hz), 116.79 (d, J=20.1 Hz), 113.39, 100.96 (d, J=194.9), 71.07 (q, J=32.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −78.70, −105.22; EIMS m/z 219 ([M]$^+$).

1-(3-Bromo-5-chlorophenyl)-2,2,2-trifluoroethanol



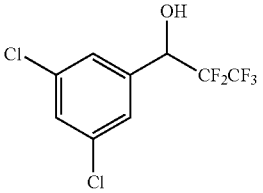
The product was isolated as a yellow liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.78 (s, 1H), 7.67 (s, 1H), 7.57 (s, 1H), 7.15 (d, J=5.7 Hz, 1H); EIMS m/z 288 ([M]$^+$); IR (thin film) 3435, 1175, 750 cm^{-1}.

1-(3-Bromo-5-fluorophenyl)-2,2,2-trifluoroethanol



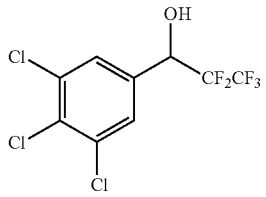
The product was isolated as a pale yellow liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (s, 1H), 7.29-7.26 (m, 1H), 7.18 (d, J=8.8 Hz, 1H), 5.03-4.98 (m, 1H), 3.60 (bs, 1H); EIMS m/z 272.0 ([M]$^+$); IR (thin film) 3400, 1176, 520 cm^{-1}.

1-(3,5-Dichlorophenyl)-2,2,3,3,3-pentafluoropropan-1-ol



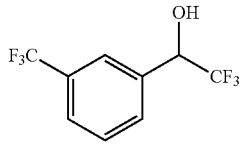
Using pentafluoroethyltrimethylsilane, the product was isolated as a white solid (6.22 g, 88%): mp 71-73° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (t, J=1.9 Hz, 1H), 7.37 (d, J=1.8 Hz, 2H), 5.11 (dt, J=16.2, 5.7 Hz, 1H), 2.62 (d, J=4.9 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 136.90, 135.31, 129.84, 126.38, 70.94 (dd, J=28.2, 23.1 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ −81.06, −120.94 (d, J=277.5 Hz), −129.18 (d, J=277.5 Hz); EIMS m/z 295 ([M]$^+$).

2,2,3,3,3-Pentafluoro-1-(3,4,5-trichlorophenyl)propan-1-ol



Using pentafluoroethyltrimethylsilane, the product was isolated as an off white semi solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.78 (s, 2H), 7.29 (d, J=5.4 Hz,), 5.50-5.40 (m, 1H); EIMS m/z 328.0 ([M]$^+$); IR (thin film) 3459, 1188, 797 cm^{-1}.

2,2,2-Trifluoro-1-(3-(trifluoromethyl)phenyl)ethanol



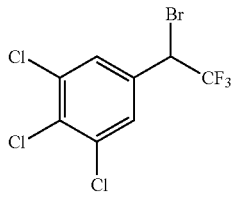
The product was isolated as a light yellow oil (13.8, 89%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (s, 1H), 7.70-7.67 (m, 2H), 7.55 (t, J=7.8 Hz, 1H), 5.12 (q, J=6.6 Hz, 1H), 2.76 (s, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −62.8, −78.5; EIMS m/z 244 ([M]$^+$).

Step 2. 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (AI1)

To a stirred solution of 1-(3,5-dichlorophenyl)-2,2,2-trifluoroethanol (4.0 g, 16.3 mmol) in dichloromethane (CH_2Cl_2; 50 mL), were added N-bromosuccinimide (NBS; 2.9 g, 16.3 mmol) and triphenyl phosphite (5.06 g, 16.3 mmol), and the resultant reaction mixture was heated at reflux for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to 25° C. and was concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 100% pentane) afforded the title compound as a liquid (2.0 g, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 3H), 5.00 (m, 1H); EIMS m/z 306 ([M]$^+$).

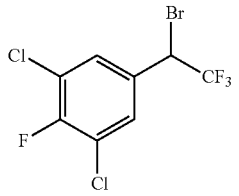
The following compounds were made in accordance with the procedures disclosed in Step 2 of Example 1.

5-(1-Bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (AI6)



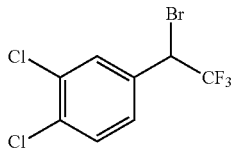
The product was isolated as a colorless oil (300 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (s, 2H), 5.00 (m, 1H); EIMS m/z 340.00 ([M]$^+$).

5-(1-Bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (AI7)



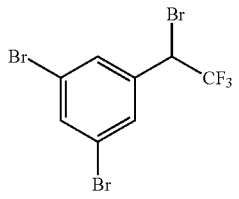
The product was isolated as a colorless oil (320 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (s, 2H), 5.00 (m, 2H); EIMS m/z 324.00 ([M]$^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-1,2-dichlorobenzene (AI8)



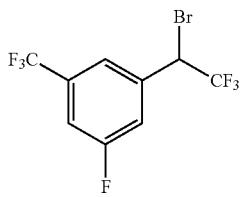
The product was isolated as a colorless oil (300 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (s, 1H), 7.51 (m, 1H), 7.35 (m, 1H), 5.01 (m, 1H); EIMS m/z 306.00 ([M]$^+$).

1,3-Dibromo-5-(1-bromo-2,2,2-trifluoroethyl)benzene



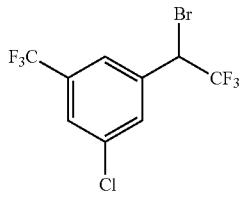
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.71 (s, 1H), 7.59 (s, 2H), 5.04-4.97 (m, 1H); EIMS m/z 394.6 ([M]$^+$); IR (thin film) 1114, 535 cm^{-1}.

1-(1-Bromo-2,2,2-trifluoroethyl)-3-fluoro-5-(trifluoromethyl)benzene



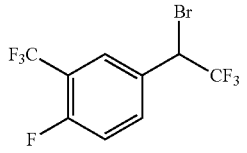
The title molecule was isolated as a colorless liquid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (d, J=8.4 Hz, 1H), 7.79-7.77 (m, 2H), 6.40-6.34 (m, 1H); EIMS m/z 324.00 ([M]$^+$); IR (thin film) 1175, 525 cm^{-1}.

1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(trifluoromethyl)benzene



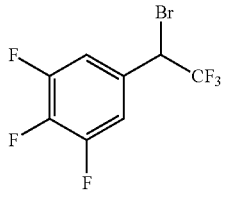
The title molecule was isolated as a colorless liquid: ^{1}H NMR (400 MHz, CDCl3) δ 7.71 (s, 1H), 7.67 (s, 1H), 7.64 (s, 1H), 5.15-5.09 (m, 1H); EIMS m/z 340.00 ([M]$^+$); IR (thin film) 1178, 750, 540 cm^{-1}.

4-(1-Bromo-2,2,2-trifluoroethyl)-1-fluoro-2-(trifluoromethyl)benzene



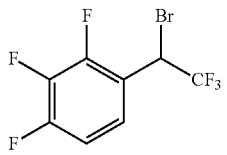
The title molecule was isolated as a colorless liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75-7.72 (m, 2H), 7.28-7.24 (m, 1H), 5.19-5.16 (m, 1H); EIMS m/z 326.0 ([M]$^+$); IR (thin film) 1114, 571 cm^{-1}.

5-(1-Bromo-2,2,2-trifluoroethyl)-1,2,3-trifluorobenzene



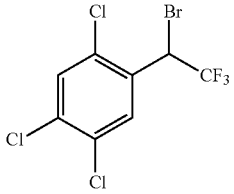
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.23-7.12 (m, 2H), 5.05-4.98 (m, 1H); EIMS m/z 292.0 ([M]$^+$); IR (thin film) 1116, 505 cm^{-1}.

1-(1-Bromo-2,2,2-trifluoroethyl)-2,3,4-trifluorobenzene



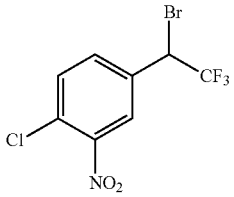
The title molecule was isolated as a colorless oil: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44 (m, 1H), 7.11-7.03 (m, 1H), 5.53-5.45 (m, 1H).

1-(1-Bromo-2,2,2-trifluoroethyl)-2,4,5-trichlorobenzene



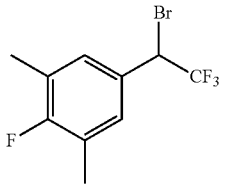
The title molecule was isolated as an off white solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.06 (d, J=2.1 Hz, 1H), 7.71 (s, 1H), 6.45-6.37 (m, 1H); EIMS m/z 340.0 ([M]$^+$); IR (thin film) 1186, 764, 576 cm^{-1}.

4-(1-Bromo-2,2,2-trifluoroethyl)-1-chloro-2-nitrobenzene



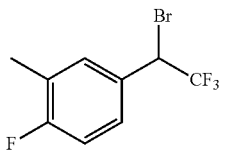
The title molecule was isolated as an off white solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.30 (s, 1H), 7.92 (d, J=9.0 Hz, 1H), 6.43-6.35 (m, 1H); EIMS m/z 317.0 ([M]$^+$); IR (thin film) 2927, 1540, 1353, 1177, 766, 530 cm^{-1}.

5-(1-Bromo-2,2,2-trifluoroethyl)-2-fluoro-1,3-dimethylbenzene



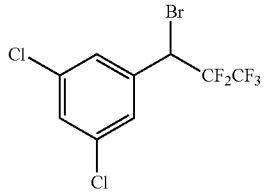
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.32 (d, J=7.2 Hz, 2H), 6.15-6.07 (m, 1H), 3.23 (s, 6H); ESIMS m/z 284.1 ([M+H]$^+$); IR (thin film) 2962, 1112, 500 cm^{-1}.

4-(1-Bromo-2,2,2-trifluoroethyl)-1-fluoro-2-methylbenzene



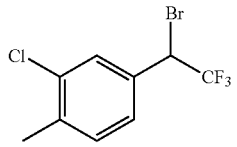
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.34-7.28 (m, 2H), 7.04-6.98 (m, 1H), 5.10-5.03 (m, 1H), 2.29 (s, 3H); EIMS m/z 270.1 ([M]$^+$); IR (thin film) 2989, 1163 cm^{-1}.

1-(1-Bromo-2,2,3,3,3-pentafluoropropyl)-3,5-dichlorobenzene



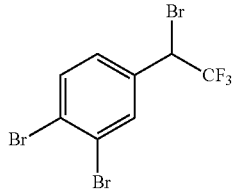
The title molecule was isolated as a colorless liquid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.79 (t, J=2.0 Hz, 1H), 7.63 (s, 2H), 6.37-6.29 (m, 1H); EIMS m/z 356 ([M]$^+$); IR (thin film) 1673, 1130, 715, 518 cm^{-1}.

4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-methylbenzene



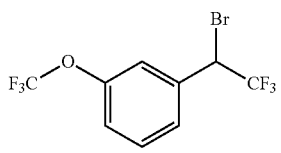
The title molecule was isolated as a liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.55-7.50 (m, 2H), 7.44 (d, J=8.4 Hz, 1H), 6.24-6.16 (m, 1H); IR (thin film) 2983, 1112, 749, 564 cm^{-1}.

1,2-Dibromo-4-(1-bromo-2,2,2-trifluoroethyl)benzene



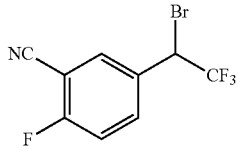
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.75 (s, 1H), 7.67 (d, J=8.4 Hz, 1H), 7.33-7.30 (m, 1H), 5.07-5.00 (m, 1H); EIMS m/z 393.8 ([M]$^+$); IR (thin film) 2981, 1644, 1165 cm^{-1}.

1-(1-Bromo-2,2,2-trifluoroethyl)-3-(trifluoromethoxy)benzene



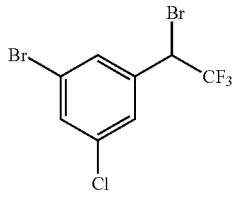
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.65-7.60 (m, 2H), 7.56-7.50 (m, 2H), 6.35-6.27 (m, 1H); EIMS m/z 322 ([M]$^+$); IR (thin film) 3413, 1161, 564 cm^{-1}.

5-(1-Bromo-2,2,2-trifluoroethyl)-2-fluorobenzonitrile



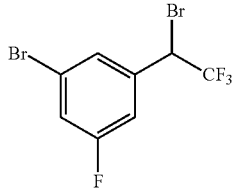
The title molecule was isolated as a pale yellow liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.15-8.12 (m, 1H), 8.00-7.98 (m, 1H), 7.69-7.63 (m, 1H), 6.31-6.26 (m, 1H); EIMS m/z 280.9 ([M]$^+$).

1-Bromo-3-(1-bromo-2,2,2-trifluoroethyl)-5-chlorobenzene



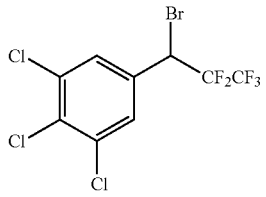
The title molecule was isolated as a pale yellow liquid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (s, 1H), 7.74 (s, 1H), 7.65 (s, 1H), 6.26-6.20 (m, 1H); EIMS m/z 349.9 ([M]$^+$); IR (thin film) 1114, 764 cm^{-1}.

1-Bromo-3-(1-bromo-2,2,2-trifluoroethyl)-5-fluorobenzene



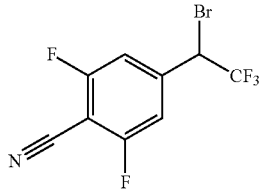
The title molecule was isolated as a colorless liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (s, 1H), 7.32-7.29 (m, 1H), 7.22 (d, J=8.8 Hz, 1H), 1.06 (q, 1H); EIMS m/z 334.0 ([M]$^+$); IR (thin film) 3087, 1168, 533 cm^{-1}.

5-(1-Bromo-2,2,3,3,3-pentafluoropropyl)-1,2,3-trichlorobenzene



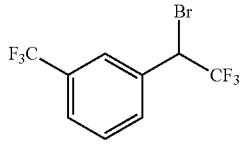
The title molecule was isolated as a colorless liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.85 (s, 2H), 6.38-6.29 (m, 1H); EIMS m/z 389.9 ([M]$^+$); IR (thin film) 1208, 798, 560 cm^{-1}.

4-(1-Bromo-2,2,2-trifluoroethyl)-2,6-difluorobenzonitrile



The title molecule was isolated as a purple solid: mp 59-63° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 (s, 2H), 5.11-5.07 (m, 1H); ESIMS m/z 299.0 ([M+H]$^+$).

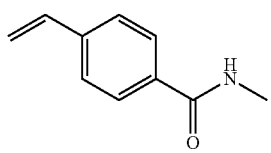
1-(1-Bromo-2,2,2-trifluoroethyl)-3-(trifluoromethyl) benzene



The title molecule was isolated as a colorless liquid: mp 59-63° C.; ^{1}H NMR (300 MHz, CDCl3) δ 7.75-7.67 (m, 3H), 7.57-7.52 (m, 1H), 5.20-5.13 (m, 1H); ESIMS m/z 306.0 ([M]$^+$); IR (thin film) 3436, 2925, 1265, 749 cm^{-1}.

Example 2

Preparation of N-Methyl-4-vinylbenzamide (AI9)



Step 1. 4-Vinylbenzoyl chloride (AI10)

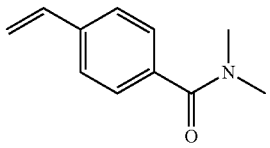
To a stirred solution of 4-vinylbenzoic acid (1 g, 6.75 mmol) in CH_2Cl_2 (20 mL) at 0° C. were added a catalytic amount of N,N-dimethylformamide (DMF) and oxalyl chloride (1.27 g, 10.12 mmol) dropwise over a period of 15 minutes (min) The reaction mixture was stirred at 25° C. for 6 h. After the reaction was deemed complete by TLC, the reaction mixture was concentrated under reduced pressure to give the crude acid chloride.

Step 2. N-Methyl-4-vinylbenzamide (AI9)

To 1 M N-methylamine in THF (13.5 mL, 13.5 mmol) at 0° C. were added triethylamine (Et_3N; 1.34 mL, 10.12 mmol) and the acid chloride from Step 1 above in THF (10 mL), and the reaction mixture was stirred at 25° C. for 3 h. After the reaction was deemed complete by TLC, the reaction mixture was quenched with water and then was extracted with EtOAc (3×). The combined EtOAc layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (650 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=8.0 Hz, 2H), 7.45 (d, J=8.0 Hz, 2H), 6.79 (m, 1H), 6.20 (br s, 1H), 5.82 (d, J=17.6 Hz, 1H), 5.39 (d, J=10.8 Hz, 1H); ESIMS m/z 161.95 ([M+H]$^+$).

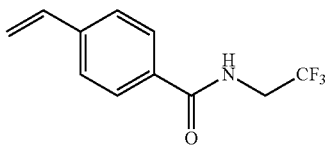
The following compounds were made in accordance with the procedures disclosed in accordance with Example 2.

N,N-Dimethyl-4-vinylbenzamide (AI11)



The product was isolated as an off-white solid (650 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (m, 4H), 6.71 (m, 1H), 5.80 (d, J=17.6 Hz, 1H), 5.31 (d, J=10.8 Hz, 1H), 3.05 (s, 3H), 3.00 (s, 3H); ESIMS m/z 176.01 ([M+H]$^+$).

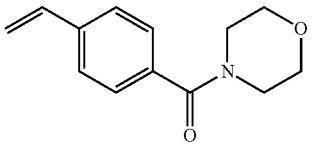
N-(2,2,3-Trifluoromethyl)-4-vinylbenzamide (AI12)



The product was isolated as an off-white solid (900 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=8.0 Hz, 2H), 7.45 (d, J=8.0 Hz, 2H), 6.79 (m, 1H), 6.20 (br s, 1H), 5.82 (d,

J=17.6 Hz, 1H), 5.39 (d, J=10.8 Hz, 1H), 4.19 (m, 2H); ESIMS m/z 230.06 ([M+H]$^+$).

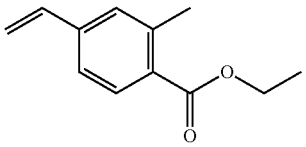
Morpholino(4-vinylphenyl)methanone (AI13)



The product was isolated as a white solid (850 mg, 60%): ESIMS m/z 218.12 ([M+H]$^+$).

Example 3

Preparation of Ethyl 2-methyl-4-vinylbenzoate (AI14)



Step 1. 4-Formyl-2-methylbenzoic acid (AI15)

To a stirred solution of 4-bromo-2-methylbenzoic acid (10 g, 46.4 mmol) in dry THF (360 mL) at −78° C. was added n-butyllithium (n-BuLi, 1.6 M solution in hexane; 58.17 mL, 93.0 mmol) and DMF (8 mL). The reaction mixture was stirred at −78° C. for 1 h then was warmed to 25° C. and stirred for 1 h. The reaction mixture was quenched with 1 N HCl solution and extracted with EtOAc. The combined EtOAc extracts were washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure. The residue was washed with n-hexane to afford the title compound as a solid (3.0 g, 40%): mp 196-198° C.; ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.32 (br s, 1H), 10.05 (s, 1H), 7.98 (m, 1H), 7.84 (m, 2H), 2.61 (s, 3H); ESIMS m/z 163.00 ([M−H]$^-$).

Step 2. Ethyl 4-formyl-2-methylbenzoate (AI16)

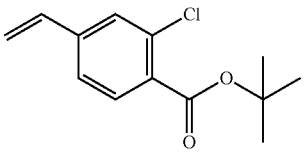
To a stirred solution of 4-formyl-2-methylbenzoic acid (3 g, 18.2 mmol) in ethyl alcohol (EtOH; 30 mL) was added sulfuric acid (H_2SO_4, ×M; 2 mL), and the reaction mixture was heated at 80° C. for 18 h. The reaction mixture was cooled to 25° C. and concentrated under reduced pressure. The residue was diluted with EtOAc and washed with H_2O. The combined EtOAc extracts were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a solid (2.8 g, 80%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.04 (m, 1H), 7.75 (m, 2H), 4.43 (m, 2H), 2.65 (s, 3H), 1.42 (m, 3H).

Step 3. Ethyl 2-methyl-4-vinylbenzoate (AI14)

To a stirred solution of ethyl 4-formyl-2-methylbenzoate (2.8 g, 4 mmol) in 1,4-dioxane (20 mL) were added potassium carbonate (K_2CO_3; 3.01 g, 21.87 mmol) and methyltriphenyl phosphonium bromide (7.8 g, 21.87 mmol) at 25° C. Then the reaction mixture was heated at 100° C. for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to 25° C. and filtered, and the filtrate was concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 25-30% EtOAc in n-Hexane) to afford the title compound as a solid (2.0 g, 72%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 1H), 7.27 (m, 2H), 6.68 (dd, J=17.6, 10.8 Hz, 1H), 5.84 (d, J=17.6 Hz, 1H), 5.39 (d, J=10.8 Hz, 1H), 4.39 (m, 2H), 2.60 (s, 3H), 1.40 (m, 3H); ESIMS m/z 191.10 ([M−H]$^-$); IR (thin film) 2980, 1716, 1257 cm^{-1}.

Example 4

Preparation of tert-Butyl 2-chloro-4-vinylbenzoate (AI17)

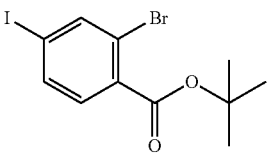


Step 1. tert-Butyl 4-bromo-2-chlorobenzoate (AI18)

To a stirred solution of 4-bromo-2-chlorobenzoic acid (5 g, 21.37 mmol) in THF (30 mL) was added di-tert-butyl dicarbonate (25.5 g, 25.58 mmol), Et_3N (3.2 g, 31.98 mmol) and 4-(dimethylamino)pyridine (DMAP; 0.78 g, 6.398 mmol), and the reaction mixture was stirred at 25° C. for 18 h. The reaction mixture was diluted with EtOAc and washed with H_2O. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 2-3% EtOAc in n-hexane) to afford the title compound as a liquid (3.2 g, 51%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (m, 2H), 7.44 (d, J=8.4 Hz, 1H), 1.59 (s, 9H); ESIMS m/z 290.10 ([M+H]$^+$); IR (thin film) 1728 cm^{-1}.

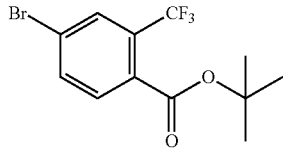
The following compounds were made in accordance with the procedures disclosed in Step 1 of Example 4.

tert-Butyl 2-bromo-4-iodobenzoate (AI19)



The product was isolated as a colorless oil (1.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.68 (d, J=8.4 Hz, 1H), 7.41 (d, J=8.0 Hz, 1H), 1.59 (s, 9H); ESIMS m/z 382.10 ([M+H]$^+$); IR (thin film) 1727 cm^{-1}.

tert-Butyl 4-bromo-2-(trifluoromethyl)benzoate (AI20)



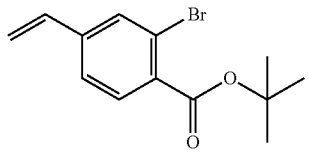
The product was isolated as a colorless oil (1 g, 52%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (s, 1H), 7.73 (d, J=8.4 Hz, 1H), 7.62 (d, J=8.4 Hz, 1H), 1.57 (s, 9H); ESIMS m/z 324.10 ($[M+H]^+$); IR (thin film) 1725 cm^{-1}.

Step 2. tert-Butyl 2-chloro-4-vinylbenzoate (AI17)

To a stirred solution of tert-butyl 4-bromo-2-chlorobenzoate (1.6 g, 5.50 mmol) in toluene (20 mL) was added tetrakis(triphenylphospine)palladium(0) ($Pd(PPh_3)_4$; (0.31 mg, 0.27 mmol), K_2CO_3 (2.27 g, 16.5 mmol) and vinylboronic anhydride pyridine complex (2.0 g, 8.3 mmol) and the reaction mixture was heated to reflux for 16 h. The reaction mixture was filtered, and the filtrate was washed with H_2O and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 5-6% EtOAc in n-hexane) afforded the title compound as a liquid (0.6 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, J=8.1 Hz, 1H), 7.44 (m, 1H), 7.31 (d, J=8.0 Hz, 1H), 6.69 (dd, J=17.6, 10.8 Hz, 1H), 5.85 (d, J=17.6 Hz, 1H), 5.40 (d, J=10.8 Hz, 1H), 1.60 (s, 9H); ESIMS m/z 238.95 ($[M+H]^+$); IR (thin film) 2931, 1725, 1134 cm^{-1}.

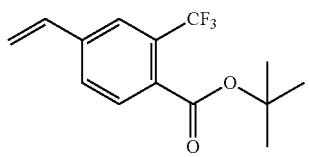
The following compounds were made in accordance with the procedures disclosed in Step 2 of Example 4.

tert-Butyl 2-bromo-4-vinylbenzoate (AI21)



The product was isolated as a colorless oil (1 g, 52%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (m, 2H), 7.36 (d, J=8.0 Hz, 1H), 6.68 (dd, J=17.6, 10.8 Hz, 1H), 5.84 (d, J=17.6 Hz, 1H), 5.39 (d, J=10.8 Hz, 1H), 1.60 (s, 9H); ESIMS m/z 282.10 ($[M+H]^+$); IR (thin film) 2978, 1724, 1130 cm^{-1}.

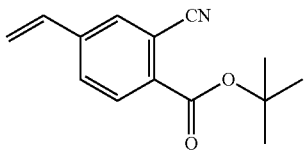
tert-Butyl 2-(trifluoromethyl)-4-vinylbenzoate (AI22)



The product was isolated as a colorless oil (1.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, J=6.4 Hz, 2H), 7.59 (d, J=7.6 Hz, 1H), 6.77 (dd, J=17.6, 10.8 Hz, 1H), 5.89 (d, J=17.6 Hz, 1H), 5.44 (d, J=10.8 Hz, 1H), 1.58 (s, 9H); ESIMS m/z 272.20 ($[M+H]^+$); IR (thin film) 2982, 1727, 1159 cm^{-1}.

Example 5

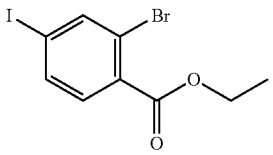
Preparation of tert-Butyl 2-cyano-4-vinylbenzoate (AI23)



To a stirred solution of tert-butyl 2-bromo-4-vinylbenzoate (0.5 g, 1.77 mmol) in DMF (20 mL) was added copper(I) cyanide (CuCN; 0.23 g, 2.65 mmol), and the reaction mixture was heated at 140° C. for 3 h. The reaction mixture was cooled to 25° C., diluted with H_2O, and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 15% EtOAc in n-hexane) to afford the title compound as a white solid (0.3 g, 72%): mp 51-53° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.77 (s, 1H), 7.64 (d, J=8.4 Hz, 1H), 6.75 (dd, J=17.6, 10.8 Hz, 1H), 5.93 (d, J=17.6 Hz, 1H), 5.51 (d, J=10.8 Hz, 1H), 1.65 (s, 9H); ESIMS m/z 229.84 ($[M+H]^+$); IR (thin film) 2370, 1709, 1142 cm^{-1}.

Example 6

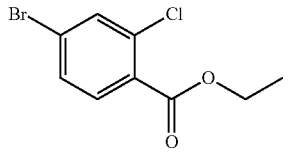
Preparation of Ethyl 2-bromo-4-iodobenzoate (AI46)



To a stirred solution of 4-iodo-2-bromobenzoic acid (5 g, 15.29 mmol) in ethyl alcohol (EtOH; 100 mL) was added sulfuric acid (H_2SO_4; 5 mL), and the reaction mixture was heated at 80° C. for 18 h. The reaction mixture was cooled to 25° C. and concentrated under reduced pressure. The residue was diluted with EtOAc (2×100 mL) and washed with H_2O (100 mL). The combined EtOAc extracts were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the compound as a pale yellow solid (5 g, 92%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.04 (d, J=1.2 Hz, 1H), 7.71 (d, J=7.6 Hz, 1H), 7.51 (d, J=8.4 Hz, 1H), 4.41 (q, J=7.2 Hz, 2H), 1.41 (t, J=7.2 Hz, 3H).

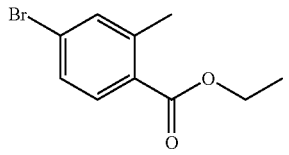
The following compounds were made in accordance with the procedures disclosed in Example 6.

Ethyl 4-bromo-2-chlorobenzoate (AI47)



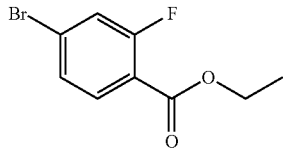
The title compound was isolated as an off-white solid (2.0 g, 80%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.25 (d, J=1.2 Hz, 1H), 7.79 (d, J=7.6 Hz, 1H), 7.65 (d, J=8.4 Hz, 1H), 4.65 (q, J=7.2 Hz, 2H), 1.56 (t, J=7.2 Hz, 3H).

Ethyl 4-bromo-2-methylbenzoate (AI48)



The title compound was isolated as a pale yellow liquid (3.0 g, 83%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.4 Hz, 1H), 7.41 (s, 1H), 7.39 (d, J=8.4 Hz, 1H), 4.42 (q, J=7.2 Hz, 2H), 2.60 (s, 3H), 1.40 (t, J=7.2 Hz, 3H) ESIMS m/z 229.11 ([M+H]$^+$); IR (thin film) 1725 cm^{-1}.

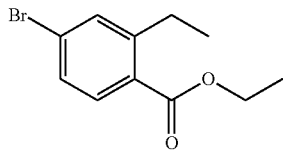
Ethyl 4-bromo-2-fluorolbenzoate (AI49)



The title compound was isolated as a colorless liquid (9.0 g, 79%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.84 (t, J=8.4 Hz, 1H), 7.76 (d, J=2.0 Hz, 1H), 7.58 (d, J=1.6 Hz, 1H), 4.34 (q, J=7.2 Hz, 2H), 1.32 (t, J=7.2 Hz, 3H); ESIMS m/z 246.99 ([M+H]$^+$), IR (thin film) 1734 cm^{-1}.

Example 7

Preparation of Ethyl 4-bromo-2-ethylbenzoate (AI50)

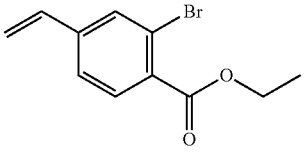


To a stirred solution of 4-bromo-2-fluorobenzoic acid (2.0 g, 9.17 mmol) in THF (16 mL), was added 1.0 M ethyl magnesium bromide in THF (32 mL, 32.0 mmol) dropwise at 0° C. and the resultant reaction mixture was stirred at RT for 18 h. The reaction mixture was quenched with 2 N HCl and extracted with ethyl acetate. The combined ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude 4-bromo-2-ethylbenzoic acid as a colorless liquid that was used in the next step without purification (0.4 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, J=8.4 Hz, 1H), 7.47 (m, 1H), 7.43 (m, 1H), 2.95 (q, J=4.0 Hz, 2H), 1.32 (t, J=4.0 Hz, 3H); ESIMS m/z 228.97 ([M+H]$^+$).

The title compound was synthesized from 4-bromo-2-ethylbenzoic acid in accordance to the procedure in Example 6, isolated as a colorless liquid (0.15 g, 68%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (d, J=8.4 Hz, 1H), 7.47 (m, 2H), 4.40 (q, J=7.2 Hz, 2H), 3.06 (q, J=7.6 Hz, 2H), 1.42 (t, J=7.2 Hz, 3H), 1.26 (t, J=7.6 Hz, 3H); ESIMS m/z 226.96 ([M−H]$^-$); IR (thin film) 3443, 1686, 568 cm^{-1}.

Example 8

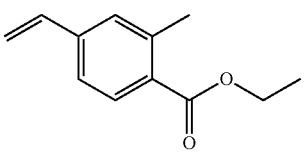
Preparation of Ethyl 2-bromo-4-vinylbenzoate (AI51)



To a stirred solution of ethyl 2-bromo-4-iodobenzoate (5 g, 14.3 mmol) in THF/water (100 mL, 9:1) was added potassium vinyltrifluoroborate (1.89 g, 14.3 mmol), Cs_2CO_3 (18.27 g, 56.07 mmol) and triphenylphosphine (0.22 g, 0.85 mmol) and the reaction mixture was degassed with argon for 20 min, then charged with $PdCl_2$ (0.05 g, 0.28 mmol). The reaction mixture was heated to reflux for 16 h. The reaction mixture was cooled to RT and filtered through a celite bed and washed with ethyl acetate. The filtrate was again extracted with ethyl acetate and the combined organic layers washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/petroleum ether) to afford the title compound as a light brown gummy material (2 g, 56%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, J=8.4 Hz, 1H), 7.71 (d, J=1.2 Hz, 1H), 7.51 (d, J=8.4 Hz, 1H), 6.69 (dd, J=17.6, 10.8 Hz, 1H), 5.86 (d, J=17.6 Hz, 1H), 5.42 (d, J=11.2 Hz, 1H), 4.42 (q, J=7.2 Hz, 2H), 1.43 (t, J=3.6 Hz, 3H); ESIMS m/z 255.18 ([M+H]$^+$); IR (thin film) 1729 cm^{-1}.

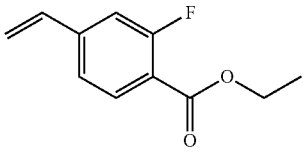
The following compounds were made in accordance with the procedures disclosed in Example 8.

Ethyl 2-methyl-4-vinylbenzoate (AI52)



The title compound was isolated as a colorless liquid (0.8 g, 80%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, J=8.4 Hz, 1H), 7.27 (m, 2H), 6.79 (dd, J=17.6, 10.8 Hz, 1H), 5.86 (d, J=17.6 Hz, 1H), 5.42 (d, J=11.2 Hz, 1H), 4.42 (q, J=7.2 Hz, 2H), 2.60 (s, 3H), 1.43 (t, J=7.2 Hz, 3H); ESIMS m/z 191.10 ([M+H]$^+$); IR (thin film) 1717, 1257 cm^{-1}.

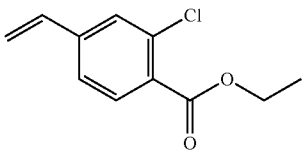
Ethyl 2-fluoro-4-vinylbenzoate (AI53)



The title compound was isolated as a pale yellow liquid (2.0 g, 50%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (t, J=8.0 Hz, 1H), 7.51 (d, J=16.0 Hz, 1H), 7.48 (d, J=16.0 Hz, 1H), 6.82 (dd, J=17.6, 10.8 Hz, 1H), 6.09 (d, J=17.6 Hz, 1H), 5.50 (d, J=10.8 Hz, 1H), 4.35 (q, J=7.2 Hz, 2H), 1.35 (t, J=7.2 Hz, 3H); ESIMS m/z 195.19 ([M+H]$^+$); IR (thin film) 1728 cm^{-1}.

Example 9

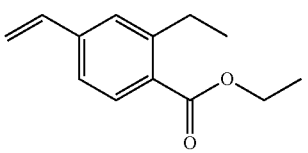
Preparation of Ethyl 2-chloro-4-vinylbenzoate (AI54)



To a stirred solution of ethyl 2-chloro-4-bromobenzoate (2 g, 7.63 mmol) in dimethylsulfoxide (20 mL) was added potassium vinyltrifluoroborate (3.06 g, 22.9 mmol) and potassium carbonate (3.16 g, 22.9 mmol). The reaction mixture was degassed with argon for 30 min Bistriphenylphosphine (diphenylphosphinoferrocene)palladium dichloride (0.27 g, 0.38 mmol) was added and the reaction mixture was heated to 80° C. for 1 h. The reaction mixture was diluted with water (100 mL), extracted with ethyl acetate (2×50 mL), washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to obtain the compound as brown gummy material (1.1 g, 69%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, J=8.4 Hz, 1H), 7.46 (s, 1H), 7.33 (d, J=8.4 Hz, 1H), 6.70 (dd, J=17.6, 11.2 Hz, 1H), 5.87 (d, J=17.6 Hz, 1H), 5.42 (d, J=10.8 Hz, 1H), 4.41 (q, J=7.2 Hz, 2H), 1.43 (t, J=7.2 Hz, 3H); ESIMS m/z 211.22 ([M+H]$^+$); IR (thin film) 1729, 886 cm^{-1}.

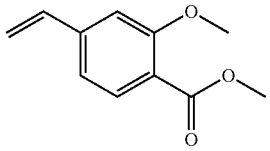
The following compounds were made in accordance with the procedures disclosed in Example 9.

Ethyl 2-ethyl-4-vinylbenzoate (AI55)



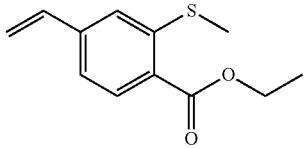
The title compound was isolated as a color less liquid (1.0 g, 66%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (m, 1H), 7.29 (m, 2H), 6.76 (d, J=10.8 Hz, 1H), 5.86 (d, J=17.6 Hz, 1H), 5.36 (d, J=10.5 Hz, 1H), 4.41 (q, J=7.2 Hz, 2H), 3.10 (q, J=7.2 Hz, 2H), 1.40 (t, J=7.2 Hz, 3H), 1.30 (t, J=7.2 Hz, 3H); ESIMS m/z 205.26 ([M+H]$^+$); IR (thin film) 1720, 1607, 1263 cm^{-1}.

Methyl 2-methoxy-4-vinylbenzoate (AI56)



The title compound was isolated as a pale yellow liquid (1.2 g, 75%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.0 Hz, 1H), 7.04 (d, J=1.2 Hz, 1H), 6.97 (s, 1H), 6.74 (dd, J=11.2, 11.2 Hz, 1H), 5.86 (d, J=17.6 Hz, 1H), 5.39 (d, J=17.6 Hz, 1H) 3.93 (s, 3H), 3.91 (s, 3H). ESIMS m/z 193.18 ([M+H]$^+$); IR (thin film) 1732 cm^{-1}.

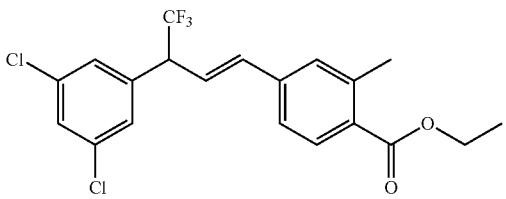
Ethyl 2-(methylthio)-4-vinylbenzoate



The title compound was isolated as a brown liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.98 (d, J=8.4 Hz, 1H), 7.23-7.18 (m, 2H), 6.78 (dd, J=17.7, 10.8, Hz, 1H), 5.89 (d, J=17.4 Hz, 1H), 5.42 (d, J=10.8 Hz, 1H), 4.39-4.36 (m, 2H), 2.48 (s, 3H), 1.39 (t, J=6.9 Hz, 3H); ESIMS m/z 221.9 ([M+H]$^+$); IR (thin film) 1708 cm^{-1}.

Example 10

Preparation of (E)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (AI24)

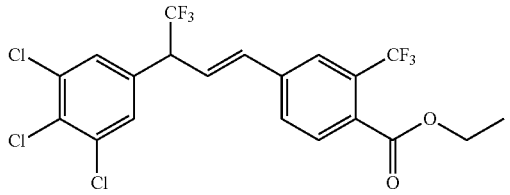


To a stirred solution of ethyl 2-methyl-4-vinylbenzoate (2.0 g, 10.5 mmol) in 1,2-dichlorobenzene (25 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (6.44 g, 21.0 mmol), copper(I) chloride (CuCl; 208 mg, 21 mmol) and 2,2bipyridyl (0.65 g, 4.1 mmol). The reaction mixture was degassed with argon for 30 min and then stirred at 180° C. for 24 h. After the reaction was deemed complete

by TLC, the reaction mixture was cooled to 25° C. and filtered, and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; eluting with 25-30% EtOAc in petroleum ether) afforded the title compound as a solid (1.7 g, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, J=8.0 Hz, 1H), 7.37 (m, 1H), 7.27-7.24 (m, 4H), 6.59 (d, J=16.0 Hz, 1H), 6.59 (dd, J=16.0, 8.0 Hz, 1H), 4.38 (q, J=7.2 Hz, 2H), 4.08 (m, 1H), 2.62 (s, 3H), 1.42 (t, J=7.2 Hz, 3H); ESIMS m/z 415.06 ([M−H]$^-$); IR (thin film) 1717, 1255, 1114 cm^{-1}.

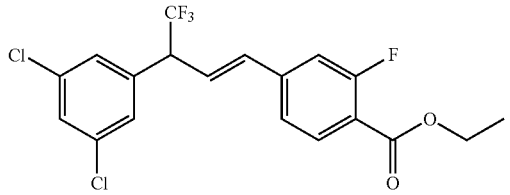
Compounds AI25, AI57-AI68 and AC1-AC5 (Table 1) were made in accordance with the procedures disclosed in Example 10.

(E)-Ethyl 4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl)-2-(trifluoromethyl)-benzoic acid (AI25)



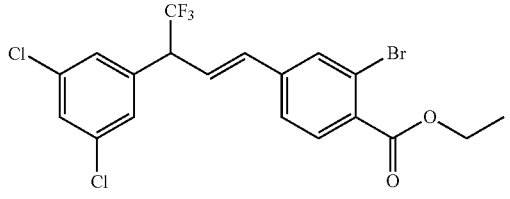
The product was isolated as a pale brown gummy liquid (500 mg, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.0 Hz, 1H), 7.71 (m, 1H), 7.61 (d, J=7.6 Hz, 1H), 7.42 (s, 2H), 6.70 (d, J=16.0 Hz, 1H), 6.57 (dd, J=16.0, 8.0 Hz, 1H), 4.42 (q, J=7.2 Hz, 2H), 4.19 (m, 1H), 1.40 (t, J=7.6 Hz, 3H); ESIMS m/z 502.99 ([M−H]$^-$); IR (thin film) 1730, 1201, 1120, 749 cm^{-1}.

(E)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluorobenzoate (AI57)



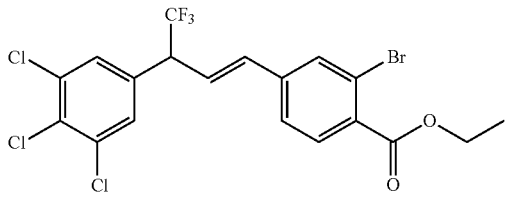
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (s, 1H), 7.26 (s, 3H), 7.21 (d, J=8.4 Hz, 1H), 7.16 (d, J=11.6 Hz, 1H), 6.59 (d, J=16.0 Hz, 1H), 6.47 (dd, J=, 16.0, 8.0 Hz, 1H), 4.41 (q, J=6.8 Hz, 2H), 4.18 (m, 1H), 1.41 (t, J=6.8 Hz, 3H); ESIMS m/z 419.33 ([M−H]$^-$); IR (thin film) 1723, 1115, 802 cm^{-1}.

(E)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-bromobenzoate (AI58)



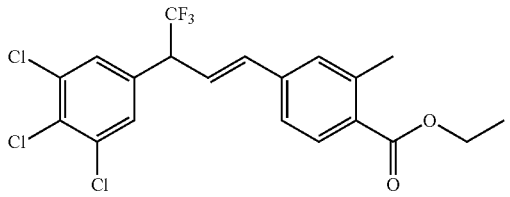
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.0 Hz, 1H), 7.67 (s, 1H), 7.38 (m, 2H), 7.26 (m, 2H), 6.56 (d, J=16.0 Hz, 1H), 6.45 (dd, J=16.0, 7.6 Hz, 1H), 4.42 (q, J=7.2 Hz, 2H), 4.39 (m, 1H), 1.42 (t, J=7.2 Hz, 3H); ESIMS m/z 481.22 ([M−H]$^-$); IR (thin film) 1727, 1114, 801, 685 cm^{-1}.

(E)-Ethyl 2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoate (AI59)



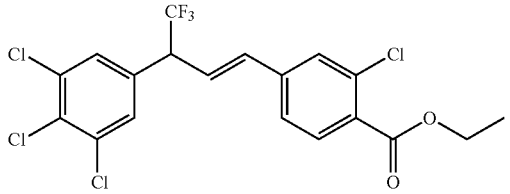
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.0 Hz, 1H), 7.67 (d, J=1.6 Hz, 1H), 7.40 (s, 2H), 7.36 (d, J=1.6 Hz, 1H), 6.56 (d, J=16.0 Hz, 1H), 6.44 (dd, J=16.0, 7.6 Hz, 1H), 4.42 (q, J=6.8 Hz, 2H), 4.15 (m, 1H), 1.42 (t, J=6.8 Hz, 3H); ESIMS m/z 514.74 ([M−H]$^-$); IR (thin film) 1726, 1115, 808, 620 cm^{-1}.

(E)-Ethyl 2-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoate (AI60)



The title compound was isolated as a light brown gummy material: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, J=8.8 Hz, 1H), 7.34 (d, J=6.0 Hz, 2H), 7.25 (d, J=7.2 Hz, 2H), 6.59 (d, J=16.0 Hz, 1H), 6.42 (dd, J=16.0, 8.0 Hz, 1H), 4.38 (q, J=7.2 Hz, 2H), 4.19 (m, 1H), 2.63 (s, 3H), 1.41 (t, J=7.2 Hz, 3H).

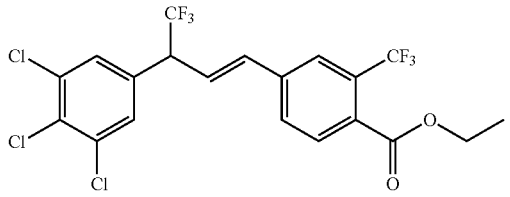
(E)-Ethyl 2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoate (AI61)



^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J=8.0 Hz, 1H), 7.46 (d, J=1.6 Hz, 1H), 7.40 (s, 2H), 7.31 (d, J=1.6 Hz, 1H), 6.57 (d, J=16.0 Hz, 1H), 6.44 (dd, J=16.0 Hz-8.0 Hz, 1H), 4.42 (q,

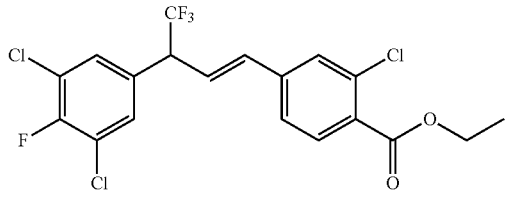
J=6.8 Hz, 2H), 4.15 (m, 1H), 1.42 (t, J=6.8 Hz, 3H); ESIMS m/z 470.73 ([M−H]⁻); IR (thin film) 1726, 1115, 809, 3072 cm⁻¹.

(E)-Ethyl 4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl)-2-(trifluoromethyl)benzoate (AI62)



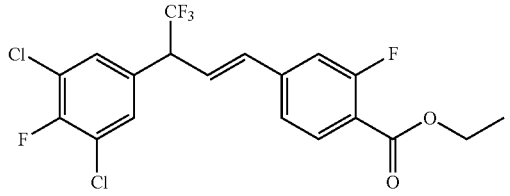
The title compound was isolated as a pale brown liquid (1.0 g, 46.3%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J=8.0 Hz, 1H), 7.71 (s, 1H), 7.61 (d, J=7.6 Hz, 1H), 7.41 (s, 2H) 6.65 (d, J=16.0 Hz, 1H), 6.49 (dd, J=16.0, 8.0 Hz, 1H), 4.42 (q, J=7.6 Hz, 2H), 4.15 (m, 1H), 1.42 (t, J=7.6 Hz, 3H); ESIMS m/z 502.99 ([M−H]⁻); IR (thin film) 1730, 1202, 1120, 750 cm⁻¹.

(E)-Ethyl 2-chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI63)



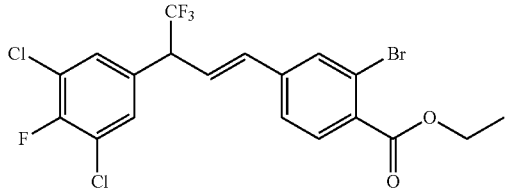
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, J=6.0 Hz, 1H), 7.46 (d, J=1.8 Hz, 2H), 7.34 (m, 1H), 7.24 (m, 1H), 6.57 (d, J=16.2 Hz, 1H), 6.45 (dd, J=16.2, 7.2 Hz, 1H), 4.43 (q, J=7.2 Hz, 2H), 4.13 (m, 1H), 1.41 (t, J=7.2 Hz, 3H); ESIMS m/z 455.0 ([M+H]⁺); IR (thin film) 1728, 1115, 817 cm⁻¹.

(E)-Ethyl 2-fluoro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI64)



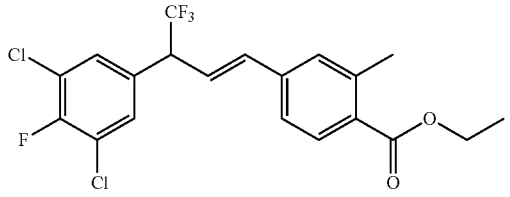
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (t, J=7.6 Hz, 1H), 7.34 (d, J=5.6 Hz, 2H), 7.21 (d, J=8.0 Hz, 1H), 7.16 (d, J=11.6 Hz, 1H), 6.59 (d, J=16.0 Hz, 1H), 6.49 (dd, J=16.0, 7.6 Hz, 1H), 4.42 (q, J=7.6 Hz, 2H), 4.13 (m, 1H), 1.41 (t, J=7.6 Hz, 3H); ESIMS m/z 436.81 ([M−H]⁻); IR (thin film) 1725 cm⁻¹.

(E)-Ethyl 2-bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI65)



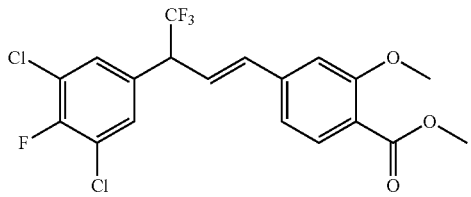
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, J=8.0 Hz, 1H), 7.67 (s, 1H), 7.36 (m, 3H), 6.56 (d, J=15.6 Hz, 1H), 6.44 (dd, J=15.6, 8.0 Hz, 1H), 4.42 (q, J=6.8 Hz, 2H), 4.10 (m, 1H), 1.42 (t, J=6.8 Hz, 3H); ESIMS m/z 498.74 ([M−H]⁻); IR (thin film) 1726, 1114, 820, 623 cm⁻¹.

(E)-Ethyl 2-methyl-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI66)



The title compound was isolated as a brown semi-solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, J=8.8 Hz, 1H), 7.34 (d, J=6.0 Hz, 2H), 7.25 (d, J=7.2 Hz, 2H), 6.59 (d, J=16.0 Hz, 1H), 6.42 (dd, J=16.0 Hz, 8.0 Hz, 1H), 4.38 (q, J=7.2 Hz, 2H), 4.19 (m, 1H), 2.63 (s, 3H), 1.41 (t, J=7.2 Hz, 3H); ESIMS m/z 432.90 ([M−H]⁻); IR (thin film) 1715 cm⁻¹.

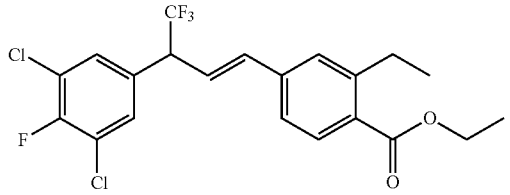
(E)-Methyl 2-methoxy-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI67)



^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, J=8.4 Hz, 1H), 7.35 (d, J=6.0 Hz, 2H), 7.03 (d, J=1.2 Hz, 1H), 6.92 (s, 1H), 6.59

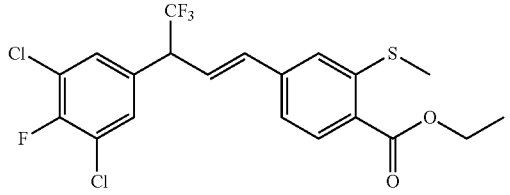
(d, J=15.6 Hz, 1H), 6.42 (dd, J=15.6, 8.0 Hz, 1H), 4.13 (m, 1H), 3.93 (s, 3H), 3.88 (s, 3H); ESIMS m/z 437.29 ([M+H]$^+$); IR (thin film) 1724 cm^{-1}.

(E)-Ethyl 2-ethyl-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI68)



^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, J=8.0 Hz, 1H), 7.35 (d, J=9.6 Hz, 2H), 7.26 (m, 1H), 7.24 (m, 1H), 6.60 (d, J=15.6 Hz, 1H), 6.42 (dd, J=15.6, 8.0 Hz, 1H), 4.38 (q, J=7.2 Hz, 2H), 4.14 (m, 1H), 3.01 (q, J=7.6 Hz 2H), 1.41 (t, J=7.2 Hz, 3H), 1.26 (t, J=7.6 Hz, 3H); ESIMS m/z 447.05 ([M−H]$^-$); IR (thin film) 1715, 1115, 817 cm^{-1}.

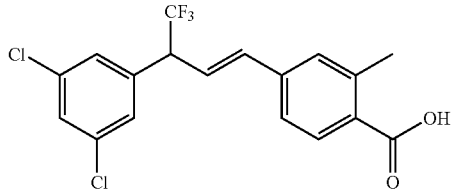
(E)-Ethyl 4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(methylthio)benzoate



Isolated as a brown liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J=8.1 Hz, 2H), 7.35-7.32 (m, 2H), 7.21-7.16 (m, 2H), 6.63 (d, J=15.8 Hz, 1H), 6.45 (dd, J=15.9, 7.8 Hz, 1H), 4.41-4.31 (m, 2H), 4.30-4.10 (m, 1H), 2.47 (s, 3H), 1.40 (t, J=7.5 Hz, 3H); ESIMS m/z 466.88 ([M+H]$^+$); IR (thin film) 1705, 1114 cm^{-1}.

Example 11

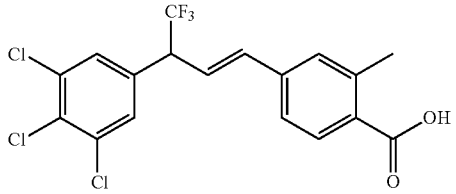
Preparation of (E)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI32)



To a stirred solution of (E)-ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (1.7 g, 4.0 mmol) in 1,4-dioxane (10 mL) was added 11 N HCl (30 mL), and the reaction mixture was heated at 100° C. for 48 h. The reaction mixture was cooled to 25° C. and concentrated under reduced pressure. The residue was diluted with H_2O and extracted with chloroform ($CHCl_3$). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure, and the crude compound was washed with n-hexane to afford the title compound as a white solid (0.7 g, 50%): mp 142-143° C.; ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.62 (br s, 1H), 7.81 (d, J=8.0 Hz, 1H), 7.66 (s, 3H), 7.52-7.44 (m, 2H), 6.89 (dd, J=16.0, 8.0 Hz, 1H), 6.78-6.74 (d, J=16.0 Hz, 1H), 4.84 (m, 1H), 2.50 (s, 3H); ESIMS m/z 387.05 ([M−H]$^-$); IR (thin film) 3448, 1701, 1109, 777 cm^{-1}.

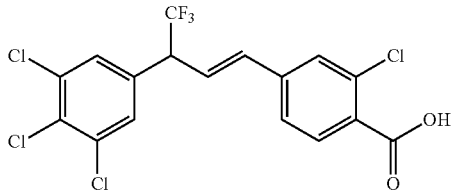
The following compounds were made in accordance with the procedures disclosed in Example 11.

(E)-2-Methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI26)



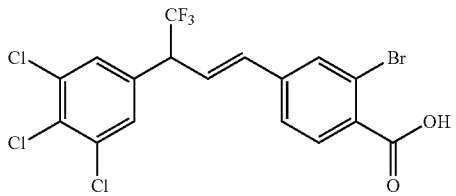
The product was isolated as a pale brown gummy liquid (1 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, J=8.0 Hz, 1H), 7.77 (s, 1H), 7.65 (m, 1H), 7.41 (s, 2H), 6.68 (d, J=16.0 Hz, 1H), 6.53 (dd, J=16.0, 8.0 Hz, 1H), 4.16 (m, 1H), 2.50 (s, 3H); ESIMS m/z 422.67 ([M−H]$^-$).

(E)-2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI27)



The product was isolated as an off-white semi-solid (1 g, 45%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J=8.4 Hz, 1H), 7.50 (m, 1H), 7.40 (s, 1H), 7.36 (m, 2H), 6.59 (d, J=15.6 Hz, 1H), 6.48 (dd, J=15.6, 7.6 Hz, 1H), 4.14 (m, 1H); ESIMS m/z 442.72 ([M−H]$^-$); IR (thin film) 3472, 1704, 1113, 808 cm^{-1}.

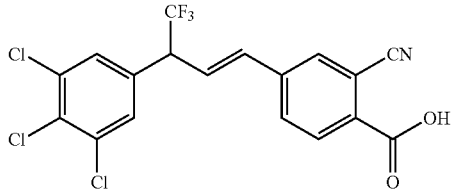
(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI28)



The product was isolated as a brown solid (1 g, 45%): mp 70-71° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J=8.0 Hz,

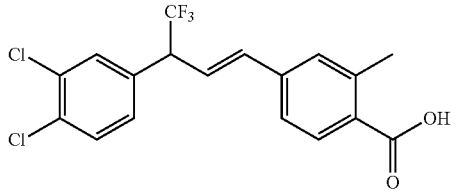
1H), 7.72 (s, 1H), 7.40 (m, 3H), 6.58 (d, J=16.0 Hz, 1H), 6.48 (dd, J=16.0, 8.0 Hz, 1H), 4.14 (m, 1H); ESIMS m/z 484.75 ([M−H]⁻); IR (thin film) 3468, 1700 cm^{-1}.

(E)-2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI29)



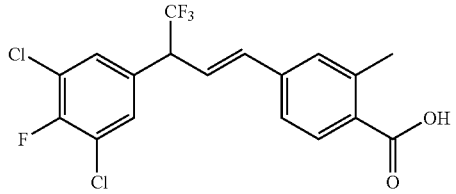
The product was isolated as an off-white solid (500 mg, 45%): mp 100-101° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.85 (d, J=7.6 Hz, 1H), 7.72 (d, J=8.0 Hz, 1H), 7.65 (br s, 1H), 7.42 (s, 2H), 6.73 (d, J=16.0 Hz, 1H), 6.58 (dd, J=16.0, 8.0 Hz, 1H), 4.19 (m, 1H); ESIMS m/z 431.93 ([M−H]⁻).

E)-4-(3-(3,4-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI30)



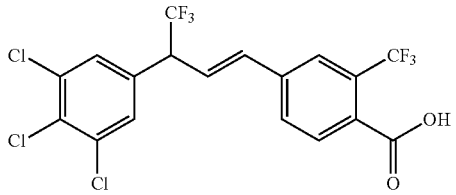
The product was isolated as a pale brown liquid (500 mg, 46%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.03 (m, 1H), 7.49 (m, 2H), 7.29 (m, 1H), 7.22 (m, 2H), 6.73 (d, J=16.0 Hz, 1H), 6.58 (dd, J=16.0, 7.8 Hz, 1H), 4.16 (m, 1H), 2.64 (s, 3H); ESIMS m/z 386.84 ([M−H]⁻); IR (thin film) 3428, 1690, 1113, 780 cm^{-1}.

(E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI31)



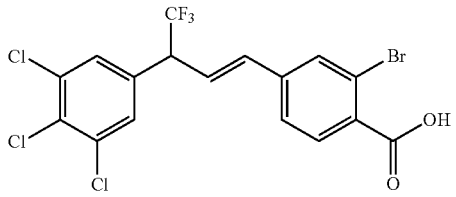
The product was isolated as a white solid (500 mg, 50%): mp 91-93° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, J=8.0 Hz, 1H), 7.35 (d, J=5.6 Hz, 1H), 7.30 (m, 3H), 6.61 (d, J=16.0 Hz, 1H), 6.48 (dd, J=16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.65 (s, 3H); ESIMS m/z 406.87 ([M−H]⁻).

(E)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzoic acid (AI33)



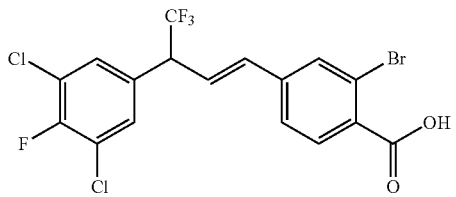
The product was isolated as a white solid (500 mg, 45%): mp 142-143° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, J=8.0 Hz, 1H), 7.77 (s, 1H), 7.65 (m, 1H), 7.41 (s, 2H), 6.68 (d, J=16.0 Hz, 1H), 6.53 (dd, J=16.0, 8.0 Hz, 1H), 4.16 (m, 1H); ESIMS m/z 474.87 ([M−H]⁻).

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI69)



The title compound was isolated as a brown solid (0.8 g, 28%): ^1H NMR (400 MHz, $CDCl_3$) δ 13.42 (br, 1H), 7.98 (d, J=1.5 Hz, 1H), 7.94 (m, 2H), 7.75 (d, J=8.1 Hz, 1H), 7.65 (m, 1H), 7.06 (dd, J=15.9, 9.0 Hz, 1H), 6.80 (d, J=15.9 Hz, 1H), 4.91 (m, 1H); ESIMS m/z 484.75 ([M−H]⁻); IR (thin film) 3469, 1700 cm^{-1}.

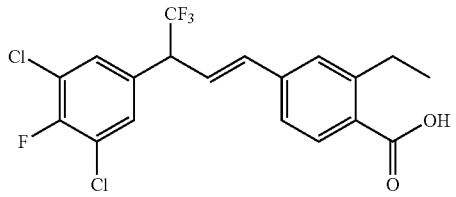
(E)-2-Bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (AI70)



The title compound was isolated as a yellow liquid (0.3 g, crude): ^1H NMR (300 MHz, $CDCl_3$) δ 7.79 (d, J=8.1 Hz, 1H),

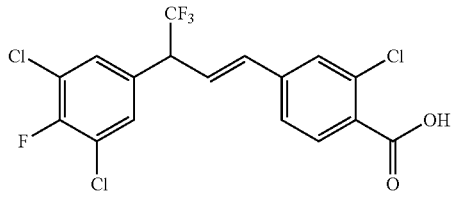
7.67 (s, 1H), 7.34 (m, 3H), 6.56 (d, J=15.9 Hz, 1H), 6.45 (dd, J=15.9, 7.6 Hz, 1H), 4.43 (m, 1H); ESIMS m/z 471.0 ([M−H]⁻).

(E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-ethylbenzoic acid (AI71)



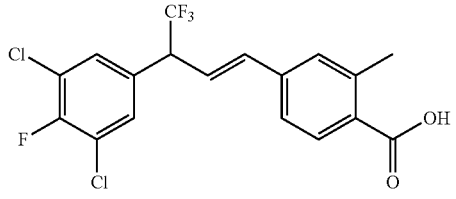
The title compound was isolated as a brown gummy material (0.2 g, crude): ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.5 (br, 1H), 7.85 (d, J=6.3 Hz, 2H), 7.75 (d, J=8.1 Hz, 1H), 7.52 (m, 2H), 6.96 (dd, J=8.7, 8.7 Hz, 1H), 6.78 (d, J=15.6 Hz, 1H), 4.80 (m, 1H), 4.06 (q, J=7.2 Hz, 2H), 1.33 (t, J=7.2 Hz, 3H); ESIMS m/z 419.06 ([M−H]⁻).

(E)-2-Chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (AI72)



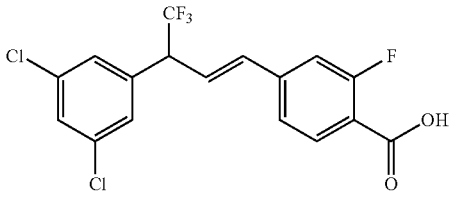
The title compound was isolated as a yellow liquid (0.7 g, 95%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, J=6.0 Hz, 1H), 7.46 (d, J=1.8 Hz, 1H), 7.41 (s, 3H), 6.57 (d, J=16.0 Hz, 1H), 6.45 (dd, J=16.0, 8.0 Hz, 1H), 4.16 (m, 1H); ESIMS m/z 455.0 ([M+H]⁺); IR (thin film) 1728, 1115, 817 cm^{-1}.

(E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI73)



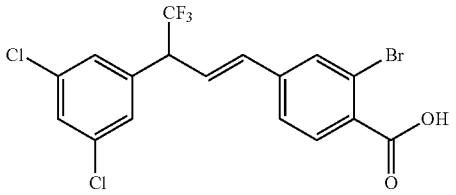
The title compound was isolated as a light brown gummy material (0.7 g, 38%): mp 91-93° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, J=8.0 Hz, 1H), 7.35 (d, J=5.6 Hz, 1H), 7.30 (m, 3H), 6.10 (d, J=16.0 Hz, 1H), 6.46 (dd, J=16.0, 8.0 Hz, 1H), 4.03 (m, 1H), 2.65 (s, 3H); ESIMS m/z 406.87 ([M−H]⁻).

(E)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluorobenzoic acid (AI74)



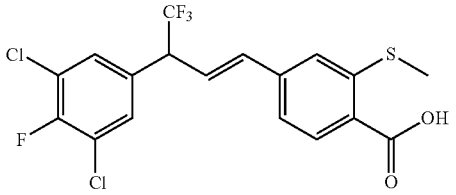
The title compound was isolated as a light brown liquid (0.3 g, crude): ESIMS m/z 393.15 ([M−H]⁻).

(E)-2-Bromo-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (AI75)



The title compound was isolated as a light brown liquid (0.35 g, crude): ESIMS m/z 451.91 ([M−H]⁻).

(E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(methylthio)benzoic acid

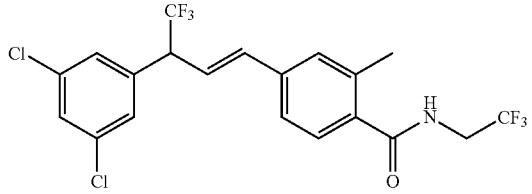


^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88-7.85 (m, 3H), 7.46 (d, J=6.8 Hz, 1H), 7.37 (s, 1H), 6.99 (dd, J=15.6, 8.8 Hz, 1H), 6.85 (d, J=16.0 Hz, 1H), 4.85-4.81 (m, 2H), 2.45 (s, 3H); ESIMS m/z 436.89 [(M−H)⁻]; IR (thin film) 3469, 1686, 1259, 714 cm^{-1}.

Prophetically, compounds AI34, AI36-AI41, AI44-AI45 (Table 1) could be made in accordance with the procedures disclosed in Example 10, or Examples 10 and 11.

Example 12

Preparation of (E)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methyl-N-(2,2,2-trifluoroethyl)benzamide (AC6)

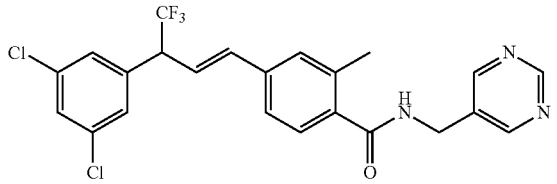


To a stirred solution of (E)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid in DMF was added 2,2,2-trifluoroethylamine, 1-hydroxybenzotriazole hydrate (HOBt.H_2O), N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride (EDC.HCl) and N,N-diisopropylethylamine (DIEA), and the reaction mixture was stirred at 25° C. for 18 h. The reaction mixture was diluted with H_2O and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with hexane:EtOAc afforded a white semi-solid (110 mg, 50%): ^1H NMR (400 MHz, $CDCl_3$) 7.40 (m, 2H), 7.26 (m, 3H), 6.56 (d, J=16.0 Hz, 1H), 6.48 (dd, J=16.0, 8.0 Hz, 1H), 5.82 (br s, 1H), 4.08 (m, 3H), 2.52 (s, 3H); ESIMS m/z 468.40 ([M−H]$^-$); IR (thin film) 1657, 1113, 804 cm^{-1}.

Compounds AC7-AC38, AC40-AC58, AC110-AC112, AC117, and AC118 (Table 1) were made in accordance with the procedures disclosed in Example 12.

Example 13

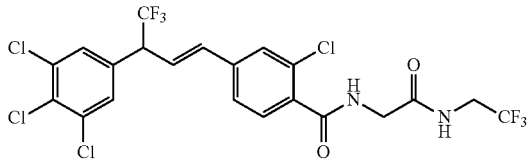
Preparation of 4-((E)-3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methyl-N-((pyrimidin-5-yl)methyl)benzamide (AC39)



To a stirred solution of (pyrimidin-5-yl)methanamine (0.15 g, 1.43 mmol) in CH_2Cl_2 (10 mL) was added drop wise trimethylaluminum (2 M solution in toluene; 0.71 mL, 1.43 mmol), and the reaction mixture was stirred at 25° C. for 30 min. A solution of ethyl 4-((E)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (0.3 g, 0.71 mmol) in CH_2Cl_2 was added drop wise to the reaction mixture at 25° C. The reaction mixture was stirred at reflux for 18 h, cooled to 25° C., quenched with 0.5 N HCl solution (50 mL) and extracted with EtOAc (2×50 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 40% EtOAc in n-hexane) to afford the title compound (0.18 g, 55%): mp 141-144° C.; ^1H (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 8.79 (s, 2H), 7.37 (m, 2H), 7.23 (m, 2H), 7.21 (m, 1H), 6.57 (d, J=16.0 Hz, 1H), 6.40 (dd, J=16.0, 7.6 Hz 1H), 6.21 (m, 1H), 4.65 (s, 2H), 4.11 (m, 1H), 2.46 (s, 3H); ESIMS m/z 477.83 ([M−H]$^-$).

Example 14

Preparation of (E)-2-Chloro-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC64)

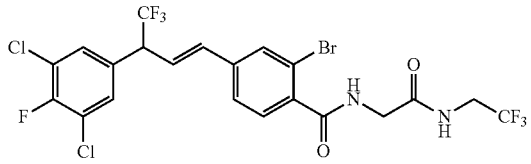


To a stirred solution of glycine amide (0.15 g, 0.58 mmol) in CH_2Cl_2 (5 mL) was added trimethylaluminum (2 M solution in toluene; 1.45 mL, 2.91 mmol) dropwise, and the reaction mixture was stirred at 28° C. for 30 min. A solution of (E)-ethyl 2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoate (0.3 g, 0.58 mmol) in CH_2Cl_2 (5 mL) was added drop wise to the reaction mixture at 28° C. The reaction mixture was stirred at reflux for 18 h, cooled to 25° C., quenched with 1N HCl solution (50 mL) and extracted with CH_2Cl_2 (2×50 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 40% EtOAc in n-hexane) to afford the title compound as yellow solid (0.15 g, 50%): mp 83-85° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, J=8.0 Hz, 1H), 7.44 (s, 1H), 7.40 (s, 2H), 7.36 (d, J=6.8 Hz, 1H), 7.05 (t, J=5.2 Hz, 1H), 6.70 (t, J=5.2 Hz, 1H), 6.57 (d, J=15.6 Hz, 1H), 6.44 (dd, J=15.6, 8.0 Hz, 1H), 4.23 (d, J=5.6 Hz, 2H), 4.15 (m, 1H), 4.01 (m, 2H); ESIMS m/z 580.72 ([M−H]$^-$).

Compounds AC59-AC75 (Table 1) were made in accordance with the procedures disclosed in Example 14.

Example 15

Preparation of (E)-2-Bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)benzamide (AC79)



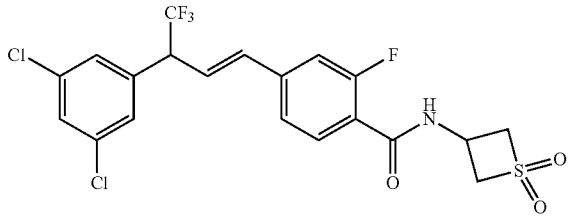
To a stirred solution of (E)-2-bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (300 mg, 0.638 mmol) in DCM (5.0 mL) was added 2-amino-N-(2,2,2-trifluoroethyl)acetamide (172. mg, 0.638 mmol) followed by benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP) (364.5 mg, 0.701 mmol) and DIPEA (0.32 mL, 1.914 mmol), and the resultant reaction

mixture was stirred at RT for 18 h. The reaction mixture was diluted with water and extracted with DCM. The combined DCM layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 40% ethyl acetate/petroleum ether) afforded the title compound as an off-white solid (121 mg, 31%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.69 (t, J=6.0 Hz, 1H), 8.58 (t, J=6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, J=6.4 Hz, 2H), 7.62 (d, J=8.4 Hz, 1H), 7.45 (d, J=8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, J=15.6 Hz, 1H), 4.83 (t, J=8.0 Hz, 1H), 3.98 (m, 4H); ESIMS m/z 610.97 ($[M+H]^+$); IR (thin film) 3303, 1658, 1166, 817 cm^{-1}.

Compounds AC76-AC80, AC96-AC102, and AC113 (Table 1) were made in accordance with the procedures disclosed in Example 15.

Example 16

Preparation of (E)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N-(1,1-dioxidothietan-3-yl)-2-fluorobenzamide (AC83)

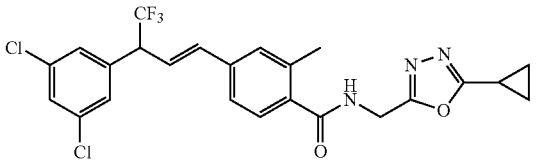


To a stirred solution of (E)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluoro-N-(thietan-3-yl)benzamide (100 mg, 0.2159 mmol) in acetone/water (1:1, 5.0 mL) was added oxone (266 mg, 0.4319 mmol) and the resultant reaction mixture was stirred at RT for 4 h. The reaction mixture was diluted with water and extracted with ethyl acetate. The combined ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/pet ether) afforded the title compound as an off white solid (70.0 mg, 66%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.07 (t, J=8.4 Hz, 1H), 7.39 (t, J=1.6 Hz, 1H), 7.31 (d, J=1.2 Hz, 1H), 7.26 (m, 2H), 7.23 (m, 2H), 7.19 (d, J=1.6 Hz, 1H), 6.60 (d, J=16.8 Hz, 1H), 6.49 (dd, J=16.8, 7.6 Hz, 1H), 4.90 (m, 1H), 4.64 (m, 2H), 4.14 (m, 2H); ESIMS m/z 493.83 ($[M-H]^-$); IR (thin film) 1527, 1113, 801, 1167, 1321 cm^{-1}.

Compounds AC81-AC87 (Table 1) were made in accordance with the procedures disclosed in Example 16.

Example 17

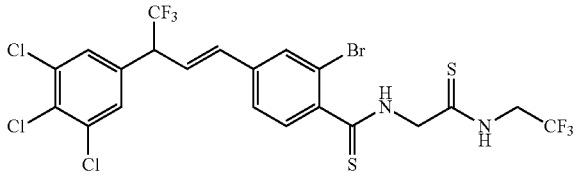
Preparation of (E)-N-((5-Cyclopropyl-1,3,4-oxadiazol-2-yl)methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-methylbenzamide (AC89)



A solution of (E)-N-(2-(2-(cyclopropanecarbonyl)hydrazinyl)-2-oxoethyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzamide (200 mg, 0.379 mmol) in $POCl_3$ (2.0 mL) was stirred at RT for 10 min, then the resultant reaction mixture was heated to 50° C. for 1 h. The reaction mixture was quenched with ice water at 0° C. and extracted with ethyl acetate. The combined ethyl acetate layer was washed with saturated $NaHCO_3$ solution and brine solution, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 50% ethyl acetate/pet ether) afforded the title compound as a light brown gummy material (70.0 mg, 36%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.43 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.58 (d, J=16.0 Hz, 1H), 6.41 (dd, J=16.0, 7.6 Hz, 1H), 4.79 (d, J=5.6 Hz, 2H), 4.14 (m, 1H), 2.48 (s, 3H), 2.18 (m, 1H), 1.16 (m, 4H); ESIMS m/z 509.89 ($[M+H]^+$); IR (thin film) 1666, 1166, 1112, 800 cm^{-1}.

Example 18

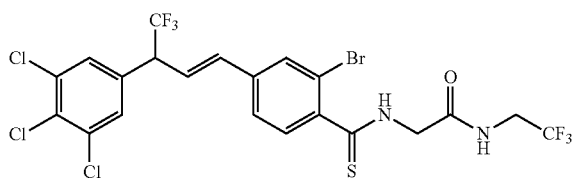
Preparation of (E)-2-Bromo-N-(2-thioxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzothioamide (AC90)



To a stirred solution of (E)-2-bromo-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (400 mg, 0.638 mmol) in 5 mL of THF at RT was added 2,4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetane-2,4-disulfide (Lawesson's reagent) (336 mg, 0.830 mmol) in one portion. The resulting reaction mixture was stirred for 18 h. TLC showed the reaction was not complete, therefore additional Lawesson's reagent (168 mg, 0.415 mmol) was added and reaction stirred for 48 h. After the reaction was deemed complete by TLC, the reaction mixture was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 230-400 mesh; eluting with 20% EtOAc in hexanes) afforded the title compound as a yellow glassy oil (188 mg, 44.7%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (m, 1H), 8.27 (m, 1H), 7.60 (d, J=1.6 Hz, 1H), 7.49 (d, J=8.0 Hz, 2H), 7.40 (s, 2H), 7.36 (dd, J=8.2, 1.7 Hz, 1H), 6.53 (d, J=16.0 Hz, 1H), 6.38 (dd, J=15.9, 7.9 Hz, 1H), 4.89 (d, J=8.4, 5.5 Hz, 2H), 4.48 (qd, J=9.0, 6.0 Hz, 2H), 4.11 (m, 1H); ESIMS m/z 656.9 ($[M-H]^-$).

Example 19

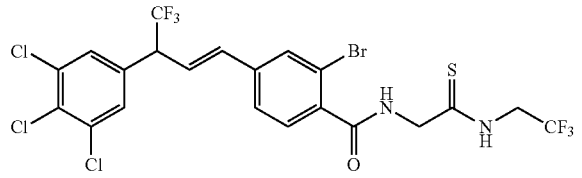
Preparation of (E)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenylthioamido)-N-(2,2,2-trifluoroethyl)acetamide (AC91)



To a stirred solution of (E)-2-bromo-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (400 mg, 0.638 mmol) in 5 mL of THF at RT was added Lawesson's reagent (64.5 mg, 0.160 mmol) in one portion. The resulting reaction mixture was stirred for 18 h, after which time, the reaction mixture was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 230-400 mesh; eluting with 20% EtOAc in hexanes) afforded the title compounds as a yellow oil (18.5 mg, 4.51%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.18 (t, J=5.0 Hz, 1H), 7.58 (d, J=1.6 Hz, 1H), 7.47 (d, J=8.0 Hz, 1H), 7.40 (s, 2H), 7.34 (dd, J=8.1, 1.6 Hz, 1H), 6.52 (m, 2H), 6.37 (dd, J=15.9, 7.9 Hz, 1H), 4.54 (d, J=4.9 Hz, 2H), 4.12 (m, 1H), 3.99 (qd, J=8.9, 6.5 Hz, 2H); ESIMS m/z 640.9 ($[M-H]^-$).

The following compound was made in accordance with the procedures disclosed in Example 19.

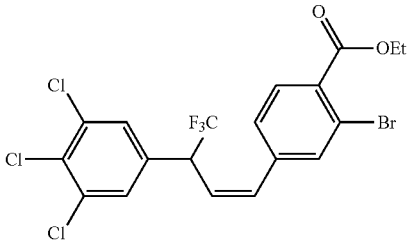
(E)-2-Bromo-N-(2-thioxo-2-((2,2,2-trifluoroethyl) amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC92)



The product was isolated as a colorless oil (17.9 mg, 4.36%): ^1H NMR (400 MHz, $CDCl_3$) δ 9.16 (d, J=6.1 Hz, 1H), 7.65 (d, J=1.6 Hz, 1H), 7.57 (d, J=8.0 Hz, 1H), 7.41 (m, 3H), 7.21 (t, J=5.6 Hz, 1H), 6.55 (d, J=15.9 Hz, 1H), 6.41 (dd, J=15.9, 7.8 Hz, 1H), 4.59 (d, J=5.6 Hz, 2H), 4.45 (qd, J=9.0, 6.0 Hz, 2H), 4.12 (q, J=7.2 Hz, 1H); ESIMS m/z 640.9 ($[M-H]^-$).

Example 106

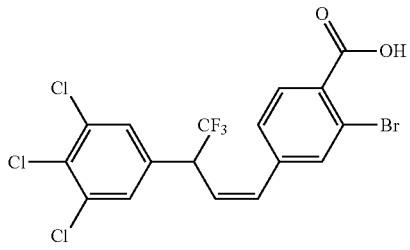
Preparation of Ethyl(Z) 2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoate (AI76)



The title compound was made in accordance with the procedure disclosed in Example 88 and was isolated as a yellow viscous oil (416 mg, 23%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, J=8.0 Hz, 1H), 7.40 (d, J=1.7 Hz, 1H), 7.35 (s, 2H), 7.12 (dd, J=8.0, 1.7 Hz, 1H), 6.86 (d, J=11.4 Hz, 1H), 6.23-5.91 (m, 1H), 4.42 (q, J=7.1 Hz, 2H), 4.33-4.10 (m, 1H), 1.42 (t, J=7.2 Hz, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −69.34 (d, J=8.3 Hz); EIMS m/z 514.10 ($[M]^-$); IR (thin film) 2983, 1727, 1247, 1204, 1116 cm^{-1}.

Example 107

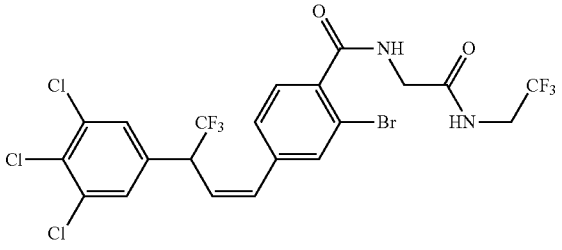
Preparation of (Z)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (AI77)



To a stirred solution of (Z)-ethyl 2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoate (360 mg, 0.70 mmol) in CH_3CN (1.0 mL) was added iodotrimethylsilane (0.28 mL, 2.8 mmol). The reaction mixture was heated to reflux for 20 h, allowed to cool to ambient temperature and partitioned between CH_2Cl_2 and aq. 10% $Na_2S_2O_3$. Organic phase was washed once with aq. 10% $Na_2S_2O_3$ and dried over $MgSO_4$ and concentrated in vacuo. Passing the material through a silica plug with 10% EtOAc in hexanes, followed by 20% MeOH in CH_2Cl_2) as the eluting solvents afforded the title compound as a yellow foam (143 mg, 42%): mp 54-64° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 11.36 (s, 1H), 7.99 (d, J=8.0 Hz, 1H), 7.43 (s, 1H), 7.30 (s, 2H), 7.14 (d, J=7.9 Hz, 1H), 6.85 (d, J=11.4 Hz, 1H), 6.15 (t, J=10.9 Hz, 1H), 4.36-4.09 (m, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −69.30.

Example 108

Preparation of (Z)-2-Bromo-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC95)

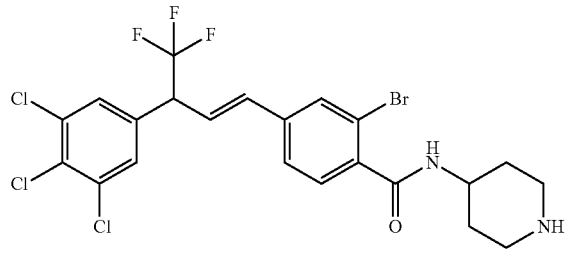


To a stirred solution of (Z)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (200 mg, 0.41 mmol) in anhydrous THF (5.0 mL) was added carbonyldiimidazole (82 mg, 0.51 mmol). The mixture was heated in a 50° C. oil bath for 1.5 h, treated with 2-amino-N-(2,2,2-trifluoroethyl)acetamide hydrochloride (109 mg, 0.057 mmol) and the resulting mixture heated to reflux for 8 h. After cooling to ambient temperature, the mixture was taken up in Et_2O and washed twice with aq. 5% $NaHSO_4$ (2×) and once with sat. NaCl (1×). After dying over $MgSO_4$, concentration in vacuo and purification by medium pressure chromatogra-

phy on silica with EtOAc/Hexanes as the eluents, the title compound was obtained as a white foam (160 mg, 41%) mp 48-61° C.: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (d, J=7.9 Hz, 1H), 7.44-7.29 (m, 3H), 7.14 (dd, J=7.9, 1.6 Hz, 1H), 6.86 (d, J=11.4 Hz, 1H), 6.76 (t, J=5.9 Hz, 1H), 6.59 (br s, 1H), 6.21-6.04 (m, 1H), 4.23 (d, J=5.5 Hz, 1H), 3.98 (qd, J=9.0, 6.5 Hz, 2H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −69.31, −72.3; EIMS m/z 626.9 ([M+1]$^+$).

Example 109a

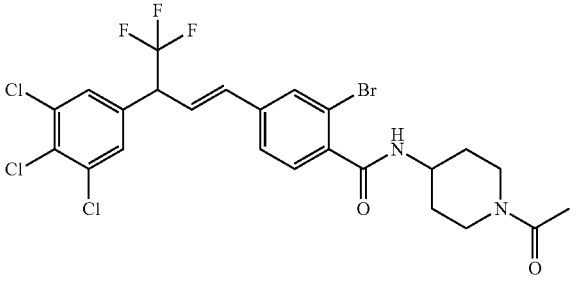
Preparation of (E)-2-Bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl) benzamide (AC114)



(E)-tert-Butyl 4-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido)piperidine-1-carboxylate (0.75 g, 1.11 mmol) was added to dioxane HCl (10 mL) at 0° C. and was stirred for 18 h. The reaction mixture was concentrated under reduced pressure and triturated with diethylether to afford the compound as a light brown solid (0.6 g, 88%).

Example 109b

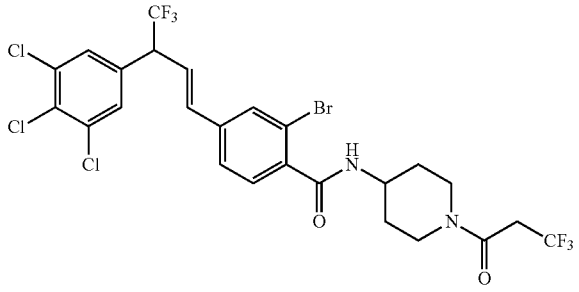
Preparation of (E)-N-(1-Acetylpiperidin-4-yl)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-en-1-yl)benzamide (AC103)



To a stirred solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol) in DCM (10.0 mL) was added triethylamine (0.046 mL, 0.35 mmol) and stirred for 10 min. Then acetyl chloride (0.014, 0.18 mmol) was added and stirred for 16 h at RT. The reaction mixture was diluted with DCM and washed with saturated $NaHCO_3$ solution and brine solution. The combined DCM layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford crude compound. The crude compound was washed with 5% diethyl ether/n-pentane to afford the title compound as a white solid (0.054 g, 50%).

Example 110

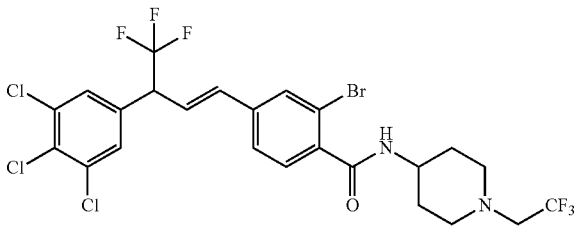
Preparation of (E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-(3,3,3-trifluoropropanoyl)piperidin-4-yl)benzamide (AC104)



To a stirred solution of 3,3,3-trifluoropropanoic acid (0.02 g, 0.16 mmol) in DCM (10.0 mL), (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol), PYBOP (0.09 g, 0.17 mmol), and DIPEA (0.06 g, 0.48 mmol) were added at RT. The reaction mixture was stirred at RT for 5 h. The reaction mixture was diluted with DCM. The combined DCM layer was washed with 3N HCl and saturated $NaHCO_3$ solution, the separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% methanol in DCM) to afford the title compound as an off white gummy material (0.035 g, 29.%).

Example 111

Preparation of (E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)piperidin-4-yl)benzamide (AC105)

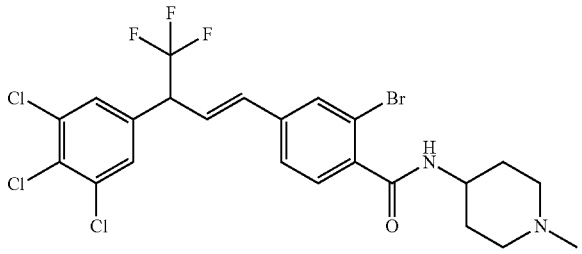


To a stirred solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol) in THF (5.0 mL) was added triethylamine (0.06 mL, 0.64 mmol) and stirred for 10 min. Then 2,2,2-trifluoroethyl triflluoromethanesulfonate (0.03, 0.16 mmol) was added and stirred for 16 h at RT. The reaction mixture was diluted with ethyl acetate and washed with saturated $NaHCO_3$ solution and brine solution. The combined

ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a brown solid (0.05 g, 44%).

Example 112

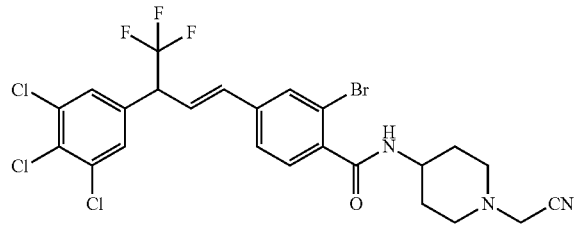
Preparation of (E)-2-Bromo-N-(1-methylpiperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC106)



A solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol), formaldehyde (30% in water) (0.1 mL, 0.16 mmol) and acetic acid (0.01 mL) in methanol (5.0 mL) was stirred at RT for 30 min. After that $NaBH_3CN$ (0.01 g, 0.16 mmol) was added at 0° C. and the reaction was stirred for 8 h at RT. The solvent was removed under reduced pressure to obtain residue which was diluted with ethyl acetate and washed with saturated aq. $NaHCO_3$ solution and brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to obtain a residue, which was triturated with diethyl ether/pentane to afford the title compound as a pale yellow gummy material (0.06 g, 59%).

Example 113

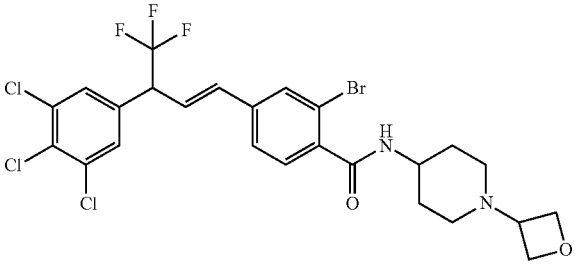
Preparation of ((E)-2-Bromo-N-(1-(cyanomethyl) piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC107)



To a stirred solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.25 g, 0.43 mmol) in THF (10.0 mL) was added triethylamine (0.16 mL, 1.29 mmol) and the reaction was stirred for 10 min. Then 2-bromoacetonitrile (0.07, 0.65 mmol) was added and the reaction was stirred for 8 h at RT. The reaction mixture was diluted with ethyl acetate and washed with saturated brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (0.125 g, 46.8%).

Example 114

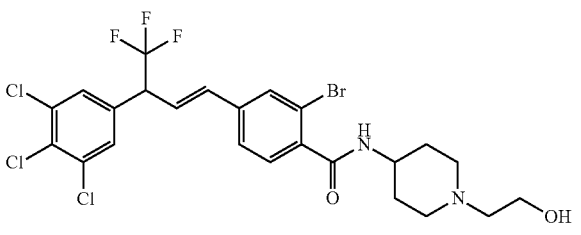
Preparation of (E)-2-Bromo-N-(1-(oxetan-3-yl)piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC108)



A solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.2 g, 0.35 mmol), oxetan-3-one (0.027 g, 0.38 mmol) and acetic acid (0.01 mL) in methanol (5.0 mL) was stirred at RT for 30 min. After that $NaBH_3CN$ (0.022 g, 0.35 mmol) was added at 0° C. slowly lot wise over the period of 10 min and the reaction was stirred for 8 h at RT. The solvent was removed under reduced pressure to obtain a residue which was diluted with ethyl acetate and washed with saturated $NaHCO_3$ solution and brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to obtain a residue, which was triturated with diethyl ether/pentane to afford the title compound as an off-white solid (0.05 g, 23%).

Example 115

Preparation of (E)-2-Bromo-N-(1-(2-hydroxyethyl) piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC109)

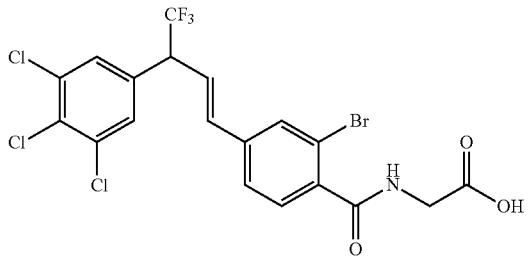


To a stirred solution of (E)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.25 g, 0.43 mmol) in THF (10.0 mL) was added triethylamine (0.16 mL, 1.29 mmol) and the reaction was stirred for 10 min. Then 2-chloroethanol (0.05, 0.65 mmol) was added and the reaction was stirred for 8 h at RT. The reaction mixture was diluted with ethyl acetate and washed with saturated brine solution. The combined ethyl acetate

layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (0.09 g, 34%).

Example 116

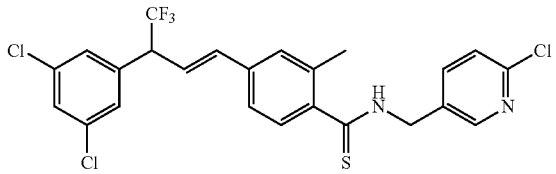
Preparation of (E)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamido) acetic acid (AI78)



To a stirred solution of (E)-tert-butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido) acetate (440 mg, 0.734 mmol) in DCM (36.0 ml), was added TFA (4.0 mL) and the reaction mixture was stirred at RT for 1 h. The reaction mixture was concentrated under reduced pressure to obtain residue which was washed with n-pentane to afford the title compound as an off-white solid (310 mg, 78%): ^1H NMR (400 MHz, $CDCl_3$) δ 13.0 (s, 1H), 8.75 (t, J=5.7 Hz, 1H), 7.93 (m, 2H), 7.62 (d, J=7.5 Hz, 1H), 7.40 (d, J=8.1 Hz, 1H), 6.96 (dd, J=15.3, 9.3 Hz, 1H), 6.78 (d, J=15.3 Hz, 1H), 4.83 (m, 1H), 3.90 (d, J=5.7 Hz, 2H); ESIMS m/z 543.61 ($[M+H]^+$); IR (thin film) 3429, 1635, 1114, 772 cm^{-1}.

Example 117

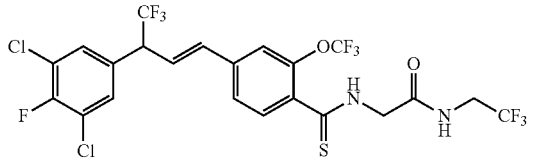
Preparation of (E)-N-((6-Chloropyridin-3-yl)methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-methylbenzothioamide (AC115)



To the stirred solution of (E)-N-((6-chloropyridin-3-yl) methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzamide (0.06 g, 0.117 mmol) in toluene (3 mL) was added Lawesson's reagent (0.14 g, 0.351 mmol) and the reaction was irradiated at 100° C. for 1 h, then cooled to RT and concentrated under reduced pressure to provide crude compound. The crude product was purified by preparative HPLC to afford the product as yellow color solid (0.03 g, 49%).

Example 118

Preparation of (E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethoxy) benzamide (AC116)



Step 1. 2-(Trifluoromethoxy)-4-vinylbenzoic acid (AI79)

To a stirred solution of 4-bromo-2-(trifluoromethoxy)benzoic acid (1 g, 3.67 mmol) in DMSO (20 mL) was added potassium vinyltrifluoroborate (1.47 g, 11.02 mmol) and potassium carbonate (1.52 g, 11.02 mmol). The reaction mixture was degassed with argon for 30 min Bistriphenylphosphine(diphenylphosphinoferrocene)palladium dichloride (0.13 g, 0.18 mmol) was added and the reaction mixture was heated to 80° C. for 1 h. The reaction mixture was diluted with water (100 mL), extracted with ethyl acetate (2×50 mL), washed with brine, and dried over Na_2SO_4. Concentration under reduced pressure furnished the crude compound which was purified by flash column chromatography to afford the product as pale yellow gummy material (0.4 g, 47%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, J=8.1 Hz, 1H), 7.44 (d, J=1.8 Hz, 1H), 7.35 (s, 1H), 6.78 (dd, J=17.4.1, 11.1 Hz, 1H), 5.92 (d, J=17.4 Hz, 1H), 5.51 (d, J=10.8 Hz, 1H); ESIMS m/z 232.97 ($[M+H]^+$).

Step 2. (E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-(trifluoromethoxy)benzoic acid (AI80)

To a stirred solution of 2-(trifluoromethoxy)-4-vinylbenzoic acid (0.356 g, 1.53 mmol) in 1N methyl pyrrolidine (5.0 mL) was added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichloro 4-fluorobenzene (1.0 g, 3.07 mmol), copper(I) chloride (CuCl; 0.03 g, 0.307 mmol) and 2,2 bipyridyl (0.095 g, 0.614 mmol). The reaction mixture was stirred at 150° C. for 1 h. After the reaction was complete by TLC, the reaction mixture was diluted with water (100 mL) and extracted with ethyl acetate (2×50 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to obtain the crude compound which was purified by flash column chromatography to afford the product as pale yellow gummy material (0.3 g, 21%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, J=8.0 Hz, 1H), 7.45 (d, J=1.6 Hz, 1H), 7.35 (s, 3H), 6.63 (d, J=16.0 Hz, 1H), 6.50 (dd, J=16.0, 8.0 Hz, 1H), 4.15 (m, 1H); ESIMS m/z 474.81 ($[M-H]^-$).

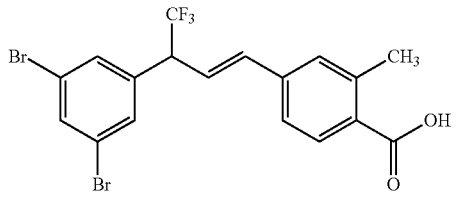
Step 3. (E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-N-(2-oxo-2-(2,2,2-trifluoroethylamino)ethyl)-2-(trifluoromethoxy)benzamide (AC116)

A mixture of (E)-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-(trifluoromethoxy)benzoic acid

(0.25 g, 0.52 mmol), 2-amino-N-(2,2,2-trifluoroethyl)acetamide (0.158 g, 0.62 mmol), PyBOP (0.40 g, 0.78 mmol) and DIPEA (0.134 g, 1.04 mmol) in DCM (10.0 mL) were stirred at RT for 16 h. The reaction mixture was diluted with water and extracted with DCM. The combined DCM layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 20% ethyl acetate/pet ether) afforded the title compound as a pale yellow gummy material (0.15 g, 47%).

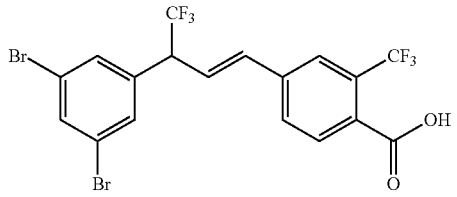
The following molecules were made in accordance with the procedures disclosed in Example 118, Step 2:

(E)-4-(3-(3,5-Dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-methylbenzoic acid



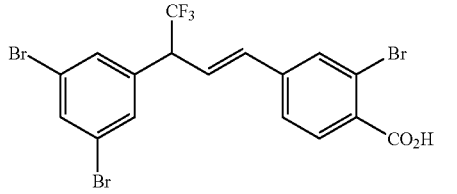
The title molecule was isolated as a brown solid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.90 (bs, 1H), 7.85 (s, 1H), 7.78-7.75 (m, 3H), 7.47-7.41 (m, 2H), 6.89 (dd, J=15.6, 9.2 Hz, 1H), 6.72 (d, J=15.6 Hz, 1H), 4.80-4.75 (m, 1H), 2.33 (s, 3H); ESIMS m/z 474.90 ([M−H]$^-$); IR (thin film) 3437, 1689, 1165, 579 cm^{-1}.

(E)-4-(3-(3,5-Dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



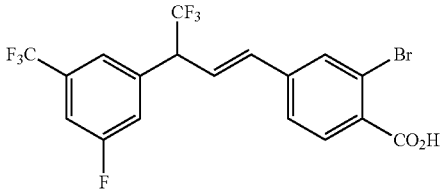
The title molecule was isolated as a brown solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.5 (bs, 1H), 8.03 (s, 1H), 7.95-7.85 (m, 4H), 7.81 (d, J=7.8 Hz, 1H), 7.14 (dd, J=15.6, 9.6 Hz, 1H), 6.90 (d, J=15.9 Hz, 1H), 4.86-4.79 (m, 1H); ESIMS m/z 528.82 ([M−H]$^+$); IR (thin film) 3437, 1707, 1153, 555 cm^{-1}.

(E)-2-Bromo-4-(3-(3,5-dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



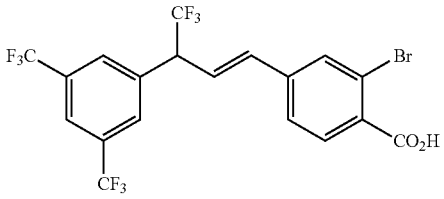
The title molecule was isolated as a brown liquid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.90 (bs, 1H), 7.98 (s, 1H), 7.88 (s, 1H), 7.84 (s, 2H), 7.74 (d, J=7.6 Hz, 1H), 7.64 (d, J=8.8 Hz, 1H), 7.04 (dd, J=15.6, 8.8 Hz, 1H), 6.78 (d, J=15.6 Hz, 1H), 4.80-4.78 (m, 1H); ESIMS m/z 538.74 ([M−H]$^-$); IR (thin film) 3424, 1695, 1168, 578 cm^{-1}.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3-fluoro-5-(trifluoromethyl)phenyl)but-1-en-1-yl)benzoic acid



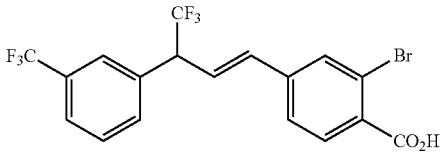
The title molecule was isolated as a brown liquid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.3 (bs, 1H), 7.93 (s, 1H), 7.82-7.77 (m, 2H), 7.72-7.66 (m, 2H), 7.59 (d, J=8.0 Hz, 1H), 7.03 (dd, J=15.6, 9.2 Hz, 1H), 6.76 (d, J=15.6 Hz, 1H), 4.94-4.90 (m, 1H); ESIMS m/z 469.02 ([M−H]$^-$); IR (thin film) 3444, 1704, 1172, 513 cm^{-1}.

(E)-4-(3-(3,5-Bis(trifluoromethyl)phenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-bromobenzoic acid



The title molecule was isolated as a brown solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, J=7.6 Hz, 1H), 7.92 (s, 1H), 7.83 (s, 2H), 7.73 (d, J=1.6 Hz, 1H), 7.42-7.40 (m, 1H), 6.62 (d, J=16.4 Hz, 1H), 6.55 (dd, J=16.0, 8.0 Hz, 1H), 4.40-4.30 (m, 1H); ESIMS m/z 518.94 ([M−H]$^-$); IR (thin film) 3447, 1705, 1171, 526 cm^{-1}.

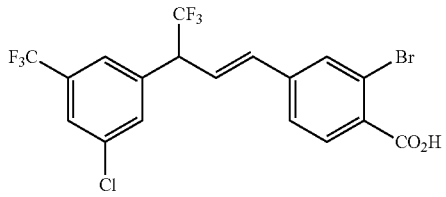
(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3-(trifluoromethyl)phenyl)but-1-en-1-yl)benzoic acid



The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.50 (bs, 1H), 7.97-7.87 (m, 3H), 7.78-7.61 (m, 4H), 7.08 (dd, J=15.9, 9.3 Hz, 1H), 6.81 (d,

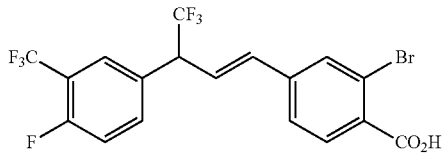
J=15.9 Hz, 1H), 4.97-4.84 (m, 1H); ESIMS m/z 518.94 ([M−H]⁻); IR (thin film) 3447, 1705, 1171, 526 cm⁻¹.

(E)-2-Bromo-4-(3-(3-chloro-5-(trifluoromethyl)phenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



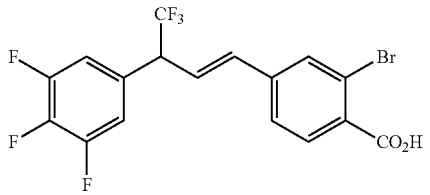
The title molecule was isolated as a pale yellow gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.9 (s, 1H), 8.03 (s, 1H), 7.96-7.91 (m, 3H), 7.72 (d, J=8.1 Hz, 1H), 7.63-7.60 (m, 1H), 7.11 (dd, J=15.9, 9.6 Hz, 1H), 6.79 (d, J=15.9 Hz, 1H), 4.98-4.91 (m, 1H); ESIMS m/z 484.94 ([M−H]⁻); IR (thin film) 3444, 1705, 1171, 764 cm⁻¹.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(4-fluoro-3-(trifluoromethyl)phenyl)but-1-en-1-yl)benzoic acid



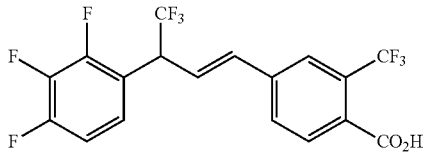
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.00 (d, J=8.1 Hz, 1H), 7.71 (s, 1H), 7.61-7.59 (m, 2H), 7.41 (d, J=8.1 Hz, 1H), 7.30-7.24 (m, 1H), 6.59 (dd, J=16.2, 6.0 Hz, 1H), 6.48 (d, J=16.5 Hz, 1H), 4.26-4.21 (m, 1H); ESIMS m/z 469.0 ([M−H]⁻); IR (thin film) 3444, 1699, 1327 cm⁻¹.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trifluorophenyl)but-1-en-1-yl)benzoic acid



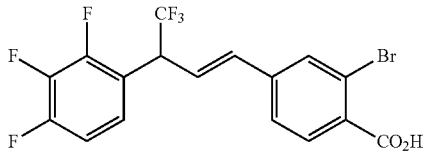
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.60 (bs, 1H), 7.97 (s, 2H), 7.72 (d, J=7.2 Hz, 1H), 7.41-7.31 (m, 2H), 7.04 (dd, J=15.6, 9.0 Hz, 1H), 6.71 (d, J=15.9 Hz, 1H), 4.15-4.11 (m, 1H); ESIMS m/z 438.8 ([M+H]⁺).

(E)-4-(4,4,4-Trifluoro-3-(2,3,4-trifluorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



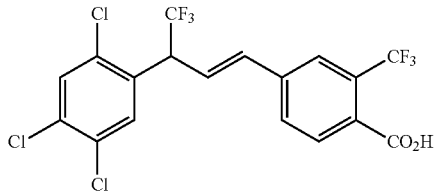
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.00 (s, 1H), 7.93 (d, J=8.4 Hz, 1H), 7.81 (d, J=8.1 Hz, 1H), 7.63-7.60 (m, 1H), 7.47-7.44 (m, 1H), 7.02-7.01 (m, 1H), 5.10-4.90 (m, 1H).

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(2,3,4-trifluorophenyl)but-1-en-1-yl)benzoic acid



The title molecule was isolated as a brown gum and the crude acid was taken on directly to the next step: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.65 (bs, 1H), 7.95 (s, 1H), 7.75 (d, J=7.8 Hz, 1H), 7.62-7.59 (m, 2H), 7.50 (dd, J=15.6, 9.0 Hz, 1H), 6.95 (d, J=15.9 Hz, 1H), 4.86-4.74 (m, 1H); ESIMS m/z 436.92 ([M−H]⁻); IR (thin film) 3445, 1641, 1116 cm⁻¹.

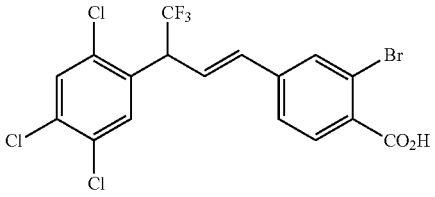
(E)-4-(4,4,4-Trifluoro-3-(2,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.6 (s, 1H), 8.04 (s, 1H), 7.96 (d, J=8.4 Hz, 3H), 7.83 (d, J=8.1 Hz, 1H), 7.17-7.03 (m, 2H),

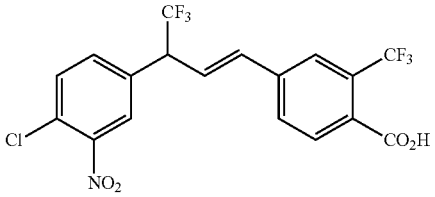
5.16-5.05 (m, 1H); ESIMS m/z 476.9 ([M−H]⁻); IR (thin film) 3436, 1651, 1116, 661 cm^{-1}.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(2,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid



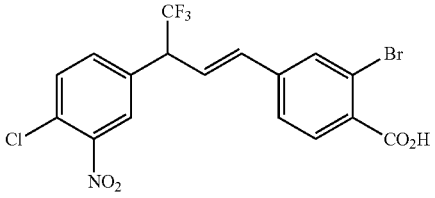
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d$_6$) δ 13.4 (s, 1H), 7.99 (d, J=10.2 Hz, 3H), 7.76 (d, J=8.1 Hz, 1H), 7.65 (d, J=7.8 Hz, 1H), 7.09-6.91 (m, 2H), 5.11-5.05 (m, 1H); ESIMS m/z 486.8 ([M−H]⁻); IR (thin film) 3436, 1651, 1115, 737 cm^{-1}.

(E)-4-(3-(4-Chloro-3-nitrophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



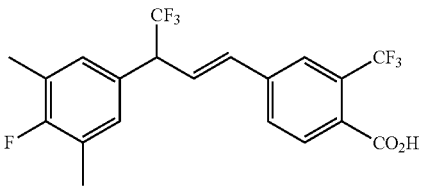
The title molecule was isolated as a brown gum and the crude acid was taken on directly to the next step: ^{1}H NMR (300 MHz, DMSO-d$_6$) 13.80 (bs, 1H), 8.33 (s, 1H), 7.94-7.81 (m, 5H), 7.75-7.72 (m, 1H), 7.06 (dd, J=15.9, 8.7 Hz, 1H), 6.90 (d, J=15.9 Hz, 1H), 5.02-4.81 (m, 1H).

(E)-2-Bromo-4-(3-(4-chloro-3-nitrophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



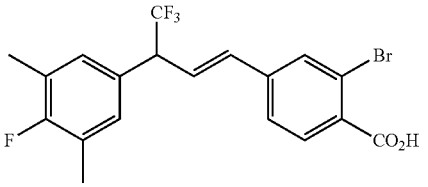
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d$_6$) 13.50 (bs, 1H), 8.31 (s, 1H), 8.00-7.77 (m, 3H), 7.75-7.72 (m, 1H), 7.63-7.55 (m, 1H), 7.03 (dd, J=15.9, 9.0 Hz, 1H), 6.81 (d, J=15.9 Hz, 1H), 5.04-4.91 (m, 1H); ESIMS m/z 462.16 ([M−H]⁻); IR (thin film) 3428, 1697, 1113, 749 cm^{-1}.

(E)-4-(4,4,4-Trifluoro-3-(4-fluoro-3,5-dimethylphenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



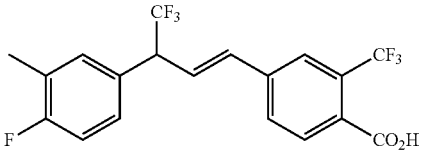
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d$_6$) δ 7.96 (s, 1H), 7.92 (d, J=8.4 Hz, 1H), 7.80-7.75 (m, 1H), 7.27 (d, J=6.9 Hz, 2H), 6.96 (dd, J=15.6, 8.7 Hz, 1H), 6.87 (d, J=15.6 Hz, 1H), 4.68-4.56 (m, 1H), 2.23 (s, 6H); ESIMS m/z 419.03 ([M−H]⁻); IR (thin film) 3445, 2928, 1713, 1146 cm^{-1}.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(4-fluoro-3,5-dimethylphenyl)but-1-en-1-yl)benzoic acid



The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d$_6$) δ 7.91 (s, 1H), 7.74 (d, J=7.8 Hz, 1H), 7.61-7.58 (m, 1H), 7.26 (d, J=6.6 Hz, 2H), 6.93 (dd, J=15.9, 8.7 Hz, 1H), 6.87 (d, J=15.9 Hz, 1H), 4.59-4.53 (m, 1H), 2.23 (s, 6H); ESIMS m/z 428.97 ([M−H]⁻); IR (thin film) 3473, 1701, 1111, 581 cm^{-1}.

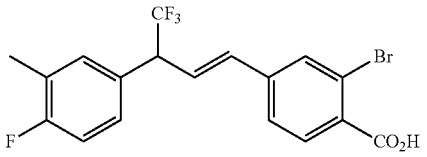
(E)-4-(4,4,4-Trifluoro-3-(4-fluoro-3-methylphenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d$_6$) δ 13.58 (bs, 1H), 7.98 (s, 1H), 7.92-7.90 (m, 1H), 7.80 (d, J=8.1 Hz, 1H), 7.48-7.45 (m, 1H), 7.42-7.37 (m, 1H), 7.22-7.16 (m, 1H), 7.04 (dd, J=15.9, 8.7 Hz, 1H), 6.88 (d, J=15.9 Hz, 1H), 4.70-4.60 (m, 1H), 4.04-

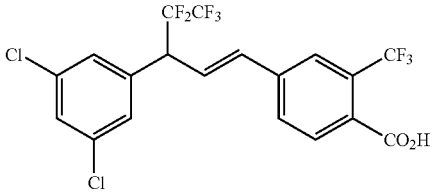
3.99 (m, 1H), 2.26 (s, 3H); ESIMS m/z 405.05 ([M−H]⁻); IR (thin film) 3437, 1710, 1145 cm⁻¹.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(4-fluoro-3-methylphenyl)but-1-en-1-yl)benzoic acid



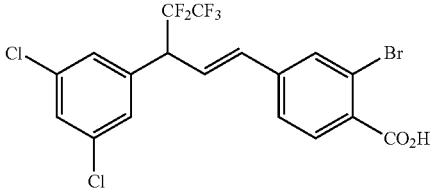
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.39 (bs, 1H), 7.91 (s, 1H), 7.72 (d, J=8.1 Hz, 1H), 7.61-7.58 (m 1H), 7.47-7.44 (m, 1H), 7.38-7.36 (m, 1H), 7.18 (t, J=9.6 Hz, 1H), 6.95 (dd, J=15.6, 8.7 Hz, 1H), 6.76 (d, J=15.9 Hz, 1H), 4.67-4.61 (m, 1H), 2.25 (s, 3H); ESIMS m/z 415.0 ([M−H]⁻); IR (thin film) 3435, 2989, 1700, 1260 cm⁻¹.

(E)-4-(3-(3,5-Dichlorophenyl)-4,4,5,5,5-pentafluoropent-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



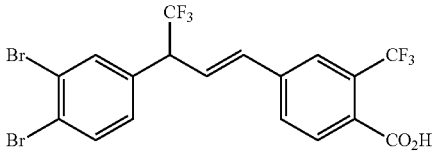
The title molecule was isolated as a brown semi solid: ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.70 (bs, 1H), 8.01 (s, 1H), 7.91 (s, 1H), 7.80 (d, J=8.4 Hz, 1H), 7.72 (J=1.6 Hz, 2H), 7.66 (t, J=3.2 Hz, 1H), 7.15 (dd, J=15.6, 9.6 Hz, 1H), 6.91 (d, J=15.6 Hz, 1H), 4.86-4.78 (m, 1H); ESIMS m/z 491.0 ([M−H]⁻); IR (thin film) 3446, 1712, 1141, 749 cm⁻¹.

(E)-2-Bromo-4-(3-(3,5-dichlorophenyl)-4,4,5,5,5-pentafluoropent-1-en-1-yl)benzoic acid



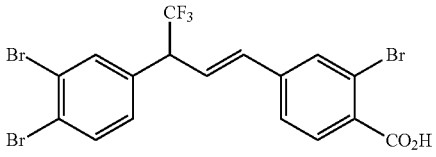
The title molecule was isolated as a brown gum: ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.85 (s, 1H), 7.70 (s, 2H), 7.65-7.64 (m, 1H), 7.56-7.52 (m, 2H), 6.94 (d, J=9.2 Hz, 1H), 6.76 (d, J=16 Hz, 1H), 4.82-4.80 (m, 1H); ESIMS m/z 500.8 ([M−H]⁻); IR (thin film) 3422, 1683, 1184, 750, 575 cm⁻¹.

(E)-4-(3-(3,4-Dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



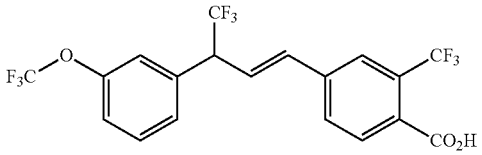
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.5 (bs, 1H), 8.01-7.99 (m, 2H), 7.94-7.91 (m, 1H), 7.85-7.78 (m, 2H), 7.53-7.50 (m, 1H), 7.09 (dd, J=15.6, 8.7 Hz, 1H), 6.89 (d, J=15.9 Hz, 1H), 4.85-4.78 (m, 1H); ESIMS m/z 528.8 ([M−H]⁻); IR (thin film) 3437, 1722, 1168 cm⁻¹.

(E)-2-Bromo-4-(3-(3,4-dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



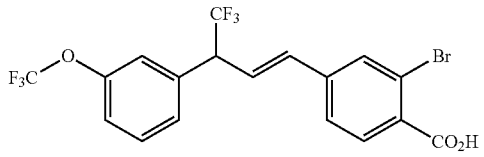
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.38 (bs, 1H), 7.98-7.96 (m, 2H), 7.84 (d, J=8.4 Hz, 1H), 7.74 (d, J=8.1 Hz, 1H), 7.63-7.61 (m, 1H), 7.51-7.49 (m, 1H), 7.01 (dd, J=15.9, 9.0 Hz, 1H), 6.78 (d, J=15.6 Hz, 1H), 4.82-4.76 (m, 1H); ESIMS m/z 538.8 ([(M−H]⁻); IR (thin film) 3446, 1699, 1166, 581 cm⁻¹.

(E)-4-(4,4,4-Trifluoro-3-(3-(trifluoromethoxy)phenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



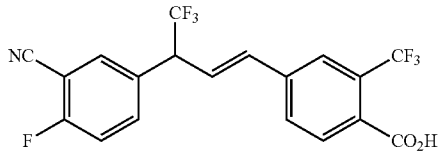
The title molecule was isolated as a brown semi solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.01 (s, 1H), 7.94 (d, J=8.7 Hz, 1H), 7.80 (d, J=8.1 Hz, 1H), 7.63-7.55 (m, 3H), 7.41 (d, J=7.5 Hz, 1H), 7.11 (dd, J=15.6, 9.0 Hz, 1H), 6.92 (d, J=15.9 Hz, 1H), 4.89-4.82 (m, 1H); ESIMS m/z 456.98 ([M−H]⁻); IR (thin film) 3413, 1668, 1161 cm⁻¹.

(E)-2-Bromo-4-(4,4,4-trifluoro-3-(3-(trifluoromethoxy)phenyl)but-1-en-1-yl)benzoic acid



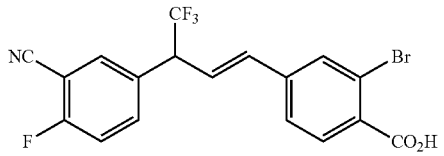
The title molecule was isolated as a brown solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.73 (s, 1H), 7.59 (m, 3H), 7.44 (s, 1H), 7.40 (d, J=7.6 Hz, 2H), 6.88 (dd, J=15.6, 9.0 Hz, 1H), 6.73 (d, J=15.9 Hz, 1H), 4.85-4.82 (m, 1H); ESIMS m/z 466.93 ([M−H]$^-$); IR (thin film) 3437, 1703, 1111 cm^{-1}.

(E)-4-(3-(3-Cyano-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



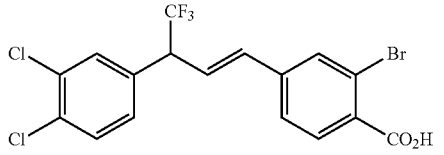
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.60 (bs, 1H), 8.21-8.19 (m, 1H), 8.01-7.91 (m, 3H), 7.81 (d, J=8.4 Hz, 1H), 7.12 (dd, J=15.9, 8.1 Hz, 1H), 6.91 (d, J=15.6 Hz, 1H), 4.92-4.86 (m, 1H); ESIMS m/z 416.27 ([M−H]$^-$); IR (thin film) 3429, 2238, 1713, 1116 cm^{-1}.

(E)-2-Bromo-4-(3-(3-cyano-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



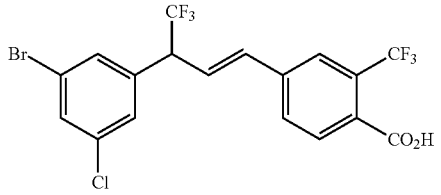
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.56 (bs, 1H), 8.21-8.18 (m, 1H), 8.00-7.95 (m, 2H), 7.73-7.59 (m, 3H), 7.03 (dd, J=15.9, 9.3 Hz, 1H), 6.79 (d, J=15.3 Hz, 1H), 4.87-4.84 (m, 1H); ESIMS m/z 426.0 ([M−H]$^-$).

(E)-2-Bromo-4-(3-(3,4-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



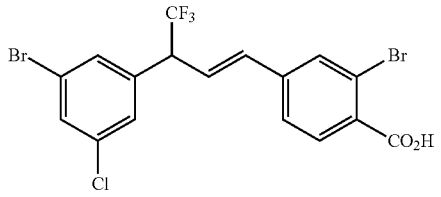
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.4 (s, 1H), 7.96 (d, J=1.2 Hz, 1H), 7.88 (d, J=1.8 Hz, 1H), 7.74-7.68 (m, 2H), 7.63 (dd, J=8.1, 1.2 Hz, 1H), 7.57 (dd, J=8.4, 1.8 Hz, 1H), 7.02 (dd, J=15.9, 9.3 Hz, 1H), 6.78 (dd, J=5.9 Hz, 1H), 4.84-4.78 (m, 1H); ESIMS m/z 451.0 ([M−H]$^-$); IR (thin film) 3445, 1704, 1113, 740 cm^{-1}.

(E)-4-(3-(3-Bromo-5-chlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



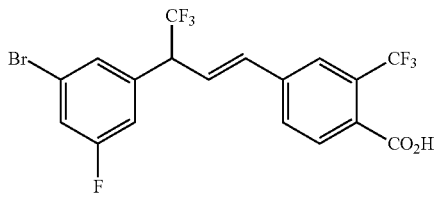
The title molecule was isolated as a brown solid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.50 (bs, 1H), 7.91 (s, 1H), 7.86-7.64 (m, 5H), 7.06 (dd, J=15.9, 9.0 Hz, 1H), 6.87 (d, J=15.9 Hz, 1H), 4.85-4.78 (m, 1H); ESIMS m/z 485.17 ([M−H]$^-$); IR (thin film) 3438, 1708, 1114, 774, 516 cm^{-1}.

(E)-2-Bromo-4-(3-(3-bromo-5-chlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.38 (bs, 1H), 7.98 (s, 1H), 7.80-7.72 (m, 4H), 7.64-7.61 (m, 1H), 7.06 (dd, J=15.9, 9.3 Hz, 1H), 6.79 (d, J=15.6 Hz, 1H), 4.88-4.80 (m, 1H); ESIMS m/z 495.05 ([M−H]$^-$); IR (thin film) 3436, 1699, 1116, 750, 531 cm^{-1}.

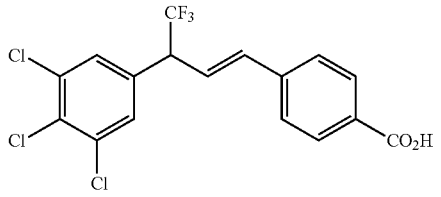
(E)-4-(3-(3-Bromo-5-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.6 (bs, 1H), 8.02 (s, 1H), 7.91-7.89 (m, 1H), 7.81 (d, J=8.0 Hz, 1H), 7.69 (s, 1H), 7.63-7.59 (m, 1H), 7.55 (d, J=9.3 Hz, 1H), 7.11 (dd, J=15.9, 9.0 Hz, 1H),

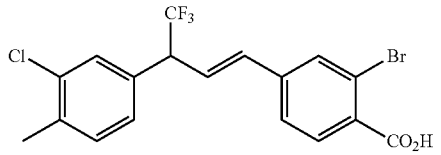
6.91 (d, J=15.9 Hz, 1H), 4.87-4.80 (m, 1H); ESIMS m/z 469.07 ([M−H]⁻); IR (thin film) 3428, 1712, 1171, 523 cm⁻¹.

(E)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid



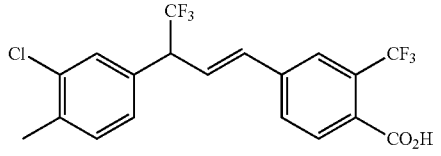
The title molecule was isolated as a yellow solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18-8.03 (m, 2H), 7.49 (d, J=8.3 Hz, 2H), 7.42 (s, 2H), 6.66 (d, J=15.9 Hz, 1H), 6.47 (dd, J=15.9, 8.0 Hz, 1H), 4.13 (p, J=8.6 Hz, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ −68.65; ESIMS m/z 409.1 ([M−H]⁻).

(E)-2-Bromo-4-(3-(3-chloro-4-methylphenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



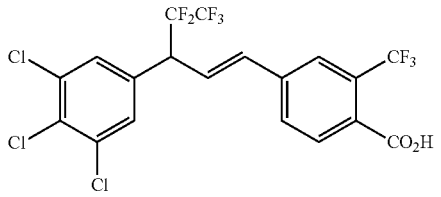
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.30 (bs, 1H), 7.93 (d, J=1.2 Hz, 1H), 7.42 (d, J=8.1 Hz, 1H), 7.62 (dd, J=1.5, 8.1 Hz, 1H), 7.53 (s, 1H), 7.48 (d, J=7.8 Hz, 1H), 7.39 (d, J=7.8 Hz, 1H), 6.96 (dd, J=15.6, 8.7 Hz, 1H), 6.77 (d, J=15.6 Hz, 1H), 4.73-4.61 (m, 1H), 2.35 (s, 3H); ESIMS m/z 431.77 ([M−H]⁻); IR (thin film) 3435, 1701, 1111, 750 cm⁻¹.

(E)-4-(3-(3-Chloro-4-methylphenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



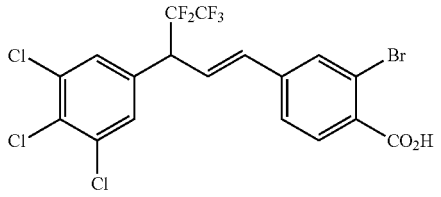
The title molecule was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.50 (bs, 1H), 7.98 (s, 1H), 7.92 (d, J=8.1 Hz, 1H), 7.80 (d, J=8.1 Hz, 1H), 7.53 (s, 1H), 7.48 (d, J=8.1 Hz, 1H), 7.40 (d, J=8.4 Hz, 1H), 7.04 (dd, J=15.6, 8.4 Hz, 1H), 6.88 (d, J=15.6 Hz, 1H), 4.72-4.66 (m, 1H), 2.35 (s, 3H); ESIMS m/z 421.82 ([M−H]⁻); IR (thin film) 3460, 2926, 1712, 1170, 750 cm⁻¹.

(E)-4-(4,4,5,5,5-Pentafluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



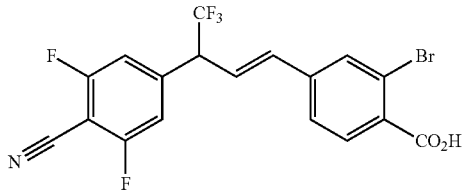
The title molecule was isolated as a dark brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.6 (bs, 1H), 8.03 (s, 1H), 7.95-7.86 (m, 3H), 7.81 (d, J=8.1 Hz, 1H), 7.16 (dd, J=15.3, 9.3 Hz, 1H), 6.92 (d, J=15.6 Hz, 1H), 4.95-4.88 (m, 1H); ^{19}F NMR (300 MHz, DMSO-d_6) δ −80.35, −58.02; ESIMS m/z 526.8 ([M+H]⁺).

(E)-2-Bromo-4-(4,4,5,5,5-pentafluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)benzoic acid



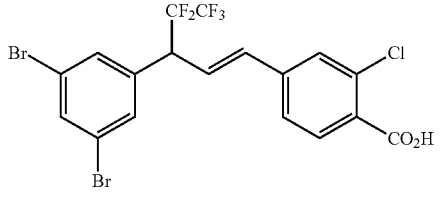
The title molecule was isolated as a dark brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.6 (bs, 1H), 7.94 (s, 2H), 7.78 (d, J=7.8 Hz, 1H), 7.71 (d, J=7.8 Hz, 1H), 7.60 (d, J=7.5 Hz 1H), 7.07 (dd, J=15.0, 8.7 Hz, 1H), 6.79 (d, J=15.6 Hz, 1H), 4.93-4.78 (m, 1H); ESIMS m/z 538.9 ([M+H]⁺); IR (thin film) 3420, 1602, 1123, 746 cm⁻¹.

(E)-2-Bromo-4-(3-(4-cyano-3,5-difluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



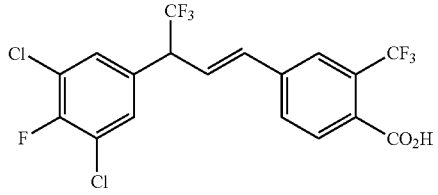
The title molecule was isolated as a brown gum: ESIMS m/z 443.91 ([M−H]⁻); IR (thin film) 3447, 2244, 1703, 1114 cm⁻¹.

(E)-2-Chloro-4-(3-(3,5-dibromophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



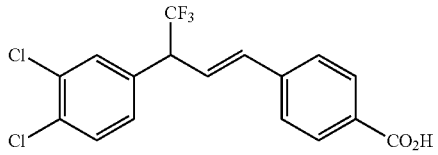
The title molecule was isolated as a brown liquid: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.39 (bs, 1H), 7.95-7.70 (m, 5H), 7.61 (d, J=8.1 Hz, 1H), 7.07 (dd, J=15.6, 9.3 Hz, 1H), 6.80 (d, J=15.6 Hz, 1H), 4.84-4.78 (m, 1H); ESIMS m/z 496.77 ([M−H]$^-$); IR (thin film) 3439, 2920, 1707, 1165 cm^{-1}.

(E)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



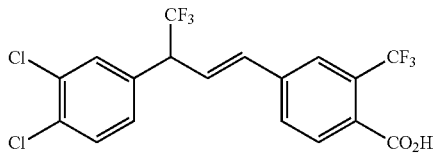
The title molecule was isolated as an off white solid: mp 140-143° C.; ^{1}H NMR (400 MHz, DMSO) δ 13.60 (bs, 1H), 8.02 (s, 1H), 7.94-7.90 (m, 1H), 7.88-7.86 (m, 2H), 7.81-7.79 (m, 1H), 7.12 (dd, J=15.6, 8.8 Hz, 1H), 6.89 (d, J=15.6 Hz, 1H), 4.86-4.81 (m, 2H); ESIMS m/z 458.88 ([M−H]$^-$).

(E)-4-(3-(3,4-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzoic acid



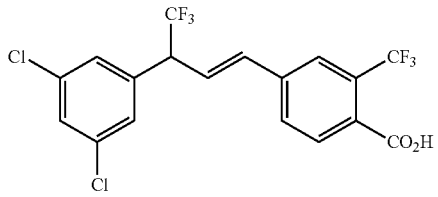
The title molecule was isolated as a light orange crystalline solid (875 mg, 88%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.35 (s, 1H), 8.08 (d, J=8.4 Hz, 2H), 7.55-7.41 (m, 4H), 7.24 (dd, J=8.3, 2.1 Hz, 1H), 6.64 (d, J=15.8 Hz, 1H), 6.51 (dd, J=15.9, 7.7 Hz, 1H), 4.15 (p, J=8.7 Hz, 1H); ^{19}F NMR 376 MHz, $CDCl_3$) δ −68.75; ESIMS m/z 375 ([M+H]$^+$).

(E)-4-(3-(3,4-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



The title molecule was isolated was isolated as a brown gum: ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.6 (s, 1H), 8.02 (s, 1H), 7.93-7.89 (m, 2H), 7.80 (d, J=7.6 Hz, 1H), 7.73 (d, J=8.4, Hz, 1H), 7.58 (dd, J=8.4, 2.0 Hz, 1H), 7.09 (dd, J=15.6, 8.8, Hz, 1H), 6.89 (d, J=15.6, Hz, 1H), 4.86-4.81 (m, 1H); ESIMS m/z 441.0 ([M−H]$^-$); IR (thin film) 3447, 1710, 1169, 749 cm^{-1}.

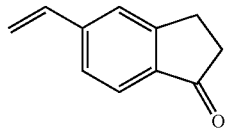
(E)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid



The title molecule was isolated was isolated as a brown gum: ^{1}H NMR (300 MHz, DMSO-d_6) δ 13.6 (bs, 1H), 7.98 (s, 1H), 7.91 (d, J=7.8 Hz 1H), 7.75-7.66 (m, 1H), 7.10 (dd, J=15.6, 9.0 Hz, 1H), 6.89 (d, J=15.9 Hz 1H), 4.86-4.80 (m, 1H); ESIMS m/z 441.1 ([M−H]$^-$); IR (thin film) 3460, 2928, 1721, 1170, 764 cm^{-1}.

Example 20

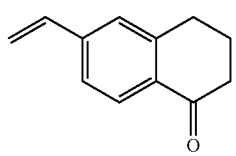
Preparation of 5-Vinyl-2,3-dihydro-1H-inden-1-one (BI1)



To a stirred solution of 5-bromo-2,3-dihydro-1H-inden-1-one (5 g, 23.7 mmol) in toluene were added vinylboronic anhydride pyridine complex (8.55 g, 35.54 mmol), $Pd(PPh_3)_4$ (0.1 g, 0.094 mmol), K_2CO_3 (22.88 g, 165.83 mmol). The resultant reaction mixture was heated at reflux for 16 h. The reaction mixture was cooled to 25° C. and filtered, and the filtrate was concentrated under reduced pressure. The residue was diluted with EtOAc and washed with H_2O and brine. The combined organic extracts were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The obtained residue was purified by flash column chromatography (SiO_2, 5% EtOAc in petroleum ether) afforded the title compound as a solid (1.8 g, 48%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, J=7.2 Hz, 1H), 7.49 (br s, 1H), 7.44 (d, J=7.2 Hz, 1H), 6.82 (m, 1H), 5.90 (d, J=7.4 Hz, 1H), 5.42 (d, J=6.4 Hz, 1H), 3.20 (m, 2H), 2.70 (m, 2H); ESIMS m/z 159.06 ([M+H]$^-$).

The following compound was made in accordance with the procedures disclosed in Example 20.

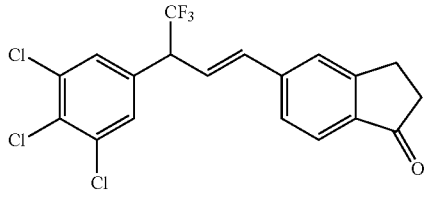
6-Vinyl-3,4-dihydronaphthalen-1(2H)-one (BI2)



The product was isolated as an off-white solid (5 g, 48%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.85 (d, J=8.4 Hz, 1H), 7.48 (m, 2H), 6.82 (m, 1H), 6.02 (d, J=7.4 Hz, 1H), 5.44 (d, J=6.4 Hz, 1H), 2.95 (m, 2H), 2.60 (m, 2H), 2.00 (m, 2H); ESIMS m/z 173.14 ([M−H]$^-$); IR (thin film) 1681 cm^{-1}.

Example 21

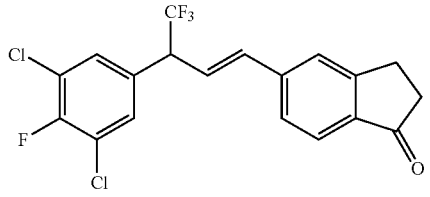
Preparation of (E)-5-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-one (BI3)



5-(1-Bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (4 g, 11.7 mmol), 5-vinyl-2,3-dihydro-1H-inden-1-one (0.92 g, 5.8 mmol), CuCl (0.115 g, 1.171 mmol) and 2,2-bipyridyl (0.053 g, 0.34 mmol) in 1,2-dichlorobenzene (25 mL) were heated at 180° C. for 16 h. The reaction mixture was cooled to 25° C. and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 5% EtOAc in petroleum ether) to afford the title compound as a liquid (1.28 g, 25%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=7.4 Hz, 1H), 7.52 (m, 3H), 6.68 (d, J=7.4 Hz, 1H), 6.52 (m, 1H), 4.18 (m, 1H), 3.18 (m, 2H), 2.75 (m, 2H); ESIMS m/z 419.14 ([M+H]$^-$); IR (thin film) 1708.94, 1113.60, 807.77 cm^{-1}.

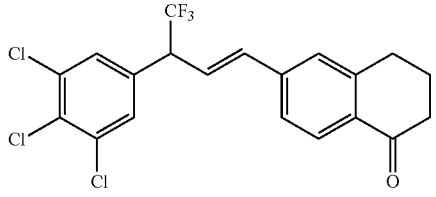
The following compound was made in accordance with the procedures disclosed in Example 21.

(E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2,3-dihydro-1H-inden-1-one (BI4)



The product was isolated as a brown semi-solid (1.2 g, 16%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, J=7.4 Hz, 1H), 7.54 (m, 3H), 7.30 (s, 1H), 6.68 (d, J=7.4 Hz, 1H), 6.52 (m, 1H), 4.18 (m, 1H), 3.18 (m, 2H), 2.75 (m, 2H); ESIMS m/z 400.84 ([M−H]$^-$); IR (thin film) 815, 1113, 1709 cm^{-1}.

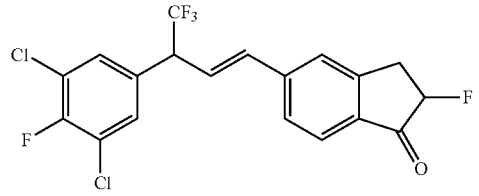
(E)-6-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2H)-one (BI5)



The product was isolated as a pale yellow semi solid (1.2 g, 30%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, J=8.0 Hz, 1H), 7.42 (s, 2H), 7.35 (m, 1H), 7.24 (m, 2H), 6.62 (d, J=16 Hz, 1H), 6.46 (m, 1H), 4.18 (m, 1H), 2.95 (m, 2H), 2.65 (m, 2H), 2.19 (m, 2H); ESIMS m/z 432.94 ([M−H]$^-$); IR (thin film) 1680, 1113, 808 cm^{-1}.

Example 22

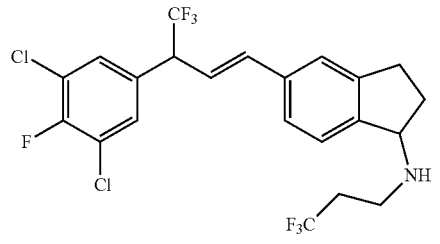
Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-fluoro-2,3-dihydro-1H-inden-1-one (BI6)



To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2,3-dihydro-1H-inden-1-one (0.5 g, 1.24 mmol) in acetonitrile (20 mL), was added Selectfluor® (0.52 g, 1.48 mmol) and the reaction was heated to reflux temperature for 16 h. The reaction mixture was cooled to room temperature, concentrated under reduced pressure and diluted with DCM. The solution was washed with water and brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the crude product which was purified by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in petroleum ether) to afford the title compound as a pale yellow semi solid (0.1 g, 24%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (m, 1H), 7.48 (m, 2H), 7.32 (m, 2H), 6.65 (d, J=16.0 Hz, 1H), 6.54 (dd, J=16.0, 8.0 Hz, 1H), 5.38 (m, 1H), 4.18 (m, 1H), 3.62 (m, 1H), 3.32 (m, 1H); ESIMS m/z 419.06 ([M−H]$^-$); IR (thin film) 1728, 1114, 817 cm^{-1}.

Example 23

Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N-(3,3,3-trifluoropropyl)-2,3-dihydro-1H-inden-1-amine (BC10)

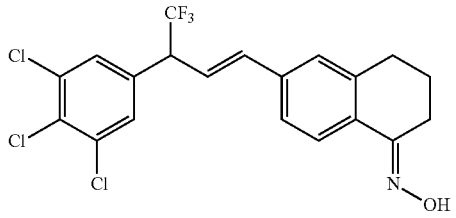


To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2,3-dihydro-1H-inden-1-one (0.15 g, 0.35 mmol) in DCE (10 mL), was added trifluoropropyl amine (0.048 g, 0.42 mmol) and sodium cyanoborohydride (0.055 g, 0.875 mmol) in cooling and the reaction mixture was stirred at room temperature for 16 h. The reaction mixture was diluted with DCE, was washed with

water and brine and dried over anhydrous sodium sulfate. Concentration under reduced pressure gave the crude compound, which was purified by flash column chromatography (SiO_2, 100-200 mesh; 10-15% EtOAc in petroleum ether) to afford the title compound as a colorless gummy material (0.042 g, 24%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38-7.20 (m, 5H), 6.62 (d, J=16.0 Hz, 1H), 6.34 (dd, J=16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.02 (m, 3H), 2.82 (m, 1H), 2.50 (m, 2H), 1.82 (m, 1H), 1.42 (m, 1H); ESIMS m/z 497.98 ([M−H]$^-$); IR (thin film) 3027, 1654, 815 cm^{-1}.

Example 24

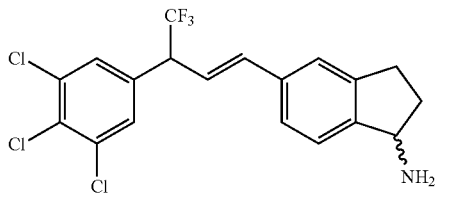
Preparation of 6-((E)-4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2H)-one oxime (BI5a)



To a stirred solution of ((E)-6-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2H)-one (0.4 g, 0.92 mmol) in EtOH (50 mL) were added hydroxylamine hydrochloride (0.128 g, 1.85 mmol) and sodium acetate (0.23 g, 2.77 mmol), and the reaction mixture was heated at reflux for 3 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with H_2O and extracted with EtOAc. The combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to give the crude compound, which was purified by flash column chromatography (SiO_2, 100-200 mesh; 10-15% EtOAc in petroleum ether). The title compound was isolated as a solid (0.3 g, 73%): mp 155-158° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, J=8.4 Hz, 1H), 7.41 (s, 2H), 7.24 (m, 1H), 7.17 (m, 1H), 6.57 (d, J=16 Hz, 1H), 6.46 (dd, J=16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.82 (m, 4H), 2.04 (m, 2H); ESIMS m/z 445.95 ([M−H]$^-$).

Example 25

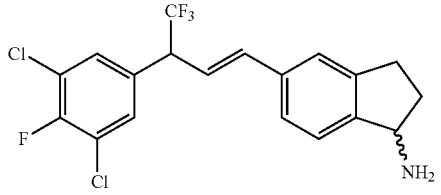
Preparation of (E)-5-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-amine (BI5b)



To a stirred solution of (E)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-one (1 g, 2.39 mmol) in CH_3OH (10 mL) were added ammonium acetate (1.84 g, 23.9 mmol) and sodium cyanoborohydride ($NaCNBH_3$; 0.44 g, 7.17 mmol,) and the reaction mixture was heated at reflux for 16 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with H_2O and extracted with EtOAc. The combined organic extracts were washed with H_2O and saturated aqueous sodium bicarbonate (satd aq $NaHCO_3$) solution, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a liquid (500 mg, crude): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.85 (s, 2H), 7.40 (s, 1H), 7.30 (s, 2H), 6.71 (s, 2H), 4.78 (m, 1H), 4.2 (m, 1H), 2.80 (m, 1H), 2.73 (m, 1H), 1.60 (m, 2H); ESIMS m/z 419.02 ([M+H]$^+$); IR (thin film) 2924, 1552, 1112, 807 cm^{-1}.

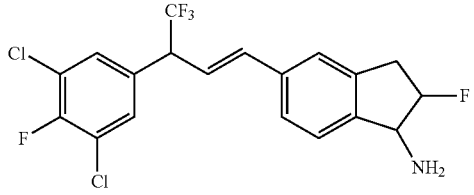
The following compound was made in accordance with the procedures disclosed in Example 25.

(E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2,3-dihydro-1H-inden-1-amine (BP)



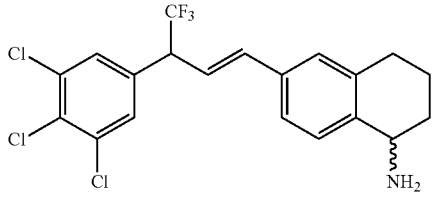
The product was isolated as a light brown gummy material, taken as such to the next step (0.15 g, crude compound): ESIMS m/z 401.97 ([M−H]$^-$).

(E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-fluoro-2,3-dihydro-1H-inden-1-amine (BI8)



The product was isolated as a light brown gummy material, taken as such to the next step (0.15 g, crude compound): ESIMS m/z 420.15 ([M−H]$^-$).

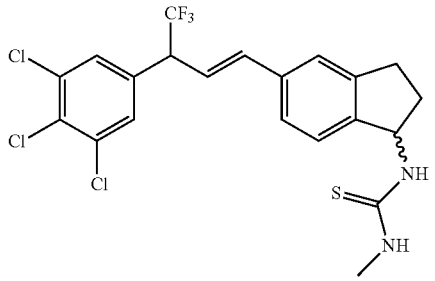
(E)-6-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-1,2,3,4-tetrahydronaphthalen-1-amine (BI9)



The product was isolated as a pale yellow liquid (500 mg crude).

Example 26

Preparation of (E)-1-Methyl-3-(5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)-but-1-enyl)-2,3-dihydro-1H-inden-1-yl)thiourea (BC1)

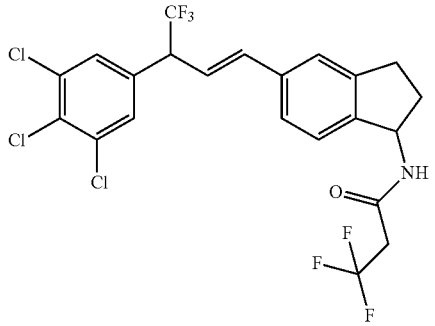


To a stirred solution of (E)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-amine (0.1 g, 0.23 mmol) in Et_2O (5 mL) was added methylisothiocyanate (0.026 g, 0.35 mmol), and the mixture was stirred for 2 h at 25° C. The reaction mixture was concentrated under reduced pressure, and the residue was purified by flash column chromatography (SiO_2, 20% EtOAc in petroleum ether). The title compound was isolated as a liquid (65 mg, 50%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.39 (s, 2H), 7.25-7.18 (m, 3H), 6.58 (d, J=16.0 Hz, 1H), 6.30 (dd, J=16.0, 8.4 Hz, 1H), 5.91-5.70 (br, 2H), 4.05 (m, 1H), 3.05-2.80 (m, 6H), 2.70 (m, 1H), 1.81 (m, 1H); ESIMS m/z 492.17 ($[M+H]^+$); IR (thin film) 3211, 1569, 1113, 806 cm^{-1}.

Compounds BC2-BC3 in Table 1 were made in accordance with the procedures disclosed in Example 26.

Example 27

Preparation of (E)-3,3,3-Trifluoro-N-(5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-yl)propanamide (BC4)

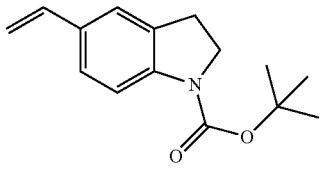


To a stirred solution of (E)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1H-inden-1-amine (0.1 g, 0.23 mmol) in CH_2Cl_2 (10 mL) were added trifluoropropionic acid (0.044 g, 0.34 mmol), EDC.HCl (0.038 g, 0.35 mmol), HOBt.H_2O (0.07 g, 0.46 mmol) and DIEA (0.074 g, 0.57 mmol), and the reaction mixture was stirred for 16 h at 25° C. The reaction mixture was diluted with CH_2Cl_2 and washed with H_2O. The combined organic layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. The crude material was purified by flash column chromatography (SiO_2, 15% EtOAc in petroleum ether) to afford the title compound as a liquid (65 mg, 65%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.39 (s, 2H), 7.25-7.20 (m, 3H), 6.34 (d, J=16.0 Hz, 1H), 6.30 (dd, J=16.0, 8.0 Hz, 1H), 5.81 (br, 1H), 5.48 (m, 1H), 4.10 (m, 1H), 3.10 (m, 2H), 2.86-3.07 (m, 2H), 2.86 (m, 1H), 1.81 (m, 1H); ESIMS m/z 529.02 ($[M+H]^+$); IR (thin film) 3283, 1652, 1241, 811 cm^{-1}.

Compounds BC5-BC9, BC11 in Table 1 were made in accordance with the procedures disclosed in Example 27.

Example 28

Preparation of tert-Butyl 5-vinylindoline-1-carboxylate (BI10)



Step 1. 5-Bromo-indoline (BI11)

To 5-Bromo-1H-indole (2.5 g, 12.82 mmol) in acetic acid (10.0 mL), $NaCNBH_3$ (2.38 g, 38.46 mmol) was added portion wise at 10° C. over the period of 20 min. After that the reaction mixture was stirred at RT for 3 h. The reaction mixture was diluted with water and extracted with diethyl ether. The organic layer was washed with saturated $NaHCO_3$, water and brine solution. The combined ether layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford title compound as a pale yellow semi-solid (1.8 g, 71%).

Step 2. tert-Butyl-5-bromoindoline-1-carboxylate (BI12)

To a stirred solution of 5-bromo-indoline (3.0 g, 15 mmol) in acetonitrile (100 ml), was added DMAP (0.185 g, 1.522 mmol) and di-tert-butyl dicarbonate (3.98 g, 18.3 mmol) and the reaction was stirred at RT for 16 h. The reaction mixture was concentrated on reduced pressure to obtain a residue which was diluted with diethyl ether and washed with water and brine solution (2×). The combined ether layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as an off-white solid, which was used in the next step without further purification (3.0 g).

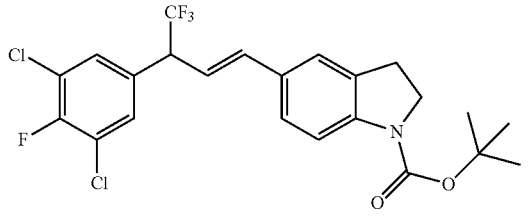
Step 3. tert-Butyl-5-vinylindoline-1-carboxylate (BI10)

A stirred solution of tert-butyl-5-bromoindoline-1-carboxylate (2.0 g, 6.73 mmol), potassium vinyl trifluoroborate (2.6 g, 20.20 mmol) and K_2CO_3 (2.78 g, 20.2 mmol) in DMSO (50.0 mL) was degassed with argon for 20 min at RT. $PdCl_2$(dppf) (0.49 g, 0.67 mmol) was added at RT, then the reaction mixture was heated to 100° C. for 3 h. The reaction mixture was cooled to RT and filtered through a celite bed under vacuum and washed with diethyl ether. The reaction mixture was extracted with diethyl ether. The combined

diethyl ether layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/petroleum ether) to afford the title compound as an off-white solid (1.2 g, 73%): Mp 85.5-88.6° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (m, 3H), 6.69 (dd, J=17.4, 10.8 Hz, 1H), 5.64 (d, J=10.5 Hz, 1H), 5.13 (d, J=10.5 Hz, 1H), 4.00 (t, J=9.0 Hz, 2H), 3.10 (t, J=9.0 Hz, 2H), 1.55 (bs, 9H).

Example 29

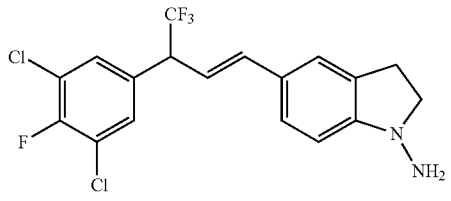
Preparation of (E)-tert-Butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indoline-1-carboxylate (BI13)



To a stirred solution of tert-butyl-5-vinylindoline-1-carboxylate (1.28 g, 5.23 mmol) in 1,2-dichlorobenzene (10.0 mL), was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (3.4 g, 10 mmol), CuCl (103 mg, 1.05 mmol) and 2,2-bipyridyl (0.326 g, 2.092 mmol) and the resultant reaction mixture was degassed with argon for 30 min and heated to 150° C. for 1 h. The reaction mixture was cooled to RT and filtered and the filtrate was concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/petroleum ether) to afford the title compound as a pale yellow gummy solid (0.3 g, 61%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J=6.0 Hz, 2H), 7.22 (s, 2H), 7.16 (d, J=8.4 Hz, 1H), 6.52 (d, J=16.0 Hz, 1H), 6.21 (dd, J=16.0, 7.6 Hz, 1H), 4.07 (m, 3H), 3.10 (t, J=8.4 Hz, 2H), 1.55 (s, 9H); ESIMS m/z 433.79 ([M−H]$^-$); IR (thin film) 1168, 858 cm^{-1}.

Example 30

Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-amine (BI14)



Step 1. (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline (BI15)

To a stirred solution of (E)-tert-butyl-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline-1-carboxylate (0.2 g, 0.4 mmol) in DCM (10.0 mL) was added TFA (0.6 mL) and the reaction was stirred at RT for 2 h. The reaction mixture was diluted with DCM, washed with saturated aq $NaHCO_3$, water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as a light brown gummy material which was used in the next step without further purification (0.12 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 (d, J=6.4 Hz, 2H), 7.21 (s, 1H), 7.02 (d, J=8.0 Hz, 1H), 6.57 (d, J=8.4 Hz, 1H), 6.49 (d, J=15.6 Hz, 1H), 6.21 (dd, J=15.6, 8.4 Hz, 1H), 4.07 (m, 1H), 3.61 (t, J=8.4 Hz, 2H), 3.05 (t, J=8.4 Hz, 2H); ESIMS m/z 389.89 ([M+H]$^+$); IR (thin film) 3385, 1112, 816 cm^{-1}.

Step 2. 5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-nitrosoindoline (BI16)

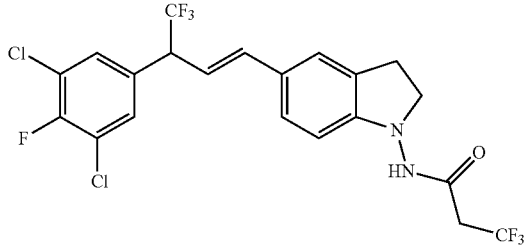
To (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline (0.2 g, 0.5 mmol) in concentrated HCl (5.0 ml) at 5° C., was added slowly $NaNO_2$ in water and the reaction was allowed to stir at RT for 2 h. The reaction mixture was diluted with DCM, and the DCM layer washed with water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as a pale yellow solid that was used in the next step without further purification (0.2 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 (d, J=8.4 Hz, 1H), 7.39 (m, 4H), 6.61 (d, J=16.0 Hz, 1H), 6.35 (dd, J=16.0, 8.4 Hz, 1H), 4.07 (m, 3H), 3.23 (t, J=8.4 Hz, 2H); ESIMS m/z 418.82 ([M+H]$^+$); IR (thin film) 1488, 1112, 860 cm^{-1}.

Step 3. (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-amine (BI14)

To (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-nitrosoindoline (0.1 g, 0.2 mmol) in methanol (10.0 mL) was added zinc powder (77.5 mg) and NH_4Cl (36.9 mg, 0.69 mmol) in water (2.0 mL). The reaction mixture was stirred at RT for 3 h. The reaction mixture was diluted with DCM and the DCM layer was washed with water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound, which was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/petroleum ether) to afford the title compound as a light brown gummy material (0.08 g): ESIMS m/z 404.86 ([M+H]$^+$).

Example 31

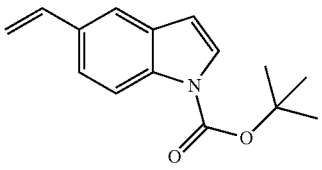
Preparation of (E)-N-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-yl)-3,3,3-trifluoropropanamide (BC12)



To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline-1-amine (0.1 g, 0.247 mmol) in DCM (10.0 ml) was added 3,3,3-trifluoropropanoic acid (0.038 g, 0.297 mmol), PyBOP (0.192 g, 0.370 mmol) and DIEA (0.047 g, 0.370 mmol) and the reaction was stirred at RT for 18 h. The reaction mixture was diluted with DCM, and the separated DCM layer dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 20-25% ethyl acetate/petroleum ether) to afford the title compound as a light brown gummy material (0.12 g, 33%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.32, (d, J=6.0 Hz, 2H) 7.28 (m, 1H), 7.20 (d, J=8.0, 1H), 7.14 (d, J=8.8, 1H), 6.70 (d, J=8.0 Hz, 1H), 6.60 (m, 2H), 4.15 (m, 1H), 3.85 (m, 1H), 3.65 (m, 1H), 3.46 (m, 2H), 3.19 (m, 2H); ESIMS m/z 514.86 ($[M+H]^+$); IR (thin film) 3428, 1112, 857 cm^{-1}.

Example 32

Preparation of tert-Butyl-5-vinyl-1H-indole-1-carboxylate (BI17)



Step 1. 5-Vinyl-1H-indole (BI18)

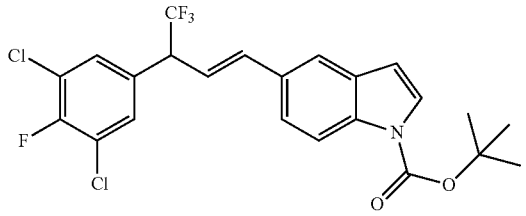
A mixture of 5-bromo-1H-indole (2.5 g, 12.82 mmol), potassium vinyltrifluoroborate (2.57 g, 19.2 mmol), Cs_2CO_3 (12.53 g, 38.46 mmol) and triphenylphosphine (201 mg, 0.769 mmol) in THF/water (9:1, 75 ml) was degassed with argon for 20 min, then charged with $PdCl_2$ (45.3 mg, 0.256 mmol). The reaction mixture was heated to reflux for 16 h, then cooled to RT, filtered through celite bed and washed with ethyl acetate. The filtrate was again extracted with ethyl acetate, and the combined organic layer washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/petroleum ether) to afford the title compound as a light brown gummy material (1.5 g, 83%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.20 (br, 1H), 7.68 (s, 1H), 7.45 (s, 2H), 7.21 (m, 1H), 6.90 (dd, J=16.0, 10.8 Hz, 1H), 6.55 (m, 1H), 5.75 (d, J=10.5 Hz, 1H), 5.21 (d, J=10.5 Hz, 1H); ESIMS m/z 142.05 ($[M-H]^-$).

Step 2. tert-Butyl-5-vinyl-1H-indole-1-carboxylate (BI17)

To a stirred solution of 5-vinyl-1H-indole (0.7 g, 4.89 mmol) in acetonitrile (20 ml) was added DMAP (59.65 mg, 0.489 mmol) and di-tert-butyl dicarbonate (1.38 g, 6.36 mmol), and the reaction was stirred at RT for 3 h. The reaction mixture was concentrated under reduced pressure to obtain a residue which was diluted with DCM and washed with water and brine solution. The combined DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/petroleum ether) to afford the title compound as an off-white semi-solid (0.7 g, 59%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, J=8.0 Hz, 1H), 7.60 (s, 2H), 7.30 (d, J=8.4 Hz, 1H), 7.21 (m, 1H), 6.90 (dd, J=16.0, 10.8 Hz, 1H), 6.59 (s, 1H), 5.75 (d, J=10.5 Hz, 1H), 5.21 (d, J=10.5 Hz, 1H), 1.65 (s, 9H); ESIMS m/z 242.10 ($[M-H]^-$); IR (thin film) 1630 cm^{-1}.

Example 33

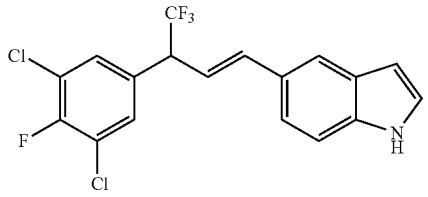
Preparation of (E)-tert-Butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1H-indole-1-carboxylate (BI19)



To a stirred solution of tert-butyl 5-vinyl-1H-indole-1-carboxylate (0.65 g, 2.67 mmol), in 1,2-dichlorobenzene (10.0 mL) was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (1.74 g, 5.37 mmol), CuCl (53 mg, 0.537 mmol) and 2,2-bipyridyl (167 mg, 1.07 mmol). The resultant reaction mixture was degassed with argon for 30 min and heated to 150° C. for 2 h. The reaction mixture was cooled to RT and filtered, and the filtrate concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/petroleum ether) to afford the title compound as a light brown gummy material (0.25 g, 10%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, J=8.0 Hz, 1H), 7.60 (m, 2H), 7.39 (m, 3H), 6.69 (d, J=16.0 Hz, 1H), 6.55 (d, J=10.5 Hz, 1H), 6.36 (dd, J=16.0, 8.0 Hz, 1H), 4.10 (m, 1H), 1.65 (s, 9H); ESIMS m/z 485.91 ($[M-H]^-$); IR (thin film) 1165, 854 cm^{-1}.

Example 34

Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1H-indole (BI20)

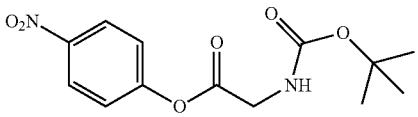


To a stirred solution of (E)-tert-butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1H-indole-1-carboxylate (0.2 g, 0.40 mmol) in DCM (10.0 mL) was added TFA (70 mg, 0.61 mmol) and the reaction was stirred at RT for 2 h. The reaction mixture was diluted with DCM and washed with saturated $NaHCO_3$ solution, water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the title

compound as a light brown solid (0.2 g, 97%): mp 132.9-138.8° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.19 (br, 1H), 8.20 (d, J=8.0 Hz, 1H), 7.60 (m, 2H), 7.39 (m, 3H), 6.69 (d, J=16.0 Hz, 1H), 6.55 (d, J=10.5 Hz, 1H), 6.36 (dd, J=16.0, 8.0 Hz, 1H), 4.82 (m, 1H); ESIMS m/z 387.98 ([M+H]$^+$).

Example 35

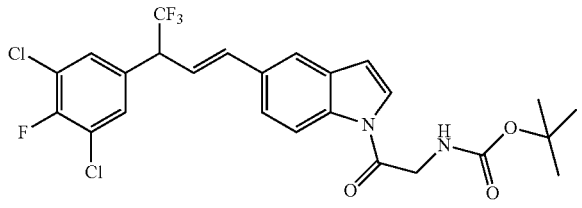
Preparation of 4-Nitrophenyl 2-((tert-butoxycarbonyl)amino)acetate (BI21)



To a stirred solution of 4-nitrophenol (1.0 g, 7.19 mmol) in DCM (20.0 mL) was added N-Boc glycine (1.38 g, 7.91 mmol) and EDC HCl (2.05 g, 10.785 mmol) and the reaction was stirred at RT for 24 h. The reaction mixture was diluted with DCM and washed with water and saturated brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a light brown gummy material that was used in the next step without further purification (1.1 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, J=9.2 Hz, 2H), 7.33 (d, J=8.8 Hz, 2H), 5.07 (br, 1H), 4.20 (s, 2H), 1.47 (s, 9H); ESIMS m/z 296.27 ([M+H]$^+$).

Example 36

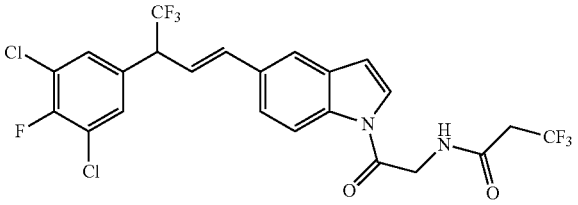
Preparation of (E)-tert-Butyl(2-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1H-indol-1-yl)-2-oxoethyl)carbamate (BI22)



To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1H-indole (0.1 g, 0.258 mmol) in acetonitrile (5.0 mL) was added 4-nitrophenyl 2-(tert-butoxycarbonylamino) acetate (0.114 g, 0.387 mmol), potassium fluoride (0.03 g, 0.516 mmol), 18-crown-6-ether (0.075 g, 0.283 mmol) and DIEA (0.0332 g, 0.258 mmol) and the reaction was stirred at RT for 16 h. The reaction mixture was concentrated to obtain a residue which was diluted with DCM and washed with water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a light brown gummy material which was used in the next step without further purification (0.1 g): ESIMS m/z 545.23 ([M+H]$^+$).

Example 37

Preparation of (E)-N-(2-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1H-indol-1-yl)-2-oxoethyl)-3,3,3-trifluoropropanamide (BC13)



Step 1. (E)-2-amino-1-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1H-indol-1-yl) ethanone (BI23)

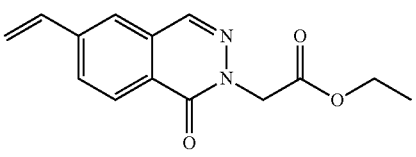
To a stirred solution of (E)-tert-butyl 2-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1H-indol-1-yl)-2-oxoethylcarbamate (0.05 g, 0.09 mmol) in DCM (5.0 mL) was added TFA (0.01 mL) and the reaction was stirred at RT for 16 h. The reaction mixture was diluted with DCM and washed with saturated $NaHCO_3$ solution, water and brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound which was used in the next step without further purification (50 mg).

Step 2. (E)-N-(2-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1H-indol-1-yl)-2-oxoethyl)-3,3,3-trifluoropropanamide (BC13)

To a stirred solution of (E)-2-amino-1-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1H-indol-1-yl) ethanone (0.04 g, 0.09 mmol) in DCM (5.0 ml) was added 3,3,3-trifluoropropanoic acid (17.5 mg, 0.136 mmol), PyBOP (70 mg, 0.135 mmol) and DIEA (29 mg, 0.225 mmol) and the reaction was stirred at RT for 16 h. The reaction mixture was diluted with DCM, and the DCM layer was washed with water and saturated brine solution. The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound, which was purified by column chromatography (SiO_2, 100-200 mesh; 10% ethyl acetate/petroleum ether) to afford the title compound as an off-white solid (30 mg, 60%): mp 121-126° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (br, 1H), 7.59 (s, 1H), 7.45 (m, 4H), 6.72 (d, J=3.6 Hz, 3H), 6.39 (m, 1H), 4.71 (t, J=7.2 Hz, 2H), 4.15 (m, 1H), 3.51 (m, 1H), 3.28 (m, 1H); ESIMS m/z 553.06 ([M−H]$^-$).

Example 38

Preparation of Ethyl 2-(1-oxo-6-vinylphthalazin-2 (1H)-yl)acetate (BI24)



Step 1. 5-Bromo-3-hydroxyisoindoline-1-one (BI25)

A mixture of Zn powder (1.73 g, 26.154 mmol), copper (II) sulfate pentahydrate (0.02 g, 0.08 mmol) and 2M aq NaOH (27 mL) were cooled to 0° C. 5-Bromoisoindoline-1,3-dione (5 g, 22 mmol) was added at the same temperature over the period of 30 min. The reaction mixture was stirred at 0° C. for 30 min and 3 h at RT. The reaction mixture was filtered and the filtrate was neutralized with concentrated HCl. The reaction mixture was diluted with ethanol and extracted with ethyl acetate. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a brown solid, which was used in the next step without further purification (1.3 g): mp 258-261° C.; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.03 (br, 1H), 7.81 (m, 2H), 7.69 (m, 1H), 6.44 (m, 1H), 5.88 (d, J=9.3 Hz, 1H); ESIMS m/z 225.83 ([M−H]$^-$); IR (thin film) 1684, 3246, 606 cm^{-1}.

Step 2. 6-Bromophthalazine-1(2H)-one (BI26)

To a stirred solution of 5-bromo-3-hydroxyisoindoline-1-one (1.0 g, 4.40 mmol) in water, was added hydrazine hydrate (0.45 g, 8.80 mmol) and heated to 95° C. for 5 h. The reaction mixture was cooled to RT, filtered and washed with diethyl ether and pentane (1:1) to afford the title compound as a white solid that was used in the next step without further purification (0.5 g): ESIMS m/z 225.15 ([M+H]$^+$).

Step 3. 6-Vinylphthalazine-1(2H)-one (BI27)

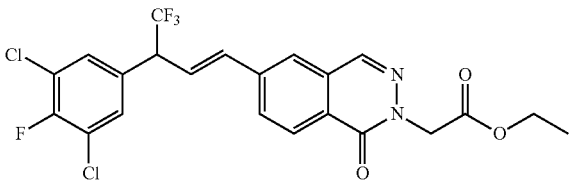
A solution of 6-bromophthalazine-1(2H)-one (0.25 g, 1.11 mmol), potassium vinyl trifluoroborate (0.446 g, 3.33 mmol) and K_2CO_3 (0.46 g, 3.33 mmol) in DMSO (2 mL) was degassed with argon for 20 min at RT. $PdCl_2$(dppf) (0.04 g, 0.055 mmol) was added at RT, and the reaction mixture was heated to 80° C. for 2 h. The reaction mixture was cooled to RT and filtered through celite bed under vacuum and washed with ethyl acetate. The reaction mixture was extracted with ethyl acetate and the combined ethyl acetate layer dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 50% ethyl acetate/petroleum ether) to afford the title compound as a brown solid (0.12 g, 63%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.61 (br, 1H), 8.33 (m, 1H), 8.19 (m, 1H), 8.01 (m, 2H), 6.97 (m, 1H), 6.15 (m, 1H), 5.56 (d, J=10.8 Hz, 1H); ESIMS m/z 172.93 ([M+H]$^+$); IR (thin film) 1748, 1655, 3241 cm^{-1}.

Step 4. Ethyl-2-(1-oxo-6-vinylphthalazine-2(1H)-yl acetate (BI24)

To a stirred solution of 6-vinylphthalazine-1(2H)-one (0.5 g, 2.90 mmol) in DMF (5.0 mL) was added Cs_2CO_3 (0.94 g, 2.90 mmol) and the reaction was stirred for 10 min Ethyl bromoacetate (0.48 g, 2.90 mmol) was added to the reaction mixture at RT and the reaction was stirred for 8 h at RT. The reaction mixture was diluted and extracted with ethyl acetate, and the ethyl acetate layer was washed with water and brine solution (2×). The separated ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 25% ethyl acetate/petroleum ether) to afford the title compound as a brown solid (0.34 g, 45%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.45 (m, 1H), 8.24 (m, 1H), 8.04 (m, 2H), 7.01 (m, 1H), 6.17 (d, J=2.1 Hz, 1H), 5.56 (d, J=10.8 Hz, 1H), 4.92 (s, 2H), 4.19 (m, 2H), 1.23 (m, 3H). ESIMS m/z 259.10 ([M+H]$^+$); IR (thin film) 1750, 1660 cm^{-1}.

Example 39

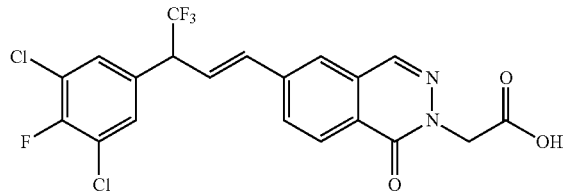
Preparation of (E)-Ethyl 2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1H)-yl)acetate (BI28)



To a stirred solution of ethyl-2-(1-oxo-6-vinylphthalazine-2(1H)-yl acetate (0.07 g, 0.27 mmol) in 1,2-dichlorobenzene (1.0 mL) was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2fluorobenzene (0.17 g, 0.54 mmol), CuCl (0.005 g, 0.05 mmol) and 2,2-bipyridyl (0.016 g, 0.10 mmol) and the resultant reaction mixture was degassed with argon for 30 min and heated to 180° C. for 12 h. The reaction mixture was cooled to RT and filtered and the filtrated was concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 10-15% ethyl acetate/petroleum ether) to afford the title compound as a brown solid (40 mg, 29%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.40 (d, J=8.4 Hz, 1H), 7.84 (d, J=1.5 Hz, 1H), 7.65 (s, 1H), 7.37 (d, J=6.3 Hz, 2H), 6.76 (d, J=16.0 Hz, 1H), 6.59 (dd, J=16.0, 8.0 Hz, 1H), 4.96 (s, 2H), 4.29 (m, 3H), 1.31 (t, J=7.2 Hz, 3H); ESIMS m/z 503.0 ([M+H]$^+$); IR (thin film) 1660, 1114, 817 cm^{-1}.

Example 40

Preparation of (E)-2-(6-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1H)-yl)acetic acid (BI29)

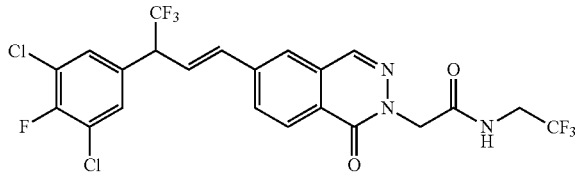


A solution of (E)-ethyl-2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-oxophthalazin-2(1H)-yl) acetate (0.04 g, 0.07 mmol) in HCl (0.5 mL) and acetic acid (0.5 mL) was heated to 100° C. for 3 h. The solvent was removed under reduced pressure and the residue diluted with water. The aqueous layer was extracted with ethyl acetate and the separated ethyl acetate layer dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was triturated with diethyl ether-pentane mixture to afford the title compound as a brown solid (0.03 g): ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.0 (br s, 1H), 8.43 (m, 1H), 8.23 (d, J=8.1 Hz, 1H),

8.14 (m, 2H), 7.91 (m, 2H), 7.16 (dd, J=16.0, 8.0 Hz, 1H), 6.99 (d, J=16.0 Hz, 1H), 4.96 (m, 3H); ESIMS m/z 473.0 ([M−H]⁻); IR (thin film) 1629, 1168, 817 cm^{-1}.

Example 41

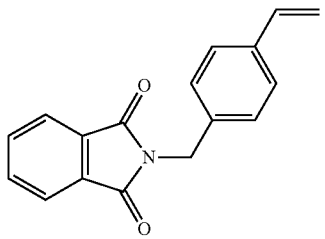
Preparation of (E)-2-(6-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1H)-yl)-N-(2,2,2-trifluoroethyl)acetamide (BC14)



To a stirred solution of (E)-2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-oxophthalazin-2(1H)-yl)acetic acid (0.15 g, 0.31 mmol) in DCM (20.0 ml) was added 2,2,2,-trifluoroethanamine (0.03 g, 0.31 mmol), PyBOP (0.17 g, 0.34 mmol) and DIEA (0.15 ml, 0.93 mmol) at RT, and the reaction was stirred for 18 h. The reaction mixture was diluted with DCM and washed with 3N HCl (2×20 mL), $NaHCO_3$ (2×20 mL) and brine solution (2×). The separated DCM layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 20-25% ethyl acetate/petroleum ether) to afford the title compound as a brown solid (0.11 g): mp 172-175° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.83 (t, J=6.6 Hz, 1H), 8.42 (t, J=14.7 Hz, 1H), 8.22 (d, J=8.1 Hz, 1H), 8.13 (t, J=6.3 Hz, 1H), 7.98-7.86 (m, 2H), 7.16-7.07 (m, 1H), 7.01-6.93 (m, 1H), 4.96-4.81 (m, 3H), 4.00-3.88 (m, 2H); ESIMS m/z 554.0 ([M−H]⁻).

Example 42

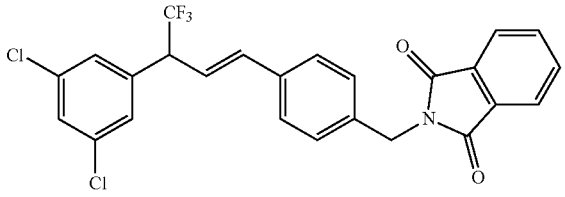
Preparation of 2-(4-Vinylbenzyl)isoindoline-1,3-dione (CH)



To a stirred solution of 1-(chloromethyl)-4-vinylbenzene (10 g, 66 mmol) in DMF (100 mL) was added potassium phthalimide (13.3 g, 72.1 mmol), and the resultant reaction mixture was heated at 70° C. for 16 h. The reaction mixture was diluted with H_2O and extracted with $CHCl_3$. The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Recrystallization from CH_3OH afforded the title compound as an off-white solid (8 g, 46%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.83 (m, 2H), 7.71 (m, 2H), 7.39 (m, 4H), 6.65 (dd, J=17.6, 10.8 Hz, 1H), 5.72 (d, J=17.6 Hz, 1H), 5.21 (d, J=10.8 Hz, 1H), 4.82 (s, 2H); GCMS m/z 263.2 ([M]⁺); IR (thin film) 3420, 1133, 718 cm^{-1}.

Example 43

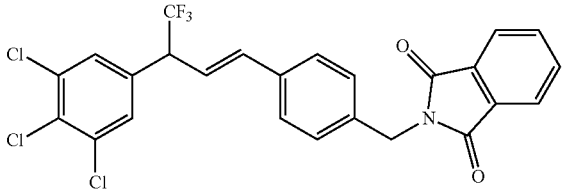
Preparation of (E)-2-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CU)



Using the procedure of Example 10 with 2-(4-vinylbenzyl) isoindoline-1,3-dione and 1-(1-bromoethyl)-3,5-dichlorobenzene as the starting materials, the title compound was isolated as an off-white solid (0.3 g, 40-50%): mp 142-145° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 2H), 7.74 (m, 2H), 7.42 (m, 2H), 7.36 (m, 3H), 7.27 (m, 2H), 6.58 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 8.0 Hz, 1H), 4.82 (s, 2H), 4.05 (m, 1H); ESIMS m/z 488.17 ([M−H]⁻).

The following compound was made in accordance with the procedures disclosed in Example 43.

(E)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl) but-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI3)

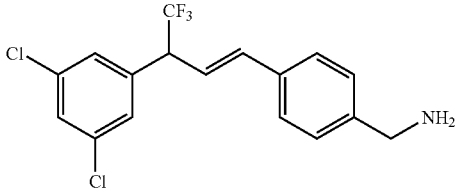


The title compound was isolated as an off white solid (0.3 g, 56%): mp 145-146° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 2H), 7.74 (m, 2H), 7.42-7.31 (m, 6H), 6.58 (d, J=16.0 Hz, 1H), 6.53 (dd, J=16.0, 8.0 Hz, 1H), 4.82 (s, 2H), 4.05 (m, 1H); ESIMS m/z 522.2 ([M−H]⁻); IR (thin film) 1716, 1110, 712 cm^{-1}.

Prophetically, compounds CI4-CI5 (Table 1) could be made in accordance with the procedures disclosed in Example 43.

Example 44

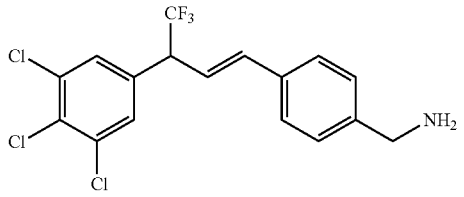
Preparation of (E)-(4-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (CI6)



To a stirred solution of (E)-2-(4-(3-(3,5-dichlorophenyl) but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (1.2 g, 2.45 mmol) in EtOH was added hydrazine hydrate (0.61 g, 12 mmol), and the resultant reaction mixture was heated at 90° C. for 1 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the crude title compound as a gummy liquid (0.9 g) which was used without further purification.

The following compounds were made in accordance with the procedures disclosed in Example 44.

(E)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)methanamine (CI7)

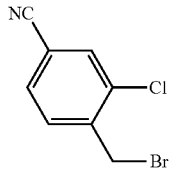


The title compound was isolated and used without further purification.

Prophetically, compounds CI8-CI9 (Table 1) could be made in accordance with the procedures disclosed in Example 44.

Example 45

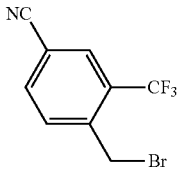
Preparation of 4-(Bromomethyl)-3-chlorobenzonitrile (CHO)



To a stirred solution of 3-chloro-4-methylbenzonitrile (5 g, 25.4 mmol) in carbon tetrachloride (CCl_4; 50 mL) under an argon atmosphere was added NBS (5.16 g, 29 mmol), and the mixture was degassed for 30 min. To this was added azobisisobutyronitrile (AIBN; 0.3 g, 1.8 mmol), and the resultant reaction mixture was heated at reflux for 4 h. The reaction mixture was cooled to ambient temperature, washed with H_2O, and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in n-Hexane) to afford the title compound as a white solid (4.8 g, 68%): mp 87-88° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (s, 1H), 7.59 (s, 2H), 4.60 (s, 2H); ESIMS m/z 229.77 ($[M+H]^+$); IR (thin film) 2235, 752, 621 cm^{-1}.

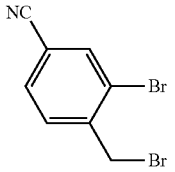
The following compounds were made in accordance with the procedures disclosed in Example 45.

4-(Bromomethyl)-3-(trifluoromethyl)benzonitrile (CI11)



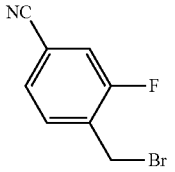
The title compound was isolated as an off-white gummy material (5 g, 66%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.86 (d, J=8.0 Hz, 1H), 7.76 (d, J=8.0 Hz, 1H), 4.62 (s, 2H); ESIMS m/z 262.11 ($[M-H]^-$); IR (thin film) 2236, 1132, 617 cm^{-1}.

3-Bromo-4-(bromomethyl)benzonitrile (CI12)



The title compound was isolated as an off-white solid (5 g, 67%): mp 82-83° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.61 (m, 2H), 4.62 (s, 2H); EIMS m/z 272.90; IR (thin film) 2229, 618 cm^{-1}.

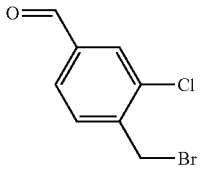
4-(Bromomethyl)-3-fluorobenzonitrile (CI13)



The title compound was isolated as an off-white solid (2 g, 60%): mp 79-81° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (t, J=8.0 Hz, 1H), 7.48 (dd, J=8.0 Hz, 8.0, 1H), 7.38 (dd, J=5 Hz, 1H), 4.5 (s, 2H); EIMS m/z 215.

Example 46

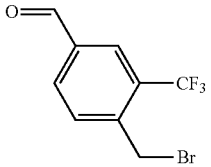
Preparation of 4-(Bromomethyl)-3-chlorobenzaldehyde (CI14)



To a stirred solution of 4-(bromomethyl)-3-chlorobenzonitrile (4.8 g, 17 mmol) in toluene (50 mL) at 0° C. was added dropwise diisobutylaluminum hydride (DIBAL-H, 1.0 M solution in toluene; 23.9 mL), and the reaction mixture was stirred at 0° C. for 1 h. 10 M HCl in H_2O (5 mL) was added until the reaction mixture turned to a white slurry and then additional 1 N HCl (20 mL) was added. The organic layer was collected and the aqueous layer was extracted with $CHCl_3$. The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in n-Hexane) to afford the title compound as a white solid (3.8 g, 80%): mp 64-66° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.00 (s, 1H), 7.92 (s, 1H), 7.78 (d, J=8.0 Hz, 1H), 7.64 (d, J=8.0 Hz, 1H), 4.60 (s, 2H); ESIMS m/z 232.78 ($[M+H]^+$).

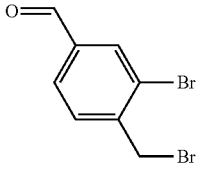
The following compounds were made in accordance with the procedures disclosed in Example 46.

4-(Bromomethyl)-3-(trifluoromethyl)benzaldehyde (CI15)



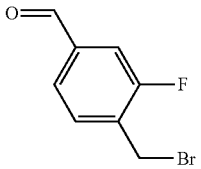
The title compound was isolated as a pale yellow low-melting solid (5 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.09 (s, 1H), 8.19 (s, 1H), 8.09 (m, 1H), 7.81 (m, 1H), 4.61 (s, 2H); ESIMS m/z 265.04 ($[M-H]^-$); IR (thin film) 1709, 1126, 649 cm^{-1}.

3-Bromo-4-(bromomethyl)benzaldehyde (CI16)



The title compound was isolated as a pale yellow solid (5 g, 62%): mp 94-95° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.96 (s, 1H), 8.05 (s, 1H), 7.81 (d, J=8.0 Hz, 1H), 7.62 (d, J=8.0 Hz, 1H), 4.60 (s, 2H); EIMS m/z 275.90.

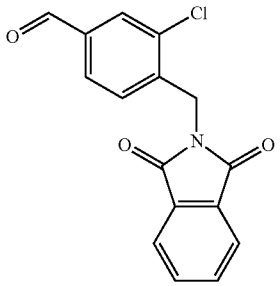
4-(Bromomethyl)-3-fluorobenzaldehyde (CI17)



The title compound was isolated as an off-white solid (5 g, 61%): mp 43-45° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.1 (s, 1H), 7.54 (t, J=8 Hz, 1H), 7.48 (d, J=8 Hz, 1H), 7.38 (d, J=5 Hz, 1H), 4.5 (s, 2H); EIMS m/z 216.

Example 47

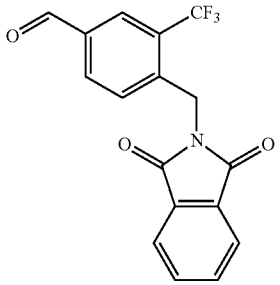
Preparation of 3-Chloro-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (CI18)



To a stirred solution of 4-(bromomethyl)-3-chlorobenzaldehyde (3.8 g, 14 mmol) in DMF (40 mL) was added potassium pthalimide (3.54 g, 19.14 mmol), and the mixture was heated at 60° C. for 6 h. The reaction mixture was cooled to ambient temperature and diluted with H_2O (100 mL). The solid obtained was separated by filtration and dried under vacuum to afford the title compound as a white solid (2.8 g, 60%): mp 123-126° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.21 (s, 1H), 7.91 (m, 3H), 7.80 (m, 2H), 7.20 (m, 1H), 5.05 (s, 2H); ESIMS m/z 298.03 ($[M-H]^-$).

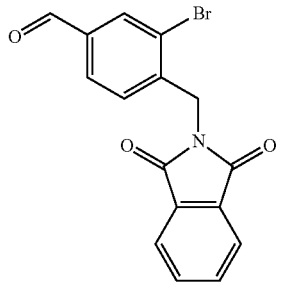
The following compounds were made in accordance with the procedures disclosed in Example 47.

4-((1,3-Dioxoisoindolin-2-yl)-3-(trifluoromethyl) benzaldehyde (CI19)



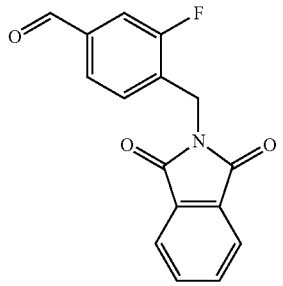
The title compound was isolated as an off white solid (1 g, 62%): mp 142-143° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.15 (s, 1H), 7.91 (m, 2H), 7.80 (m, 3H), 7.27 (m, 1H), 5.19 (s, 2H); ESIMS m/z 332.03 ($[M-H]^-$).

3-Bromo-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (CI20)



The title compound was isolated as an off-white solid (0.5 g, 64%): mp 159-161° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.21 (s, 1H), 7.91 (m, 3H), 7.80 (m, 2H), 7.20 (m, 1H), 5.05 (s, 2H); ESIMS m/z 314.00 ([M-CHO]$^-$).

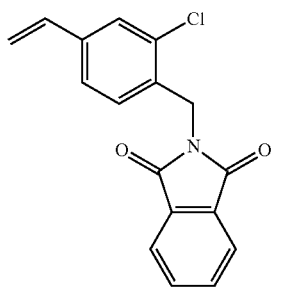
4-((1,3-Dioxoisoindolin-2-yl)-3-fluorobenzaldehyde (CI21)



The title compound was isolated as a white solid (2 g, 60%): mp 154-156° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 7.9 (m, 2H), 7.75 (m, 2H), 7.6 (m, 2H), 7.5 (t, J=7.6 Hz, 1H), 5.05 (s, 2H); EIMS m/z 283.1.

Example 48

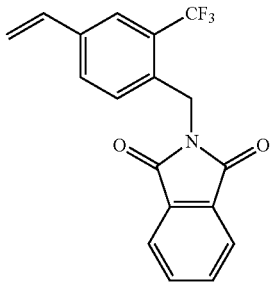
Preparation of 2-(2-Chloro-4-vinylbenzyl)isoindoline-1,3-dione (CI22)



To a stirred solution of 3-chloro-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (2.8 g, 8.2 mmol) in 1,4-dioxane (30 mL) were added K_2CO_3 (1.68 g, 12.24 mmol) and methyl triphenyl phosphonium bromide (4.37 g, 12.24 mmol) at ambient temperature. Then the resultant reaction mixture was heated at 100° C. for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the obtained filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 20% EtOAc in n-Hexane) to afford the title compound as a white solid (1.94 g, 70%): mp 141-143° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (m, 2H), 7.70 (m, 2H), 7.41 (m, 1H), 7.21 (m, 2H), 6.71 (dd, J=17.6, 10.8 Hz, 1H), 5.72 (d, J=17.6 Hz, 1H), 5.23 (d, J=10.8 Hz, 1H), 4.92 (s, 2H); ESIMS m/z 298.10 ([M−H]$^-$).

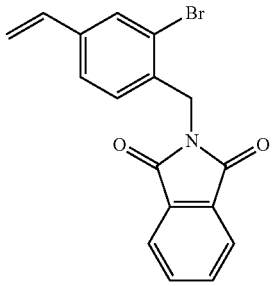
The following compounds were made in accordance with the procedures disclosed in Example 48.

2-(2-(Trifluoromethyl)-4-vinylbenzyl)isoindoline-1,3-dione (CI23)



The title compound was isolated as a light brown solid (0.5 g, 60%): mp 134-135° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.80 (m, 2H), 7.71 (s, 1H), 7.46 (d, J=8.0 Hz, 1H), 7.16 (d, J=8.0 Hz, 1H), 6.65 (m, 1H), 5.80 (d, J=17.8 Hz, 1H), 5.19 (d, J=10.8 Hz, 1H), 5.09 (s, 2H); ESIMS m/z 332.10 ([M+H]$^+$).

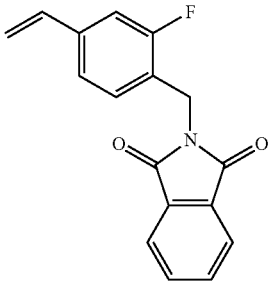
2-(2-Bromo-4-vinylbenzyl)isoindoline-1,3-dione (CI24)



The title compound was isolated as an off white solid (0.5 g, 62%): mp 126-128° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.62 (s, 1H), 7.21 (m, 1H), 7.16 (d,

J=8.0 Hz, 1H), 6.62 (m, 1H), 5.72 (d, J=17.8 Hz, 1H), 5.15 (d, J=10.8 Hz, 1H), 4.95 (s, 2H); EIMS m/z 341.10.

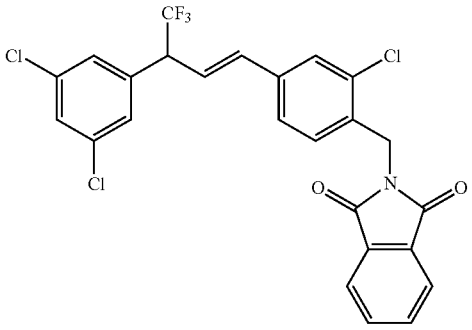
2-(2-Fluoro-4-vinylbenzyl)isoindoline-1,3-dione (CI25)



The title compound was isolated as a white solid (0.5 g, 61%): mp 140-142° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (m, 2H), 7.72 (m, 2H), 7.25 (m, 1H), 7.11 (m, 2H), 6.63 (m, 1H), 5.80 (d, J=17.6 Hz, 1H), 5.28 (d, J=10.8 Hz, 1H), 4.92 (s, 2H); EIMS m/z 282.08.

Example 49

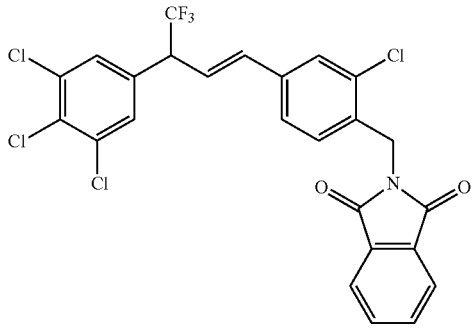
Preparation of (E)-2-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI26)



To a stirred solution of 2-(2-chloro-4-vinylbenzyl)isoindoline-1,3-dione (2.0 g, 6.51 mmol) in 1,2-dichlorobenzene (25 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (3.48 g, 11.36 mmol), CuCl (112 mg, 1.13 mmol) and 2,2-bipyridyl (0.35 g). The resultant reaction mixture was degassed with argon for 30 min and then was stirred at 180° C. for 24 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in n-hexane) to afford the title compound as solid (1.3 g, 50%): mp 141-143° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.42 (m, 2H), 7.24 (m, 2H), 7.20 (m, 2H), 6.54 (d, J=16.0 Hz, 1H), 6.34 (dd, J=16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.10 (m, 1H); ESIMS m/z 524.07 ([M+H]$^+$).

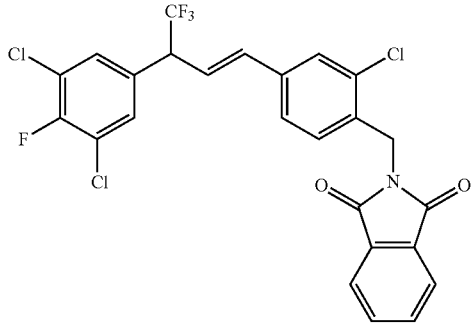
The following compounds were made in accordance with the procedures disclosed in Example 49.

(E)-2-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI27)



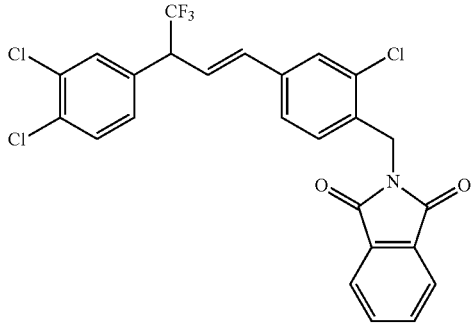
The title compound was isolated as a pale white solid (0.2 g, 55%): mp 128-129° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.42 (m, 3H), 7.22 (m, 2H), 6.52 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.05 (m, 1H); ESIMS m/z 557.99 ([M+H]$^+$).

(E)-2-(2-Chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI28)



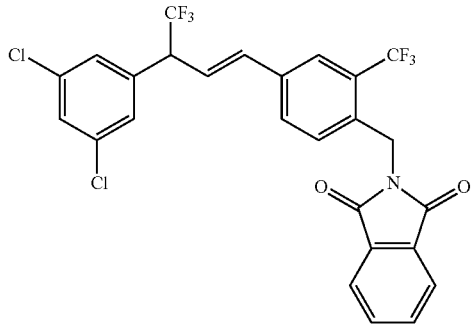
The title compound was isolated as an off white solid (0.2 g, 54%): mp 177-180° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (m, 2H), 7.77 (m, 2H), 7.42 (s, 1H), 7.32 (d, J=8.0 Hz, 2H), 7.21 (m, 2H), 6.52 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.05 (m, 1H); ESIMS m/z 540.08 ([M−H]$^-$); IR (thin film) 1716 cm^{-1}.

(E)-2-(2-Chloro-4-(3-(3,4-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI29)



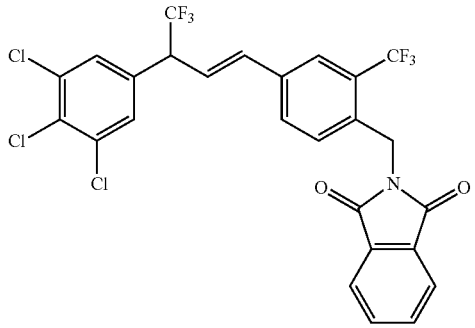
The title compound was isolated as an off-white solid (0.2 g, 59%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (m, 2H), 7.76 (m, 2H), 7.47 (m, 3H), 7.21 (m, 3H), 6.50 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 7.6 Hz, 1H), 4.97 (s, 2H), 4.11 (m, 1H); ESIMS m/z 522.27 ([M−H]$^-$); IR (thin film) 3064, 1717, 1111, 715 cm^{-1}.

(E)-2-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)-benzyl)isoindoline-1,3-dione (CI30)



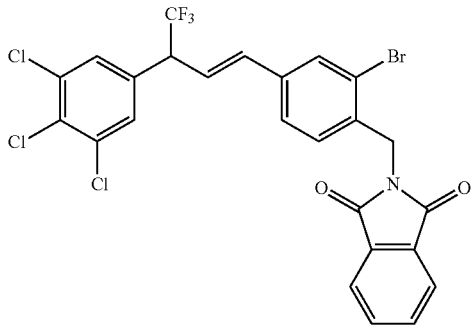
The title compound was isolated as an off-white solid (0.2 g, 54%): mp 141-142° C.; ^{1}H NMR (400 MHz, $CDCl_3$) 7.94 (m, 2H), 7.80 (m, 2H), 7.69 (s, 1H), 7.44 (m, 1H), 7.38 (m, 1H), 7.24 (m, 2H), 7.19 (m, 1H), 6.60 (d, J=16.0 Hz, 1H), 6.39 (dd, J=16.0, 7.6 Hz, 1H), 5.10 (s, 2H), 4.11 (m, 1H); ESIMS m/z 556.00 ([M−H]$^-$).

(E)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl) but-1-en-1-yl)-2-(trifluoromethyl)-benzyl)isoindoline-1,3-dione (CI31)



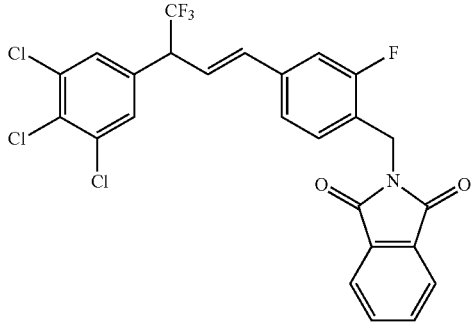
The title compound was isolated as an off-white solid (0.2 g, 56%): mp 130-132° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (m, 2H), 7.80 (m, 2H), 7.69 (s, 1H), 7.44 (m, 3H), 7.19 (m, 1H), 6.61 (d, J=16.0 Hz, 1H), 6.38 (dd, J=16.0, 7.6 Hz, 1H), 5.10 (s, 2H), 4.12 (m, 1H); ESIMS m/z 589.57 ([M−2H]$^-$).

(E)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (CI32)



The title compound was isolated as a pale yellow solid (0.2 g, 55%): mp 160-162° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.80 (m, 2H), 7.62 (s, 1H), 7.39 (s, 2H), 7.24 (m, 1H), 7.16 (m, 1H), 6.52 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 8.0 Hz, 1H), 4.98 (s, 2H), 4.12 (m, 1H); ESIMS m/z 599.78 ([M−H]$^-$).

(E)-2-(2-Fluoro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (CI33)

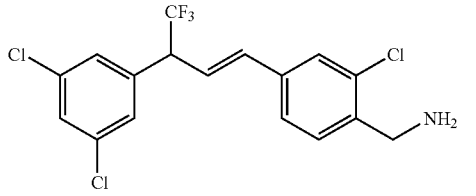


The title compound was isolated as an off-white solid (0.2 g, 55%): mp 72-74° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (m, 2H), 7.74 (m, 2H), 7.38 (s, 2H), 7.34 (m, 1H), 7.18 (m, 2H), 6.54 (d, J=16.0 Hz, 1H), 6.32 (dd, J=16.0, 8.0 Hz, 1H), 4.91 (s, 2H), 4.08 (m, 1H); ESIMS m/z 539.89 ([M−H]$^-$); IR (thin film) 1773 cm^{-1}.

Prophetically, compounds CI34-CI41 (Table 1) could be made in accordance with the procedures disclosed in Example 49.

Example 50

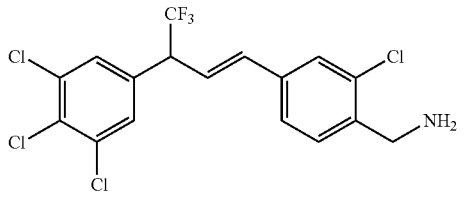
Preparation of (E)-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (CI42)



To a stirred solution of (E)-2-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (0.4 g, 0.76 mmol) in EtOH was added hydrazine hydrate (0.38 g, 7.6 mmol), and the resultant reaction mixture was heated at 80° C. for 2 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a gummy liquid (0.3 g), which was carried on to the next step without further purification.

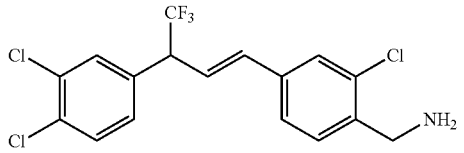
The following compounds were made in accordance with the procedures disclosed in Example 50.

(E)-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI43)



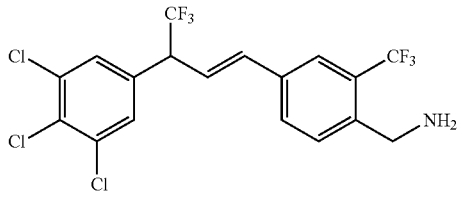
The product obtained in this reaction was carried on to the next step without further purification.

(E)-(2-Chloro-4-(3-(3,4-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-methanamine (CI44)



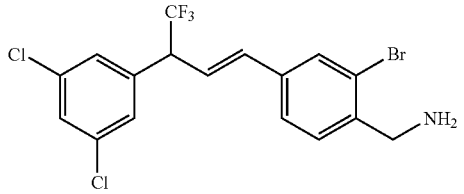
The product obtained in this reaction was carried on to the next step without further purification: ^1H NMR (400 MHz, $CDCl_3$) δ 7.48 (d, J=8.4 Hz, 2H), 7.39 (m, 2H), 7.23 (m, 2H), 6.52 (d, J=16.0 Hz, 1H), 6.38 (dd, J=16.0, 7.6 Hz, 1H), 4.12 (m, 1H), 3.90 (s, 2H); ESIMS m/z 391.90 ($[M-H]^-$); IR (thin film) 3370, 3280, 1111, 817 cm^{-1}.

(E)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)-phenyl)methanamine (CI45)



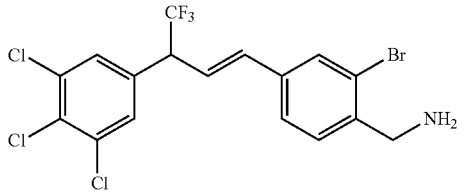
The title compound was isolated as a gummy material. The product obtained in this reaction was carried on to the next step without further purification.

(E)-(2-Bromo-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-methanamine (CI46)



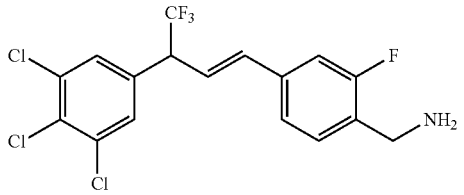
The title compound was isolated as a gummy material: The product obtained in this reaction was carried on to the next step without further purification.

(E)-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI47)



The title compound was isolated as a gummy material. The product obtained in this reaction was carried on to the next step without further purification.

(E)-(2-Fluoro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI48)

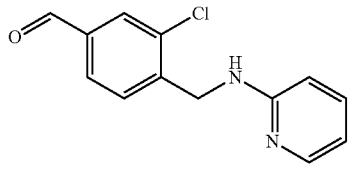


The title compound was isolated as a gummy material: ^1H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 7.33 (t, J=7.6 Hz, 1H), 7.13 (m, 2H), 6.56 (d, J=16.0 Hz, 1H), 6.33 (dd, J=16.0, 7.6 Hz, 1H), 4.08 (m, 1H), 3.90 (s, 2H); ESIMS m/z 413.84 ($[M+H]^+$); IR (thin film) 3368, 3274, 1114, 808 cm^{-1}.

Prophetically, compounds CI49-CI57 (Table 1) could be made in accordance with the procedures disclosed in Example 50.

Example 51

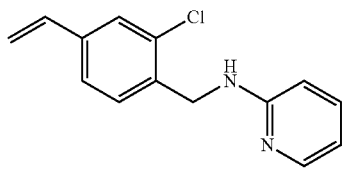
Preparation of 3-Chloro-4-((pyridin-2-ylamino)methyl)benzaldehyde (CI58)



To a stirred solution of 4-(bromomethyl)-3-chlorobenzaldehyde (2 g, 9 mmol) in N,N-dimethylacetamide (DMA; 20 mL) was added K_2CO_3 (2.36 g, 17.16 mmol) and 2-aminopyridine (0.84 g, 8.58 mmol), and the reaction mixture was stirred at ambient temperature for 4 h. The reaction mixture was diluted with H_2O and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in n-Hexane) to afford the title compound as off-white solid (1.05 g, 50%): mp 122-123° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.94 (s, 1H), 8.11 (s, 1H), 7.88 (s, 1H), 7.72 (d, J=4.8 Hz, 1H), 7.62 (d, J=5.7 Hz, 1H), 7.4 (m, 1H), 6.64 (d, J=3.9 Hz, 1H), 6.38 (d, J=6.3 Hz, 1H), 5.04 (br s, 1H), 4.71 (s, 2H); ESIMS m/z 246.97 ([M+H]$^+$).

Example 52

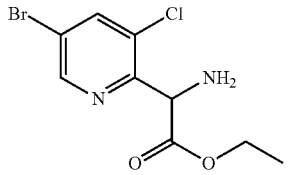
Preparation of N-(2-Chloro-4-vinylbenzyl)pyridin-2-amine (CI59)



To a stirred solution of 3-chloro-4-((pyridin-2-ylamino) methyl)benzaldehyde (1 g, 4. mmol) in 1,4-dioxane (20 mL) were added K_2CO_3 (0.84 g, 6.09 mmol) and methyl triphenyl phosphonium bromide (2.17 g, 6.09 mmol) at ambient temperature. Then the resultant reaction mixture was heated at 100° C. for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the obtained filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 10% EtOAc in n-Hexane) to afford the title compound as a white solid (0.5 g, 50%): mp 119-121° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.42-7.40 (m, 3H), 7.26 (s, 1H), 6.66 (m, 2H), 6.36 (d, J=6.3 Hz, 1H), 5.75 (d, J=13.2 Hz, 1H), 4.92 (br s, 1H), 4.60 (s, 2H); ESIMS m/z 245.05 ([M+H]$^+$).

Example 53

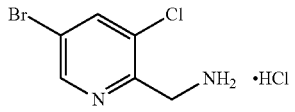
Preparation of Ethyl 2-amino-2-(5-bromo-3-chloropyridin-2-yl)acetate (CI60)



Ethyl 2-(diphenylmethyleneamino)acetate (10.2 g, 38.2 mmol) was added to sodium hydride (NaH; 3.18 g, 133.52 mmol) in DMF (50 mL) at 0° C., and the mixture was stirred for 30 min. To this was added 5-bromo-2,3-dichloropyridine (12.9 g, 57.23 mmol), and the reaction mixture was stirred for 3 h at ambient temperature. The reaction mixture was quenched with 2 N HCl solution and then stirred for 4 h at ambient temperature. The mixture was extracted with EtOAc. The combined EtOAc layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (20-30% EtOAc in hexane) afforded the title compound as a liquid (1.3 g, 20%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.89 (s, 1H), 5.09 (s 1H), 4.23 (m, 2H), 2.27 (br s, 2H), 1.26 (m, 3H); ESIMS m/z 293.05 ([M+H]$^+$); IR (thin film) 3381, 3306, 1742, 759, 523 cm^{-1}.

Example 54

Preparation of (5-Bromo-3-chloropyridin-2-yl)methanamine hydrochloride (CI61)

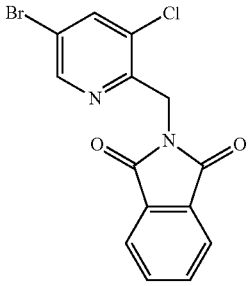


A stirred solution of ethyl 2-amino-2-(5-bromo-3-chloropyridin-2-yl)acetate (0.5 g, 1.7 mmol) in 3 N HCl (25 mL) was heated at reflux for 4 h. The reaction mixture was washed with diethyl ether and H_2O. The combined ether layer was concentrated under reduced pressure to afford the title compound as an off-white solid (400 mg, 65%): ^{1}H NMR (400

MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.70 (br s, 2H), 8.45 (s, 1H), 4.56 (m, 2H); ESIMS m/z 221.15 ($[M+H]^+$).

Example 55

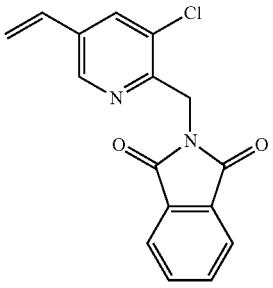
Preparation of 2-((5-Bromo-3-chloropyridin-2-yl) methyl)isoindoline-1,3-dione (CI62)



To a stirred solution of (5-bromo-3-chloropyridin-2-yl) methanamine hydrochloride (0.3 g, 1.4 mmol) in toluene (40 mL) was added Et_3N (0.41 g, 4.08 mmol) and phthalic anhydride (0.24 g, 1.63 mmol), and the reaction mixture was heated at reflux for 2 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with H_2O and extracted with EtOAc. The combined EtOAc layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography (20-30% EtOAc in hexane) to afford the title compound as a white solid (0.25 g, 65%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.45 (s, 1H), 7.88 (m, 2H), 7.74 (m, 2H), 4.56 (m, 2H); ESIMS m/z 349 ($[M-H]^-$); IR (thin film) 3307, 1665, 1114, 813 cm^{-1}.

Example 56

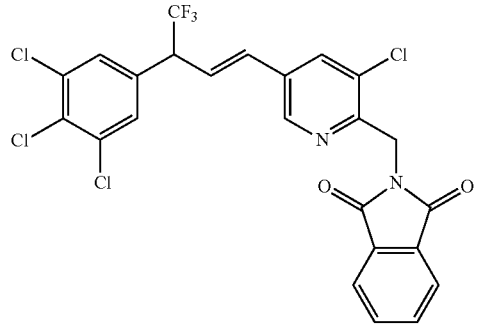
Preparation of 2-((3-Chloro-5-vinylpyridin-2-yl) methyl)isoindoline-1,3-dione (CI63)



To a stirred solution of 2-((5-bromo-3-chloropyridin-2-yl) methyl)isoindoline-1,3-dione (0.23 g, 0.65 mmol) in toluene (10 mL) were added $Pd(PPh_3)_4$ (3.7 mg, 0.003 mmol), K_2CO_3 (0.269 g, 1.95 mmol) and vinyl boronic anhydride pyridine complex (0.78 g, 3.28 mmol), and the reaction mixture was heated at reflux for 16 h. The reaction mixture was filtered, and the filtrate was washed with H_2O and brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (20-30% EtOAc in hexane) afforded the title compound as an off-white solid (0.2 g, 65%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.91 (m, 2H), 7.77 (m, 3H), 7.72 (m, 1H), 6.63 (m, 1H), 5.79 (d, J=16.0 Hz, 1H), 5.39 (d, J=16.0 Hz, 1H), 5.12 (s, 2H); ESIMS m/z 299.20 ($[M+H]^+$).

Example 57

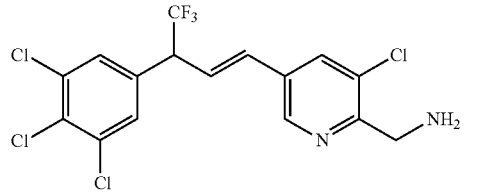
Preparation of (E)-2-((3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichloro-phenyl)but-1-en-1-yl)pyridin-2-yl) methyl)isoindoline-1,3-dione (CI64)



To a stirred solution of 2-((3-chloro-5-vinylpyridin-2-yl) methyl)isoindoline-1,3-dione (0.35 g, 1.17 mmol) in 1,2-dichlorobenzene (10 mL) were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.8 g, 2.3 mmol), CuCl (23 mg, 0.12 mmol), 2,2-bipyridyl (0.073 g, 0.234 mmol), and the reaction mixture was heated at 180° C. for 16 h. The reaction mixture was concentrated under reduced pressure and purified by column chromatography (20-30% EtOAc in hexane) to afford the title compound as a liquid (0.4 g, 50%): mp 79-82° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.91 (m, 2H), 7.77 (m, 3H), 7.36 (s, 2H), 6.51 (d, J=15.6 Hz, 1H), 6.32 (dd, J=15.6, 8.0 Hz, 1H), 5.30 (s, 2H), 4.13 (m, 1H); ESIMS m/z 559 ($[M+H]^+$).

Example 58

Preparation of (E)-(3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl) methanamine (CI65)

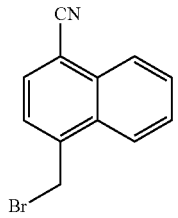


To a stirred solution of (E)-2-((3-chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl)methyl) isoindoline-1,3-dione (200 mg, 0.358 mmol) in EtOH (5 mL) was added hydrazine hydrate (89.6 mg, 1.79 mmol), and the reaction mixture was heated at reflux for 2 h. The reaction mixture was concentrated under reduced pressure, and the residue was dissolved in CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure to afford the title

compound as a solid (100 mg). The product obtained in this reaction was carried on to the next step without further purification.

Example 59

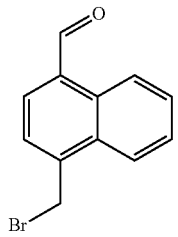
Preparation of 4-(Bromomethyl)-1-naphthonitrile (CI66)



To a stirred solution of 4-methyl-1-naphthonitrile (5 g, 30 mmol) in CCl_4 (50 mL) under argon atmosphere was added NBS (6.06 g, 34.09 mmol), and the reaction mixture was degassed for 30 min. AIBN (0.3 g, 2.1 mmol) was added, and the resultant reaction mixture was heated at reflux for 4 h. The reaction mixture was cooled to ambient temperature, diluted with H_2O and extracted with CH_2Cl_2 (3×100 mL). The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in n-Hexane) to afford the title compound as a white solid (3.8 g, 52%): mp 131-133° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (m, 1H), 8.24 (m, 1H), 7.88 (d, J=8.0 Hz, 1H), 7.78 (m, 2H), 7.62 (d, J=8.0 Hz, 1H), 4.95 (s, 2H); ESIMS m/z 245.92 ($[M+H]^+$); IR (thin film) 2217 cm^{-1}.

Example 60

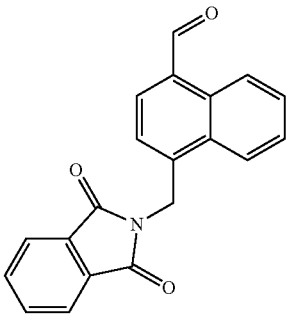
Preparation of 4-(Bromomethyl)-1-naphthaldehyde (CI67)



To a stirred solution of 4-(bromomethyl)-1-naphthonitrile (8 g, 33 mmol) in toluene (100 mL) at 0° C. was added dropwise DIBAL-H (1.0 M solution in toluene; 43 mL), and the reaction mixture was stirred at 0° C. for 1 h. 3 N HCl in H_2O (50 mL) was added to the mixture until it became a white slurry and then additional 1 N HCl (20 mL) was added. The organic layer was collected and the aqueous layer was extracted with EtOAc (3×100 mL). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in petroleum ether) afforded the title compound as a white solid (7 g, 88%): mp 115-116° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 10.41 (s, 1H), 9.35 (m, 1H), 8.22 (m, 1H), 7.90 (d, J=8.0 Hz, 1H), 7.75 (m, 3H), 4.95 (s, 2H); ESIMS m/z 248.88 ($[M+H]^+$).

Example 61

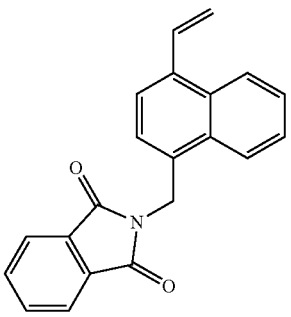
Preparation of 4-((1,3-Dioxoisoindolin-2-yl)methyl)-1-naphthaldehyde (CI68)



To a stirred solution of 4-(bromomethyl)-1-naphthaldehyde (7 g, 28 mmol) in DMF (100 mL) was added potassium phthalimide (7.3 g, 39.5 mmol), and the mixture was heated at 85° C. for 2 h. The reaction mixture was cooled to ambient temperature and diluted with H_2O (100 mL). The obtained solid was separated by filtration and dried under vacuum to afford the title compound as a white solid (8.8 g, 98%): mp 190-192° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 10.39 (s, 1H), 9.25 (m, 1H), 8.41 (m, 1H), 8.10 (d, J=8.0 Hz, 1H), 7.95 (m, 4H), 7.80 (m, 4H), 7.61 (m, 4H), 5.39 (s, 2H); ESIMS m/z 316.09 ($[M+H]^+$); IR (thin film) 1708 cm^{-1}.

Example 62

Preparation of 2-((4-Vinylnaphthalen-1-yl)methyl) isoindoline-1,3-dione (CI69)

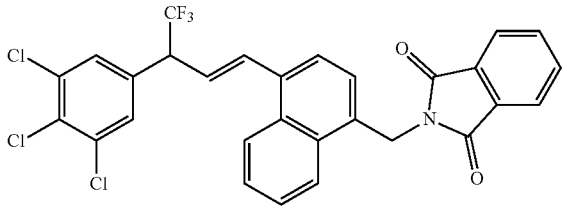


To a stirred solution of 4-((1,3-dioxoisoindolin-2-yl)methyl)-1-naphthaldehyde (9 g, 28.5 mmol) in 1,4-dioxane (100 mL) were added K_2CO_3 (6 g, 42.8 mmol) and methyl triphenyl phosphonium bromide (15.3 g, 35.7 mmol) at ambient temperature. The reaction mixture was heated at 100° C. for 14 h and then was cooled to ambient temperature. The reaction mixture was filtered, and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 20% EtOAc in petroleum ether) afforded the title compound as a white solid (6 g, 67%):

mp 146-147° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (m, 2H), 7.95 (m, 4H), 7.65 (m, 4H), 7.39 (m, 1H), 5.81 (m, 1H), 5.45 (m, 1H), 5.21 (s, 2H); ESIMS m/z 314.13 ([M+H]$^+$).

Example 63

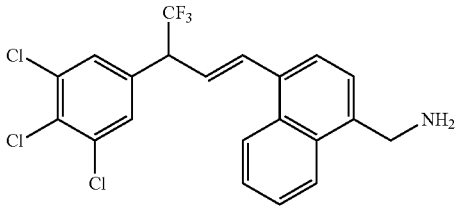
Preparation of (E)-2-((4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)isoindoline-1,3-dione (CI70)



To a stirred solution of 2-((4-vinylnaphthalen-1-yl)methyl) isoindoline-1,3-dione (1.5 g, 4.79 mmol) in 1,2-dichlorobenzene (15 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,4,5-trichlorobenzene (3.2 g, 9.5 mmol), CuCl (24 mg, 0.24 mmol) and 2,2-bipyridyl (0.149 g, 0.95 mmol), and the resultant reaction mixture was degassed with argon for 30 min and then stirred at 180° C. for 14 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) afforded the title compound as an off-white solid (1.5 g, 56%): mp 158-160° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (m, 1H), 7.89 (m, 2H), 7.74 (m, 2H), 7.64 (m, 2H), 7.58 (m, 2H), 7.46 (s, 2H), 7.36 (m, 2H), 6.31 (m, 1H), 5.30 (s, 2H), 4.21 (m, 1H); ESIMS m/z 572.08 ([M−H]$^-$).

Example 64

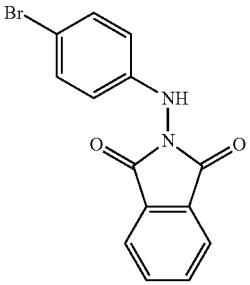
Preparation of (E)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl) methanamine (CI71)



To a stirred solution of (E)-2-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl) isoindoline-1,3-dione (0.4 g, 0.7 mmol) in EtOH was added hydrazine hydrate (0.18 g, 3.5 mmol), and the resultant reaction mixture was heated at 80° C. for 2 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, and the solution was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The title compound was isolated as a gummy liquid (150 mg, 50%). The product obtained in this reaction was carried on to the next step without further purification.

Example 65

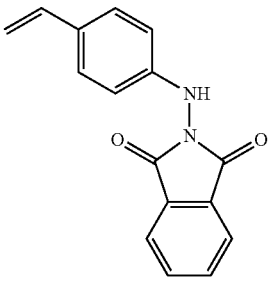
Preparation of 2-((4-Bromophenyl)amino)isoindoline-1,3-dione (CI72)



To a stirred solution of (4-bromophenyl)hydrazine hydrochloride (0.5 g, 2.2 mmol) in glacial acetic acid (8 mL) was added phthalic anhydride (0.398 g, 2.690 mmol), and the reaction mixture was stirred at 130° C. for 1 h under a nitrogen atmosphere. The reaction mixture was quenched with satd aq. $NaHCO_3$ solution and filtered to give a solid. Purification by column chromatography (SiO_2, 0-10% EtOAc in petroleum ether) afforded the title compound as a solid (60 mg, 84%): mp 205-206° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 7.99 (m, 4H), 7.32 (d, J=8.8 Hz, 2H), 6.79 (d, J=8.8 Hz, 2H); ESIMS m/z 314.95 ([M−H]$^-$).

Example 66

Preparation of 2-((4-Vinylphenyl)amino)isoindoline-1,3-dione (CI73)

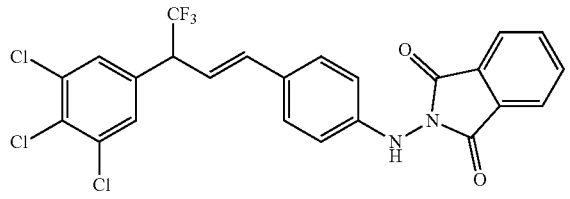


To a solution of 2-(4-bromophenylamino)isoindoline-1,3-dione (2 g, 6 mmol) in 1,2-dimethoxyethane (20 mL) and H_2O (4 mL) were added vinyl boronic anhydride pyridine complex (4.57 g, 18.98 mmol) and K_2CO_3 (1.3 g, 9.5 mmol) followed by $Pd(PPh_3)_4$ (0.219 g, 0.189 mmol). The resultant reaction mixture was heated at 150° C. in a microwave for 30 min and then was concentrated under reduced pressure. Purification by column chromatography (SiO_2, 15% EtOAc in petroleum ether) afforded the title compound as a solid (200 mg, 13%): mp 174-176° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.94 (m, 4H), 7.29 (d, J=8.4 Hz, 2H), 6.72 (d,

J=8.4 Hz, 2H), 6.61 (m, 1H), 5.61 (d, J=17.6 Hz, 1H), 5.05 (d, J=11.2 Hz, 1H); ESIMS m/z 263.18 ([M−H]⁻).

Example 67

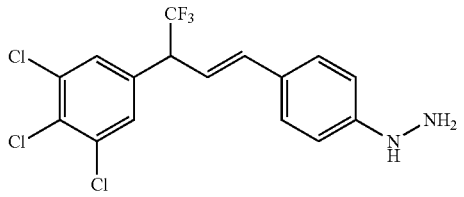
Preparation of (E)-2-((4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)amino)isoindoline-1,3-dione (CI74)



To a stirred solution of 2-(4-vinylphenylamino)isoindoline-1,3-dione (0.3 g, 1.1 mmol) in 1,2-dichlorobenzene (5 mL) were added CuCl (0.022 g, 0.273 mmol), 2,2-bipyridyl (0.07 g, 0.46 mmol) and 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.77 g, 2.27 mmol). The reaction mixture was degassed with argon for 30 min and was heated at 180° C. for 2 h. The reaction mixture was then concentrated under reduced pressure, and the residue was purified by column chromatography (SiO_2, 0-30% EtOAc in petroleum ether) to afford the title compound as a solid (450 mg, 75%): mp 187-189° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (s, 1H), 7.96 (m, 4H), 7.82 (s, 2H), 7.37 (d, J=8.8 Hz, 1H), 6.73 (d, J=8.4 Hz, 2H), 6.61 (m, 2H), 6.58 (m, 1H), 4.59 (m, 1H); ESIMS m/z 523.05 ([M−H]⁻).

Example 68

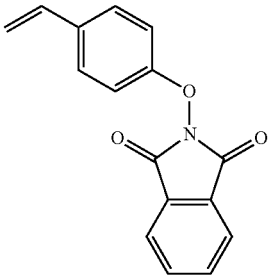
Preparation of (E)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydrazine (CI75)



To a stirred solution of (E)-2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)phenylamino)isoindoline-1,3-dione (0.16 g, 0.31 mmol) in EtOH (5 mL), was added hydrazine hydrate (0.076 g, 1.52 mmol), and the reaction mixture was heated at 85° C. for 1 h. The reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure to afford the title compound as a solid (0.08 g, 66%) which was carried on to the next step without further purification.

Example 69

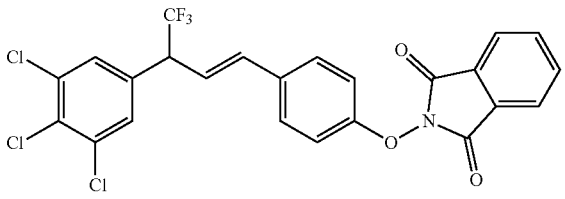
Preparation of 2-(4-Vinylphenoxy)isoindoline-1,3-dione (CI76)



To a stirred solution of 4-vinylphenylboronic acid (2 g, 13 mmol), 2-hydroxyisoindoline-1,3-dione (3.63 g, 24.53 mmol), and CuCl (1.214 g 12.26 mmol) in 1,2-dichloroethane (50 mL) was added pyridine (1.065 g, 13.48 mmol), and the resultant reaction mixture was stirred at ambient temperature for 48 h. The reaction mixture was diluted with H_2O and extracted with $CHCl_3$. The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 20% EtOAc in petroleum ether) afforded the title compound as a white solid (2 g, 63%): mp 129-131° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, J=2.0 Hz, 2H), 7.82 (d, J=3.2 Hz, 2H), 7.38 (d, J=2.0 Hz, 2H), 7.14 (d, J=2.0 Hz, 2H), 6.70 (m, 1H), 5.83 (d, J=16.0 Hz, 1H), 5.22 (d, J=10.8 Hz, 1H); ESIMS m/z 266.12 ([M+H]⁺).

Example 70

Preparation of (E)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenoxy)isoindoline-1,3-dione (CI77)

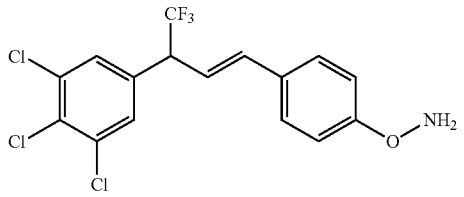


To a stirred solution of 2-(4-vinylphenoxy)isoindoline-1,3-dione (0.3 g, 1.1 mmol) in 1,2-dichlorobenzene (10 mL) was added 1-(1-bromoethyl)-3,4,5-trichlorobenzene (769 mg, 2.26 mmol), CuCl (22 mg, 0.22 mmol) and 2,2-bipyridyl (35 mg, 0.44 mmol), and the resultant reaction mixture was degassed with argon for 30 min and heated to 180° C. for 24 h. The reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. The crude material was purified by column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in petroleum ether) to afford the title compound as a solid (0.29 g, 50%): ^{1}H

NMR (400 MHz, $CDCl_3$) δ 7.90 (m, 1H), 7.62 (m, 2H), 7.50 (m, 1H), 7.40 (s, 2H), 7.12 (s, 1H), 6.90 (m, 2H), 6.60 (m, 2H), 6.20 (m, 1H), 4.08 (m, 1H); ESIMS m/z 524.09 ($[M-H]^-$).

Example 71

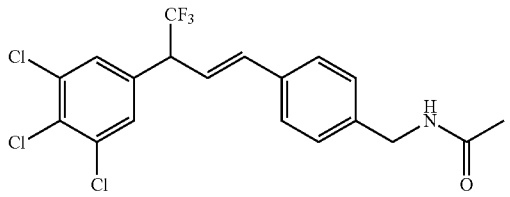
Preparation of (E)-O-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydroxylamine (CI78)



To a stirred solution of (E)-2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)phenoxy)isoindoline-1,3-dione (0.2 g, 0.4 mmol) in EtOH was added hydrazine hydrate (0.1 g, 1.9 mmol), and the resultant reaction mixture was heated at 90° C. for 1 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2. washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a gummy liquid (0.08 g, 53%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 6.98 (s, 1H), 6.82 (s, 2H), 6.48 (m, 1H), 6.20 (m, 1H), 5.02 (s, 1H), 4.08 (m, 1H); ESIMS m/z 394.94 ($[M-H]^-$).

Example 72

Preparation of (E)-N-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)benzyl)acetamide (CC1)

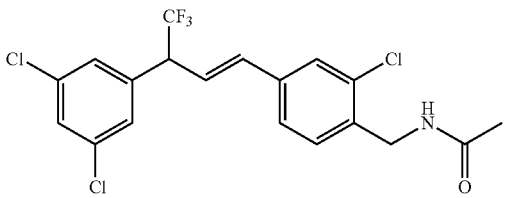


To a stirred solution of (E)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.3 g, 0.8 mmol) in DCM (10 mL) was added acetic anhydride (0.12 mL, 1.14 mmol), and TEA (0.217 mL, 1.52 mmol), and the resultant reaction mixture was stirred at ambient temperature for 6 h. The reaction mixture was diluted with H_2O and extracted with DCM. The combined DCM layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 30-50% ethyl acetate in hexane) afforded the title compound as an off-white solid (0.2 g, 60%) mp 107-109° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, J=16.0 Hz, 1H), 6.36 (dd, J=16.0, 8.0 Hz, 1H), 5.75 (br s, 1H), 4.46 (d, J=6 Hz, 2H), 4.01 (m, 1H), 2.11 (s, 3H); ESIMS m/z 402.00 ($[M+H]^+$).

Compounds CC2-CC6 in Table 1 were made in accordance with the procedures disclosed in Example 72. In addition, compound DC56 in Table 1 was made from compound DC55 in accordance with the procedures disclosed in Example 72.

Example 73

Preparation of (E)-N-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)acetamide (CC7)

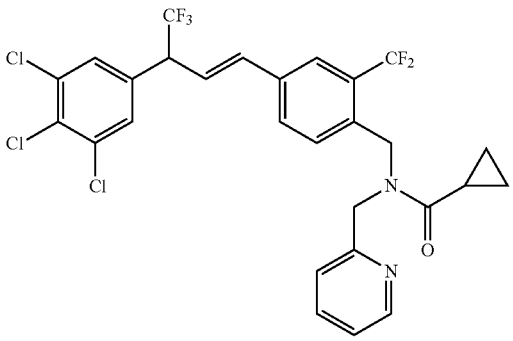


To a stirred solution of (E)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.3 g, 0.8 mmol) in DMF (5 mL) was added 2,2,2-trifluoropropanoic acid (97 mg, 0.76 mmol), $HOBt.H_2O$ (174 mg, 1.14 mmol) and EDC.HCl (217 mg, 1.14 mmol) and DIEA (196 mg, 1.52 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with H_2O and extracted with EtOAc. The combined EtOAc layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; ethyl acetate in hexane (30-50% afforded the title compound as an off-white solid (0.2 g, 60%): mp 127-128° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.42 (m, 4H), 7.24 (m, 2H), 6.53 (d, J=16.0 Hz, 1H), 6.36 (dd, J=16.0, 8.0 Hz, 1H), 5.86 (br s, 1H), 4.51 (d, J=6.0 Hz, 2H), 4.05 (m, 1H), 2.02 (s, 3H); ESIMS m/z 436.03 ($[M+H]^+$).

Compounds CC8-CC28 in Table 1 were made in accordance with the procedures disclosed in Example 73.

Example 74

Preparation of (E)-N-(Pyridin-2-ylmethyl)-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)cyclopropanecarboxamide (CC29)



Step 1: (E)-1-(Pyridin-2-yl)-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)methanamine

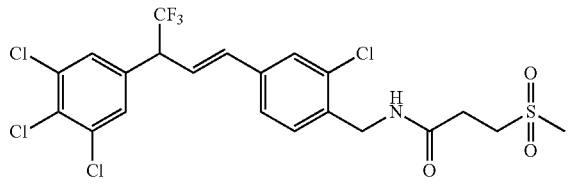
(E)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenyl)methanamine (0.46 g, 1 mmol) was dissolved in CH_3OH (3 mL). To this was added pyridine-2-carbaldehyde (0.107 g, 1 mmol). The reaction mixture was stirred for 1 h. After 1 h, $NaBH_4$ (0.076 g, 2 mmol) was added and left at ambient temperature for 3 h. The reaction mixture was concentrated to give an oily residue. Purification by flash column chromatography (SiO_2, 100-200 mesh; 30-50% EtOAc in hexane) afforded the title compound as a pale yellow liquid (0.22 g, 40%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, J=4.8 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, J=16.0 Hz, 1H), 6.38 (dd, J=16.0, 8.0 Hz, 1H), 4.10 (m, 1H), 4.02 (s, 2H), 3.96 (s, 2H); ESIMS m/z 552.95 ($[M+H]^+$); IR (thin film) 3338, 1114, 808 cm^{-1}.

Step 2: (E)-N-(Pyridin-2-ylmethyl)-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)cyclopropanecarboxamide

(E)-1-(Pyridin-2-yl)-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzyl) methanamine (0.27 g, 0.05 mmol) was taken up in CH_2Cl_2 (3 mL). To this was added Et_3N (0.14 mL, 0.1 mmol). The reaction mixture was stirred for 10 min After 10 min, the reaction mixture was cooled to 0° C., and cyclopropylcarbonyl chloride (0.08 mL, 0.075 mmol) was added. The reaction mixture was stirred at ambient temperature for 1 h and then was washed with H_2O and satd aq $NaHCO_3$ solution. The organic layer was dried over anhydrous Na_2SO_4 and evaporated to obtain pale yellow gummy material (0.15 g, 50%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, J=4.6 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, J=16.0 Hz, 1H), 6.38 (dd, J=16.0, 8.0 Hz, 1H), 5.02 (s, 1H), 4.8 (s, 1H), 4.8 (d, J=10 Hz, 2H), 4.10 (m, 1H), 1.8 (m, 1H), 1.2 (m, 2H), 0.6 (m, 2H); ESIMS m/z 620.86 ($[M-H]^-$); IR (thin film) 1645, 1115, 808 cm^{-1}.

Example 75

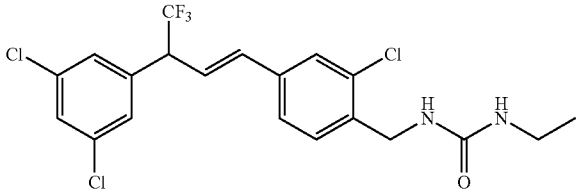
Preparation of (E)-N-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-(methylsulfonyl)propanamide (CC30)



(E)-N-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-(methylthio)propanamide (0.15 g, 0.28 mmol) was treated with oxone (0.175 g, 0.569 mmol) in 1:1 acetone:water (20 mL) for 4 h at ambient temperature. The acetone was evaporated to obtain a white solid (0.095 g, 60%): mp 101-104° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 4H), 7.24 (m, 1H), 6.53 (d, J=16.0 Hz, 1H), 6.35 (dd, J=16.0, 8.0 Hz, 1H), 6.12 (br s, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.91 (s, 3H), 2.78 (m, 2H); ESIMS m/z 559.75 ($[M-H]^-$).

Example 76

Preparation of (E)-1-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)-3-ethylurea (CC31)

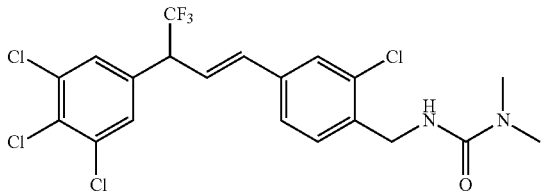


To a stirred solution of (E)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0° C. were added Et_3N (0.141 mL, 1 mmol) and ethylisocyanate (0.053 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30-50% EtOAc in hexane) afforded the title compound as a solid (0.141 g, 60%): mp 177-178° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.58 (m, 2H), 7.41 (m, 3H), 7.24 (m, 1H), 6.53 (d, J=16.0 Hz, 1H), 6.35 (dd, J=16.0, 8.0 Hz, 1H), 4.70 (br s, 1H), 4.43 (s, 2H), 4.08 (m, 1H), 3.21 (m, 2H), 1.25 (m, 3H); ESIMS m/z 463 ($[M-H]^-$).

Compounds CC32-CC35 in Table 1 were made in accordance with the procedures disclosed in Example 76.

Example 77

Preparation of (E)-3-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-1,1-dimethylurea (CC36)

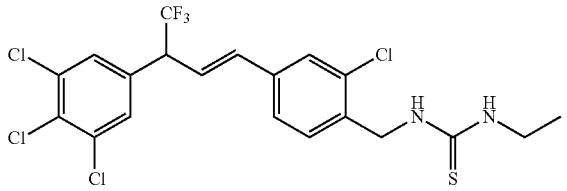


To a stirred solution of (E)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0° C. were added Et_3N (0.141 mL, 1 mmol) and N,N-dimethylcarbamoyl chloride (0.08 g, 0.075 mmol), and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30-50% EtOAc in hexane) afforded the title compound as a solid (0.15 g, 60%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, J=16.0 Hz, 1H), 6.34 (dd, J=16.0,

8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, J=6.0 Hz, 2H), 4.10 (m, 1H), 2.9 (s, 3H), 2.7 (s, 3H); ESIMS m/z 497 ([M−H]$^-$); IR (thin film) 3350, 1705, 1114, 808 cm^{-1}.

Example 78

Preparation of (E)-1-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-ethylthiourea (CC37)

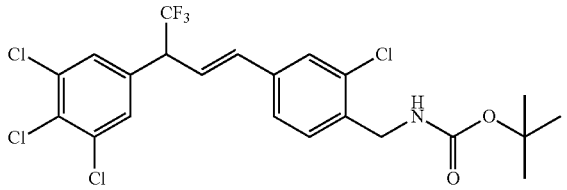


To a stirred solution of (E)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0° C. were added Et_3N (0.141 mL, 1 mmol) and ethyl isothicyanate (0.053 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30-50% EtOAc in hexane) afforded the title compound as a solid (0.14 g, 60%): mp 88-91° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, J=8 Hz, 1H), 7.41 (d, J=7.2 Hz, 2H), 7.26 (m, 2H), 6.50 (d, J=16 Hz, 1H), 6.35 (dd, J=16.0, 8.0 Hz, 1H), 6.0 (br s, 1H), 5.73 (br s, 1H), 4.80 (br s, 2H), 4.09 (m, 1H), 1.23 (m, 3H); ESIMS m/z 515.01 ([M+H]$^+$).

Compound CC38 in Table 1 was made in accordance with the procedures disclosed in Example 78.

Example 79

Preparation of (E)-tert-Butyl(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)-3-ethylurea (CC39)

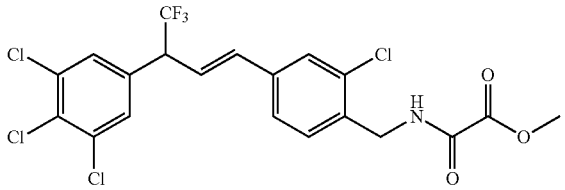


To a stirred solution of (E)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol in CH_2Cl_2 (5 mL) at 0° C. were added Et_3N (0.141 mL, 1 mmol) and di-tert-butyl dicarbonate (0.163 mL, 0.75 mmol), and the reaction mixture was stirred for 4 h at ambient temperature. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 10-20% EtOAc in hexane) afforded the title compound as a white solid (0.147 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, J=16.0 Hz, 1H), 6.34 (dd, J=16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, J=6.0 Hz, 2H), 4.10 (m, 1H), 1.53 (s, 9H); ESIMS m/z 526.09 ([M−H]$^-$); IR (thin film) 3350, 1705, 1114, 808 cm^{-1}.

Compound CC40 in Table 1 was made in accordance with the procedures disclosed in Example 79.

Example 80

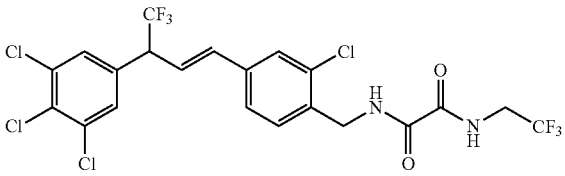
Preparation of (E)-Methyl 2-((2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)amino)-2-oxoacetate (CC41)



To a stirred solution of (E)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0° C. were added Et_3N (0.141 mL, 1 mmol) and methyl 2-chloro-2-oxoacetate (0.09 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in hexane) afforded the title compound as a solid (0.12 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (m, 1H). 7.43 (m, 3H), 7.38 (m, 1H), 7.23 (s, 1H), 6.55 (d, J=16.0 Hz, 1H), 6.36 (dd, J=16.0, 8.0 Hz, 1H), 4.60 (d, J=4.4 Hz, 2H), 4.18 (m, 1H), 3.85 (s, 3H); ESIMS m/z 512.22 ([M−H]$^-$); IR (thin film) 1740, 1701, 1114, 808 cm^{-1}.

Example 81

Preparation of (E)-N^1-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-N^2-(2,2,2-trifluoroethyl)oxalamide (CC42)

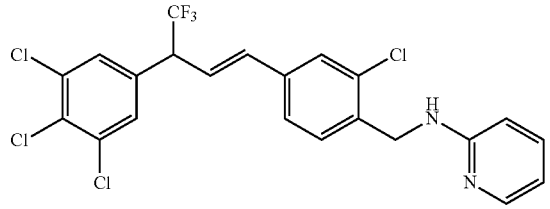


To a stirred solution of 2,2,2-trifluoroethylamine hydrochloride (0.1 g, 0.77 mmol) in CH_2Cl_2 (10 mL) was added dropwise trimethylaluminum (2 M solution in toluene; 0.39 mL, 0.77 mmol), and the reaction mixture was stirred at 25° C. for 30 min. A solution of (E)-methyl 2-((2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-2-oxoacetate (0.2 g, 0.38 mmol) in CH_2Cl_2 (5 mL) was added dropwise to the reaction mixture at 25° C. The reaction mixture was stirred at reflux for 18 h, cooled to 25° C., quenched with 0.5 N HCl solution (50 mL) and extracted with EtOAc (2×50 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chroma-

tography (SiO_2, 100-200 mesh; 20%-40% EtOAc in n-hexane) to afford the title compound (0.13 g, 60%): mp 161-163° C.; ^1H NMR (400 MHz, DMSO-d_6) δ 9.45 (br s, 2H), 7.90 (s, 2H), 7.75 (s, 1H), 7.46 (s, 1H), 7.28 (s, 1H), 6.93 (m, 1H), 6.75 (m, 1H), 4.80 (m, 1H), 4.40 (s, 2H), 3.90 (s, 2H); ESIMS m/z 578.96 ([M−H]⁻).

Example 82

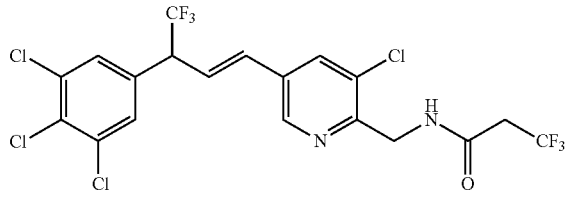
Preparation of (E)-N-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)pyridin-2-amine (CC43)



To a stirred solution of N-(2-chloro-4-vinylbenzyl)pyridin-2-amine (0.3 g, 1.22 mmol) in 1,2-dichlorobenzene (5 mL) were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.83 g, 2.44 mmol), CuCl (24 mg, 0.24 mmol) and 2,2-bipyridyl (76 mg, 0.48 mmol). The resultant reaction mixture was degassed with argon for 30 min and then stirred at 180° C. for 24 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 15% EtOAc in n-hexane) afforded the title compound as an off-white solid (0.2 g, 35%): mp 140-142° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J=4.0 Hz, 1H), 7.40 (m, 5H), 7.22 (m, 1H), 6.61 (m, 2H), 6.35 (m, 2H), 4.94 (br s, 1H), 4.61 (d, J=6.4 Hz, 2H), 4.11 (m, 1H); ESIMS m/z 505.39 ([M+H]⁺).

Example 83

Preparation of (E)-N-((3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)-but-1-en-1-yl)pyridin-2-yl) methyl)-3,3,3-trifluoropropanamide (CC44)

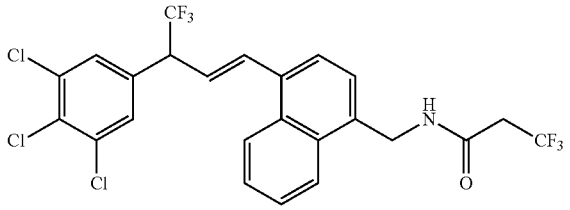


To a stirred solution of (E)-(3-chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl)methanamine (0.1 g, 0.2 mmol) in CH_2Cl_2 (5 mL) were added 3,3,3-trifluoropropanoic acid (45 mg, 0.350 mmol), EDC.HCl (67 mg, 0.350 mmol), HOBt.H_2O (71 mg, 0.467 mmol) and DIEA (60.2 mg, 0.467 mmol), and the reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with CH_2Cl_2 and washed with H_2O. The combined CH_2Cl_2 layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in petroleum ether) afforded the title compound as a pale yellow liquid (30 mg, 35%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.77 (s, 1H), 7.47 (br s, 1H), 7.40 (s, 2H), 6.58 (d, J=16.0 Hz, 1H), 6.45 (dd, J=16.0, 8.0 Hz, 1H), 4.68 (d, J=4.0 Hz, 2H), 4.14 (m, 1H), 3.24 (q, J=10.8 Hz, 2H); ESIMS m/z 536.88 ([M−H]⁻); IR (thin film) 3320, 1674, 1114, 808.

Compound CC45 in Table 1 was made in accordance with the procedures disclosed in Example 83.

Example 84

Preparation of (E)-3,3,3-Trifluoro-N-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)propanamide (CC46)

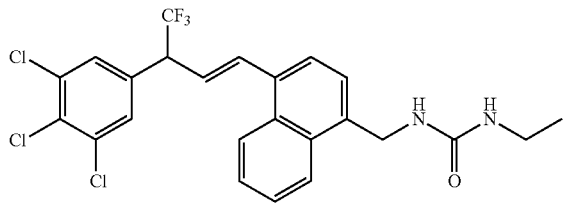


To a stirred solution of (E)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methanamine (0.1 g, 0.22 mmol) in CH_2Cl_2 (8 mL) were added 3,3,3-trifluoropropanoic acid (0.032 g, 0.24 mmol), HOBt.H_2O (52 mg, 0.33 mmol), EDC.HCl (0.065 g, 0.33 mmol) and DIEA (0.044 g, 0.45 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with H_2O and extracted with EtOAc (3×30 mL). The combined EtOAc layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in n-hexane) afforded the title compound as a gummy material (60 mg, 50%): mp 151-153° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.06 (m, 1H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, J=8.0 Hz, 1H), 7.38 (m, 1H), 6.42 (m, 1H), 5.92 (br s, 1H), 4.92 (m, 2H), 4.24 (m, 1H), 3.12 (m, 2H); ESIMS m/z 554.04 ([M−H]⁻).

Compounds CC47-CC48 in Table 1 were made in accordance with the procedures disclosed in Example 84.

Example 85

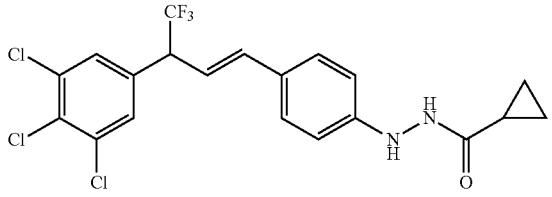
Preparation of (E)-1-Ethyl-3-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)urea (CC49)



To a stirred solution of (E)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methanamine (0.1 g, 0.22 mmol) in CH_2Cl_2 at 0° C. were added Et_3N (0.064 mL, 0.44 mmol) and ethylisocyanate (0.023 mL, 0.33 mmol), and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30% EtOAc in hexane) afforded the title compound as a solid (0.07 g, 60%): mp 84-87° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.06 (m, 1H), 7.98 (m, 1H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, J=8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 4.6 (br s, 1H), 4.24 (m, 1H), 3.21 (m, 2H), 1.2 (t, J=4.6 Hz, 3H); ESIMS m/z 515.33 ($[M+H]^+$).

Example 86

Preparation of (E)-N'-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)cyclopropanecarbohydrazide (CC50)

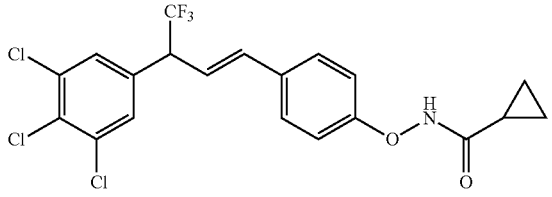


To a stirred solution of (E)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydrazine (0.1 g, 0.3 mmol) in CH_2Cl_2 (10 mL) was added DIEA (65 mg, 0.51 mmol), HOBt.H_2O (59 mg, 0.38 mmol), EDC.HCl (73 mg, 0.38 mmol) and cyclopropanecarbonyl chloride (0.024 g, 0.28 mmol), and the reaction mixture was stirred at ambient temperature for 1 h. The reaction mixture was diluted with satd aq $NaHCO_3$ solution and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 5-25% EtOAc in petroleum ether) afforded the title compound as a solid (65 mg, 55%): mp 138-140° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 9.81 (s, 1H), 7.90 (s, 1H), 7.84 (s, 2H), 7.34 (d, J=8.4 Hz, 2H), 6.65 (d, J=15.6 Hz, 1H), 6.61 (m, 1H), 6.57 (s, 1H), 6.48 (dd, J=15.6, 8.8 Hz, 1H), 4.74 (m, 1H), 1.64 (m, 1H), 0.75 (m, 4H); ESIMS m/z 461.32 ($[M-H]^-$).

Compound CC51 in Table 1 was made in accordance with the procedures disclosed in Example 86.

Example 87

Preparation of (E)-N-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenoxy)cyclopropanecarboxamide (CC52)

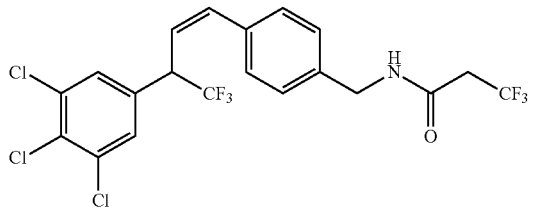


To a stirred solution of (E)-O-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydroxylamine (0.15 g, 0.38 mmol) in CH_2Cl_2 (5 mL) was added EDC.HCl (0.109 g, 0.569 mmol), HOBt.H_2O (0.087 g, 0.569 mmol), DIEA (0.097 g, 0.758 mmol) and cyclopropanecarboxylic acid (0.049 g, 0.569 mmol). The resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with H_2O and extracted with $CHCl_3$ (35 mL) The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 20% EtOAc in hexane) afforded the title compound as a brown liquid (0.06 g, 34%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.65 (m, 1H), 6.20 (m, 1H), 5.55 (s, 1H), 4.08 (m, 1H), 1.90 (m, 1H), 1.30-1.10 (m, 4H); ESIMS m/z 464.87 ($[M-H]^-$).

Compound CC53 in Table 1 was made in accordance with the procedures disclosed in Example 87.

Example 88

Preparation of (Z)-3,3,3-Trifluoro-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)propanamide (CC54)

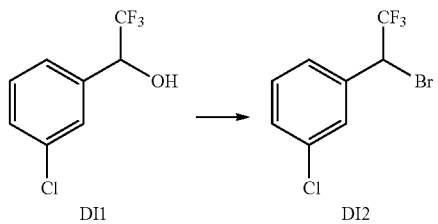


A silicon borate vial was charged with (E)-3,3,3-trifluoro-N-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)propanamide (133 mg, 0.269 mmol) and dimethyl sulfoxide (DMSO; 10 mL). The mixture was placed within 0.6 to 1 meter (m) of a bank of eight 115 watt Sylvania FR48T12/350BL/VHO/180 Fluorescent Tube Black Lights and four 115 watt Sylvania (daylight) F48T12/D/VHO Straight T12 Fluorescent Tube Lights for 72 h. The mixture was concentrated in vacuo and purified by reverse phase chromatography to give the title compound as a colorless oil (11 mg, 8%): ^1H NMR (300 MHz, $CDCl_3$) δ 7.28 (s, 2H), 7.25 (m, 2H), 7.10 (d, J=8.0 Hz, 2H), 6.89 (d, J=11.4 Hz, 1H), 6.07 (br s, 1H), 6.01 (m, 1H), 4.51 (d, J=5.8 Hz, 2H), 4.34 (m, 1H), 3.12 (q, J=7.5 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.44, 137.20, 135.38, 135.23, 134.82, 134.68, 131.71, 129.00, 128.80, 128.69, 128.10, 127.96, 122.63, 76.70, 47.33 (q, J=28 Hz), 43.59, 42.12 (q, J=30 Hz); ESIMS m/z 504 ($[M+H]^+$).

Compounds DC46, AC93. AC94 in Table 1 were made in accordance with the procedures disclosed in Example 88.

Example 89

Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3-chlorobenzene (DI2)

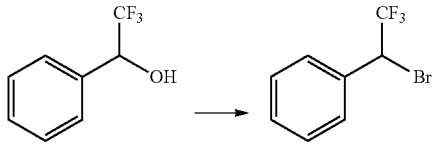


DI1 DI2

The title compound was synthesized in two steps via 1-(3-chlorophenyl)-2,2,2-trifluoroethanol (DI1, prepared as in Step 1, Method B in Example 1); isolated as a colorless viscous oil (1.5 g, 75%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (s, 1H), 7.42-7.35 (m, 3H), 5.02 (m, 1H), 2.65 (br s, 1H)) and Step 2 in Example 1 and isolated (0.14 g, 22%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (br s, 1H), 7.42-7.35 (m, 3H), 5.07 (m, 1H).

The following compounds were made in accordance with the procedures disclosed in Example 89.

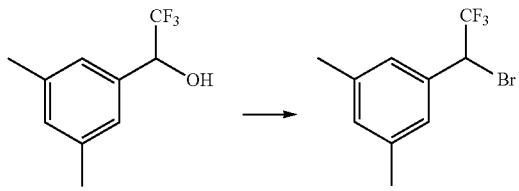
(1-Bromo-2,2,2-trifluoroethyl)benzene (DI4)



DI3 DI4

2,2,2-Trifluoro-1-phenylethanol (DI3) was isolated (10 g, 80%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.48 (m, 2H), 7.40 (m, 3H), 5.02 (m, 1H), 2.65 (d, J=7.1 Hz, 1H). The title compound (DI4) was isolated as a liquid (8.0 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (m, 2H), 7.40 (m, 3H), 5.00 (q, J=7.5 Hz, 1H).

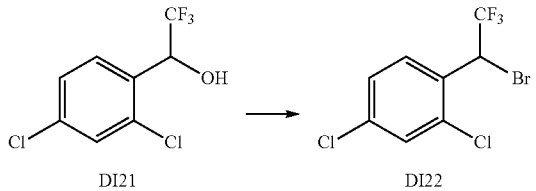
1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dimethylbenzene (DI20)



DI19 DI20

1-(3,5-Dimethylphenyl)-2,2,2-trifluoroethanol (DI19) was isolated an off white solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (s, 2H), 7.02 (s, 1H), 4.95 (m, 1H), 2.32 (s, 6H); ESIMS m/z 204 (ND. The title compound (DI20) was isolated (3.0 g, 51%).

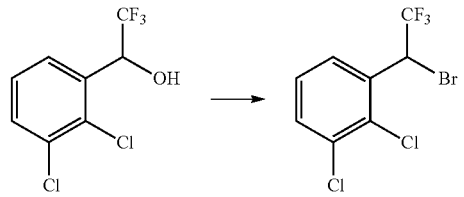
1-(1-Bromo-2,2,2-trifluoroethyl)-2,4-dichlorobenzene (DI22)



DI21 DI22

1-(2,4-Dichlorophenyl)-2,2,2-trifluoroethanol (DI21) was isolated as an off white powder (5.3 g, 61%): mp 49-51° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.66 (d, 1H), 7.42-7.44 (d, 1H), 7.32-7.36 (d, 1H), 5.6 (m, 1H), 2.7 (s, 1H); ESIMS m/z 244 ([M]$^+$). The title compound (DI22) was isolated (3.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.72 (m, 1H), 7.4-7.42 (m, 1H), 7.3-7.38 (m, 1H), 5.7-5.8 (m, 1H).

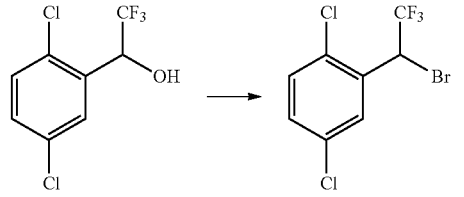
1-(1-Bromo-2,2,2-trifluoroethyl)-2,3-dichlorobenzene (DI24)



DI23 DI24

1-(2,3-Dichlorophenyl)-2,2,2-trifluoroethanol (DI23) was isolated as a pale yellow oil (5.2 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.64 (d, 1H), 7.52-7.54 (m, 1H), 7.29-7.33 (t, 1H), 5.6-5.76 (m, 1H), 2.7 (s, 1H); ESIMS m/z 243.9 ([M]$^+$). The title compound (DI24) was isolated as an oil (8.7 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.71 (m, 1H), 7.44-7.52 (m, 1H), 7.27-7.3 (s, 1H), 5.81-5.91 (m, 1H).

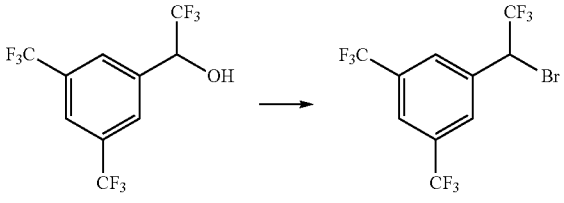
2-(1-Bromo-2,2,2-trifluoroethyl)-1,4-dichlorobenzene (DI26)



DI25 DI26

1-(2,5-Dichlorophenyl)-2,2,2-trifluoroethanol (DI25) was isolated as a yellow oil (4.1 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.7 (s, 1H), 7.3-7.37 (m, 2H), 5.51-5.6 (m, 1H), 2.7 (s, 1H); ESIMS m/z 244 ([M]$^+$)). The title compound (DI26) was isolated (3.0 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.7-7.78 (m, 1H), 7.3-7.4 (m, 2H), 5.7-5.8 (m, 1H).

1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-bis(trifluoromethyl)benzene (DI28)

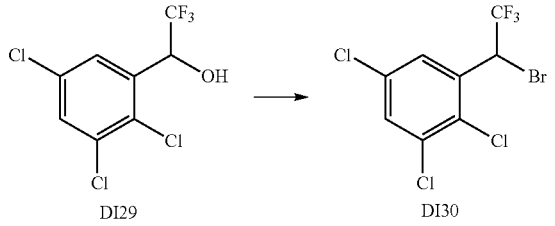


DI27 DI28

1-(3,5-Bis(trifluoromethyl)phenyl)-2,2,2-trifluoroethanol (DI27) was isolated (3.8 g, 60%): ^{1}H NMR (400 MHz,

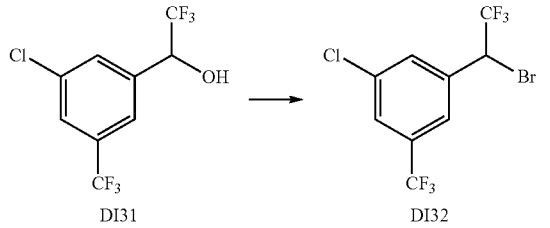
$CDCl_3$) δ 7.98 (m, 3H), 5.25 (m, 1H), 3.2 (br, 1H); ESIMS m/z 312.2 ([M]+). The title compound (DI28) was prepared and carried on crude.

1-(1-Bromo-2,2,2-trifluoroethyl)-2,3,5-trichlorobenzene (DI30)



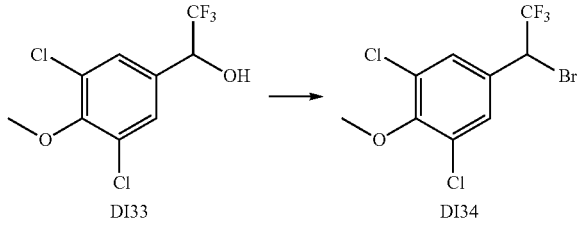
2,2,2-Trifluoro-1-(2,3,5-trichlorophenyl)ethanol (DI29) was isolated as a white solid (4.0 g, 60%): mp 113-115° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 1H), 7.50 (d, 1H), 5.60-5.70 (m, 1H), 2.75 (s, 1H); ESIMS m/z 278.0 ([M+]). The title compound (DI30) was isolated (2.9 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, 1H), 7.50 (d, 1H), 5.72-5.82 (m, 1H).

1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(trifluoromethyl)benzene (DI32)



1-(3-Chloro-5-(trifluoromethyl)phenyl)-2,2,2-trifluoroethanol (DI31) was isolated as a pale yellow oil (2.0 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (m, 3H), 5.08 (m, 1H), 2.81 (s, 1H); ESIMS m/z 278.1 ([M]+). The title compound (DI32) was isolated oil (2.0 g, 40%): ESIMS m/z 342 ([M]+).

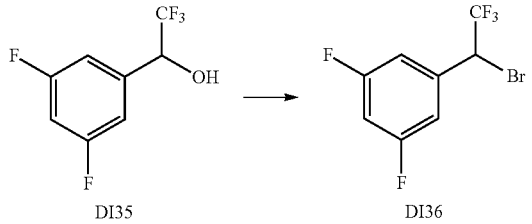
5-(1-Bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-methoxybenzene (DI34)



1-(3,5-Dichloro-4-methoxyphenyl)-2,2,2-trifluoroethanol (DI33) was isolated as an off white solid (0.8 g, 60%); mp 92-95° C.: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 2H), 5.00 (m, 1H), 3.89 (s, 3H), 2.64 (m, 1H); ESIMS m/z 274 ([M]+). The title compound (DI34) was isolated as a colorless liquid (0.6 g, 57%).

Example 90

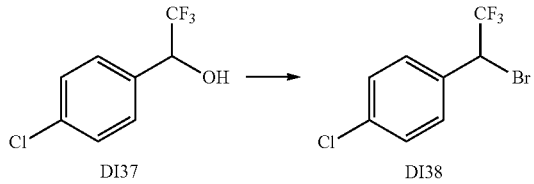
Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-difluorobenzene (DI36)



The title compound was synthesized in two steps via 1-(3,5-difluorophenyl)-2,2,2-trifluoroethanol (DI35, prepared as in Step 1, Method A in Example 1; isolated as a colorless oil (0.2 g, 75%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (m, 2H), 6.88 (m, 1H), 5.06 (m, 1H), 2.66 (s, 1H); ESIMS m/z 212 ([M]+) and Step 2 in Example 1 and isolated (3.2 g, 50%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (m, 2H), 6.86 (m, 1H), 5.03 (q, J=7.4 Hz, 1H).

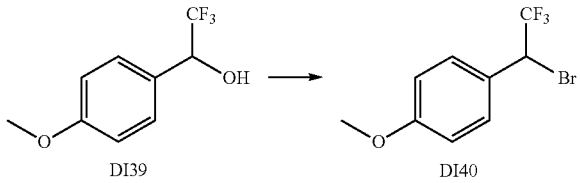
The following compounds were made in accordance with the procedures disclosed in Example 90.

1-(1-Bromo-2,2,2-trifluoroethyl)-4-chlorobenzene (DI38)



1-(4-Chlorophenyl)-2,2,2-trifluoroethanol (DI37) was isolated as a colorless oil (5.0 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44-7.38 (m, 4H), 5.05 (m, 1H), 2.55 (s, 1H); ESIMS m/z 210 ([M]+). The title compound (DI38) was isolated (3.0 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, J=8.2 Hz, 2H), 7.37 (d, J=8.2 Hz, 2H), 5.10 (q, J=7.2 Hz, 1H).

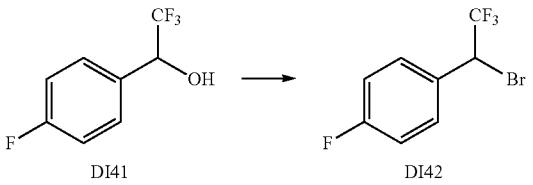
1-(1-Bromo-2,2,2-trifluoroethyl)-4-methoxybenzene (DI40)



2,2,2-Trifluoro-1-(4-methoxyphenyl)ethanol (DI39) was isolated as a pale yellow liquid: ^{1}H NMR (400 MHz, $CDCl_3$)

δ 7.41 (d, J=8.8 Hz, 2H), 6.95 (m, J=8.8 Hz, 2H), 5.00 (m, 1H), 3.82 (s, 3H), 2.44 (s, 1H); ESIMS m/z 206.1 ([M]$^+$). The title compound (DI40) was isolated (3.8 g, 62%).

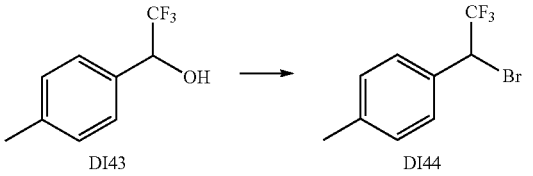
1-(1-Bromo-2,2,2-trifluoroethyl)-4-fluorobenzene (DI42)



DI41 DI42

2,2,2-Trifluoro-1-(4-fluorophenyl)ethanol (DI41) was isolated as a colorless oil (5 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48-7.45 (m, 2H), 7.13-7.07 (m, 2H), 5.06 (m, 1H), 2.53 (s, 1H); ESIMS m/z 194 ([M]$^+$). The title compound (DI42) was prepared and carried on as crude intermediate.

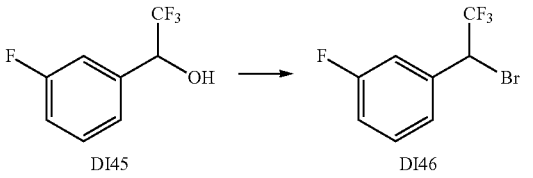
1-(1-Bromo-2,2,2-trifluoroethyl)-4-methylbenzene (DI44)



DI43 DI44

2,2,2-Trifluoro-1-(p-tolyl)ethanol (DI43) was isolated as colorless oil (5.0 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J=8.0 Hz, 2H), 7.23 (d, J=8.0 Hz, 2H), 5.02 (m, 1H), 2.46 (m, 1H), 2.37 (s, 3H); ESIMS m/z 190 ([M]$^+$). The title compound (DI44) was isolated (3.0 g, 45%).

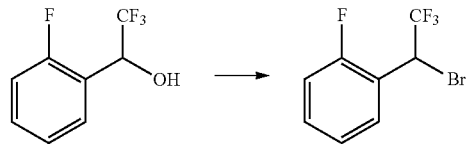
1-(1-Bromo-2,2,2-trifluoroethyl)-3-fluorobenzene (DI46)



DI45 DI46

2,2,2-Trifluoro-1-(3-fluorophenyl)ethanol (DI45) was isolated as a colorless viscous oil (2.8 g, 93%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 1H), 7.25 (m, 2H), 7.14 (m, 1H), 5.06 (m, 1H), 2.60 (s, 1H); ESIMS m/z 194 ([M]$^+$). The title compound (DI46) was isolated (2.0 g, 61%).

1-(1-Bromo-2,2,2-trifluoroethyl)-2-fluorobenzene (DI48)

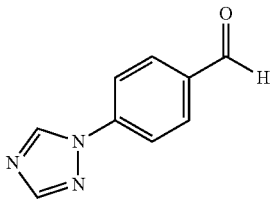


DI47 DI48

2,2,2-Trifluoro-1-(2-fluorophenyl)ethanol (DI47) was isolated as a colorless oil (2.5 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (m, 1H), 7.43 (m, 1H), 7.24 (m, 1H), 7.13 (m, 1H), 5.42 (m, 1H), 2.65 (s, 1H); ESIMS m/z 194 ([M]$^+$). The title compound (DI48) was isolated (2.0 g, 61%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (m, 1H), 7.40 (m, 1H), 7.23 (m, 1H), 7.10 (m, 1H), 5.40 (m, 1H); GCMS m/z 255 ([M−H]$^-$).

Example 91

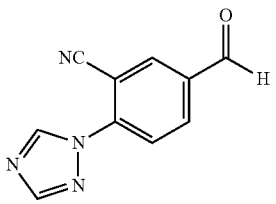
Preparation of 4-(1H-1,2,4-triazol-1-yl)benzaldehyde (DI5)



To a stirring solution of 4-fluorobenzaldehyde (10.0 g, 80.6 mmol) in DMF (150 mL) were added K_2CO_3 (13.3 g, 96.7 mmol) and 1,2,4-triazole (6.67 g, 96.7 mmol) and the resultant reaction mixture was stirred at 120° C. for 6 h. After completion of reaction (by TLC), the reaction mixture was diluted with H_2O and extracted with EtOAc (3×100 mL). The combined EtOAc layer was washed with H_2O and brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a solid (9.0 g, 65%): mp 145-149° C.: ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 8.70 (s, 1H), 8.16 (s, 1H), 8.06 (d, J=8.0 Hz, 2H), 7.92 (d, J=8.0 Hz, 2H); ESIMS m/z 173.9 ([M+H]$^+$).

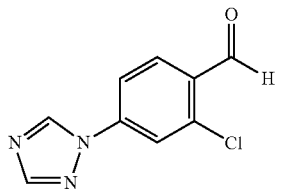
The following compound was made in accordance with the procedures disclosed in Example 91.

5-Formyl-2-(1H-1,2,4-triazol-1-yl)benzonitrile (DI49)



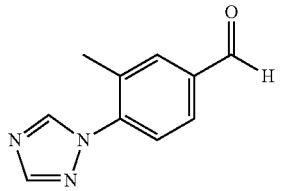
The title compound was isolated (2.8 g, 60%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.98 (s, 1H), 8.35 (s, 1H), 8.30 (d, 1H), 8.22 (s, 1H), 8.07 (d, 1H); IR (thin film) 3433, 3120, 1702, 1599, 1510 cm^{-1}.

2-Chloro-4-(1H-1,2,4-triazol-1-yl)benzaldehyde (DI50)



The title compound was isolated as an off white solid (3.0 g, 40%): mp 149-151° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.74 (s, 1H), 8.17 (s, 1H), 8.10 (s, 1H), 7.90 (m, 2H); ESIMS m/z 208.10 ($[M+H]^+$).

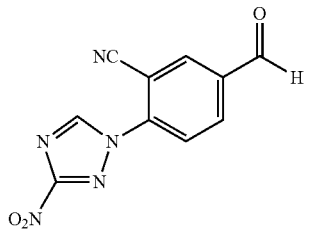
5-Methyl-4-(1H-1,2,4-triazol-1-yl)benzaldehyde (DI51)



The title compound was isolated as a white solid (0.5 g, 74%): mp 109-111° C.; ^{1}H NMR (400 MHz, D_6-DMSO) δ 10.06 (s, 1H), 9.00 (s, 1H), 8.30 (s, 1H), 7.99 (s, 1H), 7.92 (d, J=9.2 Hz, 1H), 7.69 (d, J=9.2 Hz, 1H), 2.30 (s, 3H); ESIMS m/z 188.13 ($[M+H]^+$).

Example 92

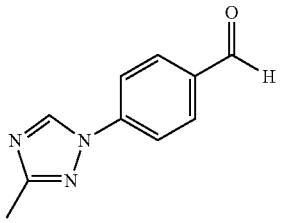
Preparation of 5-Formyl-2-(3-nitro-1H-1,2,4-triazol-1-yl)benzonitrile (DI52)



To a stirring solution of 2-fluoro-5-formylbenzonitrile (0.5 g, 3.3 mmol) in DMF (25 mL) were added K_2CO_3 (0.68 g, 4.95 mmol) and 3-nitro-1,2,4 triazole (0.45 g, 4.2 mmol) and the resultant reaction mixture was stirred at RT for 14 h. After completion of reaction (TLC), the reaction mixture was diluted with water and extracted with EtOAc. The combined EtOAc layer was washed with water and brine then dried over Na_2SO_4 and concentrated under reduced pressure to afforded the title compound as a pale yellow solid (0.36 g, 45%): mp 170-172° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.12 (s, 1H), 9.61 (s, 1H), 8.69 (s, 1H), 8.45 (d, J=9.3 Hz, 1H), 8.23 (d, J=9.3 Hz, 1H); ESIMS m/z 242.3 ($[M-H]^-$); IR (thin film) 2238, 1705, 1551, 1314 cm^{-1}.

Example 93

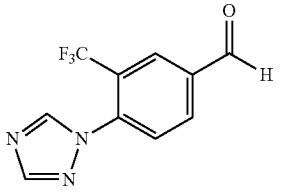
Preparation of 4-(3-Methyl-1H-1,2,4-triazol-1-yl) benzaldehyde (DI53)



To a stirring solution of 4-fluorobenzaldehyde (5.0 g, 40.32 mmol) in DMF (50 mL), were added K_2CO_3 (3.34 g, 40.32 mmol) and 3-methyl-1,2,4-trizole (3.34 g, 40.32 mmol) and the resultant reaction mixture was stirred at RT for 4 h. After completion of the reaction (TLC), the reaction mixture was diluted with water and extracted with EtOAc (3×). The combined EtOAc layer was washed with water and brine then dried over Na_2SO_4 and concentrated under reduced pressure to afforded the title compound as a white solid (4.1 g, 60%): mp 125-128° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.76 (s, 1H), 8.02 (d, 2H), 7.85 (d, 2H), 2.50 (s, 3H); ESIMS m/z 188.04 ($[M+H]^+$).

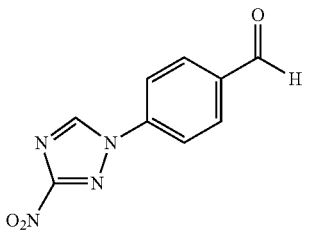
The following compound was made in accordance with the procedures disclosed in Example 93.

4-(1H-1,2,4-triazol-1-yl)-3-(trifluoromethyl)benzaldehyde (DI54)



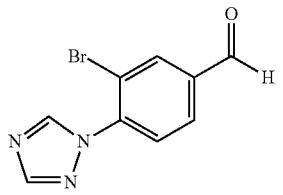
The title compound was isolated as white solid (1.05 g, 60%): mp 81-83° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.15 (s, 1H), 8.43 (s, 1H), 8.37 (s, 1H), 8.25 (d, J=7.2 Hz, 1H), 8.18 (s, 1H), 7.79 (d, J=7.2 Hz, 1H); ESIMS m/z 241.0 ($[M]^+$).

4-(3-Nitro-1H-1,2,4-triazol-1-yl)benzaldehyde (DI55)



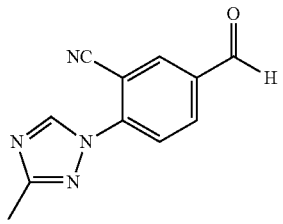
The title compound was isolated as pale yellow solid (0.10 g, 23%): mp 159-161° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.89 (s, 1H), 8.15 (m, 2H), 8.00 (m, 2H); ESIMS m/z 217.11 ([M−H]$^-$).

3-Bromo-4-(1H-1,2,4-triazol-1-yl)benzaldehyde (DI56)



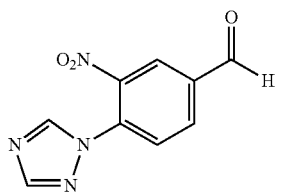
The title compound was isolated as white solid (3.2 g, 51%): mp 126-128° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.04 (s, 1H), 8.69 (s, 1H), 8.27 (M, 1H, 8.18 (s, 1H) 7.99 (d, J=9.2 Hz, 1H), 7.76 (d, J=9.2 Hz, 1H); ESIMS m/z 250.9 ([M]$^+$).

5-Formyl-2-(3-methyl-1H-1,2,4-triazol-1-yl)benzonitrile (DI57)



The title compound was isolated as white solid (0.13 g, 30%): mp 147-149° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.07 (s, 1H), 8.89 (s, 1H), 8.32 (d, J=1.8 Hz, 1H), 8.24 (dd, J=8.6, 1.3 Hz, 1H), 8.06 (d, J=8.6 Hz, 1H), 2.54 (s, 3H); ESIMS m/z 213.09 ([M+H]$^+$); IR (thin film) 2239, 1697 cm^{-1}.

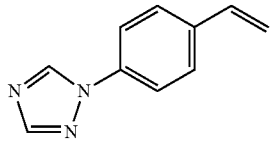
3-Nitro-4-(1H-1,2,4-triazol-1-yl)benzaldehyde (DI58)



The title compound was isolated as pale yellow solid (3.0 g, 60%): mp 116-118° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.15 (s, 1H), 8.48 (s, 1H), 8.46 (s, 1H), 8.26 (d, J=6.9 Hz, 1H), 8.16 (s, 1H), 7.83 (d, J=6.9 Hz, 1H); ESIMS m/z 219.00 ([M+H]$^+$).

Example 94

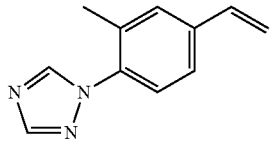
Preparation of 1-(4-Vinylphenyl)-1H-1,2,4-triazole (DI59)



To a stirred solution of 4-[1,2,4]triazol-1-yl-benzaldehyde (9.0 g, 52 mmol) in 1,4-dioxane (100 mL), were added K_2CO_3 (10.76 g, 78 mmol) and methyl triphenyl phosphonium bromide (22.2 g, 62.4 mmol) at room temperature. The resultant reaction mixture was heated to 70° C. for 18 h. After completion of the reaction (TLC), the reaction mixture was cooled to room temperature and filtered and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) to afforded the title compound as a white solid (5.6 g, 63%): ESIMS m/z 172.09 ([M+H]$^+$).

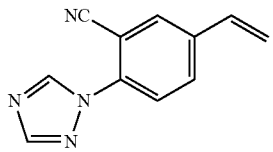
The following compound was made in accordance with the procedures disclosed in Example 94.

1-(2-Methyl-4-vinylphenyl)-1H-1,2,4-triazole (DI60)



The title compound was isolated as an off white solid (1.5 g, 76%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 8.11 (s, 1H), 7.35 (m, 2H), 7.27 (d, J=8.7 Hz, 1H), 6.74 (m, 1H), 5.82 (d, J=17.3 Hz, 1H), 5.36 (d, J=10.0 Hz, 1H), 2.25 (s, 3H); ESIMS m/z 186.14 ([M+H]$^+$).

2-(1H-1,2,4-Triazol-1-yl)-5-vinylbenzonitrile (DI61)

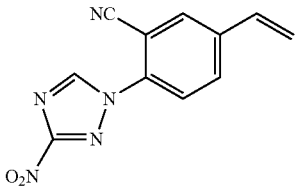


The title compound was isolated as an off-white solid (1.40 g, 71%): mp 126-129° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (s, 1H), 8.18 (s, 1H), 7.82-7.84 (m, 1H), 7.72-7.80 (m, 2H),

6.70-6.80 (dd, J=17.6, 10.8 Hz, 1H), 5.90-5.95 (d, J=17.6 Hz, 1H), 5.50-5.70 (d, J=10.8 Hz, 1H); ESIMS m/z 197.03 ([M+H]$^+$).

Example 95

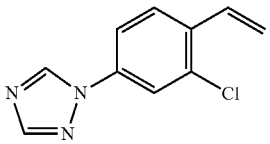
Preparation of 2-(3-Nitro-1H-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI62)



To a stirred solution of 5-formyl-2-(3-nitro-1H-1,2,4-triazol-1-yl)benzonitrile (0.36 g, 1.49 mmol) in 1,4-dioxane (25 mL), were added K_2CO_3 (0.3 g, 2.2 mmol) and methyl triphenyl phosphonium bromide (0.63 g, 1.79 mmol). The resultant reaction mixture was heated to 100° C. for 18 h. After completion of the reaction (TLC), the reaction mixture was cooled to room temperature and filtered and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) to afford the title compound as a solid (0.25 g, 70%): mp 103-105° C.; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.50 (s, 1H), 8.34 (m, 1H), 7.98 (d, J=7.8 Hz, 1H), 7.68 (d, J=7.8 Hz, 1H), 6.87 (m, 1H), 6.20 (d, J=15.7 Hz, 1H), 5.56 (d, J=11.8 Hz, 1H); ESIMS m/z 240.27 ([M−H]$^-$); IR (thin film) 2240, 1514, 1312 cm^{-1}.

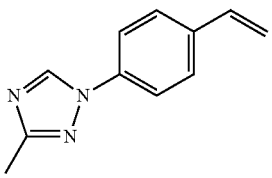
The following compound was made in accordance with the procedures disclosed in Example 95.

1-(3-Chloro-4-vinylphenyl)-1H-1,2,4-triazole (DI63)



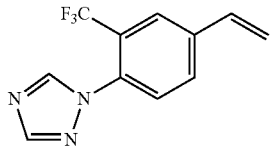
The title compound was isolated as an off-white solid (2.3 g, 80%): mp 134-137° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H), 8.11 (s, 1H), 7.76 (s, 1H), 7.70 (d, J=9.0 Hz, 1H), 7.57 (d, J=9.0 Hz, 1H), 7.10 (m, 1H), 5.80 (d, J=17.2 Hz, 1H), 5.47 (d, J=12.4 Hz, 1H); ESIMS m/z 206.04 ([M+H]$^+$.

3-Methyl-1-(4-vinylphenyl)-1H-1,2,4-triazole (DI64)



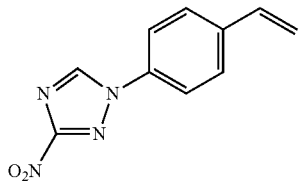
The title compound was isolated as a white solid (0.6 g, 60%): mp 109-111° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.40-7.60 (m, 4H), 6.70-7.00 (dd, J=17.6, 10.8 Hz, 1H), 5.80 (d, J=17.6 Hz, 1H), 5.30 (d, J=17.6 Hz, 1H), 2.50 (s, 3H); ESIMS m/z 186.20 ([M+H]$^+$).

1-(2-(Trifluoromethyl)-4-vinylphenyl)-1H-1,2,4-triazole (DI65)



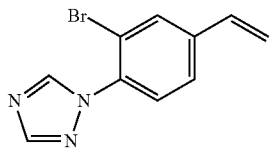
The title compound was isolated as a colorless oil (0.6 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 8.14 (s, 1H), 7.84 (s, 1H), 7.72 (d, J=8.0 Hz, 1H), 7.50 (d, J=7.6 Hz, 1H), 6.70-6.90 (dd, J=17.6, 10.8 Hz, 1H), 5.90-6.00 (d, J=17.6 Hz, 1H), 5.50-5.80 (d, J=10.8 Hz 1H); ESIMS m/z 240.16 ([M+H]$^+$).

3-Nitro-1-(4-vinylphenyl)-1H-1,2,4-triazole (DI66)



The title compound was isolated as a pale yellow solid (61 mg, 20%): mp 137-139° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (s, 1H), 7.68 (d, J=7.7 Hz, 2H), 7.60 (d, J=8.3 Hz, 2H), 6.77 (dd, J=17.7, 10.8, 1H), 5.87 (d, J=17.7 Hz, 1H), 5.42 (d, J=10.8 Hz, 1H); ESIMS m/z 217.28 ([M+H]$^+$).

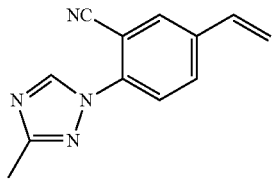
1-(2-Bromo-4-vinylphenyl)-1H-1,2,4-triazole (DI67)



The title compound was isolated as a white solid (1.2 g, 40%): mp 75-77° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s,

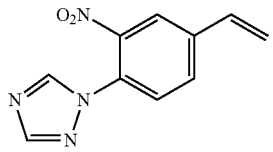
1H), 8.12 (s, 1H), 7.75 (s, 1H) 7.42 (s, 2H), 6.70 (m, 1H), 5.83 (d, J=18 Hz, 1H), 5.42 (d, J=12 Hz, 1H); ESIMS m/z 249.1 ([M]$^+$).

2-(3-Methyl-1H-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI68)



The title compound was isolated as an off-white solid (0.6 g, 60%): mp 96-97° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (s, 1H), 7.80 (s, 1H), 7.74 (m, 2H), 6.73 (dd, J=17.6 Hz, 10.8 Hz, 1H), 5.88 (d, J=17.6 Hz, 1H), 5.49 (d, J=10.8 Hz, 1H), 2.52 (s, 3H); ESIMS m/z 211.10 ([M+H]$^+$); IR (thin film) 2229 cm^{-1}.

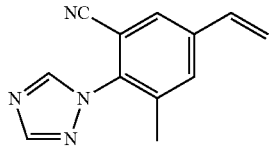
1-(2-Nitro-4-vinylphenyl)-1H-1,2,4-triazole (DI69)



The title compound was isolated as a yellow solid (1.78 g, 60%): mp 102-104° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.12 (s, 1H), 8.02 (s, 1H), 7.72-7.76 (d, J=8.0 Hz, 1H), 7.52-7.56 (d, J=17.6 Hz, 1H), 6.70-6.82 (dd, J=17.6, 10.8 Hz, 1H), 5.85-6.00 (d, J=17.6 Hz, 1H), 5.50-5.60 (d, J=10.8, Hz 1H); ESIMS m/z 217.0 ([M+H]$^+$).

Example 96

Preparation of 3-Methyl-2-(1H-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI70)



Step 1. 5-Bromo-2-fluoro-3-methylbenzaldehyde

To a stirred solution of di-isopropyl amine (4.01 g, 39.88 mmol) in THF (20 mL) was added n-butyl lithium (1.6 M in hexane) (19.9 mL, 31.91 mmol) at −78° C. slowly dropwise over the period of 10 min, the reaction mixture was stirred at −78° C. for 30 min. A solution of 4-bromo-1-fluoro-2-methylbenzene (5.0 g, 26.6 mmol) in THF (30.0 mL) was added at −78° C., and the reaction mixture was stirred for 1 h at the same temperature. DMF (5.0 mL) was added and stirred at −78° C. for another 30 min. The reaction was monitored by TLC; then the reaction mixture was quenched with 1N HCl solution (aq) at 0° C. The aqueous layer was extracted with diethyl ether, washed with water and saturated brine solution. The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to obtain the crude compound purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 5% ethyl acetate/pet ether) to afford the title compound as a white solid (3.6 g, 64%); mp 48-50° C.: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.22 (s, 1H), 7.67 (s, 1H), 7.60 (s, 1H), 6.75 (dd, J=17.6, 10.8 Hz, 1H), 5.92 (dd, J=17.6, 10.8 Hz, 1H), 5.52 (d, J=17.6 Hz, 1H), 2.21 (s, 3H); ESIMS m/z 211.35 ([M−H]$^-$).

Step 2. ((E)-5-Bromo-2-fluoro-3-methylbenzaldehyde oxime

To a stirred solution of 5-bromo-2-fluoro-3-methylbenzaldehyde (3.5 g, 16.2 mmol) in ethanol (50.0 mL) were added sodium acetate (2.0 g, 24.3 mmol) and hydroxylamine hydrochloride (1.69 g, 24.3 mmol) at RT. The reaction mixture was stirred at RT for 3 h. The reaction mixture was concentrated on rotavapour to obtain crude compound, which was washed with water filtered and dried under vacuum to afford the title compound as a white solid: mp 126-127° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.73 (d, J=2.4 Hz, 1H), 7.51 (s, 1H), 7.34 (d, J=2.4 Hz, 1H), 2.25 (s, 3H); ESIMS m/z 232.10 ([M+H]$^+$).

Step 3. 5-Bromo-2-fluoro-3-methylbenzonitrile

A stirred solution of (E)-5-bromo-2-fluoro-3-methylbenzaldehyde oxime (0.5 g, 2.2 mmol) in acetic anhydride (5.0 mL) was heated to reflux for 18 h. The reaction mixture was diluted with water and extracted with ethyl acetate. The combined ethyl acetate layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound as a light brown gummy material (0.4 g, crude): ESIMS m/z 213.82 ([M+H]$^+$).

Step 4. 5-Bromo-3-methyl-2-(1H-1,2,4-triazol-1-yl) benzonitrile (DI71)

To a stirred solution of 5-bromo-2-fluoro-3-methylbenzonitrile (1.0 g, 47.716 mmol), in DMF (10.0 mL) was added potassium carbonate (1.95 g, 14.14 mmol) followed by 1H-1,2,4-triazole (0.811 g, 9.433 mmol) at RT. The reaction mixture was heated to 140° C. for 18 h. The reaction mixture was cooled to RT, diluted with water and extracted with ethyl acetate (2×100 mL). The combined ethyl acetate layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/pet ether) to afford the title compound as a pink solid (0.6 g, 49%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 8.23 (s, 1H), 7.91 (d, J=2.4 Hz, 2H), 2.21 (s, 3H), ESIMS m/z 262.57 ([M+H]$^+$); IR (thin film) 2231, 554 cm^{-1}.

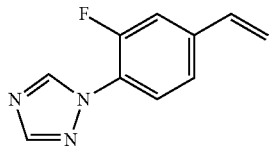
Step 5. 3-Methyl-2-(1H-1,2,4-triazol-1-yl)-5-vinyl-benzonitrile (DI70)

A mixture of 5-bromo-3-methyl-2-(1H-1,2,4-triazol-1-yl) benzonitrile (0.6 g, 2.3 mmol), potassium carbonate (0.95 g, 6.87 mmol), vinyl boronic anhydride (0.82 g, 3.43 mmol) and triphenylphosphine (0.13 g, 0.114 mmol) in toluene (20.0 mL) were stirred and degassed with argon for 30 min. The reaction mixture was heated to reflux for 18 h. The reaction

mixture was cooled to RT, diluted with water and extracted with ethyl acetate (2×100 mL). The combined ethyl acetate layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound that was purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/pet ether) to afford the title compound as a pink solid (0.25 g, 52%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.22 (s, 1H), 7.67 (s, 1H), 7.60 (s, 1H), 6.75 (dd, J=17.6, 10.8 Hz, 1H), 5.92 (d, J=17.6, 1H), 5.52 (d, J=10.8 Hz, 1H), 2.21 (s, 3H), ESIMS m/z 211.35 ($[M+H]^+$); IR (thin film) 2236, 1511 cm^{-1}.

The following compound was made in accordance with the procedures disclosed in Steps 4 and 5 of Example 96.

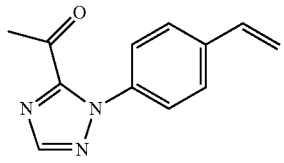
1-(2-Fluoro-4-vinylphenyl)-1H-1,2,4-triazole (DI72)



1-(4-Bromo-2-fluorophenyl)-1H-1,2,4-triazole (DI73) was isolated as a pale yellow solid (3.0 g, 75%): mp 113-116° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 8.13 (m, 2H), 7.50 (m, 1H), 7.21 (m, 1H); ESIMS m/z 241.93 ($[M]^+$). The title compound (DI72) was isolated as a yellow solid (1.0 g, 71%): mp 67-70° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.13 (s, 1H), 7.94 (m, 1H), 7.41 (m, 1H), 7.24 (s, 1H), 6.75 (dd, J=17.6, 10.8 Hz, 1H), 5.81 (d, J=17.6 Hz, 1H), 5.37 (d, J=10.8 Hz, 1H); ESIMS m/z 190.00 ($[M+H]^+$).

Example 119

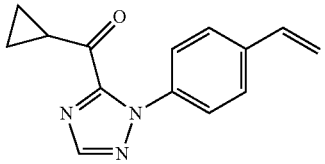
Preparation of 1-(1-(4-Vinylphenyl)-1H-1,2,4-triazol-5-yl)ethanone (DI78)



To a stirred solution of 1-(4-vinyl-phenyl)-1H-[1,2,4]triazole (1 g, 5.8 mmol) in 25 mL of THF, was added n-BuLi (0.37 g, 5.8 mmol) at −78° C. and stirred for 30 min. To this N-methoxy-N-methyl acetamide in THF (0.66 g, 6.4 mmol) was added and the resultant reaction mixture was stirred at RT for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3×50 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (280 mg, 23%): mp 97-98° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.50 (d, 2H), 7.38 (d, 2H), 6.68 (dd, 1H), 5.85 (d, 1H), 5.38 (d, 1H), 2.75 (s, 3H); ESIMS m/z 214.14 ($[M+H]^+$).

Example 120

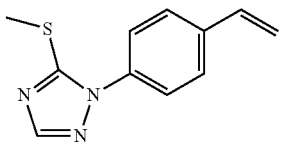
Preparation of Cyclopropyl(1-(4-vinylphenyl)-1H-1,2,4-triazol-5-yl)methanone (DI79)



To a stirred solution of 1-(4-vinyl-phenyl)-1H-[1,2,4]triazole (1 g, 5.8 mmol) in 25 mL of THF, was added n-BuLi (0.37 g, 5.8 mmol) at −78° C. and stirred for 30 min. To this N-methoxy N-methylcyclopropoxide in THF (0.82 g, 6.4 mmol) was added and the resultant reaction mixture was stirred at RT for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3×25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (420 mg, 30%): mp 90-91° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.50 (d, J=7.8 Hz, 2H), 7.38 (d, J=7.8 Hz, 2H), 6.75 (dd, J=16.3, 10.7 Hz, 1H), 5.81 (d, J=16.3 Hz, 1H), 5.35 (d, J=10.7 Hz, 1H), 3.22 (m, 1H), 1.27 (m, 2H), 1.18 (m, 2H); ESIMS m/z 240.18 ($[M+H]^+$); IR (thin film) 2922, 1630 cm^{-1}.

Example 121

Preparation of 5-(Methylthio)-1-(4-vinylphenyl)-1H-1,2,4-triazole (DI80)

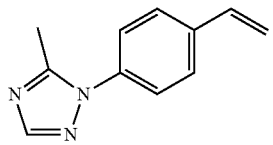


To a stirred solution of 1-(4-vinyl-phenyl)-1H-[1,2,4]triazole (1 g, 5.8 mmol) in 50 mL of THF, was added n-BuLi (0.41 g, 6.4 mmol) at −78° C. and stirred for 30 min. To this dimethyldisulfide in THF (0.6 g, 6.43 mmol) was added and the resultant reaction mixture was stirred at RT for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3×25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (0.6 g, 48%): mp 68-70° C.; ^1H NMR (400 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.05 (m, 4H),

6.75 (dd, J=16.4, 10.7 Hz, 1H), 5.81 (d, J=16.4 Hz, 1H), 5.35 (d, J=10.7 Hz, 1H), 2.73 (s, 3H); ESIMS m/z 218.09 ([M+H]$^+$).

Example 122

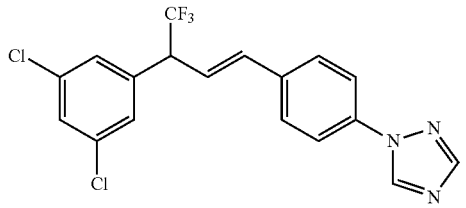
Preparation of 5-Methyl-1-(4-vinylphenyl)-1H-1,2,4-triazole (DI81)



To a stirred solution of 1-(4-vinyl-phenyl)-1H-[1,2,4]triazole (0.5 g, 2.9 mmol) in 10 mL of THF, was added n-BuLi (0.22 g, 3.5 mmol) at −78° C. and stirred for 30 min. To this methyl iodide in THF (0.50 g, 3.5 mmol) was added and the resultant reaction mixture was stirred at RT for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3×25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) afford the title compound as a pale brown liquid (250 mg, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.55 (d, J=9 Hz, 2H), 7.42 (d, J=9 Hz, 2H), 6.76 (dd, J=18, 11 Hz, 1H), 5.83 (d, J=18 Hz, 1H), 5.38 (d, J=11 Hz, 1H), 2.55 (s, 3H); ESIMS m/z 186.13 ([M+H]$^+$); IR (thin film) 1517, 1386, 1182, 847 cm^{-1}.

Example 97

Preparation of (E)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-1H-1,2,4-triazole (DC1)

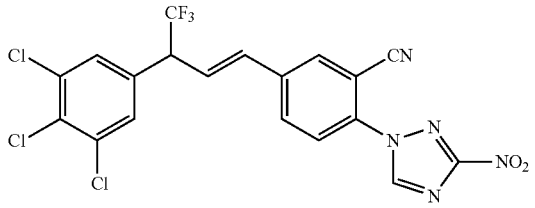


To a stirred solution of 1-(1-bromo-2,2,2-trifluoro-ethyl)-3,5-dichloro-benzene (2.0 g, 6.51 mmol) in 1,2-dichlorobenzene (25 mL), were added 1-(4-vinyl-phenyl)-1H-[1,2,4]triazole (2.22 g, 13.0 mmol), CuCl (64 mg, 0.65 mmol) and 2,2-bipyridyl (0.2 g, 1.3 mmol). The resultant reaction mixture was degassed with argon for 30 min, then stirred at 180° C. for 24 h. After completion of reaction (TLC), the reaction mixture was cooled to RT and filtered and the filtrate concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) afforded the title compound as an off-white solid (0.8 g, 32%): mp 93-97° C.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.56 (s, 1H), 8.11 (s, 1H), 7.68 (d, J=8.4 Hz, 2H), 7.54 (d, J=8.4 Hz, 2H), 7.38 (t, J=1.8 Hz, 1H), 7.29 (s, 2H), 6.62 (d, J=15.6 Hz, 1H), 6.42 (dd, J=15.6, 8.2 Hz, 1H), 4.15 (m, 1H); ESIMS m/z 398.05 ([M+H]$^+$).

Compounds DC2-DC37, DC44, DC45, DC47-49, DC50, DC51, DC54, DC58, DC60, DC62, and DC63-DC67 in Table 1 were made in accordance with the procedures disclosed in Example 97.

Example 98

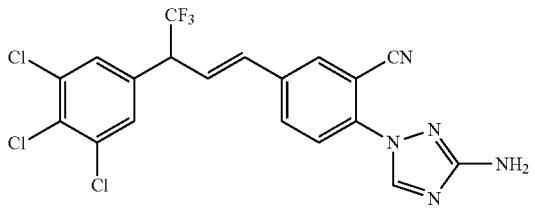
Preparation of (E)-2-(3-Nitro-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzonitrile (DC40)



To a stirred solution of 2-(3-nitro-1H-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (0.9 g, 3.7 mmol) in 1,2-dichlorobenzene (10 mL), were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (2.5 g, 7.5 mmol), CuCl (73 mg, 0.74 mmol) and 2,2-bipyridyl (0.23 g, 1.49 mmol) and the resultant reaction mixture was degassed with argon for 30 min and then stirred at 180° C. for 14 h. After completion of the reaction (TLC), the reaction mixture was cooled to RT and filtered and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh, 25-30% EtOAc in Pet ether) afforded the title compound as an off white solid (0.9 g, 50%): mp 70-73° C.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.86 (s, 1H), 7.88 (m, 3H), 7.44 (s, 2H), 6.67 (d, J=16.0 Hz, 1H), 6.56 (dd, J=16.0, 7.6 Hz, 1H), 4.19 (m, 1H); ESIMS m/z 436.11 ([M−2H]$^-$).

Example 99

Preparation of (E)-2-(3-Amino-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzonitrile (DC41)



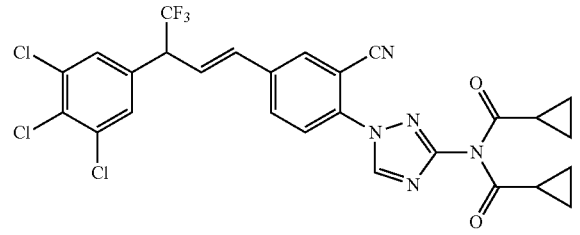
To a stirred solution of (E)-2-(3-nitro-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl) benzonitrile (0.6 g, 1.2 mmol) in MeOH (10 mL), were added Zn dust (0.39 g, 5.98 mmol) and sat. aq NH_4Cl solution (5 mL) and the resultant reaction mixture was stirred at RT for 2 h. After completion of the reaction (TLC), the reaction mass was concentrated under reduced pressure. The reaction mass was diluted with DCM, filtered through a celite bed, and the obtained filtrate concentrated under reduced pressure to afford the title compound as a solid (0.5 g, 89%): mp 72-75° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.72 (s, 1H), 8.26 (s, 1H), 8.01 (d, J=8.4 Hz, 1H), 7.91 (s, 2H), 7.77 (d, J=8.4 Hz,

1H), 6.42 (dd, J=15.6, 9.2 Hz, 1H), 6.83 (d, J=15.6 Hz, 1H), 5.87 (s, 2H), 4.89 (m, 1H); ESIMS m/z 469.95 ([M−H]⁻).

Compound DC38 in Table 1 was made in accordance with the procedures disclosed in Example 99. Also, compound DC55 in Table 1 was made from compound DC54 in accordance with the procedures disclosed in Example 99, with the exception of using ammonium formate in place of ammonium chloride.

Example 100

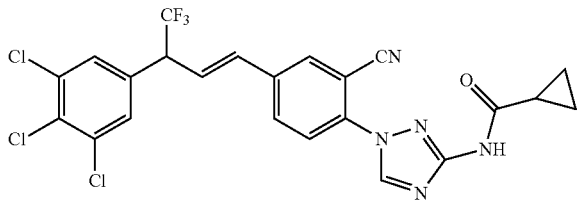
Preparation of (E)-N-(1-(2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-1H-1,2,4-triazol-3-yl)-N-(cyclopropanecarbonyl)cyclopropanecarboxamide (DC42)



To a stirred solution of (E)-2-(3-amino-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl) benzonitrile (0.1 g, 0.21 mmol) in DCM at RT, was added cyclopropylcarbonyl chloride (0.045 g, 0.42 mmol) and the reaction mixture was stirred for 2 h at RT. The reaction mixture was diluted with DCM and washed with water and brine and dried over Na_2SO_4. Concentration under reduced pressure and purification by preparative HPLC afforded the title compound as a solid (0.09 g, 79%): mp 104-107° C.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.78 (s, 2H), 7.83 (s, 1H), 7.80 (m, 2H), 7.42 (s, 2H), 6.65 (d, J=16.4 Hz, 1H), 6.51 (dd, J=7.6, 8.0 Hz, 1H), 4.17 (m, 1H), 2.16 (m, 2H), 1.25 (m, 4H), 1.00 (m, 4H); ESIMS m/z 609.98 ([M+H]⁺); IR (thin film) 2234, 1714, 1114, 807 cm^{-1}.

Example 101

Preparation of (E)-N-(1-(2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-1H-1,2,4-triazol-3-yl)cyclopropanecarboxamide (DC43)

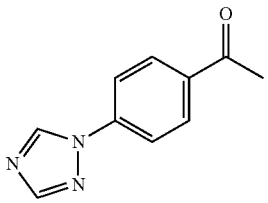


To a stirred solution of (E)-2-(3-amino-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl) benzonitrile (0.15 g, 0.31 mmol) in DCM at 0° C., were added triethylamine (0.1 g, 1 mmol) and cyclopropylcarbonyl chloride (0.04 g, 0.38 mmol) and the reaction mixture was stirred for 1 h at 0° C. The reaction mixture was diluted with DCM and washed with water and brine and dried over Na_2SO_4. Concentration under reduced pressure and purification by column chromatography (SiO_2, 100-200 mesh) afforded the title compound as a solid (66 mg, 34%): mp 109-112° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.94 (br s, 1H), 8.36 (s, 1H), 8.08 (m, J=8.4 Hz, 1H), 7.91 (s, 2H), 7.84 (d, J=8.4 Hz, 1H), 7.13 (dd, J=15.6, 9.2 Hz, 1H), 6.87 (d, J=15.6 Hz, 1H), 4.92 (m, 1H), 1.99 (br s, 1H), 0.82 (s, 4H); ESIMS m/z 540.04 ([M+H]⁺); IR (thin film) 3233, 2233, 1699, 1114, 807 cm^{-1}.

Compound DC39 in Table 1 was made in accordance with the procedures disclosed in Example 101.

Example 102

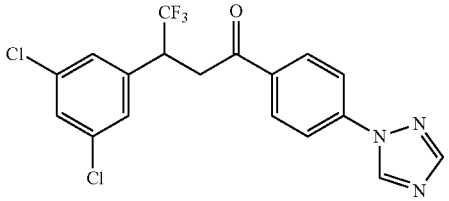
Preparation of 1-(4-(1H-1,2,4-triazol-1-yl)phenyl) ethanone (DI74)



To a stirred solution of 4-bromoacetophenone (10 g, 50 mmol) in DMF (100 mL), were added 1,2,4-triazole (5 g, 75 mmol), Cs_2CO_3 (32.6 g, 100.5 mmol) and CuI (1.4 g, 10.1 mmol) and the resultant reaction mixture was refluxed for 48 h. After completion of the reaction (by TLC), the reaction mixture was cooled to RT and diluted with water (200 mL) and extracted with EtOAc. The combined organic layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure. Purification by washing with diethyl ether afforded the title compound as a solid (5 g, 96%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 8.16, (s, 1H), 8.13 (d, J=8.6 Hz, 2H), 7.83 (d, J=8.6 Hz, 2H), 2.66 (s, 3H); ESIMS m/z 186.02 ([M−H]⁻).

Example 103

Preparation of 1-(4-(1H-1,2,4-triazol-1-yl)phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (DI75)



Step 1. 1-(4-(1-(Trimethylsilyloxy)vinyl)phenyl)-1H-1,2,4-triazole (DI76)

To a stirred solution of 1-(4-(1H-1,2,4-triazol-1-yl)phenyl) ethanone (4.5 g, 24.0 mmol) in DCM at 0° C., were added TEA (3.7 g, 36.1 mmol) and trimethylsilyl triflluoromethanesulfonate (8 g, 36 mmol) and the resultant reaction mixture was stirred for 1 h. The reaction mixture was quenched with

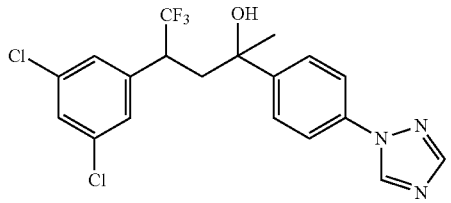
a mixture of sat aq sodium bicarbonate solution and ether. The ether layer and was separated, washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound (5.5 g) which was taken directly to next step.

Step 2. 1-(4-(1H-1,2,4-triazol-1-yl)phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (DI75)

To a stirred solution of 1-(4-(1-(trimethylsilyloxy)vinyl) phenyl)-1H-1,2,4-triazole (6 g, 23 mmol) and 1-(1-bromo-2, 2,2-trifluoro-ethyl)-3,5-dichlorobenzene (7.1 g, 34.7 mmol) in 1,2-dichlorobenzene (30 mL) was degassed with argon. To this CuCl (0.23 g, 2.31 mmol) and 2,2-bipyridyl (0.73 g, 4.63 mmol) was added to the above reaction mixture and the resultant reaction mixture was heated to 180° C. for 18 h. After completion of the reaction (by TLC), the reaction mixture was absorbed onto silica gel and purified by column chromatography (SiO2; 10% EtOAc in petroleum ether) to afford title compound as a solid (3 g, 31%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.15 (s, 1H), 8.10 (d, J=8.3 Hz, 2H), 7.82 (d, J=8.3 Hz, 2H), 7.33 (m, 1H), 7.30 (m, 2H), 4.20 (m, 1H), 3.63 (m, 2H); ESIMS m/z 412.14 ($[M-H]^-$).

Example 104

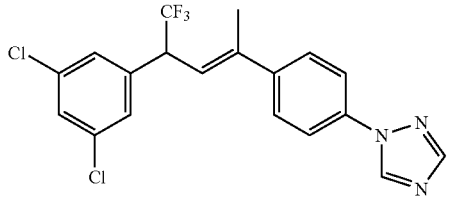
Preparation of 2-(4-(1H-1,2,4-triazol-1-yl)phenyl)-4-(3,5-dichlorophenyl)-5,5,5-trifluoropentan-2-ol (DI77)



To a solution of 1-(4-(1H-1,2,4-triazol-1-yl)phenyl)-3-(3, 5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (300 mg, 0.726 mmol) in THF cooled to 0° C. was added methylmagnesium bromide (450 mg, 5 mmol) drop wise. The reaction was stirred for 3 h at 0° C., then the reaction mixture was quenched with sat aq NH_4Cl solution and extracted with ethyl acetate. The combined EtOAc layer was washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20%-25% EtOAc in petroleum ether) afforded the title compound as a solid (100 mg, 32%): ^{1}H NMR (400 MHz, $CDCl_3$) δ two diastereoisomers 8.58 (s, 1H, minor), 8.48 (s, 1H, major), 8.13 (s, 1H, minor), 8.09 (s, 1H, major), 7.70 (d, J=9.0 Hz, 2H, minor), 7.53 (d, J=9.0 Hz, 2H, minor), 7.40 (d, J=9.0 Hz, 2H, major), 7.31 (m, 1H, minor), 7.27 (d, J=9.0 Hz, 2H, major), 7.20 (m, 2H, minor), 7.01 (m, 1H, major), 6.75 (m, 2H, major), 350 (m, 1H), 2.50 (m, 2H), 1.56 (s, 3H, major), 1.54 (s, 3H, minor); ESIMS m/z 430.05 ($[M+H]^+$).

Example 105

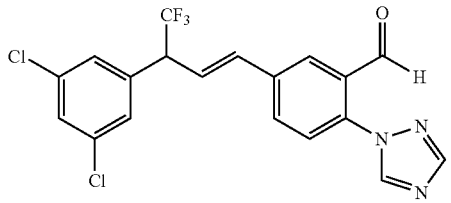
Preparation of (E)-1-(4-(4-(3,5-Dichlorophenyl)-5,5,5-trifluoropent-2-en-2-yl)phenyl)-1H-1,2,4-triazole (DC68)



To a solution of 2-(4-(1H-1,2,4-triazol-1-yl)phenyl)-4-(3, 5-dichlorophenyl)-5,5,5-trifluoropentan-2-ol (100 mg, 0.233 mmol) in toluene was added a catalytic amount of p-toluenesulfonic acid (PTSA) and the water was removed by azeotropic distillation over the course of 12 h. The reaction mixture was cooled to room temperature and dissolved in ethyl acetate. The solution was washed with sat aq $NaHCO_3$ solution and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20%-25% EtOAc in petroleum ether) afforded the title compound as a solid (30 mg, 31%).

Example 123

Preparation of (E)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzaldehyde (DC52)

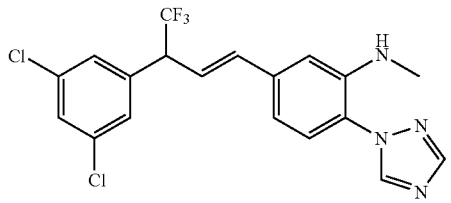


To a stirred solution of (E)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzonitrile (0.3 g, 0.71 mmol) in toluene (10 mL) at −78° C. was added dropwise diisobutylaluminum hydride (DIBAL-H, 1.0 M solution in toluene; 0.85 mL), and the reaction mixture was stirred at −78° C. for 20 min. The reaction mixture was quenched with the addition of 1 N HCl solution, then the aqueous layer was extracted with EtOAc (2×). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 50% EtOAc/Pet ether) to afford the title compound as a yellow oil.

Compound DC53 in Table 1 was made in accordance with the procedures disclosed in Example 123.

Example 124

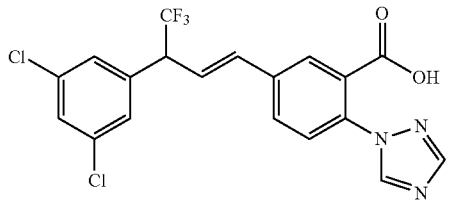
Preparation of (E)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N-methyl-2-(1H-1,2,4-triazol-1-yl)aniline (DC57)



To a stirred solution of (E)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)aniline (0.3 g, 0.7 mmol) in DCM (10 mL) was added triethylamine (0.155 mL, 1.09 mmol) and methyl iodide (0.124 g, 0.873 mmol). The reaction was stirred at RT for 18 h. The DCM layer was washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 50% EtOAc/Pet ether) to afford the title compound as a yellow semi-solid (0.07 g, 70%).

Example 125

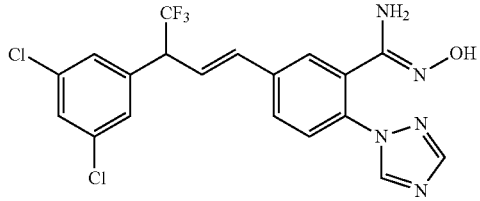
Preparation of (E)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzoic acid (DC61)



A solution of (E)-ethyl 5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzoate (0.2 g, 0.4 mmol) in 6 N HCl (10 mL) was stirred at 100° C. for 18 h. The reaction was cooled to RT, resulting in a white solid precipitate. The precipitate was filtered to afford the title compound as a white solid (0.12 g, 60%).

Example 126

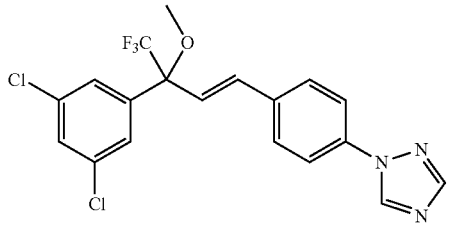
Preparation of (Z)-5-((E)-3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-N'-hydroxy-2-(1H-1,2,4-triazol-1-yl)benzimidamide (DC59)



A solution of (E)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzonitrile (0.3 g, 0.71 mmol), sodium acetate (0.087 g, 1.065 mmol) and hydroxylammonium chloride (0.072 g, 1.065 mmol) in 9:1 ethanol/water mixture (10 mL) was stirred at 70° C. for 8 h. The reaction was cooled to RT, and the ethanol was evaporated. The residue was dissolved in water and extracted with EtOAc (2×). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off white solid.

Example 127

Preparation of (E)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluoro-3-methoxybut-1-en-1-yl)phenyl)-1H-1,2,4-triazole (DC70)



Step 1. (E)-3-(4-(1H-1,2,4-triazol-1-yl)phenyl)-1-(3,5-dichlorophenyl)prop-2-en-1-one

To a solution of 1-(3,5-dichlorophenyl)ethanone (0.5 g, 2.6 mmol) in ethanol (20 mL) was added 4-(1H-1,2,4-triazol-1-yl)benzaldehyde (0.46 g, 2.65 mmol) and the reaction was cooled to 0° C. Sodium hydroxide (0.22 g, 5.29 mmol) in water (10 mL) was then added and the reaction was allowed to stir for 2 h at 0° C. The reaction was extracted with EtOAc and the combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound (0.149 g, 17%):); ESIMS m/z 430.05 ($[M+H]^+$) 344.08

Step 2. (E)-4-(4-(1H-1,2,4-triazol-1-yl)phenyl)-2-(3,5-dichlorophenyl)-1,1,1-trifluorobut-3-en-2-ol (DC69)

To a solution of (E)-3-(4-(1H-1,2,4-triazol-1-yl)phenyl)-1-(3,5-dichlorophenyl)prop-2-en-1-one (1 g, 3 mmol) in THF (150 mL) was added trifluoromethyltrimethylsilane (0.517 g, 3.644 mmol) and tetra-n-butylammonium fluoride (TBAF) (1.0 M, 1 mL) at 0° C. The reaction was slowly warmed to RT and allowed to stir for 2 h. The reaction was then cooled to 0° C. and 5 M HCl solution was added and the reaction was stirred for an additional 4 h at RT. The reaction was extracted with DCM and the combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 25% EtOAc/hexanes) to afford the title compound as an off-white solid (0.3 g, 25%).

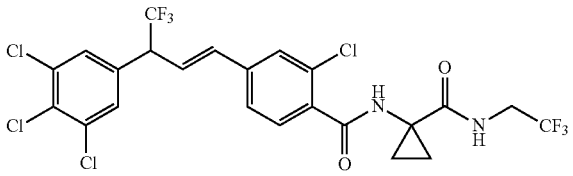
Step 3. (E)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluoro-3-methoxybut-1-en-1-yl)phenyl)-1H-1,2,4-triazole (DC70)

To a solution of (E)-4-(4-(1H-1,2,4-triazol-1-yl)phenyl)-2-(3,5-dichlorophenyl)-1,1,1-trifluorobut-3-en-2-ol (0.15 g,

0.36 mmol) in THF (5 mL) was added NaH (60%, 10 mg, 0.44 mmol) at 0° C. The reaction was allowed to stir at 0° C. for 30 min, then methyl iodide (61 mg, 0.44 mmol) was added slowly and the reaction was warmed to RT and allowed to stir for 4 h. The reaction was quenched with aq NH_4Cl solution and extracted with DCM. The combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (55 mg, 35%).

Example 128

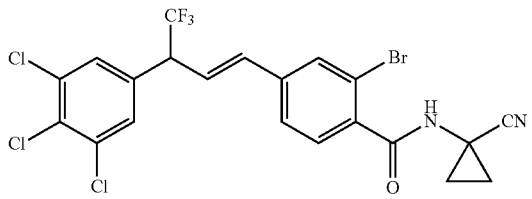
Preparation of (E)-2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-N-(1-(2,2,2-trifluoroethylcarbamoyl)cyclopropyl)benzamide (F1)



To a stirred solution of (E)-2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (300 mg, 0.67 mmol) and 1-amino-N-(2,2,2-trifluoroethyl)cyclopropanecarboxamide (148 mg, 0.81 mmol) in DCM/DMF (5 mL, 1:1), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP) (92 mg, 0.33 mmol), 1-hydroxy-7-azabenzotriazole (HOAt) (48 mg, 0.33 mmol) and DMAP (5 mol %) were added, and the resulting mixture was stirred at room temperature (RT) for 4 h. The reaction mixture was poured into ice-water and extracted with EtOAc. The organic phase was dried (Na_2SO_4), filtered, concentrated and the residue was purified by column chromatography on silica (100-200 mesh) eluting with 30% EtOAc in petroleum ether to give the title compound as pale yellow gum (300 mg, 75%). Characterization data for this molecule is listed in Table 2.

Example 129

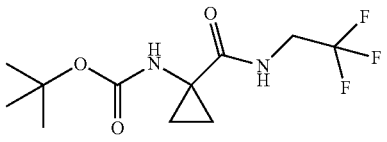
Preparation of (E)-2-Bromo-N-(1-cyanocyclopropyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F7)



To a stirred solution of (E)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (100 mg, 0.205 mmol) in DCE (10.0 mL) at RT was added 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (EDC hydrochloride) (58.9 mg, 0.307 mmol), 1-amino-1-cyclopropanecarbonitrile hydrochloride (24.3 mg, 0.296 mmol), DMAP (catalytic) and TEA (22.79 mg, 0.225 mmol). The resulting reaction mixture was stirred at RT for 18 h. To the reaction mixture was added EtOAc (50 mL) and 0.1N HCl (10 mL) and the layers were separated. The aqueous layer was extracted with EtOAc (1×). The combined organic layers were washed with aq $NaHCO_3$ (1×), dried ($MgSO_4$), filtered and concentrated under reduce pressure to give an oil. Purification by flash chromatography (SiO_2, 230-400 mesh; eluting with 35% EtOAc in hexanes) afforded the title compound as a white solid (13 mg, 11.5%). Characterization data for this molecule is listed in Table 2.

Example 130

Preparation of tert-Butyl(1-((2,2,2-trifluoroethyl) carbamoyl)cyclopropyl)-carbamate

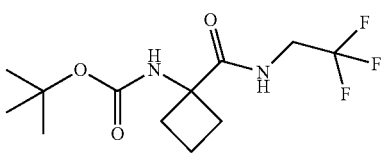


To a stirred solution of 1-((tert-butoxycarbonyl)amino)cyclopropanecarboxylic acid (10.0 g, 49.7 mmol) in CH_2Cl_2 (80 mL) was added EDC.HCl (13.8 g, 71.8 mmol) followed by 2,2,2-trifluoroethylamine (8.21 g, 82.8 mmol) and 4-(dimethylamino)pyridine (7.31 g, 59.8 mmol). The reaction mixture was stirred at ambient temperature for 18 h, taken up in 300 mL of EtOAC, then washed with aq. 10% HCl (3×), aq. 10% K_2CO_3 (2×) and aq. sat. NaCl (1×). The organic phase was dried ($MgSO_4$) and concentrated in vacuo to afford the title compound as a white solid (11.8 g, 84%): mp 166-167° C.; ^1H NMR (400 MHz, DMSO-d_6) rotamers δ 8.43 (s, 0.3H), 8.20 (s, 0.7H), 7.41 (s, 0.7H), 7.11 (s, 0.3H), 3.84 (dt, J=9.9, 4.9 Hz, 2H), 1.38 (d, J=11.4 Hz, 9H), 1.24 (q, J=4.3 Hz, 2H), 0.92 (q, J=4.3 Hz, 2H); ^{19}F NMR (376 MHz, DMSO-d_6) δ −70.57; ^{13}C NMR (101 MHz, DMSO-d_6) rotamers δ 172.82, 155.37, 124.64 (q, J=281 Hz), 78.29, 40.18 (q, J=34 Hz), 35.43, 34.70, 28.05, 27.84, 17.28, 16.62.

The following molecules was made in accordance with the procedure disclosed in

Example 130

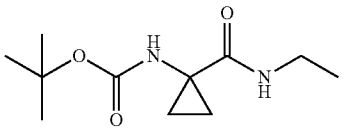
tert-Butyl(1-((2,2,2-Trifluoroethyl)carbamoyl)cyclobutyl)carbamate



The title molecule was isolated as a white solid (1.94, 28%): mp=185-188° C.; ^1H NMR (400 MHz, DMSO-d_6) rotomers δ 8.06 (s, 0.3H), 7.96 (d, J=7.0 Hz, 0.7H), 7.44 (s, 0.7H), 7.11 (s, 0.3H), 3.83 (qd, J=9.7, 6.4 Hz, 2H), 2.40 (dtd, J=12.1, 5.9, 2.5 Hz, 2H), 2.03 (ddd, J=12.1, 9.4, 7.1 Hz, 2H),

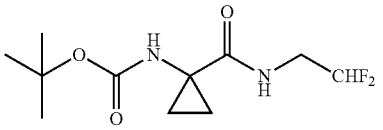
1.81 (ddd, J=26.1, 14.0, 7.0 Hz, 2H), 1.33 (d, J=34.8 Hz, 9H); ^{19}F NMR (376 MHz, DMSO-d_6) rotomers δ −70.35, −70.75; ESIMS m/z 295 ([M−H]$^-$)

tert-Butyl(1-(ethylcarbamoyl)cyclopropyl)carbamate



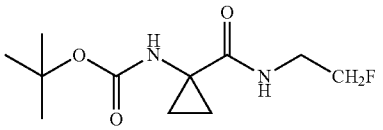
The title molecule was isolated as a white solid (3.54 g, 68%): mp=113-116° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.44 (bs, 1H), 5.09 (bs, 1H), 3.43-3.17 (m, 2H), 1.63-1.51 (m, 2H), 1.46 (s, 9H), 1.15 (t, J=7.3 Hz, 3H), 1.00-0.97 (m, 2H); (101 MHz, $CDCl_3$) δ 172.03, 155.89, 80.37, 35.53, 34.65, 28.23, 17.17, 14.85.

tert-Butyl(1-((2,2-difluoroethyl)carbamoyl)cyclopropyl)carbamate



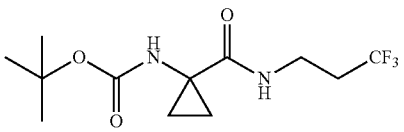
The title molecule was isolated as a white solid (290 mg, 70%): mp=131-135° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.93 (bs, 1H), 7.39 (bs, 1H), 6.15-5.74 (m, 1H), 3.52-3.31 (m, 2H), 1.38 (s, 9H), 1.23-1.17 (m, 2H), 0.97-0.87 (m, 2H); ESIMS m/z 165.1 ([M-Boc]$^+$).

tert-Butyl(1-((2-fluoroethyl)carbamoyl)cyclopropyl)carbamate



The title molecule was isolated as a white solid (250 mg, 62%): mp=121-125° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.80 (bs, 1H), 7.41 (bs, 1H), 4.47 (t, J=5.7 Hz, 1H), 4.34 (t, J=5.4 Hz, 1H), 3.43-3.31 (m, 2H), 1.38 (s, 9H), 1.22-1.18 (m, 2H), 0.87-0.84 (m, 2H); ESIMS m/z 146.2 ([M-Boc]$^+$).

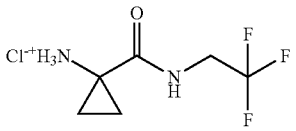
tert-Butyl(1-((3,3,3-trifluoropropyl)carbamoyl)cyclopropyl)carbamate



The title molecule was isolated as a white solid (550 mg, 58%): mp=146-148° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.80 (bs, 1H), 7.40 (bs, 1H), 3.34-3.27 (m, 2H), 2.43-2.32 (m, 2H), 1.38 (s, 9H), 1.22-1.18 (m, 2H), 0.87-0.83 (m, 2H); ESIMS m/z 197.1 ([M-Boc+H]$^+$).

Example 131

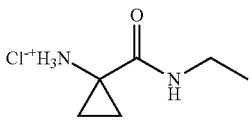
Preparation of tert-Butyl 1-amino-N-(2,2,2-trifluoroethyl)cyclopropanecarboxamide hydrochloride



To tert-butyl(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)carbamate (3.2 g, 11 mmol) in CH_2Cl_2 (20 mL) was added 4 M HCl in dioxane (20 mL). The solution was stirred for 18 h at ambient temperature. The reaction mixture was concentrated in vacuo and the residue placed in a 60° C. vacuum oven (24 h) to afforded the title compound as an off-white solid (2.3 g, 93%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.77 (bs, 3H), 8.50 (t, J=6.3 Hz, 1H), 3.90 (qd, J=9.7, 6.1 Hz, 2H), 1.52-1.15 (m, 4H); ^{19}F NMR (376 MHz, DMSO-d_6) δ −70.54; ^{13}C NMR (101 MHz, DMSO-d_6) δ 175.33, 132.46 (q, J=280.8 Hz), 45.13 (q, J=34.34 Hz), 40.06, 17.57.

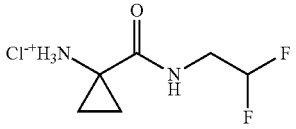
The following molecules was made in accordance with the procedure disclosed in Example 131:

1-(Ethylcarbamoyl)cyclopropanaminium chloride



The title molecule was isolated as a white solid (2.27 g, 98%): mp=165-196° C.; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 3H), 7.89 (t, J=5.4 Hz, 1H), 3.10 (dd, J=7.4, 5.6 Hz, 2H), 1.43-1.32 (m, 2H), 1.31-1.23 (m, 2H), 1.01 (t, J=7.2 Hz, 3H); (101 MHz, DMSO-d_6) δ 168.41, 34.71, 33.93, 14.47, 11.89; IR (thin film) 3313, 2983, 1678, 1537, 1251, 1159 cm^{-1}.

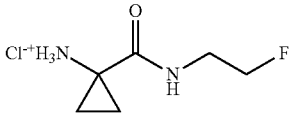
1-((2,2-Difluoroethyl)carbamoyl)cyclopropanaminium chloride



The title molecule was isolated as a white solid (200 mg, 99%): mp=221-225° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ

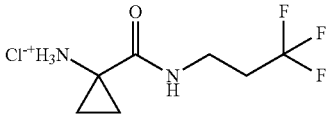
8.40 (bs, 3H), 8.12 (bs, 1H), 6.20-5.81 (m, 1H), 3.55-3.45 (m, 2H), 1.44-1.36 (m, 2H), 1.31-1.23 (m, 2H); ESIMS m/z 165.1 ([M+H]$^+$).

1-((2-Fluoroethyl)carbamoyl)cyclopropanaminium chloride



The title molecule was isolated as a white solid (180 mg, 89%): mp=157-161° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.62 (bs, 3H), 8.00 (bs, 1H), 4.51 (t, J=5.1 Hz, 1H), 4.35 (t, J=4.5 Hz, 1H), 3.35-3.32 (m, 2H), 1.41-1.37 (m, 2H), 1.29-1.25 (m, 2H); ESIMS m/z 147.1 ([M+H]$^+$).

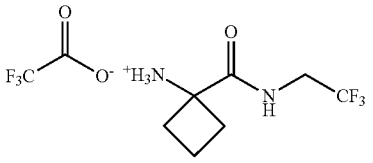
1-((3,3,3-Trifluoropropyl)carbamoyl)cyclopropanaminium chloride



The title molecule was isolated as a white solid (250 mg, 80%): mp=156-158° C.; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.58 (bs, 3H), 7.99 (bs, 1H), 3.36-3.29 (m, 2H), 2.51-2.38 (m, 2H), 1.39-1.35 (m, 2H), 1.31-1.26 (m, 2H); ESIMS m/z 197.2 ([M+H]$^+$).

Example 132

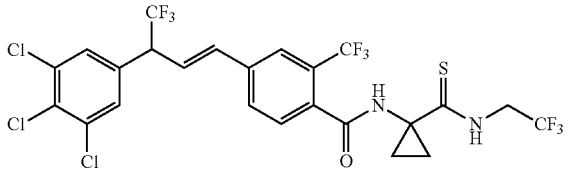
Preparation of 1-((2,2,2-Trifluoroethyl)carbamoyl) cyclobutanaminium 2,2,2-trifluoroacetate



To a stirred solution of tert-butyl 1-(2,2,2-trifluoroethylcarbamoyl)cyclobutylcarbamate (500 mg, 1.68 mmol) in CH_2Cl_2 (10 mL) was added trifluoroacetic acid (TFA, 1.0 mL) dropwise and the reaction mixture was stirred overnight. The volatiles were evaporated and the residue was triturated with pentane to give the title compound as colorless gum which was taken on to the next step without further purification (400 mg, 77%): ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.14 (t, J=6.0 Hz, 1H), 8.52 (bs, 2H), 4.08-3.96 (m, 2H), 2.63-2.55 (m, 2H), 2.27-2.14 (m, 2H), 2.08-2.00 (m, 2H); ESIMS m/z 196.9 ([M+H]$^+$); IR (thin film) 3364, 2949, 1680, 1033 cm^{-1}.

Example 133

Preparation of (E)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-N-(1-(2,2,2-trifluoroethylcarbamothioyl)cyclopropyl)-2-(trifluoromethyl) benzamide (F6)

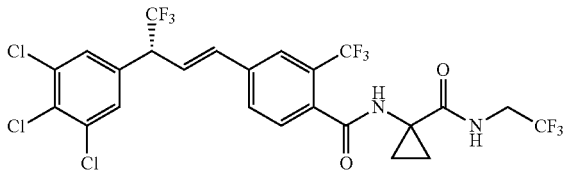


To a stirred solution of (E)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-N-(1-(2,2,2-trifluoroethylcarbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (200 mg, 0.31 mmol) in CH_2Cl_2 (20 mL) was added P_4S_{10} (34 mg, 0.155 mmol) and hexamethyldisiloxane (HMDO, 0.1 mL, 0.517 mmol) and the reaction mixture was refluxed for 4 h. The reaction mixture was cooled to room temperature and another portion of P_4S_{10} (34 mg, 0.155 mmol) and HMDO (0.1 mL, 0.517 mmol) were added and the reaction mixture was refluxed for 16 h. The reaction mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica (100-200 mesh) eluting with 10% EtOAc in hexane to give the title compounds as yellow gum (37 mg, 18%). Characterization data for this molecule is listed in Table 2.

Example 135

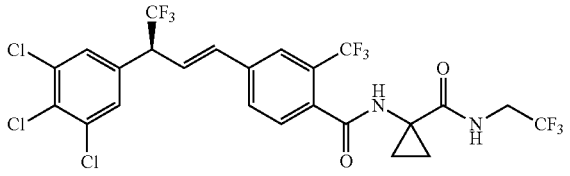
Isolation of (R,E)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl) carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F8A)

F8A



and (S,E)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F8B)

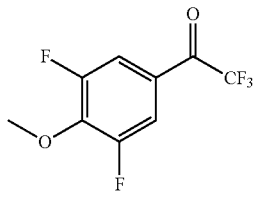
F8B



The enanteomeric pair of F8, prepared as in Example 28, were separated by chiral HPLC using Chiralpak® IA (4.6× 250 mm) 5 μm column using 0.1% TFA in hexane and isopropanol as the mobile phase (isocratic 70:30) with a flow rate 1.0 mL/min at ambient temperature. Enantiomer F8A (isomer 1) was collected at a retention time of 10.62 min Enantiomer F8B (isomer 2) was collected at 12.28 min Characterization data for these molecules are listed in Table 2A.

Example 136

Preparation of 1-(3,5-Difluoro-4-methoxyphenyl)-2,2,2-trifluoroethanone

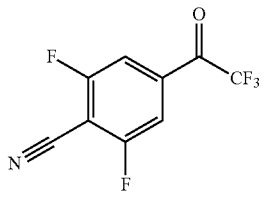


Isopropyl magnesium chloride lithium chloride complex (22.0 mL, 28.02 mmol) was added dropwise to a stirred solution of 5-bromo-1,3-difluoro-2-methoxybenzene (5.0 g, 22.42 mmol) at −5° C. in THF (100 mL) and the reaction mixture was stirred at same temperature for 30 min. Methyl trifluoroacetate (3.67 g, 28.69 mmol) was added dropwise and then the reaction mixture was stirred at ambient temperature for 2 h. A 2 N HCl solution (200 mL) was added to quench the reaction and then it was extracted with diethylether. The organic combined layers were washed with brine dried (Na_2SO_4), filtered and concentrated to afford the title compound (5.4 g, crude) as a yellow liquid. The material was taken on to next step without further purification. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.60 (m, 2H), 4.19 (s, 3H); ESIMS m/z 240.1 ([M]$^+$).

The following molecule was prepared in accordance with the procedures disclosed in

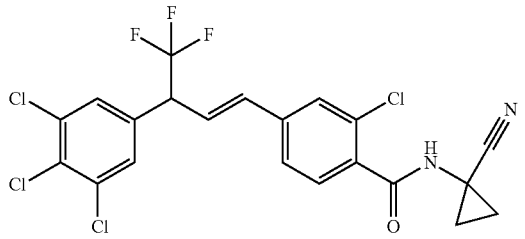
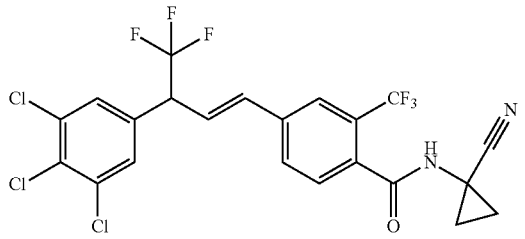
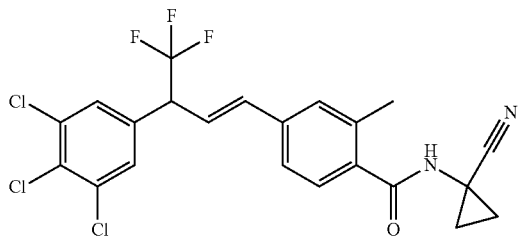
Example 136

2,6-Difluoro-4-(2,2,2-trifluoroacetyl)benzonitrile



^{1}H NMR (400 MHz, CDCl3) δ 7.45 (d, J=8.4 Hz, 1H), 7.37 (d, J=8.4 Hz, 1H); EIMS m/z 235.1 ([M]$^+$).

The following prophetic molecules could be made in accordance with the procedures disclosed in this application:

Compound Number	Structure
P1	
P2	
P3	

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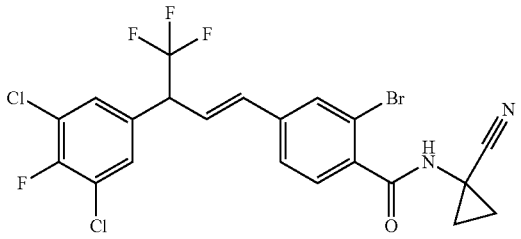
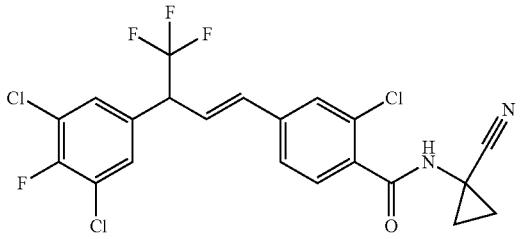
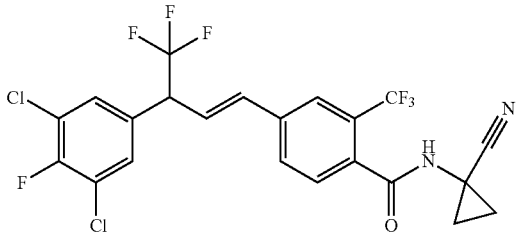
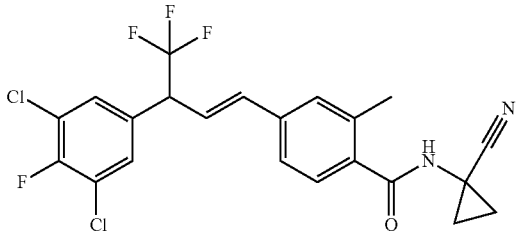
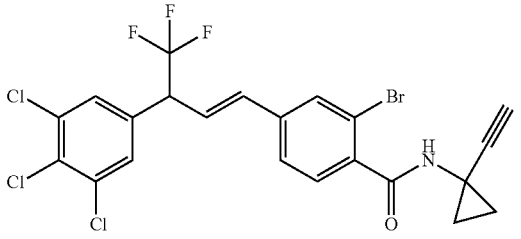
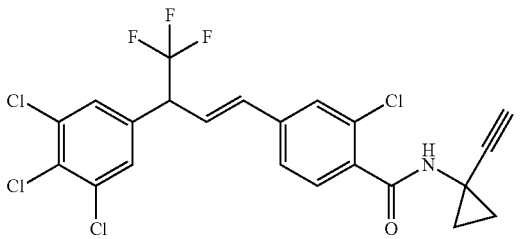
Compound Number	Structure
P4	
P5	
P6	
P7	
P8	
P9	

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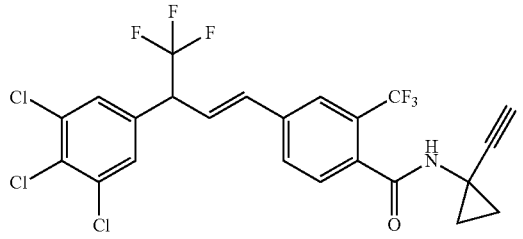
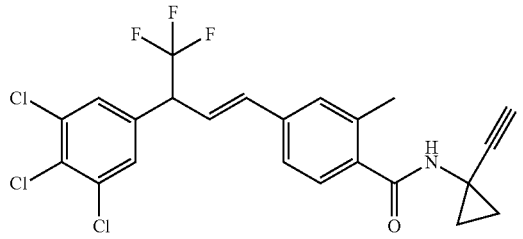
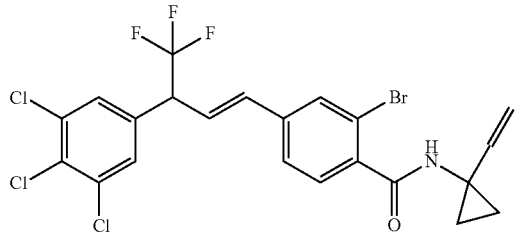
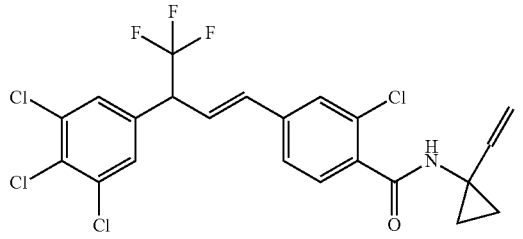
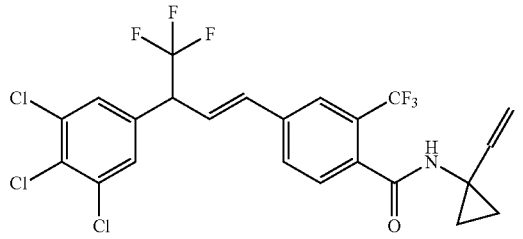
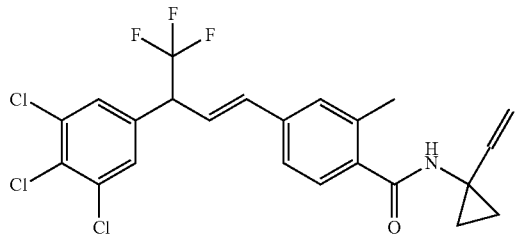
Compound Number	Structure
P10	
P11	
P12	
P13	
P14	
P15	

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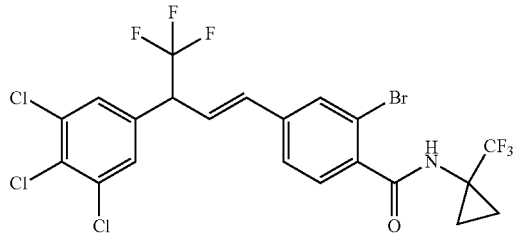
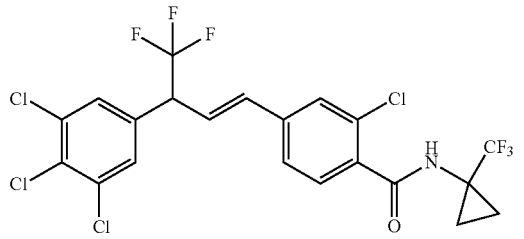
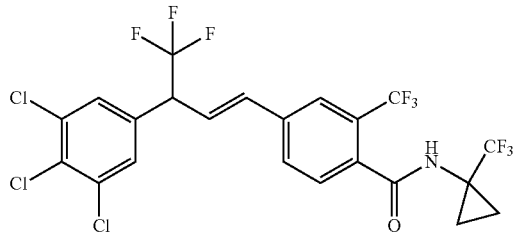
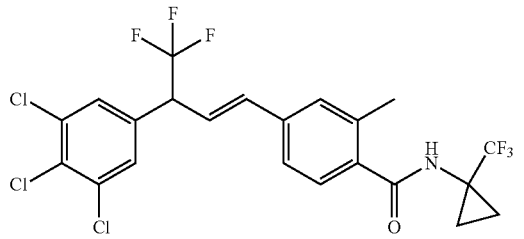
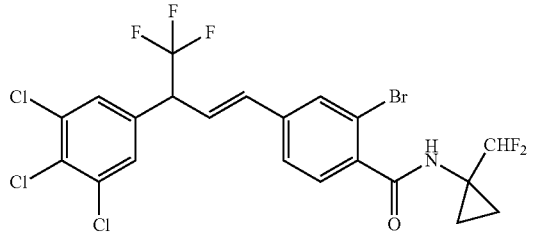
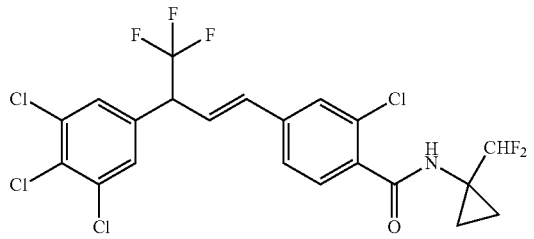
Compound Number	Structure
P16	
P17	
P18	
P19	
P20	
P21	

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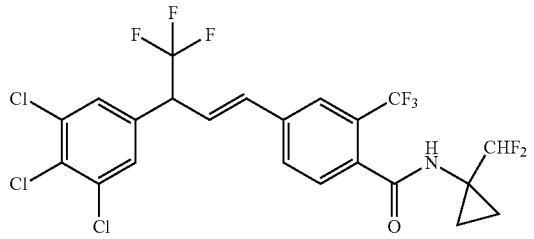
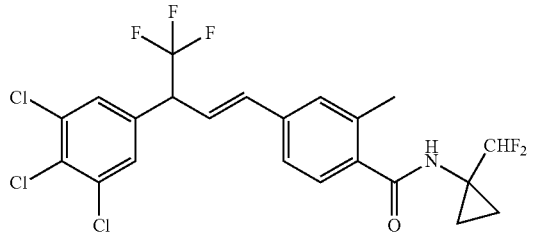
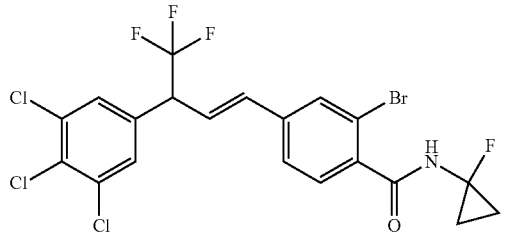
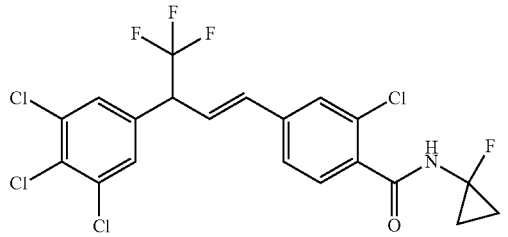
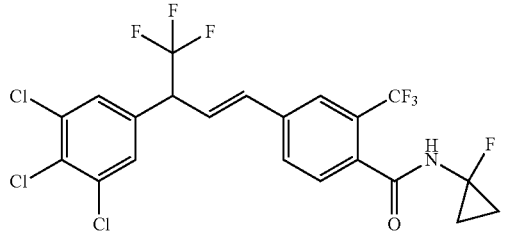
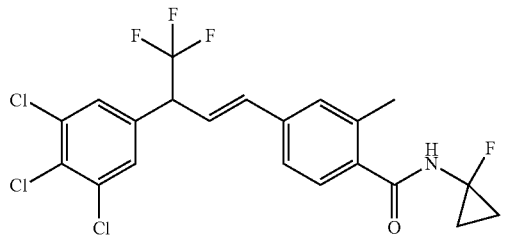
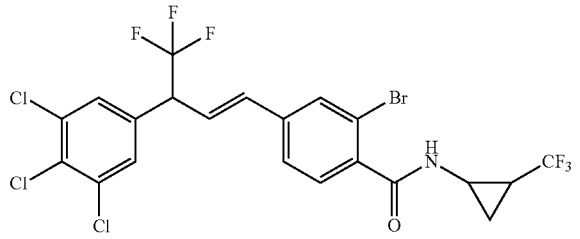
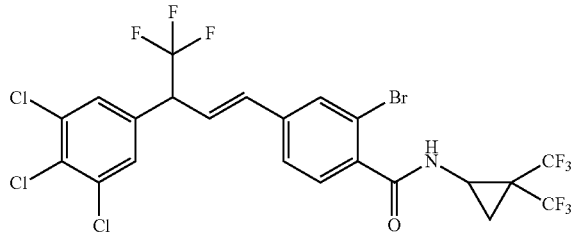
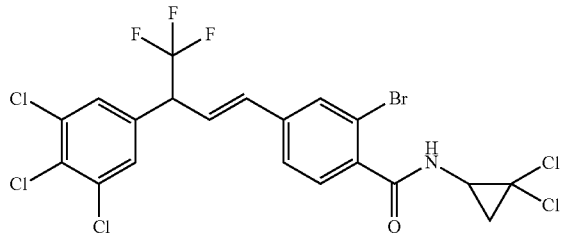
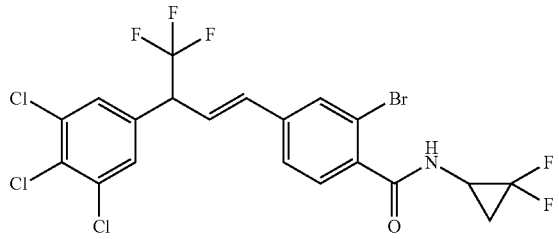
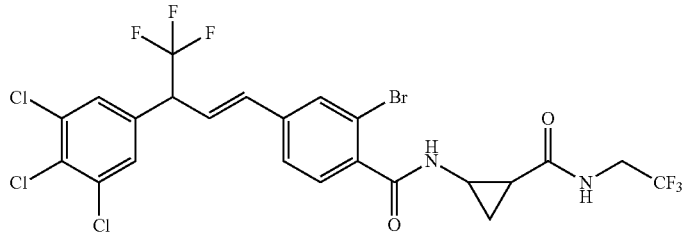
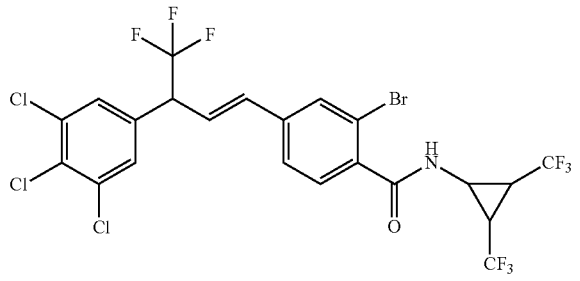
Compound Number	Structure
P22	
P23	
P24	
P25	
P26	
P27	

-continued

Compound Number	Structure
P28	
P29	
P30	
P31	
P32	
P33	

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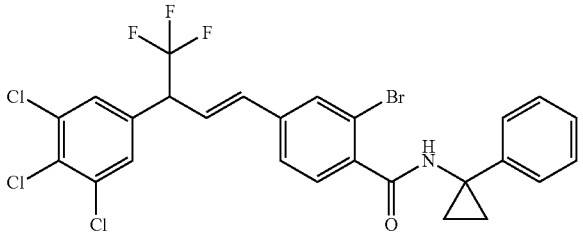
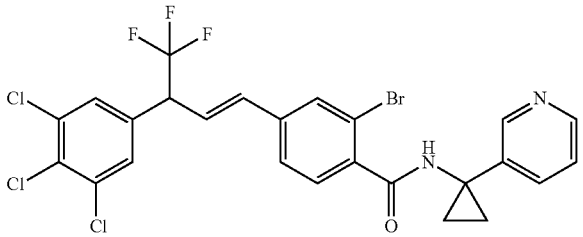
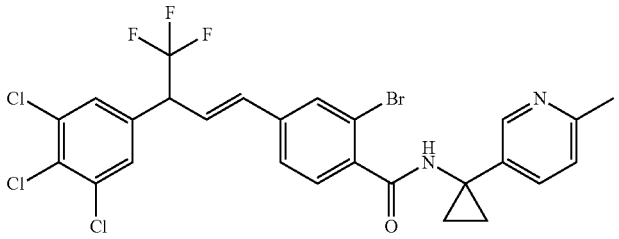
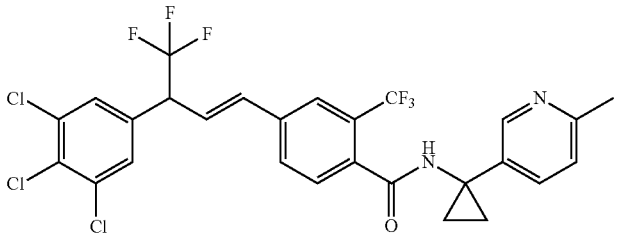
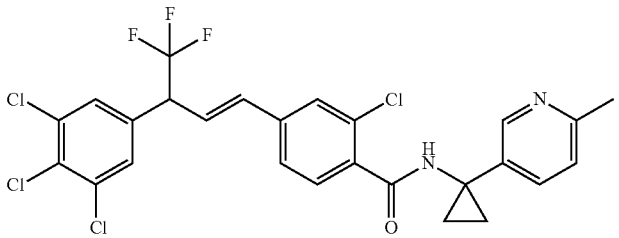
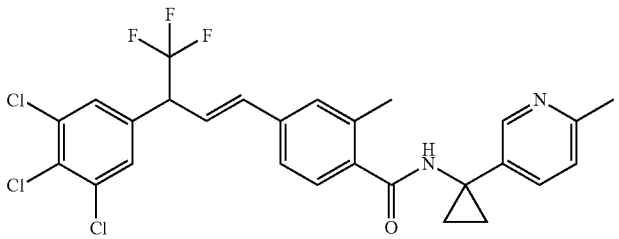
Compound Number	Structure
P34	
P35	
P36	
P37	
P38	
P39	

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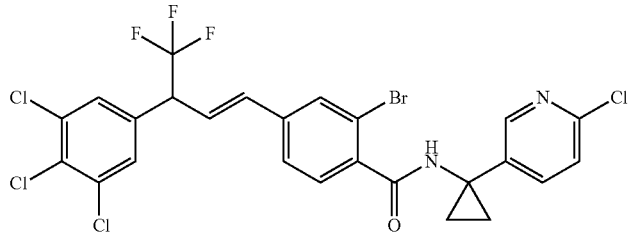
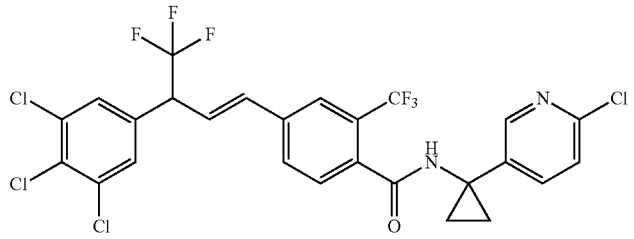
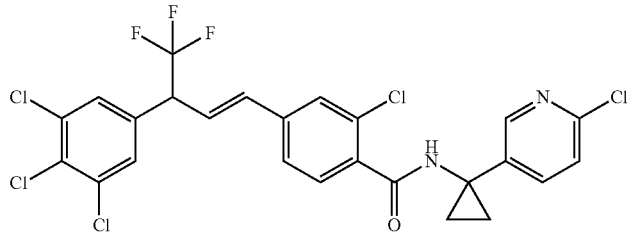
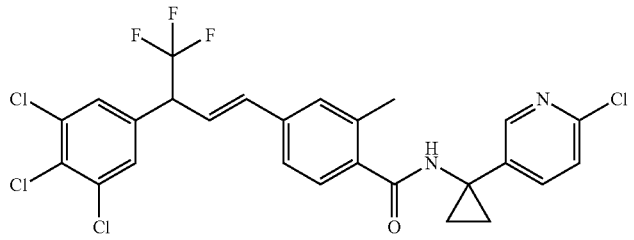
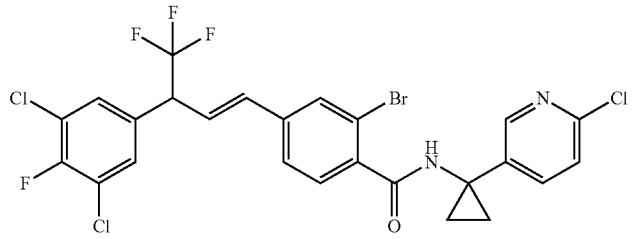
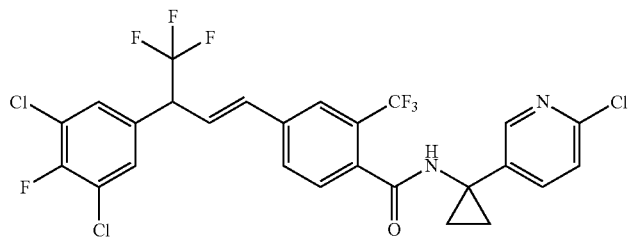
Compound Number	Structure
P40	
P41	
P42	
P43	
P44	
P45	

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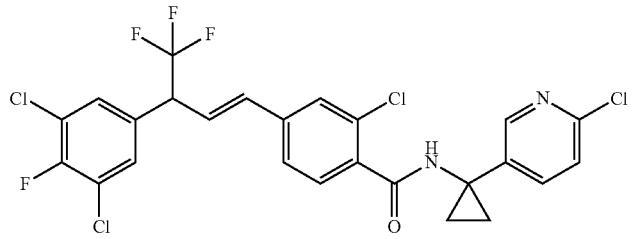
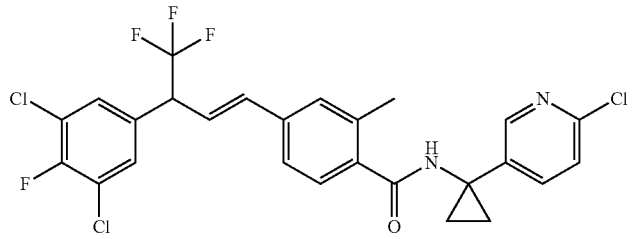
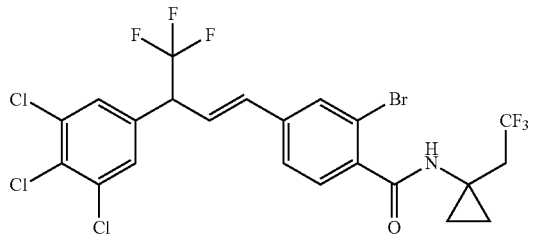
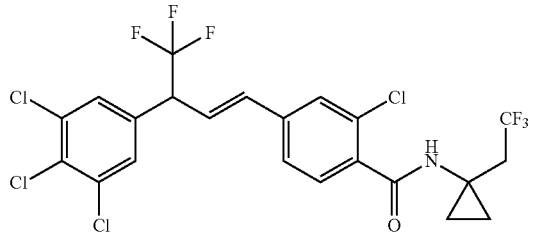
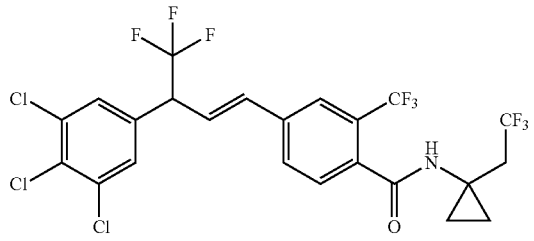
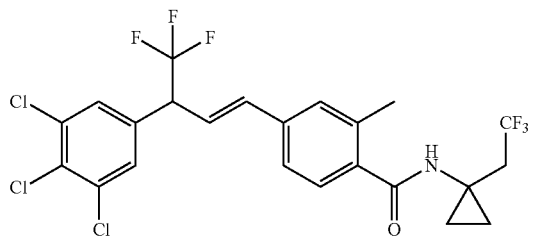
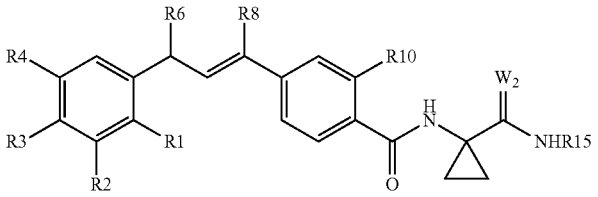
Compound Number	Structure
P46	
P47	
P48	
P49	
P50	
P51	

The following prophetic molecules could be made in accordance with the procedures disclosed in this application:



Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P52	F	F	F	H	CF_3	CF_3	H	O	CH_2CF_3
P53	F	F	F	H	CF_3	CF_3	Br	O	CH_2CF_3
P54	F	F	F	H	CF_3	CF_3	Cl	O	CH_2CF_3
P55	F	F	F	H	CF_3	CF_3	CF_3	O	CH_2CF_3
P56	F	F	F	H	CF_3	CF_3	CH_3	O	CH_2CF_3
P57	F	F	F	H	CF_2CF_3	H	H	O	CH_2CF_3
P58	F	F	F	H	CF_2CF_3	H	Br	O	CH_2CF_3
P59	F	F	F	H	CF_2CF_3	H	Cl	O	CH_2CF_3
P60	F	F	F	H	CF_2CF_3	H	CF_3	O	CH_2CF_3
P61	F	F	F	H	CF_2CF_3	H	CH_3	O	CH_2CF_3
P62	F	F	F	H	CF_3	H	H	O	CH_2CF_3
P63	F	F	F	H	CF_3	H	Br	O	CH_2CF_3
P64	F	F	F	H	CF_3	H	Cl	O	CH_2CF_3
P65	F	F	F	H	CF_3	H	CF_3	O	CH_2CF_3
P66	F	F	F	H	CF_3	H	CH_3	O	CH_2CF_3
P67	F	F	F	H	CF_3	H	H	S	CH_2CF_3
P68	F	F	F	H	CF_3	H	Br	S	CH_2CF_3
P69	F	F	F	H	CF_3	H	Cl	S	CH_2CF_3
P70	F	F	F	H	CF_3	H	CF_3	S	CH_2CF_3
P71	F	F	F	H	CF_3	H	CH_3	S	CH_2CF_3
P72	F	F	F	H	CF_3	H	H	O	CH_2CHF_2
P73	F	F	F	H	CF_3	H	Br	O	CH_2CHF_2
P74	F	F	F	H	CF_3	H	Cl	O	CH_2CHF_2
P75	F	F	F	H	CF_3	H	CF_3	O	CH_2CHF_2
P76	F	F	F	H	CF_3	H	CH_3	O	CH_2CHF_2
P77	F	F	F	H	CF_3	H	H	O	CH_2CH_2F
P78	F	F	F	H	CF_3	H	Br	O	CH_2CH_2F
P79	F	F	F	H	CF_3	H	Cl	O	CH_2CH_2F
P80	F	F	F	H	CF_3	H	CF_3	O	CH_2CH_2F
P81	F	F	F	H	CF_3	H	CH_3	O	CH_2CH_2F
P82	F	F	F	H	CF_3	H	H	O	CH_2CH_3
P83	F	F	F	H	CF_3	H	Br	O	CH_2CH_3
P84	F	F	F	H	CF_3	H	Cl	O	CH_2CH_3
P85	F	F	F	H	CF_3	H	CF_3	O	CH_2CH_3
P86	F	F	F	H	CF_3	H	CH_3	O	CH_2CH_3
P87	F	F	F	H	CF_3	H	H	O	$CH(CH_3)CF_3$
P88	F	F	F	H	CF_3	H	Br	O	$CH(CH_3)CF_3$
P89	F	F	F	H	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P90	F	F	F	H	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P91	F	F	F	H	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P92	F	F	F	H	CF_3	H	H	O	$CH_2CH_2CF_3$
P93	F	F	F	H	CF_3	H	Br	O	$CH_2CH_2CF_3$
P94	F	F	F	H	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P95	F	F	F	H	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P96	F	F	F	H	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P97	Cl	Cl	H	Cl	CF_3	CF_3	H	O	CH_2CF_3
P98	Cl	Cl	H	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P99	Cl	Cl	H	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P100	Cl	Cl	H	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P101	Cl	Cl	H	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P102	Cl	Cl	H	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P103	Cl	Cl	H	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P104	Cl	Cl	H	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P105	Cl	Cl	H	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P106	Cl	Cl	H	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P107	Cl	Cl	H	Cl	CF_3	H	H	O	CH_2CF_3
P108	Cl	Cl	H	Cl	CF_3	H	Br	O	CH_2CF_3
P109	Cl	Cl	H	Cl	CF_3	H	Cl	O	CH_2CF_3
P110	Cl	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CF_3
P111	Cl	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CF_3
P112	Cl	Cl	H	Cl	CF_3	H	H	S	CH_2CF_3
P113	Cl	Cl	H	Cl	CF_3	H	Br	S	CH_2CF_3
P114	Cl	Cl	H	Cl	CF_3	H	Cl	S	CH_2CF_3
P115	Cl	Cl	H	Cl	CF_3	H	CF_3	S	CH_2CF_3
P116	Cl	Cl	H	Cl	CF_3	H	CH_3	S	CH_2CF_3

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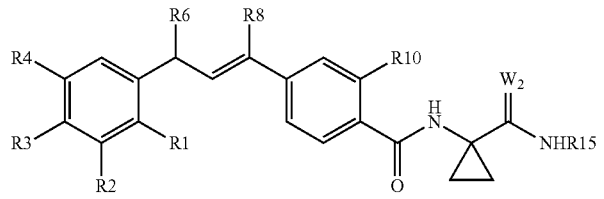

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P117	Cl	Cl	H	Cl	CF_3	H	H	O	CH_2CHF_2
P118	Cl	Cl	H	Cl	CF_3	H	Br	O	CH_2CHF_2
P119	Cl	Cl	H	Cl	CF_3	H	Cl	O	CH_2CHF_2
P120	Cl	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P121	Cl	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P122	Cl	Cl	H	Cl	CF_3	H	H	O	CH_2CH_2F
P123	Cl	Cl	H	Cl	CF_3	H	Br	O	CH_2CH_2F
P124	Cl	Cl	H	Cl	CF_3	H	Cl	O	CH_2CH_2F
P125	Cl	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P126	Cl	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P127	Cl	Cl	H	Cl	CF_3	H	H	O	CH_2CH_3
P128	Cl	Cl	H	Cl	CF_3	H	Br	O	CH_2CH_3
P129	Cl	Cl	H	Cl	CF_3	H	Cl	O	CH_2CH_3
P130	Cl	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CH_3
P131	Cl	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CH_3
P132	Cl	Cl	H	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P133	Cl	Cl	H	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P134	Cl	Cl	H	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P135	Cl	Cl	H	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P136	Cl	Cl	H	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P137	Cl	Cl	H	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P138	Cl	Cl	H	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P139	Cl	Cl	H	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P140	Cl	Cl	H	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P141	Cl	Cl	H	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P142	H	H	H	OCF_3	CF_3	CF_3	H	O	CH_2CF_3
P143	H	H	H	OCF_3	CF_3	CF_3	Br	O	CH_2CF_3
P144	H	H	H	OCF_3	CF_3	CF_3	Cl	O	CH_2CF_3
P145	H	H	H	OCF_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P146	H	H	H	OCF_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P147	H	H	H	OCF_3	CF_2CF_3	H	H	O	CH_2CF_3
P148	H	H	H	OCF_3	CF_2CF_3	H	Br	O	CH_2CF_3
P149	H	H	H	OCF_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P150	H	H	H	OCF_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P151	H	H	H	OCF_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P152	H	H	H	OCF_3	CF_3	H	H	O	CH_2CF_3
P153	H	H	H	OCF_3	CF_3	H	Br	O	CH_2CF_3
P154	H	H	H	OCF_3	CF_3	H	Cl	O	CH_2CF_3
P155	H	H	H	OCF_3	CF_3	H	CF_3	O	CH_2CF_3
P156	H	H	H	OCF_3	CF_3	H	CH_3	O	CH_2CF_3
P157	H	H	H	OCF_3	CF_3	H	H	S	CH_2CF_3
P158	H	H	H	OCF_3	CF_3	H	Br	S	CH_2CF_3
P159	H	H	H	OCF_3	CF_3	H	Cl	S	CH_2CF_3
P160	H	H	H	OCF_3	CF_3	H	CF_3	S	CH_2CF_3
P161	H	H	H	OCF_3	CF_3	H	CH_3	S	CH_2CF_3
P162	H	H	H	OCF_3	CF_3	H	H	O	CH_2CHF_2
P163	H	H	H	OCF_3	CF_3	H	Br	O	CH_2CHF_2
P164	H	H	H	OCF_3	CF_3	H	Cl	O	CH_2CHF_2
P165	H	H	H	OCF_3	CF_3	H	CF_3	O	CH_2CHF_2
P166	H	H	H	OCF_3	CF_3	H	CH_3	O	CH_2CHF_2
P167	H	H	H	OCF_3	CF_3	H	H	O	CH_2CH_2F
P168	H	H	H	OCF_3	CF_3	H	Br	O	CH_2CH_2F
P169	H	H	H	OCF_3	CF_3	H	Cl	O	CH_2CH_2F
P170	H	H	H	OCF_3	CF_3	H	CF_3	O	CH_2CH_2F
P171	H	H	H	OCF_3	CF_3	H	CH_3	O	CH_2CH_2F
P172	H	H	H	OCF_3	CF_3	H	H	O	CH_2CH_3
P173	H	H	H	OCF_3	CF_3	H	Br	O	CH_2CH_3
P174	H	H	H	OCF_3	CF_3	H	Cl	O	CH_2CH_3
P175	H	H	H	OCF_3	CF_3	H	CF_3	O	CH_2CH_3
P176	H	H	H	OCF_3	CF_3	H	CH_3	O	CH_2CH_3
P177	H	H	H	OCF_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P178	H	H	H	OCF_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P179	H	H	H	OCF_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P180	H	H	H	OCF_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P181	H	H	H	OCF_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P182	H	H	H	OCF_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P183	H	H	H	OCF_3	CF_3	H	Br	O	$CH_2CH_2CF_3$

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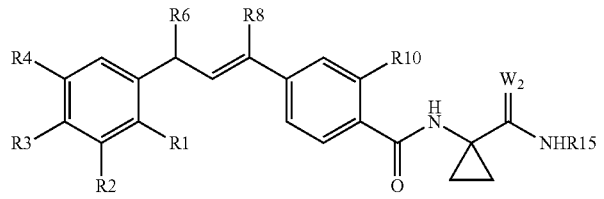

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P184	H	H	H	OCF_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P185	H	H	H	OCF_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P186	H	H	H	OCF_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P187	H	F	H	Br	CF_3	CF_3	H	O	CH_2CF_3
P188	H	F	H	Br	CF_3	CF_3	Br	O	CH_2CF_3
P189	H	F	H	Br	CF_3	CF_3	Cl	O	CH_2CF_3
P190	H	F	H	Br	CF_3	CF_3	CF_3	O	CH_2CF_3
P191	H	F	H	Br	CF_3	CF_3	CH_3	O	CH_2CF_3
P192	H	F	H	Br	CF_2CF_3	H	H	O	CH_2CF_3
P193	H	F	H	Br	CF_2CF_3	H	Br	O	CH_2CF_3
P194	H	F	H	Br	CF_2CF_3	H	Cl	O	CH_2CF_3
P195	H	F	H	Br	CF_2CF_3	H	CF_3	O	CH_2CF_3
P196	H	F	H	Br	CF_2CF_3	H	CH_3	O	CH_2CF_3
P197	H	F	H	Br	CF_3	H	H	O	CH_2CF_3
P198	H	F	H	Br	CF_3	H	Br	O	CH_2CF_3
P199	H	F	H	Br	CF_3	H	Cl	O	CH_2CF_3
P200	H	F	H	Br	CF_3	H	CF_3	O	CH_2CF_3
P201	H	F	H	Br	CF_3	H	CH_3	O	CH_2CF_3
P202	H	F	H	Br	CF_3	H	H	S	CH_2CF_3
P203	H	F	H	Br	CF_3	H	Br	S	CH_2CF_3
P204	H	F	H	Br	CF_3	H	Cl	S	CH_2CF_3
P205	H	F	H	Br	CF_3	H	CF_3	S	CH_2CF_3
P206	H	F	H	Br	CF_3	H	CH_3	S	CH_2CF_3
P207	H	F	H	Br	CF_3	H	H	O	CH_2CHF_2
P208	H	F	H	Br	CF_3	H	Br	O	CH_2CHF_2
P209	H	F	H	Br	CF_3	H	Cl	O	CH_2CHF_2
P210	H	F	H	Br	CF_3	H	CF_3	O	CH_2CHF_2
P211	H	F	H	Br	CF_3	H	CH_3	O	CH_2CHF_2
P212	H	F	H	Br	CF_3	H	H	O	CH_2CH_2F
P213	H	F	H	Br	CF_3	H	Br	O	CH_2CH_2F
P214	H	F	H	Br	CF_3	H	Cl	O	CH_2CH_2F
P215	H	F	H	Br	CF_3	H	CF_3	O	CH_2CH_2F
P216	H	F	H	Br	CF_3	H	CH_3	O	CH_2CH_2F
P217	H	F	H	Br	CF_3	H	H	O	CH_2CH_3
P218	H	F	H	Br	CF_3	H	Br	O	CH_2CH_3
P219	H	F	H	Br	CF_3	H	Cl	O	CH_2CH_3
P220	H	F	H	Br	CF_3	H	CF_3	O	CH_2CH_3
P221	H	F	H	Br	CF_3	H	CH_3	O	CH_2CH_3
P222	H	F	H	Br	CF_3	H	H	O	$CH(CH_3)CF_3$
P223	H	F	H	Br	CF_3	H	Br	O	$CH(CH_3)CF_3$
P224	H	F	H	Br	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P225	H	F	H	Br	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P226	H	F	H	Br	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P227	H	F	H	Br	CF_3	H	H	O	$CH_2CH_2CF_3$
P228	H	F	H	Br	CF_3	H	Br	O	$CH_2CH_2CF_3$
P229	H	F	H	Br	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P230	H	F	H	Br	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P231	H	F	H	Br	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P232	H	CH_3	Cl	H	CF_3	CF_3	H	O	CH_2CF_3
P233	H	CH_3	Cl	H	CF_3	CF_3	Br	O	CH_2CF_3
P234	H	CH_3	Cl	H	CF_3	CF_3	Cl	O	CH_2CF_3
P235	H	CH_3	Cl	H	CF_3	CF_3	CF_3	O	CH_2CF_3
P236	H	CH_3	Cl	H	CF_3	CF_3	CH_3	O	CH_2CF_3
P237	H	CH_3	Cl	H	CF_2CF_3	H	H	O	CH_2CF_3
P238	H	CH_3	Cl	H	CF_2CF_3	H	Br	O	CH_2CF_3
P239	H	CH_3	Cl	H	CF_2CF_3	H	Cl	O	CH_2CF_3
P240	H	CH_3	Cl	H	CF_2CF_3	H	CF_3	O	CH_2CF_3
P241	H	CH_3	Cl	H	CF_2CF_3	H	CH_3	O	CH_2CF_3
P242	H	CH_3	Cl	H	CF_3	H	H	O	CH_2CF_3
P243	H	CH_3	Cl	H	CF_3	H	Br	O	CH_2CF_3
P244	H	CH_3	Cl	H	CF_3	H	Cl	O	CH_2CF_3
P245	H	CH_3	Cl	H	CF_3	H	CF_3	O	CH_2CF_3
P246	H	CH_3	Cl	H	CF_3	H	CH_3	O	CH_2CF_3
P247	H	CH_3	Cl	H	CF_3	H	H	S	CH_2CF_3
P248	H	CH_3	Cl	H	CF_3	H	Br	S	CH_2CF_3
P249	H	CH_3	Cl	H	CF_3	H	Cl	S	CH_2CF_3
P250	H	CH_3	Cl	H	CF_3	H	CF_3	S	CH_2CF_3

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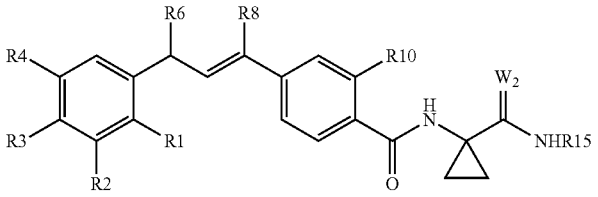

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P251	H	CH_3	Cl	H	CF_3	H	CH_3	S	CH_2CF_3
P252	H	CH_3	Cl	H	CF_3	H	H	O	CH_2CHF_2
P253	H	CH_3	Cl	H	CF_3	H	Br	O	CH_2CHF_2
P254	H	CH_3	Cl	H	CF_3	H	Cl	O	CH_2CHF_2
P255	H	CH_3	Cl	H	CF_3	H	CF_3	O	CH_2CHF_2
P256	H	CH_3	Cl	H	CF_3	H	CH_3	O	CH_2CHF_2
P257	H	CH_3	Cl	H	CF_3	H	H	O	CH_2CH_2F
P258	H	CH_3	Cl	H	CF_3	H	Br	O	CH_2CH_2F
P259	H	CH_3	Cl	H	CF_3	H	Cl	O	CH_2CH_2F
P260	H	CH_3	Cl	H	CF_3	H	CF_3	O	CH_2CH_2F
P261	H	CH_3	Cl	H	CF_3	H	CH_3	O	CH_2CH_2F
P262	H	CH_3	Cl	H	CF_3	H	H	O	CH_2CH_3
P263	H	CH_3	Cl	H	CF_3	H	Br	O	CH_2CH_3
P264	H	CH_3	Cl	H	CF_3	H	Cl	O	CH_2CH_3
P265	H	CH_3	Cl	H	CF_3	H	CF_3	O	CH_2CH_3
P266	H	CH_3	Cl	H	CF_3	H	CH_3	O	CH_2CH_3
P267	H	CH_3	Cl	H	CF_3	H	H	O	$CH(CH_3)CF_3$
P268	H	CH_3	Cl	H	CF_3	H	Br	O	$CH(CH_3)CF_3$
P269	H	CH_3	Cl	H	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P270	H	CH_3	Cl	H	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P271	H	CH_3	Cl	H	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P272	H	CH_3	Cl	H	CF_3	H	H	O	$CH_2CH_2CF_3$
P273	H	CH_3	Cl	H	CF_3	H	Br	O	$CH_2CH_2CF_3$
P274	H	CH_3	Cl	H	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P275	H	CH_3	Cl	H	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P276	H	CH_3	Cl	H	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P277	H	Cl	CH_3	H	CF_3	CF_3	H	O	CH_2CF_3
P278	H	Cl	CH_3	H	CF_3	CF_3	Br	O	CH_2CF_3
P279	H	Cl	CH_3	H	CF_3	CF_3	Cl	O	CH_2CF_3
P280	H	Cl	CH_3	H	CF_3	CF_3	CF_3	O	CH_2CF_3
P281	H	Cl	CH_3	H	CF_3	CF_3	CH_3	O	CH_2CF_3
P282	H	Cl	CH_3	H	CF_2CF_3	H	H	O	CH_2CF_3
P283	H	Cl	CH_3	H	CF_2CF_3	H	Br	O	CH_2CF_3
P284	H	Cl	CH_3	H	CF_2CF_3	H	Cl	O	CH_2CF_3
P285	H	Cl	CH_3	H	CF_2CF_3	H	CF_3	O	CH_2CF_3
P286	H	Cl	CH_3	H	CF_2CF_3	H	CH_3	O	CH_2CF_3
P287	H	Cl	CH_3	H	CF_3	H	H	O	CH_2CF_3
P288	H	Cl	CH_3	H	CF_3	H	Br	O	CH_2CF_3
P289	H	Cl	CH_3	H	CF_3	H	Cl	O	CH_2CF_3
P290	H	Cl	CH_3	H	CF_3	H	CF_3	O	CH_2CF_3
P291	H	Cl	CH_3	H	CF_3	H	CH_3	O	CH_2CF_3
P292	H	Cl	CH_3	H	CF_3	H	H	S	CH_2CF_3
P293	H	Cl	CH_3	H	CF_3	H	Br	S	CH_2CF_3
P294	H	Cl	CH_3	H	CF_3	H	Cl	S	CH_2CF_3
P295	H	Cl	CH_3	H	CF_3	H	CF_3	S	CH_2CF_3
P296	H	Cl	CH_3	H	CF_3	H	CH_3	S	CH_2CF_3
P297	H	Cl	CH_3	H	CF_3	H	H	O	CH_2CHF_2
P298	H	Cl	CH_3	H	CF_3	H	Br	O	CH_2CHF_2
P299	H	Cl	CH_3	H	CF_3	H	Cl	O	CH_2CHF_2
P300	H	Cl	CH_3	H	CF_3	H	CF_3	O	CH_2CHF_2
P301	H	Cl	CH_3	H	CF_3	H	CH_3	O	CH_2CHF_2
P302	H	Cl	CH_3	H	CF_3	H	H	O	CH_2CH_2F
P303	H	Cl	CH_3	H	CF_3	H	Br	O	CH_2CH_2F
P304	H	Cl	CH_3	H	CF_3	H	Cl	O	CH_2CH_2F
P305	H	Cl	CH_3	H	CF_3	H	CF_3	O	CH_2CH_2F
P306	H	Cl	CH_3	H	CF_3	H	CH_3	O	CH_2CH_2F
P307	H	Cl	CH_3	H	CF_3	H	H	O	CH_2CH_3
P308	H	Cl	CH_3	H	CF_3	H	Br	O	CH_2CH_3
P309	H	Cl	CH_3	H	CF_3	H	Cl	O	CH_2CH_3
P310	H	Cl	CH_3	H	CF_3	H	CF_3	O	CH_2CH_3
P311	H	Cl	CH_3	H	CF_3	H	CH_3	O	CH_2CH_3
P312	H	Cl	CH_3	H	CF_3	H	H	O	$CH(CH_3)CF_3$
P313	H	Cl	CH_3	H	CF_3	H	Br	O	$CH(CH_3)CF_3$
P314	H	Cl	CH_3	H	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P315	H	Cl	CH_3	H	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P316	H	Cl	CH_3	H	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P317	H	Cl	CH_3	H	CF_3	H	H	O	$CH_2CH_2CF_3$

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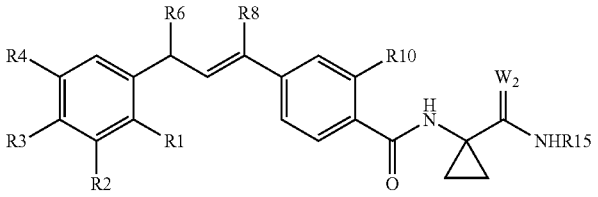

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P318	H	Cl	CH_3	H	CF_3	H	Br	O	$CH_2CH_2CF_3$
P319	H	Cl	CH_3	H	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P320	H	Cl	CH_3	H	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P321	H	Cl	CH_3	H	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P322	H	CH_3	F	CH_3	CF_3	CF_3	H	O	CH_2CF_3
P323	H	CH_3	F	CH_3	CF_3	CF_3	Br	O	CH_2CF_3
P324	H	CH_3	F	CH_3	CF_3	CF_3	Cl	O	CH_2CF_3
P325	H	CH_3	F	CH_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P326	H	CH_3	F	CH_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P327	H	CH_3	F	CH_3	CF_2CF_3	H	H	O	CH_2CF_3
P328	H	CH_3	F	CH_3	CF_2CF_3	H	Br	O	CH_2CF_3
P329	H	CH_3	F	CH_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P330	H	CH_3	F	CH_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P331	H	CH_3	F	CH_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P332	H	CH_3	F	CH_3	CF_3	H	H	O	CH_2CF_3
P333	H	CH_3	F	CH_3	CF_3	H	Br	O	CH_2CF_3
P334	H	CH_3	F	CH_3	CF_3	H	Cl	O	CH_2CF_3
P335	H	CH_3	F	CH_3	CF_3	H	CF_3	O	CH_2CF_3
P336	H	CH_3	F	CH_3	CF_3	H	CH_3	O	CH_2CF_3
P337	H	CH_3	F	CH_3	CF_3	H	H	S	CH_2CF_3
P338	H	CH_3	F	CH_3	CF_3	H	Br	S	CH_2CF_3
P339	H	CH_3	F	CH_3	CF_3	H	Cl	S	CH_2CF_3
P340	H	CH_3	F	CH_3	CF_3	H	CF_3	S	CH_2CF_3
P341	H	CH_3	F	CH_3	CF_3	H	CH_3	S	CH_2CF_3
P342	H	CH_3	F	CH_3	CF_3	H	H	O	CH_2CHF_2
P343	H	CH_3	F	CH_3	CF_3	H	Br	O	CH_2CHF_2
P344	H	CH_3	F	CH_3	CF_3	H	Cl	O	CH_2CHF_2
P345	H	CH_3	F	CH_3	CF_3	H	CF_3	O	CH_2CHF_2
P346	H	CH_3	F	CH_3	CF_3	H	CH_3	O	CH_2CHF_2
P347	H	CH_3	F	CH_3	CF_3	H	H	O	CH_2CH_2F
P348	H	CH_3	F	CH_3	CF_3	H	Br	O	CH_2CH_2F
P349	H	CH_3	F	CH_3	CF_3	H	Cl	O	CH_2CH_2F
P350	H	CH_3	F	CH_3	CF_3	H	CF_3	O	CH_2CH_2F
P351	H	CH_3	F	CH_3	CF_3	H	CH_3	O	CH_2CH_2F
P352	H	CH_3	F	CH_3	CF_3	H	H	O	CH_2CH_3
P353	H	CH_3	F	CH_3	CF_3	H	Br	O	CH_2CH_3
P354	H	CH_3	F	CH_3	CF_3	H	Cl	O	CH_2CH_3
P355	H	CH_3	F	CH_3	CF_3	H	CF_3	O	CH_2CH_3
P356	H	CH_3	F	CH_3	CF_3	H	CH_3	O	CH_2CH_3
P357	H	CH_3	F	CH_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P358	H	CH_3	F	CH_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P359	H	CH_3	F	CH_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P360	H	CH_3	F	CH_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P361	H	CH_3	F	CH_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P362	H	CH_3	F	CH_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P363	H	CH_3	F	CH_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P364	H	CH_3	F	CH_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P365	H	CH_3	F	CH_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P366	H	CH_3	F	CH_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P367	H	Cl	H	Br	CF_3	CF_3	H	O	CH_2CF_3
P368	H	Cl	H	Br	CF_3	CF_3	Br	O	CH_2CF_3
P369	H	Cl	H	Br	CF_3	CF_3	Cl	O	CH_2CF_3
P370	H	Cl	H	Br	CF_3	CF_3	CF_3	O	CH_2CF_3
P371	H	Cl	H	Br	CF_3	CF_3	CH_3	O	CH_2CF_3
P372	H	Cl	H	Br	CF_2CF_3	H	H	O	CH_2CF_3
P373	H	Cl	H	Br	CF_2CF_3	H	Br	O	CH_2CF_3
P374	H	Cl	H	Br	CF_2CF_3	H	Cl	O	CH_2CF_3
P375	H	Cl	H	Br	CF_2CF_3	H	CF_3	O	CH_2CF_3
P376	H	Cl	H	Br	CF_2CF_3	H	CH_3	O	CH_2CF_3
P377	H	Cl	H	Br	CF_3	H	H	O	CH_2CF_3
P378	H	Cl	H	Br	CF_3	H	Br	O	CH_2CF_3
P379	H	Cl	H	Br	CF_3	H	Cl	O	CH_2CF_3
P380	H	Cl	H	Br	CF_3	H	CF_3	O	CH_2CF_3
P381	H	Cl	H	Br	CF_3	H	CH_3	O	CH_2CF_3
P382	H	Cl	H	Br	CF_3	H	H	S	CH_2CF_3
P383	H	Cl	H	Br	CF_3	H	Br	S	CH_2CF_3
P384	H	Cl	H	Br	CF_3	H	Cl	S	CH_2CF_3

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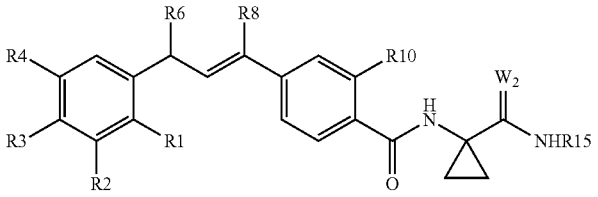

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P385	H	Cl	H	Br	CF_3	H	CF_3	S	CH_2CF_3
P386	H	Cl	H	Br	CF_3	H	CH_3	S	CH_2CF_3
P387	H	Cl	H	Br	CF_3	H	H	O	CH_2CHF_2
P388	H	Cl	H	Br	CF_3	H	Br	O	CH_2CHF_2
P389	H	Cl	H	Br	CF_3	H	Cl	O	CH_2CHF_2
P390	H	Cl	H	Br	CF_3	H	CF_3	O	CH_2CHF_2
P391	H	Cl	H	Br	CF_3	H	CH_3	O	CH_2CHF_2
P392	H	Cl	H	Br	CF_3	H	H	O	CH_2CH_2F
P393	H	Cl	H	Br	CF_3	H	Br	O	CH_2CH_2F
P394	H	Cl	H	Br	CF_3	H	Cl	O	CH_2CH_2F
P395	H	Cl	H	Br	CF_3	H	CF_3	O	CH_2CH_2F
P396	H	Cl	H	Br	CF_3	H	CH_3	O	CH_2CH_2F
P397	H	Cl	H	Br	CF_3	H	H	O	CH_2CH_3
P398	H	Cl	H	Br	CF_3	H	Br	O	CH_2CH_3
P399	H	Cl	H	Br	CF_3	H	Cl	O	CH_2CH_3
P400	H	Cl	H	Br	CF_3	H	CF_3	O	CH_2CH_3
P401	H	Cl	H	Br	CF_3	H	CH_3	O	CH_2CH_3
P402	H	Cl	H	Br	CF_3	H	H	O	$CH(CH_3)CF_3$
P403	H	Cl	H	Br	CF_3	H	Br	O	$CH(CH_3)CF_3$
P404	H	Cl	H	Br	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P405	H	Cl	H	Br	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P406	H	Cl	H	Br	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P407	H	Cl	H	Br	CF_3	H	H	O	$CH_2CH_2CF_3$
P408	H	Cl	H	Br	CF_3	H	Br	O	$CH_2CH_2CF_3$
P409	H	Cl	H	Br	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P410	H	Cl	H	Br	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P411	H	Cl	H	Br	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P412	H	H	Br	Br	CF_3	CF_3	H	O	CH_2CF_3
P413	H	H	Br	Br	CF_3	CF_3	Br	O	CH_2CF_3
P414	H	H	Br	Br	CF_3	CF_3	Cl	O	CH_2CF_3
P415	H	H	Br	Br	CF_3	CF_3	CF_3	O	CH_2CF_3
P416	H	H	Br	Br	CF_3	CF_3	CH_3	O	CH_2CF_3
P417	H	H	Br	Br	CF_2CF_3	H	H	O	CH_2CF_3
P418	H	H	Br	Br	CF_2CF_3	H	Br	O	CH_2CF_3
P419	H	H	Br	Br	CF_2CF_3	H	Cl	O	CH_2CF_3
P420	H	H	Br	Br	CF_2CF_3	H	CF_3	O	CH_2CF_3
P421	H	H	Br	Br	CF_2CF_3	H	CH_3	O	CH_2CF_3
P422	H	H	Br	Br	CF_3	H	H	O	CH_2CF_3
P423	H	H	Br	Br	CF_3	H	Br	O	CH_2CF_3
P424	H	H	Br	Br	CF_3	H	Cl	O	CH_2CF_3
P425	H	H	Br	Br	CF_3	H	CF_3	O	CH_2CF_3
P426	H	H	Br	Br	CF_3	H	CH_3	O	CH_2CF_3
P427	H	H	Br	Br	CF_3	H	H	S	CH_2CF_3
P428	H	H	Br	Br	CF_3	H	Br	S	CH_2CF_3
P429	H	H	Br	Br	CF_3	H	Cl	S	CH_2CF_3
P430	H	H	Br	Br	CF_3	H	CF_3	S	CH_2CF_3
P431	H	H	Br	Br	CF_3	H	CH_3	S	CH_2CF_3
P432	H	H	Br	Br	CF_3	H	H	O	CH_2CHF_2
P433	H	H	Br	Br	CF_3	H	Br	O	CH_2CHF_2
P434	H	H	Br	Br	CF_3	H	Cl	O	CH_2CHF_2
P435	H	H	Br	Br	CF_3	H	CF_3	O	CH_2CHF_2
P436	H	H	Br	Br	CF_3	H	CH_3	O	CH_2CHF_2
P437	H	H	Br	Br	CF_3	H	H	O	CH_2CH_2F
P438	H	H	Br	Br	CF_3	H	Br	O	CH_2CH_2F
P439	H	H	Br	Br	CF_3	H	Cl	O	CH_2CH_2F
P440	H	H	Br	Br	CF_3	H	CF_3	O	CH_2CH_2F
P441	H	H	Br	Br	CF_3	H	CH_3	O	CH_2CH_2F
P442	H	H	Br	Br	CF_3	H	H	O	CH_2CH_3
P443	H	H	Br	Br	CF_3	H	Br	O	CH_2CH_3
P444	H	H	Br	Br	CF_3	H	Cl	O	CH_2CH_3
P445	H	H	Br	Br	CF_3	H	CF_3	O	CH_2CH_3
P446	H	H	Br	Br	CF_3	H	CH_3	O	CH_2CH_3
P447	H	H	Br	Br	CF_3	H	H	O	$CH(CH_3)CF_3$
P448	H	H	Br	Br	CF_3	H	Br	O	$CH(CH_3)CF_3$
P449	H	H	Br	Br	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P450	H	H	Br	Br	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P451	H	H	Br	Br	CF_3	H	CH_3	O	$CH(CH_3)CF_3$

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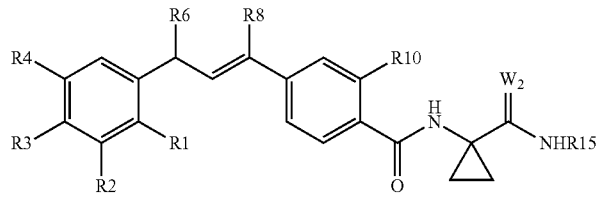

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P452	H	H	Br	Br	CF_3	H	H	O	$CH_2CH_2CF_3$
P453	H	H	Br	Br	CF_3	H	Br	O	$CH_2CH_2CF_3$
P454	H	H	Br	Br	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P455	H	H	Br	Br	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P456	H	H	Br	Br	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P457	H	H	Cl	NO_2	CF_3	CF_3	H	O	CH_2CF_3
P458	H	H	Cl	NO_2	CF_3	CF_3	Br	O	CH_2CF_3
P459	H	H	Cl	NO_2	CF_3	CF_3	Cl	O	CH_2CF_3
P460	H	H	Cl	NO_2	CF_3	CF_3	CF_3	O	CH_2CF_3
P461	H	H	Cl	NO_2	CF_3	CF_3	CH_3	O	CH_2CF_3
P462	H	H	Cl	NO_2	CF_2CF_3	H	H	O	CH_2CF_3
P463	H	H	Cl	NO_2	CF_2CF_3	H	Br	O	CH_2CF_3
P464	H	H	Cl	NO_2	CF_2CF_3	H	Cl	O	CH_2CF_3
P465	H	H	Cl	NO_2	CF_2CF_3	H	CF_3	O	CH_2CF_3
P466	H	H	Cl	NO_2	CF_2CF_3	H	CH_3	O	CH_2CF_3
P467	H	H	Cl	NO_2	CF_3	H	H	O	CH_2CF_3
P468	H	H	Cl	NO_2	CF_3	H	Br	O	CH_2CF_3
P469	H	H	Cl	NO_2	CF_3	H	Cl	O	CH_2CF_3
P470	H	H	Cl	NO_2	CF_3	H	CF_3	O	CH_2CF_3
P471	H	H	Cl	NO_2	CF_3	H	CH_3	O	CH_2CF_3
P472	H	H	Cl	NO_2	CF_3	H	H	S	CH_2CF_3
P473	H	H	Cl	NO_2	CF_3	H	Br	S	CH_2CF_3
P474	H	H	Cl	NO_2	CF_3	H	Cl	S	CH_2CF_3
P475	H	H	Cl	NO_2	CF_3	H	CF_3	S	CH_2CF_3
P476	H	H	Cl	NO_2	CF_3	H	CH_3	S	CH_2CF_3
P477	H	H	Cl	NO_2	CF_3	H	H	O	CH_2CHF_2
P478	H	H	Cl	NO_2	CF_3	H	Br	O	CH_2CHF_2
P479	H	H	Cl	NO_2	CF_3	H	Cl	O	CH_2CHF_2
P480	H	H	Cl	NO_2	CF_3	H	CF_3	O	CH_2CHF_2
P481	H	H	Cl	NO_2	CF_3	H	CH_3	O	CH_2CHF_2
P482	H	H	Cl	NO_2	CF_3	H	H	O	CH_2CH_2F
P483	H	H	Cl	NO_2	CF_3	H	Br	O	CH_2CH_2F
P484	H	H	Cl	NO_2	CF_3	H	Cl	O	CH_2CH_2F
P485	H	H	Cl	NO_2	CF_3	H	CF_3	O	CH_2CH_2F
P486	H	H	Cl	NO_2	CF_3	H	CH_3	O	CH_2CH_2F
P487	H	H	Cl	NO_2	CF_3	H	H	O	CH_2CH_3
P488	H	H	Cl	NO_2	CF_3	H	Br	O	CH_2CH_3
P489	H	H	Cl	NO_2	CF_3	H	Cl	O	CH_2CH_3
P490	H	H	Cl	NO_2	CF_3	H	CF_3	O	CH_2CH_3
P491	H	H	Cl	NO_2	CF_3	H	CH_3	O	CH_2CH_3
P492	H	H	Cl	NO_2	CF_3	H	H	O	$CH(CH_3)CF_3$
P493	H	H	Cl	NO_2	CF_3	H	Br	O	$CH(CH_3)CF_3$
P494	H	H	Cl	NO_2	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P495	H	H	Cl	NO_2	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P496	H	H	Cl	NO_2	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P497	H	H	Cl	NO_2	CF_3	H	H	O	$CH_2CH_2CF_3$
P498	H	H	Cl	NO_2	CF_3	H	Br	O	$CH_2CH_2CF_3$
P499	H	H	Cl	NO_2	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P500	H	H	Cl	NO_2	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P501	H	H	Cl	NO_2	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P502	H	H	F	CN	CF_3	CF_3	H	O	CH_2CF_3
P503	H	H	F	CN	CF_3	CF_3	Br	O	CH_2CF_3
P504	H	H	F	CN	CF_3	CF_3	Cl	O	CH_2CF_3
P505	H	H	F	CN	CF_3	CF_3	CF_3	O	CH_2CF_3
P506	H	H	F	CN	CF_3	CF_3	CH_3	O	CH_2CF_3
P507	H	H	F	CN	CF_2CF_3	H	H	O	CH_2CF_3
P508	H	H	F	CN	CF_2CF_3	H	Br	O	CH_2CF_3
P509	H	H	F	CN	CF_2CF_3	H	Cl	O	CH_2CF_3
P510	H	H	F	CN	CF_2CF_3	H	CF_3	O	CH_2CF_3
P511	H	H	F	CN	CF_2CF_3	H	CH_3	O	CH_2CF_3
P512	H	H	F	CN	CF_3	H	H	O	CH_2CF_3
P513	H	H	F	CN	CF_3	H	Br	O	CH_2CF_3
P514	H	H	F	CN	CF_3	H	Cl	O	CH_2CF_3
P515	H	H	F	CN	CF_3	H	CF_3	O	CH_2CF_3
P516	H	H	F	CN	CF_3	H	CH_3	O	CH_2CF_3
P517	H	H	F	CN	CF_3	H	H	S	CH_2CF_3
P518	H	H	F	CN	CF_3	H	Br	S	CH_2CF_3

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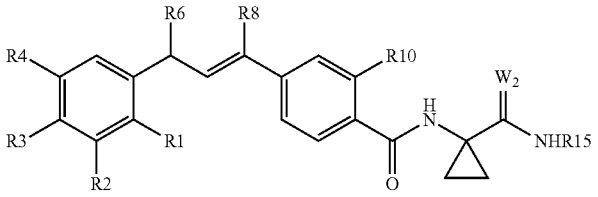

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P519	H	H	F	CN	CF_3	H	Cl	S	CH_2CF_3
P520	H	H	F	CN	CF_3	H	CF_3	S	CH_2CF_3
P521	H	H	F	CN	CF_3	H	CH_3	S	CH_2CF_3
P522	H	H	F	CN	CF_3	H	H	O	CH_2CHF_2
P523	H	H	F	CN	CF_3	H	Br	O	CH_2CHF_2
P524	H	H	F	CN	CF_3	H	Cl	O	CH_2CHF_2
P525	H	H	F	CN	CF_3	H	CF_3	O	CH_2CHF_2
P526	H	H	F	CN	CF_3	H	CH_3	O	CH_2CHF_2
P527	H	H	F	CN	CF_3	H	H	O	CH_2CH_2F
P528	H	H	F	CN	CF_3	H	Br	O	CH_2CH_2F
P529	H	H	F	CN	CF_3	H	Cl	O	CH_2CH_2F
P530	H	H	F	CN	CF_3	H	CF_3	O	CH_2CH_2F
P531	H	H	F	CN	CF_3	H	CH_3	O	CH_2CH_2F
P532	H	H	F	CN	CF_3	H	H	O	CH_2CH_3
P533	H	H	F	CN	CF_3	H	Br	O	CH_2CH_3
P534	H	H	F	CN	CF_3	H	Cl	O	CH_2CH_3
P535	H	H	F	CN	CF_3	H	CF_3	O	CH_2CH_3
P536	H	H	F	CN	CF_3	H	CH_3	O	CH_2CH_3
P537	H	H	F	CN	CF_3	H	H	O	$CH(CH_3)CF_3$
P538	H	H	F	CN	CF_3	H	Br	O	$CH(CH_3)CF_3$
P539	H	H	F	CN	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P540	H	H	F	CN	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P541	H	H	F	CN	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P542	H	H	F	CN	CF_3	H	H	O	$CH_2CH_2CF_3$
P543	H	H	F	CN	CF_3	H	Br	O	$CH_2CH_2CF_3$
P544	H	H	F	CN	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P545	H	H	F	CN	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P546	H	H	F	CN	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P547	H	Cl	OCF_3	Cl	CF_3	CF_3	H	O	CH_2CF_3
P548	H	Cl	OCF_3	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P549	H	Cl	OCF_3	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P550	H	Cl	OCF_3	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P551	H	Cl	OCF_3	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P552	H	Cl	OCF_3	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P553	H	Cl	OCF_3	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P554	H	Cl	OCF_3	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P555	H	Cl	OCF_3	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P556	H	Cl	OCF_3	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P557	H	Cl	OCF_3	Cl	CF_3	H	H	O	CH_2CF_3
P558	H	Cl	OCF_3	Cl	CF_3	H	Br	O	CH_2CF_3
P559	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	CH_2CF_3
P560	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	CH_2CF_3
P561	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	CH_2CF_3
P562	H	Cl	OCF_3	Cl	CF_3	H	H	S	CH_2CF_3
P563	H	Cl	OCF_3	Cl	CF_3	H	Br	S	CH_2CF_3
P564	H	Cl	OCF_3	Cl	CF_3	H	Cl	S	CH_2CF_3
P565	H	Cl	OCF_3	Cl	CF_3	H	CF_3	S	CH_2CF_3
P566	H	Cl	OCF_3	Cl	CF_3	H	CH_3	S	CH_2CF_3
P567	H	Cl	OCF_3	Cl	CF_3	H	H	O	CH_2CHF_2
P568	H	Cl	OCF_3	Cl	CF_3	H	Br	O	CH_2CHF_2
P569	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	CH_2CHF_2
P570	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P571	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P572	H	Cl	OCF_3	Cl	CF_3	H	H	O	CH_2CH_2F
P573	H	Cl	OCF_3	Cl	CF_3	H	Br	O	CH_2CH_2F
P574	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	CH_2CH_2F
P575	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P576	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P577	H	Cl	OCF_3	Cl	CF_3	H	H	O	CH_2CH_3
P578	H	Cl	OCF_3	Cl	CF_3	H	Br	O	CH_2CH_3
P579	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	CH_2CH_3
P580	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	CH_2CH_3
P581	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	CH_2CH_3
P582	H	Cl	OCF_3	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P583	H	Cl	OCF_3	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P584	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P585	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$

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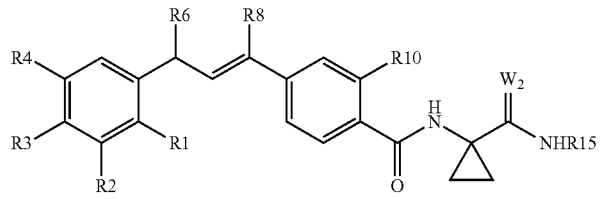

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P586	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P587	H	Cl	OCF_3	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P588	H	Cl	OCF_3	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P589	H	Cl	OCF_3	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P590	H	Cl	OCF_3	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P591	H	Cl	OCF_3	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P592	H	Cl	CN	Cl	CF_3	CF_3	H	O	CH_2CF_3
P593	H	Cl	CN	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P594	H	Cl	CN	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P595	H	Cl	CN	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P596	H	Cl	CN	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P597	H	Cl	CN	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P598	H	Cl	CN	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P599	H	Cl	CN	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P600	H	Cl	CN	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P601	H	Cl	CN	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P602	H	Cl	CN	Cl	CF_3	H	H	O	CH_2CF_3
P603	H	Cl	CN	Cl	CF_3	H	Br	O	CH_2CF_3
P604	H	Cl	CN	Cl	CF_3	H	Cl	O	CH_2CF_3
P605	H	Cl	CN	Cl	CF_3	H	CF_3	O	CH_2CF_3
P606	H	Cl	CN	Cl	CF_3	H	CH_3	O	CH_2CF_3
P607	H	Cl	CN	Cl	CF_3	H	H	S	CH_2CF_3
P608	H	Cl	CN	Cl	CF_3	H	Br	S	CH_2CF_3
P609	H	Cl	CN	Cl	CF_3	H	Cl	S	CH_2CF_3
P610	H	Cl	CN	Cl	CF_3	H	CF_3	S	CH_2CF_3
P611	H	Cl	CN	Cl	CF_3	H	CH_3	S	CH_2CF_3
P612	H	Cl	CN	Cl	CF_3	H	H	O	CH_2CHF_2
P613	H	Cl	CN	Cl	CF_3	H	Br	O	CH_2CHF_2
P614	H	Cl	CN	Cl	CF_3	H	Cl	O	CH_2CHF_2
P615	H	Cl	CN	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P616	H	Cl	CN	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P617	H	Cl	CN	Cl	CF_3	H	H	O	CH_2CH_2F
P618	H	Cl	CN	Cl	CF_3	H	Br	O	CH_2CH_2F
P619	H	Cl	CN	Cl	CF_3	H	Cl	O	CH_2CH_2F
P620	H	Cl	CN	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P621	H	Cl	CN	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P622	H	Cl	CN	Cl	CF_3	H	H	O	CH_2CH_3
P623	H	Cl	CN	Cl	CF_3	H	Br	O	CH_2CH_3
P624	H	Cl	CN	Cl	CF_3	H	Cl	O	CH_2CH_3
P625	H	Cl	CN	Cl	CF_3	H	CF_3	O	CH_2CH_3
P626	H	Cl	CN	Cl	CF_3	H	CH_3	O	CH_2CH_3
P627	H	Cl	CN	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P628	H	Cl	CN	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P629	H	Cl	CN	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P630	H	Cl	CN	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P631	H	Cl	CN	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P632	H	Cl	CN	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P633	H	Cl	CN	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P634	H	Cl	CN	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P635	H	Cl	CN	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P636	H	Cl	CN	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P637	H	CH_3	H	Br	CF_3	CF_3	H	O	CH_2CF_3
P638	H	CH_3	H	Br	CF_3	CF_3	Br	O	CH_2CF_3
P639	H	CH_3	H	Br	CF_3	CF_3	Cl	O	CH_2CF_3
P640	H	CH_3	H	Br	CF_3	CF_3	CF_3	O	CH_2CF_3
P641	H	CH_3	H	Br	CF_3	CF_3	CH_3	O	CH_2CF_3
P642	H	CH_3	H	Br	CF_2CF_3	H	H	O	CH_2CF_3
P643	H	CH_3	H	Br	CF_2CF_3	H	Br	O	CH_2CF_3
P644	H	CH_3	H	Br	CF_2CF_3	H	Cl	O	CH_2CF_3
P645	H	CH_3	H	Br	CF_2CF_3	H	CF_3	O	CH_2CF_3
P646	H	CH_3	H	Br	CF_2CF_3	H	CH_3	O	CH_2CF_3
P647	H	CH_3	H	Br	CF_3	H	H	O	CH_2CF_3
P648	H	CH_3	H	Br	CF_3	H	Br	O	CH_2CF_3
P649	H	CH_3	H	Br	CF_3	H	Cl	O	CH_2CF_3
P650	H	CH_3	H	Br	CF_3	H	CF_3	O	CH_2CF_3
P651	H	CH_3	H	Br	CF_3	H	CH_3	O	CH_2CF_3
P652	H	CH_3	H	Br	CF_3	H	H	S	CH_2CF_3

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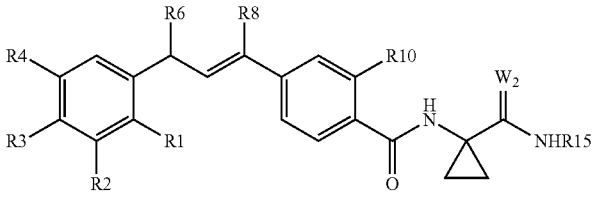

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P653	H	CH_3	H	Br	CF_3	H	Br	S	CH_2CF_3
P654	H	CH_3	H	Br	CF_3	H	Cl	S	CH_2CF_3
P655	H	CH_3	H	Br	CF_3	H	CF_3	S	CH_2CF_3
P656	H	CH_3	H	Br	CF_3	H	CH_3	S	CH_2CF_3
P657	H	CH_3	H	Br	CF_3	H	H	O	CH_2CHF_2
P658	H	CH_3	H	Br	CF_3	H	Br	O	CH_2CHF_2
P659	H	CH_3	H	Br	CF_3	H	Cl	O	CH_2CHF_2
P660	H	CH_3	H	Br	CF_3	H	CF_3	O	CH_2CHF_2
P661	H	CH_3	H	Br	CF_3	H	CH_3	O	CH_2CHF_2
P662	H	CH_3	H	Br	CF_3	H	H	O	CH_2CH_2F
P663	H	CH_3	H	Br	CF_3	H	Br	O	CH_2CH_2F
P664	H	CH_3	H	Br	CF_3	H	Cl	O	CH_2CH_2F
P665	H	CH_3	H	Br	CF_3	H	CF_3	O	CH_2CH_2F
P666	H	CH_3	H	Br	CF_3	H	CH_3	O	CH_2CH_2F
P667	H	CH_3	H	Br	CF_3	H	H	O	CH_2CH_3
P668	H	CH_3	H	Br	CF_3	H	Br	O	CH_2CH_3
P669	H	CH_3	H	Br	CF_3	H	Cl	O	CH_2CH_3
P670	H	CH_3	H	Br	CF_3	H	CF_3	O	CH_2CH_3
P671	H	CH_3	H	Br	CF_3	H	CH_3	O	CH_2CH_3
P672	H	CH_3	H	Br	CF_3	H	H	O	$CH(CH_3)CF_3$
P673	H	CH_3	H	Br	CF_3	H	Br	O	$CH(CH_3)CF_3$
P674	H	CH_3	H	Br	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P675	H	CH_3	H	Br	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P676	H	CH_3	H	Br	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P677	H	CH_3	H	Br	CF_3	H	H	O	$CH_2CH_2CF_3$
P678	H	CH_3	H	Br	CF_3	H	Br	O	$CH_2CH_2CF_3$
P679	H	CH_3	H	Br	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P680	H	CH_3	H	Br	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P681	H	CH_3	H	Br	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P682	H	H	F	CH_3	CF_3	CF_3	H	O	CH_2CF_3
P683	H	H	F	CH_3	CF_3	CF_3	Br	O	CH_2CF_3
P684	H	H	F	CH_3	CF_3	CF_3	Cl	O	CH_2CF_3
P685	H	H	F	CH_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P686	H	H	F	CH_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P687	H	H	F	CH_3	CF_2CF_3	H	H	O	CH_2CF_3
P688	H	H	F	CH_3	CF_2CF_3	H	Br	O	CH_2CF_3
P689	H	H	F	CH_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P690	H	H	F	CH_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P691	H	H	F	CH_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P692	H	H	F	CH_3	CF_3	H	H	O	CH_2CF_3
P693	H	H	F	CH_3	CF_3	H	Br	O	CH_2CF_3
P694	H	H	F	CH_3	CF_3	H	Cl	O	CH_2CF_3
P695	H	H	F	CH_3	CF_3	H	CF_3	O	CH_2CF_3
P696	H	H	F	CH_3	CF_3	H	CH_3	O	CH_2CF_3
P697	H	H	F	CH_3	CF_3	H	H	S	CH_2CF_3
P698	H	H	F	CH_3	CF_3	H	Br	S	CH_2CF_3
P699	H	H	F	CH_3	CF_3	H	Cl	S	CH_2CF_3
P700	H	H	F	CH_3	CF_3	H	CF_3	S	CH_2CF_3
P701	H	H	F	CH_3	CF_3	H	CH_3	S	CH_2CF_3
P702	H	H	F	CH_3	CF_3	H	H	O	CH_2CHF_2
P703	H	H	F	CH_3	CF_3	H	Br	O	CH_2CHF_2
P704	H	H	F	CH_3	CF_3	H	Cl	O	CH_2CHF_2
P705	H	H	F	CH_3	CF_3	H	CF_3	O	CH_2CHF_2
P706	H	H	F	CH_3	CF_3	H	CH_3	O	CH_2CHF_2
P707	H	H	F	CH_3	CF_3	H	H	O	CH_2CH_2F
P708	H	H	F	CH_3	CF_3	H	Br	O	CH_2CH_2F
P709	H	H	F	CH_3	CF_3	H	Cl	O	CH_2CH_2F
P710	H	H	F	CH_3	CF_3	H	CF_3	O	CH_2CH_2F
P711	H	H	F	CH_3	CF_3	H	CH_3	O	CH_2CH_2F
P712	H	H	F	CH_3	CF_3	H	H	O	CH_2CH_3
P713	H	H	F	CH_3	CF_3	H	Br	O	CH_2CH_3
P714	H	H	F	CH_3	CF_3	H	Cl	O	CH_2CH_3
P715	H	H	F	CH_3	CF_3	H	CF_3	O	CH_2CH_3
P716	H	H	F	CH_3	CF_3	H	CH_3	O	CH_2CH_3
P717	H	H	F	CH_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P718	H	H	F	CH_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P719	H	H	F	CH_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$

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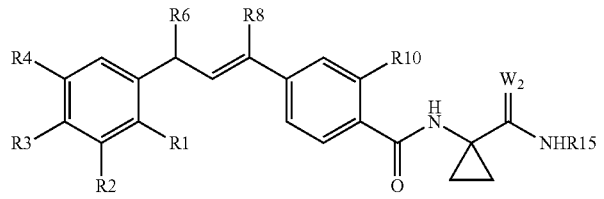

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P720	H	H	F	CH_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P721	H	H	F	CH_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P722	H	H	F	CH_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P723	H	H	F	CH_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P724	H	H	F	CH_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P725	H	H	F	CH_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P726	H	H	F	CH_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P727	H	H	F	Cl	CF_3	CF_3	H	O	CH_2CF_3
P728	H	H	F	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P729	H	H	F	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P730	H	H	F	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P731	H	H	F	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P732	H	H	F	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P733	H	H	F	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P734	H	H	F	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P735	H	H	F	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P736	H	H	F	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P737	H	H	F	Cl	CF_3	H	H	O	CH_2CF_3
P738	H	H	F	Cl	CF_3	H	Br	O	CH_2CF_3
P739	H	H	F	Cl	CF_3	H	Cl	O	CH_2CF_3
P740	H	H	F	Cl	CF_3	H	CF_3	O	CH_2CF_3
P741	H	H	F	Cl	CF_3	H	CH_3	O	CH_2CF_3
P742	H	H	F	Cl	CF_3	H	H	S	CH_2CF_3
P743	H	H	F	Cl	CF_3	H	Br	S	CH_2CF_3
P744	H	H	F	Cl	CF_3	H	Cl	S	CH_2CF_3
P745	H	H	F	Cl	CF_3	H	CF_3	S	CH_2CF_3
P746	H	H	F	Cl	CF_3	H	CH_3	S	CH_2CF_3
P747	H	H	F	Cl	CF_3	H	H	O	CH_2CHF_2
P748	H	H	F	Cl	CF_3	H	Br	O	CH_2CHF_2
P749	H	H	F	Cl	CF_3	H	Cl	O	CH_2CHF_2
P750	H	H	F	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P751	H	H	F	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P752	H	H	F	Cl	CF_3	H	H	O	CH_2CH_2F
P753	H	H	F	Cl	CF_3	H	Br	O	CH_2CH_2F
P754	H	H	F	Cl	CF_3	H	Cl	O	CH_2CH_2F
P755	H	H	F	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P756	H	H	F	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P757	H	H	F	Cl	CF_3	H	H	O	CH_2CH_3
P758	H	H	F	Cl	CF_3	H	Br	O	CH_2CH_3
P759	H	H	F	Cl	CF_3	H	Cl	O	CH_2CH_3
P760	H	H	F	Cl	CF_3	H	CF_3	O	CH_2CH_3
P761	H	H	F	Cl	CF_3	H	CH_3	O	CH_2CH_3
P762	H	H	F	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P763	H	H	F	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P764	H	H	F	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P765	H	H	F	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P766	H	H	F	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P767	H	H	F	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P768	H	H	F	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P769	H	H	F	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P770	H	H	F	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P771	H	H	F	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P772	H	F	F	F	CF_3	CF_3	H	O	CH_2CF_3
P773	H	F	F	F	CF_3	CF_3	Br	O	CH_2CF_3
P774	H	F	F	F	CF_3	CF_3	Cl	O	CH_2CF_3
P775	H	F	F	F	CF_3	CF_3	CF_3	O	CH_2CF_3
P776	H	F	F	F	CF_3	CF_3	CH_3	O	CH_2CF_3
P777	H	F	F	F	CF_2CF_3	H	H	O	CH_2CF_3
P778	H	F	F	F	CF_2CF_3	H	Br	O	CH_2CF_3
P779	H	F	F	F	CF_2CF_3	H	Cl	O	CH_2CF_3
P780	H	F	F	F	CF_2CF_3	H	CF_3	O	CH_2CF_3
P781	H	F	F	F	CF_2CF_3	H	CH_3	O	CH_2CF_3
P782	H	F	F	F	CF_3	H	H	O	CH_2CF_3
P783	H	F	F	F	CF_3	H	Br	O	CH_2CF_3
P784	H	F	F	F	CF_3	H	Cl	O	CH_2CF_3
P785	H	F	F	F	CF_3	H	CF_3	O	CH_2CF_3
P786	H	F	F	F	CF_3	H	CH_3	O	CH_2CF_3

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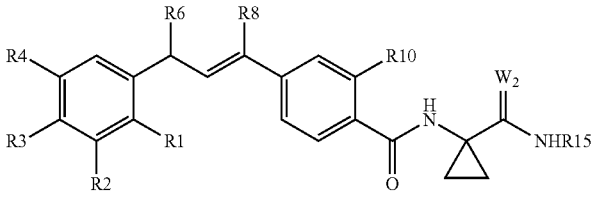

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P787	H	F	F	F	CF_3	H	H	S	CH_2CF_3
P788	H	F	F	F	CF_3	H	Br	S	CH_2CF_3
P789	H	F	F	F	CF_3	H	Cl	S	CH_2CF_3
P790	H	F	F	F	CF_3	H	CF_3	S	CH_2CF_3
P791	H	F	F	F	CF_3	H	CH_3	S	CH_2CF_3
P792	H	F	F	F	CF_3	H	H	O	CH_2CHF_2
P793	H	F	F	F	CF_3	H	Br	O	CH_2CHF_2
P794	H	F	F	F	CF_3	H	Cl	O	CH_2CHF_2
P795	H	F	F	F	CF_3	H	CF_3	O	CH_2CHF_2
P796	H	F	F	F	CF_3	H	CH_3	O	CH_2CHF_2
P797	H	F	F	F	CF_3	H	H	O	CH_2CH_2F
P798	H	F	F	F	CF_3	H	Br	O	CH_2CH_2F
P799	H	F	F	F	CF_3	H	Cl	O	CH_2CH_2F
P800	H	F	F	F	CF_3	H	CF_3	O	CH_2CH_2F
P801	H	F	F	F	CF_3	H	CH_3	O	CH_2CH_2F
P802	H	F	F	F	CF_3	H	H	O	CH_2CH_3
P803	H	F	F	F	CF_3	H	Br	O	CH_2CH_3
P804	H	F	F	F	CF_3	H	Cl	O	CH_2CH_3
P805	H	F	F	F	CF_3	H	CF_3	O	CH_2CH_3
P806	H	F	F	F	CF_3	H	CH_3	O	CH_2CH_3
P807	H	F	F	F	CF_3	H	H	O	$CH(CH_3)CF_3$
P808	H	F	F	F	CF_3	H	Br	O	$CH(CH_3)CF_3$
P809	H	F	F	F	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P810	H	F	F	F	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P811	H	F	F	F	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P812	H	F	F	F	CF_3	H	H	O	$CH_2CH_2CF_3$
P813	H	F	F	F	CF_3	H	Br	O	$CH_2CH_2CF_3$
P814	H	F	F	F	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P815	H	F	F	F	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P816	H	F	F	F	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P817	H	CF_3	H	CF_3	CF_3	CF_3	H	O	CH_2CF_3
P818	H	CF_3	H	CF_3	CF_3	CF_3	Br	O	CH_2CF_3
P819	H	CF_3	H	CF_3	CF_3	CF_3	Cl	O	CH_2CF_3
P820	H	CF_3	H	CF_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P821	H	CF_3	H	CF_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P822	H	CF_3	H	CF_3	CF_2CF_3	H	H	O	CH_2CF_3
P823	H	CF_3	H	CF_3	CF_2CF_3	H	Br	O	CH_2CF_3
P824	H	CF_3	H	CF_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P825	H	CF_3	H	CF_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P826	H	CF_3	H	CF_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P827	H	CF_3	H	CF_3	CF_3	H	H	O	CH_2CF_3
P828	H	CF_3	H	CF_3	CF_3	H	Br	O	CH_2CF_3
P829	H	CF_3	H	CF_3	CF_3	H	Cl	O	CH_2CF_3
P830	H	CF_3	H	CF_3	CF_3	H	CF_3	O	CH_2CF_3
P831	H	CF_3	H	CF_3	CF_3	H	CH_3	O	CH_2CF_3
P832	H	CF_3	H	CF_3	CF_3	H	H	S	CH_2CF_3
P833	H	CF_3	H	CF_3	CF_3	H	Br	S	CH_2CF_3
P834	H	CF_3	H	CF_3	CF_3	H	Cl	S	CH_2CF_3
P835	H	CF_3	H	CF_3	CF_3	H	CF_3	S	CH_2CF_3
P836	H	CF_3	H	CF_3	CF_3	H	CH_3	S	CH_2CF_3
P837	H	CF_3	H	CF_3	CF_3	H	H	O	CH_2CHF_2
P838	H	CF_3	H	CF_3	CF_3	H	Br	O	CH_2CHF_2
P839	H	CF_3	H	CF_3	CF_3	H	Cl	O	CH_2CHF_2
P840	H	CF_3	H	CF_3	CF_3	H	CF_3	O	CH_2CHF_2
P841	H	CF_3	H	CF_3	CF_3	H	CH_3	O	CH_2CHF_2
P842	H	CF_3	H	CF_3	CF_3	H	H	O	CH_2CH_2F
P843	H	CF_3	H	CF_3	CF_3	H	Br	O	CH_2CH_2F
P844	H	CF_3	H	CF_3	CF_3	H	Cl	O	CH_2CH_2F
P845	H	CF_3	H	CF_3	CF_3	H	CF_3	O	CH_2CH_2F
P846	H	CF_3	H	CF_3	CF_3	H	CH_3	O	CH_2CH_2F
P847	H	CF_3	H	CF_3	CF_3	H	H	O	CH_2CH_3
P848	H	CF_3	H	CF_3	CF_3	H	Br	O	CH_2CH_3
P849	H	CF_3	H	CF_3	CF_3	H	Cl	O	CH_2CH_3
P850	H	CF_3	H	CF_3	CF_3	H	CF_3	O	CH_2CH_3
P851	H	CF_3	H	CF_3	CF_3	H	CH_3	O	CH_2CH_3
P852	H	CF_3	H	CF_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P853	H	CF_3	H	CF_3	CF_3	H	Br	O	$CH(CH_3)CF_3$

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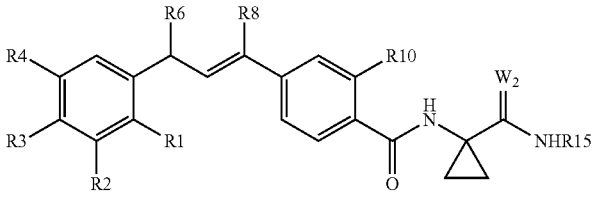

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P854	H	CF_3	H	CF_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P855	H	CF_3	H	CF_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P856	H	CF_3	H	CF_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P857	H	CF_3	H	CF_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P858	H	CF_3	H	CF_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P859	H	CF_3	H	CF_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P860	H	CF_3	H	CF_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P861	H	CF_3	H	CF_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P862	H	F	H	CF_3	CF_3	CF_3	H	O	CH_2CF_3
P863	H	F	H	CF_3	CF_3	CF_3	Br	O	CH_2CF_3
P864	H	F	H	CF_3	CF_3	CF_3	Cl	O	CH_2CF_3
P865	H	F	H	CF_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P866	H	F	H	CF_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P867	H	F	H	CF_3	CF_2CF_3	H	H	O	CH_2CF_3
P868	H	F	H	CF_3	CF_2CF_3	H	Br	O	CH_2CF_3
P869	H	F	H	CF_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P870	H	F	H	CF_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P871	H	F	H	CF_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P872	H	F	H	CF_3	CF_3	H	H	O	CH_2CF_3
P873	H	F	H	CF_3	CF_3	H	Br	O	CH_2CF_3
P874	H	F	H	CF_3	CF_3	H	Cl	O	CH_2CF_3
P875	H	F	H	CF_3	CF_3	H	CF_3	O	CH_2CF_3
P876	H	F	H	CF_3	CF_3	H	CH_3	O	CH_2CF_3
P877	H	F	H	CF_3	CF_3	H	H	S	CH_2CF_3
P878	H	F	H	CF_3	CF_3	H	Br	S	CH_2CF_3
P879	H	F	H	CF_3	CF_3	H	Cl	S	CH_2CF_3
P880	H	F	H	CF_3	CF_3	H	CF_3	S	CH_2CF_3
P881	H	F	H	CF_3	CF_3	H	CH_3	S	CH_2CF_3
P882	H	F	H	CF_3	CF_3	H	H	O	CH_2CHF_2
P883	H	F	H	CF_3	CF_3	H	Br	O	CH_2CHF_2
P884	H	F	H	CF_3	CF_3	H	Cl	O	CH_2CHF_2
P885	H	F	H	CF_3	CF_3	H	CF_3	O	CH_2CHF_2
P886	H	F	H	CF_3	CF_3	H	CH_3	O	CH_2CHF_2
P887	H	F	H	CF_3	CF_3	H	H	O	CH_2CH_2F
P888	H	F	H	CF_3	CF_3	H	Br	O	CH_2CH_2F
P889	H	F	H	CF_3	CF_3	H	Cl	O	CH_2CH_2F
P890	H	F	H	CF_3	CF_3	H	CF_3	O	CH_2CH_2F
P891	H	F	H	CF_3	CF_3	H	CH_3	O	CH_2CH_2F
P892	H	F	H	CF_3	CF_3	H	H	O	CH_2CH_3
P893	H	F	H	CF_3	CF_3	H	Br	O	CH_2CH_3
P894	H	F	H	CF_3	CF_3	H	Cl	O	CH_2CH_3
P895	H	F	H	CF_3	CF_3	H	CF_3	O	CH_2CH_3
P896	H	F	H	CF_3	CF_3	H	CH_3	O	CH_2CH_3
P897	H	F	H	CF_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P898	H	F	H	CF_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P899	H	F	H	CF_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P900	H	F	H	CF_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P901	H	F	H	CF_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P902	H	F	H	CF_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P903	H	F	H	CF_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P904	H	F	H	CF_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P905	H	F	H	CF_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P906	H	F	H	CF_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P907	H	Cl	H	CF_3	CF_3	CF_3	H	O	CH_2CF_3
P908	H	Cl	H	CF_3	CF_3	CF_3	Br	O	CH_2CF_3
P909	H	Cl	H	CF_3	CF_3	CF_3	Cl	O	CH_2CF_3
P910	H	Cl	H	CF_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P911	H	Cl	H	CF_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P912	H	Cl	H	CF_3	CF_2CF_3	H	H	O	CH_2CF_3
P913	H	Cl	H	CF_3	CF_2CF_3	H	Br	O	CH_2CF_3
P914	H	Cl	H	CF_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P915	H	Cl	H	CF_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P916	H	Cl	H	CF_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P917	H	Cl	H	CF_3	CF_3	H	H	O	CH_2CF_3
P918	H	Cl	H	CF_3	CF_3	H	Br	O	CH_2CF_3
P919	H	Cl	H	CF_3	CF_3	H	Cl	O	CH_2CF_3
P920	H	Cl	H	CF_3	CF_3	H	CF_3	O	CH_2CF_3

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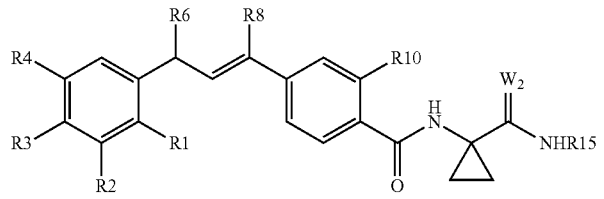

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P921	H	Cl	H	CF_3	CF_3	H	CH_3	O	CH_2CF_3
P922	H	Cl	H	CF_3	CF_3	H	H	S	CH_2CF_3
P923	H	Cl	H	CF_3	CF_3	H	Br	S	CH_2CF_3
P924	H	Cl	H	CF_3	CF_3	H	Cl	S	CH_2CF_3
P925	H	Cl	H	CF_3	CF_3	H	CF_3	S	CH_2CF_3
P926	H	Cl	H	CF_3	CF_3	H	CH_3	S	CH_2CF_3
P927	H	Cl	H	CF_3	CF_3	H	H	O	CH_2CHF_2
P928	H	Cl	H	CF_3	CF_3	H	Br	O	CH_2CHF_2
P929	H	Cl	H	CF_3	CF_3	H	Cl	O	CH_2CHF_2
P930	H	Cl	H	CF_3	CF_3	H	CF_3	O	CH_2CHF_2
P931	H	Cl	H	CF_3	CF_3	H	CH_3	O	CH_2CHF_2
P932	H	Cl	H	CF_3	CF_3	H	H	O	CH_2CH_2F
P933	H	Cl	H	CF_3	CF_3	H	Br	O	CH_2CH_2F
P934	H	Cl	H	CF_3	CF_3	H	Cl	O	CH_2CH_2F
P935	H	Cl	H	CF_3	CF_3	H	CF_3	O	CH_2CH_2F
P936	H	Cl	H	CF_3	CF_3	H	CH_3	O	CH_2CH_2F
P937	H	Cl	H	CF_3	CF_3	H	H	O	CH_2CH_3
P938	H	Cl	H	CF_3	CF_3	H	Br	O	CH_2CH_3
P939	H	Cl	H	CF_3	CF_3	H	Cl	O	CH_2CH_3
P940	H	Cl	H	CF_3	CF_3	H	CF_3	O	CH_2CH_3
P941	H	Cl	H	CF_3	CF_3	H	CH_3	O	CH_2CH_3
P942	H	Cl	H	CF_3	CF_3	H	H	O	$CH(CH_3)CF_3$
P943	H	Cl	H	CF_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P944	H	Cl	H	CF_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P945	H	Cl	H	CF_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P946	H	Cl	H	CF_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P947	H	Cl	H	CF_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P948	H	Cl	H	CF_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P949	H	Cl	H	CF_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P950	H	Cl	H	CF_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P951	H	Cl	H	CF_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P952	H	H	F	CF_3	CF_3	CF_3	H	O	CH_2CF_3
P953	H	H	F	CF_3	CF_3	CF_3	Br	O	CH_2CF_3
P954	H	H	F	CF_3	CF_3	CF_3	Cl	O	CH_2CF_3
P955	H	H	F	CF_3	CF_3	CF_3	CF_3	O	CH_2CF_3
P956	H	H	F	CF_3	CF_3	CF_3	CH_3	O	CH_2CF_3
P957	H	H	F	CF_3	CF_2CF_3	H	H	O	CH_2CF_3
P958	H	H	F	CF_3	CF_2CF_3	H	Br	O	CH_2CF_3
P959	H	H	F	CF_3	CF_2CF_3	H	Cl	O	CH_2CF_3
P960	H	H	F	CF_3	CF_2CF_3	H	CF_3	O	CH_2CF_3
P961	H	H	F	CF_3	CF_2CF_3	H	CH_3	O	CH_2CF_3
P962	H	H	F	CF_3	CF_3	H	H	O	CH_2CF_3
P963	H	H	F	CF_3	CF_3	H	Br	O	CH_2CF_3
P964	H	H	F	CF_3	CF_3	H	Cl	O	CH_2CF_3
P965	H	H	F	CF_3	CF_3	H	CF_3	O	CH_2CF_3
P966	H	H	F	CF_3	CF_3	H	CH_3	O	CH_2CF_3
P967	H	H	F	CF_3	CF_3	H	H	S	CH_2CF_3
P968	H	H	F	CF_3	CF_3	H	Br	S	CH_2CF_3
P969	H	H	F	CF_3	CF_3	H	Cl	S	CH_2CF_3
P970	H	H	F	CF_3	CF_3	H	CF_3	S	CH_2CF_3
P971	H	H	F	CF_3	CF_3	H	CH_3	S	CH_2CF_3
P972	H	H	F	CF_3	CF_3	H	H	O	CH_2CHF_2
P973	H	H	F	CF_3	CF_3	H	Br	O	CH_2CHF_2
P974	H	H	F	CF_3	CF_3	H	Cl	O	CH_2CHF_2
P975	H	H	F	CF_3	CF_3	H	CF_3	O	CH_2CHF_2
P976	H	H	F	CF_3	CF_3	H	CH_3	O	CH_2CHF_2
P977	H	H	F	CF_3	CF_3	H	H	O	CH_2CH_2F
P978	H	H	F	CF_3	CF_3	H	Br	O	CH_2CH_2F
P979	H	H	F	CF_3	CF_3	H	Cl	O	CH_2CH_2F
P980	H	H	F	CF_3	CF_3	H	CF_3	O	CH_2CH_2F
P981	H	H	F	CF_3	CF_3	H	CH_3	O	CH_2CH_2F
P982	H	H	F	CF_3	CF_3	H	H	O	CH_2CH_3
P983	H	H	F	CF_3	CF_3	H	Br	O	CH_2CH_3
P984	H	H	F	CF_3	CF_3	H	Cl	O	CH_2CH_3
P985	H	H	F	CF_3	CF_3	H	CF_3	O	CH_2CH_3
P986	H	H	F	CF_3	CF_3	H	CH_3	O	CH_2CH_3
P987	H	H	F	CF_3	CF_3	H	H	O	$CH(CH_3)CF_3$

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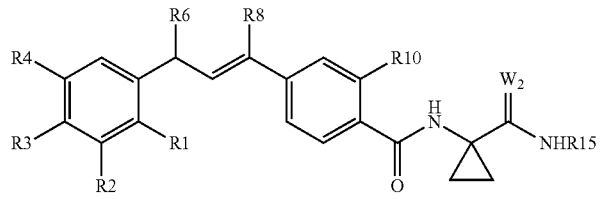

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P988	H	H	F	CF_3	CF_3	H	Br	O	$CH(CH_3)CF_3$
P989	H	H	F	CF_3	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P990	H	H	F	CF_3	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P991	H	H	F	CF_3	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P992	H	H	F	CF_3	CF_3	H	H	O	$CH_2CH_2CF_3$
P993	H	H	F	CF_3	CF_3	H	Br	O	$CH_2CH_2CF_3$
P994	H	H	F	CF_3	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P995	H	H	F	CF_3	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P996	H	H	F	CF_3	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P997	H	Cl	Cl	Cl	CF_3	CF_3	H	O	CH_2CF_3
P998	H	Cl	Cl	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P999	H	Cl	Cl	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P1000	H	Cl	Cl	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P1001	H	Cl	Cl	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P1002	H	Cl	Cl	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P1003	H	Cl	Cl	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P1004	H	Cl	Cl	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P1005	H	Cl	Cl	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P1006	H	Cl	Cl	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P1007	H	Cl	Cl	Cl	CF_3	H	H	O	CH_2CF_3
P1008	H	Cl	Cl	Cl	CF_3	H	H	S	CH_2CF_3
P1009	H	Cl	Cl	Cl	CF_3	H	Br	S	CH_2CF_3
P1010	H	Cl	Cl	Cl	CF_3	H	Cl	S	CH_2CF_3
P1011	H	Cl	Cl	Cl	CF_3	H	CH_3	S	CH_2CF_3
P1012	H	Cl	Cl	Cl	CF_3	H	H	O	CH_2CHF_2
P1013	H	Cl	Cl	Cl	CF_3	H	Br	O	CH_2CHF_2
P1014	H	Cl	Cl	Cl	CF_3	H	Cl	O	CH_2CHF_2
P1015	H	Cl	Cl	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P1016	H	Cl	Cl	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P1017	H	Cl	Cl	Cl	CF_3	H	H	O	CH_2CH_2F
P1018	H	Cl	Cl	Cl	CF_3	H	Br	O	CH_2CH_2F
P1019	H	Cl	Cl	Cl	CF_3	H	Cl	O	CH_2CH_2F
P1020	H	Cl	Cl	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P1021	H	Cl	Cl	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P1022	H	Cl	Cl	Cl	CF_3	H	H	O	CH_2CH_3
P1023	H	Cl	Cl	Cl	CF_3	H	Br	O	CH_2CH_3
P1024	H	Cl	Cl	Cl	CF_3	H	Cl	O	CH_2CH_3
P1025	H	Cl	Cl	Cl	CF_3	H	CF_3	O	CH_2CH_3
P1026	H	Cl	Cl	Cl	CF_3	H	CH_3	O	CH_2CH_3
P1027	H	Cl	Cl	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P1028	H	Cl	Cl	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P1029	H	Cl	Cl	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P1030	H	Cl	Cl	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P1031	H	Cl	Cl	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P1032	H	Cl	Cl	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P1033	H	Cl	Cl	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P1034	H	Cl	Cl	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P1035	H	Cl	Cl	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P1036	H	Cl	Cl	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P1037	H	Cl	H	Cl	CF_3	CF_3	H	O	CH_2CF_3
P1038	H	Cl	H	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P1039	H	Cl	H	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P1040	H	Cl	H	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P1041	H	Cl	H	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P1042	H	Cl	H	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P1043	H	Cl	H	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P1044	H	Cl	H	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P1045	H	Cl	H	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P1046	H	Cl	H	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P1047	H	Cl	H	Cl	CF_3	H	H	O	CH_2CF_3
P1048	H	Cl	H	Cl	CF_3	H	Br	O	CH_2CF_3
P1049	H	Cl	H	Cl	CF_3	H	Cl	O	CH_2CF_3
P1050	H	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CF_3
P1051	H	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CF_3
P1052	H	Cl	H	Cl	CF_3	H	H	S	CH_2CF_3
P1053	H	Cl	H	Cl	CF_3	H	Br	S	CH_2CF_3
P1054	H	Cl	H	Cl	CF_3	H	Cl	S	CH_2CF_3

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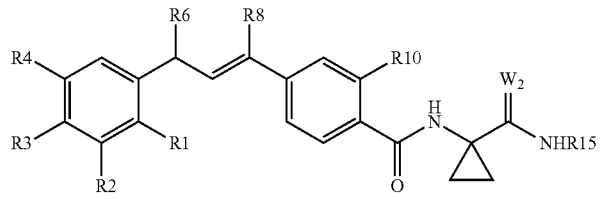

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P1055	H	Cl	H	Cl	CF_3	H	CF_3	S	CH_2CF_3
P1056	H	Cl	H	Cl	CF_3	H	CH_3	S	CH_2CF_3
P1057	H	Cl	H	Cl	CF_3	H	H	O	CH_2CHF_2
P1058	H	Cl	H	Cl	CF_3	H	Br	O	CH_2CHF_2
P1059	H	Cl	H	Cl	CF_3	H	Cl	O	CH_2CHF_2
P1060	H	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P1061	H	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P1062	H	Cl	H	Cl	CF_3	H	H	O	CH_2CH_2F
P1063	H	Cl	H	Cl	CF_3	H	Br	O	CH_2CH_2F
P1064	H	Cl	H	Cl	CF_3	H	Cl	O	CH_2CH_2F
P1065	H	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P1066	H	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P1067	H	Cl	H	Cl	CF_3	H	H	O	CH_2CH_3
P1068	H	Cl	H	Cl	CF_3	H	Br	O	CH_2CH_3
P1069	H	Cl	H	Cl	CF_3	H	Cl	O	CH_2CH_3
P1070	H	Cl	H	Cl	CF_3	H	CF_3	O	CH_2CH_3
P1071	H	Cl	H	Cl	CF_3	H	CH_3	O	CH_2CH_3
P1072	H	Cl	H	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P1073	H	Cl	H	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P1074	H	Cl	H	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P1075	H	Cl	H	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P1076	H	Cl	H	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P1077	H	Cl	H	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P1078	H	Cl	H	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P1079	H	Cl	H	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P1080	H	Cl	H	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P1081	H	Cl	H	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P1082	H	H	Cl	Cl	CF_3	CF_3	H	O	CH_2CF_3
P1083	H	H	Cl	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P1084	H	H	Cl	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P1085	H	H	Cl	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P1086	H	H	Cl	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P1087	H	H	Cl	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P1088	H	H	Cl	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P1089	H	H	Cl	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P1090	H	H	Cl	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P1091	H	H	Cl	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P1092	H	H	Cl	Cl	CF_3	H	H	O	CH_2CF_3
P1093	H	H	Cl	Cl	CF_3	H	Br	O	CH_2CF_3
P1094	H	H	Cl	Cl	CF_3	H	Cl	O	CH_2CF_3
P1095	H	H	Cl	Cl	CF_3	H	CF_3	O	CH_2CF_3
P1096	H	H	Cl	Cl	CF_3	H	CH_3	O	CH_2CF_3
P1097	H	H	Cl	Cl	CF_3	H	H	S	CH_2CF_3
P1098	H	H	Cl	Cl	CF_3	H	Br	S	CH_2CF_3
P1099	H	H	Cl	Cl	CF_3	H	Cl	S	CH_2CF_3
P1100	H	H	Cl	Cl	CF_3	H	CF_3	S	CH_2CF_3
P1101	H	H	Cl	Cl	CF_3	H	CH_3	S	CH_2CF_3
P1102	H	H	Cl	Cl	CF_3	H	H	O	CH_2CHF_2
P1103	H	H	Cl	Cl	CF_3	H	Br	O	CH_2CHF_2
P1104	H	H	Cl	Cl	CF_3	H	Cl	O	CH_2CHF_2
P1105	H	H	Cl	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P1106	H	H	Cl	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P1107	H	H	Cl	Cl	CF_3	H	H	O	CH_2CH_2F
P1108	H	H	Cl	Cl	CF_3	H	Br	O	CH_2CH_2F
P1109	H	H	Cl	Cl	CF_3	H	Cl	O	CH_2CH_2F
P1110	H	H	Cl	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P1111	H	H	Cl	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P1112	H	H	Cl	Cl	CF_3	H	H	O	CH_2CH_3
P1113	H	H	Cl	Cl	CF_3	H	Br	O	CH_2CH_3
P1114	H	H	Cl	Cl	CF_3	H	Cl	O	CH_2CH_3
P1115	H	H	Cl	Cl	CF_3	H	CF_3	O	CH_2CH_3
P1116	H	H	Cl	Cl	CF_3	H	CH_3	O	CH_2CH_3
P1117	H	H	Cl	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P1118	H	H	Cl	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P1119	H	H	Cl	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P1120	H	H	Cl	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P1121	H	H	Cl	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$

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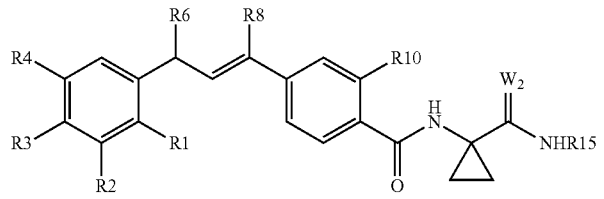

Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P1122	H	H	Cl	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P1123	H	H	Cl	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P1124	H	H	Cl	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P1125	H	H	Cl	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P1126	H	H	Cl	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P1127	H	Cl	F	Cl	CF_3	CF_3	H	O	CH_2CF_3
P1128	H	Cl	F	Cl	CF_3	CF_3	Br	O	CH_2CF_3
P1129	H	Cl	F	Cl	CF_3	CF_3	Cl	O	CH_2CF_3
P1130	H	Cl	F	Cl	CF_3	CF_3	CF_3	O	CH_2CF_3
P1131	H	Cl	F	Cl	CF_3	CF_3	CH_3	O	CH_2CF_3
P1132	H	Cl	F	Cl	CF_2CF_3	H	H	O	CH_2CF_3
P1133	H	Cl	F	Cl	CF_2CF_3	H	Br	O	CH_2CF_3
P1134	H	Cl	F	Cl	CF_2CF_3	H	Cl	O	CH_2CF_3
P1135	H	Cl	F	Cl	CF_2CF_3	H	CF_3	O	CH_2CF_3
P1136	H	Cl	F	Cl	CF_2CF_3	H	CH_3	O	CH_2CF_3
P1137	H	Cl	F	Cl	CF_3	H	H	O	CH_2CF_3
P1138	H	Cl	F	Cl	CF_3	H	Br	O	CH_2CF_3
P1139	H	Cl	F	Cl	CF_3	H	Cl	O	CH_2CF_3
P1140	H	Cl	F	Cl	CF_3	H	CF_3	O	CH_2CF_3
P1141	H	Cl	F	Cl	CF_3	H	CH_3	O	CH_2CF_3
P1142	H	Cl	F	Cl	CF_3	H	H	S	CH_2CF_3
P1143	H	Cl	F	Cl	CF_3	H	Br	S	CH_2CF_3
P1144	H	Cl	F	Cl	CF_3	H	Cl	S	CH_2CF_3
P1145	H	Cl	F	Cl	CF_3	H	CF_3	S	CH_2CF_3
P1146	H	Cl	F	Cl	CF_3	H	CH_3	S	CH_2CF_3
P1147	H	Cl	F	Cl	CF_3	H	H	O	CH_2CHF_2
P1148	H	Cl	F	Cl	CF_3	H	Br	O	CH_2CHF_2
P1149	H	Cl	F	Cl	CF_3	H	Cl	O	CH_2CHF_2
P1150	H	Cl	F	Cl	CF_3	H	CF_3	O	CH_2CHF_2
P1151	H	Cl	F	Cl	CF_3	H	CH_3	O	CH_2CHF_2
P1152	H	Cl	F	Cl	CF_3	H	H	O	CH_2CH_2F
P1153	H	Cl	F	Cl	CF_3	H	Br	O	CH_2CH_2F
P1154	H	Cl	F	Cl	CF_3	H	Cl	O	CH_2CH_2F
P1155	H	Cl	F	Cl	CF_3	H	CF_3	O	CH_2CH_2F
P1156	H	Cl	F	Cl	CF_3	H	CH_3	O	CH_2CH_2F
P1157	H	Cl	F	Cl	CF_3	H	H	O	CH_2CH_3
P1158	H	Cl	F	Cl	CF_3	H	Br	O	CH_2CH_3
P1159	H	Cl	F	Cl	CF_3	H	Cl	O	CH_2CH_3
P1160	H	Cl	F	Cl	CF_3	H	CF_3	O	CH_2CH_3
P1161	H	Cl	F	Cl	CF_3	H	CH_3	O	CH_2CH_3
P1162	H	Cl	F	Cl	CF_3	H	H	O	$CH(CH_3)CF_3$
P1163	H	Cl	F	Cl	CF_3	H	Br	O	$CH(CH_3)CF_3$
P1164	H	Cl	F	Cl	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P1165	H	Cl	F	Cl	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P1166	H	Cl	F	Cl	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P1167	H	Cl	F	Cl	CF_3	H	H	O	$CH_2CH_2CF_3$
P1168	H	Cl	F	Cl	CF_3	H	Br	O	$CH_2CH_2CF_3$
P1169	H	Cl	F	Cl	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P1170	H	Cl	F	Cl	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P1171	H	Cl	F	Cl	CF_3	H	CH_3	O	$CH_2CH_2CF_3$
P1172	H	Br	H	Br	CF_3	CF_3	H	O	CH_2CF_3
P1173	H	Br	H	Br	CF_3	CF_3	Br	O	CH_2CF_3
P1174	H	Br	H	Br	CF_3	CF_3	Cl	O	CH_2CF_3
P1175	H	Br	H	Br	CF_3	CF_3	CF_3	O	CH_2CF_3
P1176	H	Br	H	Br	CF_3	CF_3	CH_3	O	CH_2CF_3
P1177	H	Br	H	Br	CF_2CF_3	H	H	O	CH_2CF_3
P1178	H	Br	H	Br	CF_2CF_3	H	Br	O	CH_2CF_3
P1179	H	Br	H	Br	CF_2CF_3	H	Cl	O	CH_2CF_3
P1180	H	Br	H	Br	CF_2CF_3	H	CF_3	O	CH_2CF_3
P1181	H	Br	H	Br	CF_2CF_3	H	CH_3	O	CH_2CF_3
P1182	H	Br	H	Br	CF_3	H	H	O	CH_2CF_3
P1183	H	Br	H	Br	CF_3	H	Br	O	CH_2CF_3
P1184	H	Br	H	Br	CF_3	H	Cl	O	CH_2CF_3
P1185	H	Br	H	Br	CF_3	H	CF_3	O	CH_2CF_3
P1186	H	Br	H	Br	CF_3	H	CH_3	O	CH_2CF_3
P1187	H	Br	H	Br	CF_3	H	H	S	CH_2CF_3
P1188	H	Br	H	Br	CF_3	H	Br	S	CH_2CF_3

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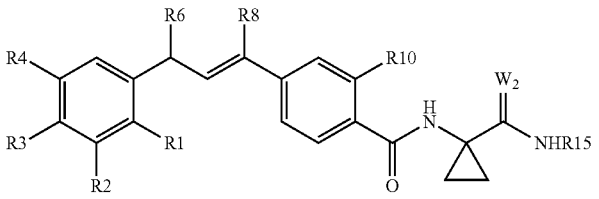


Compound Number	R1	R2	R3	R4	R6	R8	R10	W2	R15
P1189	H	Br	H	Br	CF_3	H	Cl	S	CH_2CF_3
P1190	H	Br	H	Br	CF_3	H	CF_3	S	CH_2CF_3
P1191	H	Br	H	Br	CF_3	H	CH_3	S	CH_2CF_3
P1192	H	Br	H	Br	CF_3	H	H	O	CH_2CHF_2
P1193	H	Br	H	Br	CF_3	H	Br	O	CH_2CHF_2
P1194	H	Br	H	Br	CF_3	H	Cl	O	CH_2CHF_2
P1195	H	Br	H	Br	CF_3	H	CF_3	O	CH_2CHF_2
P1196	H	Br	H	Br	CF_3	H	CH_3	O	CH_2CHF_2
P1197	H	Br	H	Br	CF_3	H	H	O	CH_2CH_2F
P1198	H	Br	H	Br	CF_3	H	Br	O	CH_2CH_2F
P1199	H	Br	H	Br	CF_3	H	Cl	O	CH_2CH_2F
P1200	H	Br	H	Br	CF_3	H	CF_3	O	CH_2CH_2F
P1201	H	Br	H	Br	CF_3	H	CH_3	O	CH_2CH_2F
P1202	H	Br	H	Br	CF_3	H	H	O	CH_2CH_3
P1203	H	Br	H	Br	CF_3	H	Br	O	CH_2CH_3
P1204	H	Br	H	Br	CF_3	H	Cl	O	CH_2CH_3
P1205	H	Br	H	Br	CF_3	H	CF_3	O	CH_2CH_3
P1206	H	Br	H	Br	CF_3	H	CH_3	O	CH_2CH_3
P1207	H	Br	H	Br	CF_3	H	H	O	$CH(CH_3)CF_3$
P1208	H	Br	H	Br	CF_3	H	Br	O	$CH(CH_3)CF_3$
P1209	H	Br	H	Br	CF_3	H	Cl	O	$CH(CH_3)CF_3$
P1210	H	Br	H	Br	CF_3	H	CF_3	O	$CH(CH_3)CF_3$
P1211	H	Br	H	Br	CF_3	H	CH_3	O	$CH(CH_3)CF_3$
P1212	H	Br	H	Br	CF_3	H	H	O	$CH_2CH_2CF_3$
P1213	H	Br	H	Br	CF_3	H	Br	O	$CH_2CH_2CF_3$
P1214	H	Br	H	Br	CF_3	H	Cl	O	$CH_2CH_2CF_3$
P1215	H	Br	H	Br	CF_3	H	CF_3	O	$CH_2CH_2CF_3$
P1216	H	Br	H	Br	CF_3	H	CH_3	O	$CH_2CH_2CF_3$

Example A

Bioassays on Beet Armyworm ("BAW") and Corn Earworm ("CEW") and Cabbage Looper ("CL")

BAW has few effective parasites, diseases, or predators to lower its population. BAW infests many weeds, trees, grasses, legumes, and field crops. In various places, it is of economic concern upon asparagus, cotton, corn, soybeans, tobacco, alfalfa, sugar beets, peppers, tomatoes, potatoes, onions, peas, sunflowers, and citrus, among other plants. CEW is known to attack corn and tomatoes, but it also attacks artichoke, asparagus, cabbage, cantaloupe, collards, cowpeas, cucumbers, eggplant, lettuce, lima beans, melon, okra, peas, peppers, potatoes, pumpkin, snap beans, spinach, squash, sweet potatoes, and watermelon, among other plants. CEW is also known to be resistant to certain insecticides. CL is also known to be resistant to certain insecticides. Consequently, because of the above factors control of these pests is important. Furthermore, molecules that control these pests are useful in controlling other pests.

Certain molecules disclosed in this document were tested against BAW, CEW and CL using procedures described in the following examples. In the reporting of the results, the "BAW & CEW & CL Rating Table" was used (See Table Section).

Bioassays on BAW (*Spodoptera exigua*)

Bioassays on BAW were conducted using a 128-well diet tray assay. One to five second instar BAW larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 μg/cm^2 of the test compound (dissolved in 50 μL of 90:10 acetone-water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25° C., 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the tables entitled "Table 3: Assay Results Part 1" and "Table 4: Assay Results Part 2" (See Table Section).

Bioassays on CEW (*Helicoverpa zea*)

Bioassays on CEW were conducted using a 128-well diet tray assay. One to five second instar CEW larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 μg/cm^2 of the test compound (dissolved in 50 μL of 90:10 acetone-water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25° C., 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "Table 3: Assay Results Part 1" (See Table Section).

Bioassays on CL (*Trichoplusia ni*)

Bioassays on CL were conducted using a 128-well diet tray assay. One to five second instar CL larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 μg/cm^2 of the test compound (dissolved in 50 μL of 90:10 acetone-water mix-

ture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25° C., 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "Table 4: Assay Results Part 2" (See Table Section).

Example B

Bioassays on Green Peach Aphid ("GPA") (*Myzus persicae*)

GPA is the most significant aphid pest of peach trees, causing decreased growth, shriveling of the leaves, and the death of various tissues. It is also hazardous because it acts as a vector for the transport of plant viruses, such as potato virus Y and potato leafroll virus to members of the nightshade/potato family Solanaceae, and various mosaic viruses to many other food crops. GPA attacks such plants as broccoli, burdock, cabbage, carrot, cauliflower, daikon, eggplant, green beans, lettuce, macadamia, papaya, peppers, sweet potatoes, tomatoes, watercress, and zucchini, among other plants. GPA also attacks many ornamental crops such as carnation, chrysanthemum, flowering white cabbage, poinsettia, and roses. GPA has developed resistance to many pesticides.

Certain molecules disclosed in this document were tested against GPA using procedures described in the following example. In the reporting of the results, the "GPA Rating Table" was used (See Table Section).

Cabbage seedlings grown in 3-inch pots, with 2-3 small (3-5 cm) true leaves, were used as test substrate. The seedlings were infested with 20-50 GPA (wingless adult and nymph stages) one day prior to chemical application. Four pots with individual seedlings were used for each treatment. Test compounds (2 mg) were dissolved in 2 mL of acetone/methanol (1:1) solvent, forming stock solutions of 1000 ppm test compound. The stock solutions were diluted 5× with 0.025% Tween 20 in H_2O to obtain the solution at 200 ppm test compound. A hand-held aspirator-type sprayer was used for spraying a solution to both sides of cabbage leaves until runoff. Reference plants (solvent check) were sprayed with the diluent only containing 20% by volume of acetone/methanol (1:1) solvent. Treated plants were held in a holding room for three days at approximately 25° C. and ambient relative humidity (RH) prior to grading. Evaluation was conducted by counting the number of live aphids per plant under a microscope. Percent Control was measured by using Abbott's correction formula (W. S. Abbott, "A Method of Computing the Effectiveness of an Insecticide" J. Econ. Entomol. 18 (1925), pp. 265-267) as follows.

$$\text{Corrected \% Control} = 100*(X-Y)/X$$

where

X=No. of live aphids on solvent check plants and

Y=No. of live aphids on treated plants

The results are indicated in the tables entitled "Table 3: Assay Results" and "Table 4: Assay Results Part 2" (See Table Section).

Pesticidally Acceptable Acid Addition Salts, Salt Derivatives, Solvates, Ester Derivatives, Polymorphs, Isotopes and Radionuclides

Molecules of Formula One may be formulated into pesticidally acceptable acid addition salts. By way of a non-limiting example, an amine function can form salts with hydrochloric, hydrobromic, sulfuric, phosphoric, acetic, benzoic, citric, malonic, salicylic, malic, fumaric, oxalic, succinic, tartaric, lactic, gluconic, ascorbic, maleic, aspartic, benzenesulfonic, methanesulfonic, ethanesulfonic, hydroxymethanesulfonic, and hydroxyethanesulfonic acids. Additionally, by way of a non-limiting example, an acid function can form salts including those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Examples of preferred cations include sodium, potassium, and magnesium.

Molecules of Formula One may be formulated into salt derivatives. By way of a non-limiting example, a salt derivative can be prepared by contacting a free base with a sufficient amount of the desired acid to produce a salt. A free base may be regenerated by treating the salt with a suitable dilute aqueous base solution such as dilute aqueous sodium hydroxide (NaOH), potassium carbonate, ammonia, and sodium bicarbonate. As an example, in many cases, a pesticide, such as 2,4-D, is made more water-soluble by converting it to its dimethylamine salt.

Molecules of Formula One may be formulated into stable complexes with a solvent, such that the complex remains intact after the non-complexed solvent is removed. These complexes are often referred to as "solvates." However, it is particularly desirable to form stable hydrates with water as the solvent.

Molecules of Formula One may be made into ester derivatives. These ester derivatives can then be applied in the same manner as the invention disclosed in this document is applied.

Molecules of Formula One may be made as various crystal polymorphs. Polymorphism is important in the development of agrochemicals since different crystal polymorphs or structures of the same molecule can have vastly different physical properties and biological performances.

Molecules of Formula One may be made with different isotopes. Of particular importance are molecules having ^{2}H (also known as deuterium) in place of ^{1}H.

Molecules of Formula One may be made with different radionuclides. Of particular importance are molecules having ^{14}C.

Stereoisomers

Molecules of Formula One may exist as one or more stereoisomers. Thus, certain molecules can be produced as racemic mixtures. It will be appreciated by those skilled in the art that one stereoisomer may be more active than the other stereoisomers. Individual stereoisomers may be obtained by known selective synthetic procedures, by conventional synthetic procedures using resolved starting materials, or by conventional resolution procedures. Certain molecules disclosed in this document can exist as two or more isomers. The various isomers include geometric isomers, diastereomers, and enantiomers. Thus, the molecules disclosed in this document include geometric isomers, racemic mixtures, individual stereoisomers, and optically active mixtures. It will be appreciated by those skilled in the art that one isomer may be more active than the others. The structures disclosed in the present disclosure are drawn in only one geometric form for clarity, but are intended to represent all geometric forms of the molecule.

Combinations

Molecules of Formula One may also be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more compounds having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematicidal, rodenticidal, or virucidal properties. Additionally, the molecules of Formula One may also be used in combination (such as, in a compositional mixture, or a simultaneous or sequential appli-

cation) with compounds that are antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, or synergists. Examples of such compounds in the above groups that may be used with the Molecules of Formula One are—(3-ethoxypropyl)mercury bromide, 1,2-dichloropropane, 1,3-dichloropropene, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,3,6-TBA-dimethylammonium, 2,3,6-TBA-lithium, 2,3,6-TBA-potassium, 2,3,6-TBA-sodium, 2,4,5-T, 2,4,5-T-2-butoxypropyl, 2,4,5-T-2-ethylhexyl, 2,4,5-T-3-butoxypropyl, 2,4,5-TB, 2,4,5-T-butometyl, 2,4,5-T-butotyl, 2,4,5-T-butyl, 2,4,5-T-isobutyl, 2,4,5-T-isoctyl, 2,4,5-T-isopropyl, 2,4,5-T-methyl, 2,4,5-T-pentyl, 2,4,5-T-sodium, 2,4,5-T-triethylammonium, 2,4,5-T-trolamine, 2,4-D, 2,4-D-2-butoxypropyl, 2,4-D-2-ethylhexyl, 2,4-D-3-butoxypropyl, 2,4-D-ammonium, 2,4-DB, 2,4-DB-butyl, 2,4-DB-dimethylammonium, 2,4-DB-isoctyl, 2,4-DB-potassium, 2,4-DB-sodium, 2,4-D-butotyl, 2,4-D-butyl, 2,4-D-diethylammonium, 2,4-D-dimethylammonium, 2,4-D-diolamine, 2,4-D-dodecylammonium, 2,4-DEB, 2,4-DEP, 2,4-D-ethyl, 2,4-D-heptylammonium, 2,4-D-isobutyl, 2,4-D-isoctyl, 2,4-D-isopropyl, 2,4-D-isopropylammonium, 2,4-D-lithium, 2,4-D-meptyl, 2,4-D-methyl, 2,4-D-octyl, 2,4-D-pentyl, 2,4-D-potassium, 2,4-D-propyl, 2,4-D-sodium, 2,4-D-tefuryl, 2,4-D-tetradecylammonium, 2,4-D-triethylammonium, 2,4-D-tris(2-hydroxypropyl)ammonium, 2,4-D-trolamine, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 4-aminopyridine, 4-CPA, 4-CPA-potassium, 4-CPA-sodium, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetophos, acetoprole, acibenzolar, acibenzolar-S-methyl, acifluorfen, acifluorfen-methyl, acifluorfen-sodium, aclonifen, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, acypetacs-copper, acypetacs-zinc, alachlor, alanycarb, albendazole, aldicarb, aldimorph, aldoxycarb, aldrin, allethrin, allicin, allidochlor, allosamidin, alloxydim, alloxydim-sodium, allyl alcohol, allyxycarb, alorac, alpha-cypermethrin, alpha-endosulfan, ametoctradin, ametridione, ametryn, amibuzin, amicarbazone, amicarthiazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminocyclopyrachlor-methyl, aminocyclopyrachlor-potassium, aminopyralid, aminopyralid-potassium, aminopyralid-tris(2-hydroxypropyl)ammonium, amiprofos-methyl, amiprophos, amisulbrom, amiton, amiton oxalate, amitraz, amitrole, ammonium sulfamate, ammonium α-naphthaleneacetate, amobam, ampropylfos, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arsenous oxide, asomate, aspirin, asulam, asulam-potassium, asulam-sodium, athidathion, atraton, atrazine, aureofungin, aviglycine, aviglycine hydrochloride, azaconazole, azadirachtin, azafenidin, azamethiphos, azimsulfuron, azinphos-ethyl, azinphos-methyl, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxystrobin, bachmedesh, barban, barium hexafluorosilicate, barium polysulfide, barthrin, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benazolin, benazolin-dimethylammonium, benazolin-ethyl, benazolin-potassium, bencarbazone, benclothiaz, bendiocarb, benfluralin, benfuracarb, benfuresate, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulfuron-methyl, bensulide, bensultap, bentaluron, bentazone, bentazone-sodium, benthiavalicarb, benthiavalicarb-isopropyl, benthiazole, bentranil, benzadox, benzadox-ammonium, benzalkonium chloride, benzamacril, benzamacril-isobutyl, benzamorf, benzfendizone, benzipram, benzobicyclon, benzofenap, benzofluor, benzohydroxamic acid, benzoximate, benzoylprop, benzoylprop-ethyl, benzthiazuron, benzyl benzoate, benzyladenine, berberine, berberine chloride, beta-cyfluthrin, beta-cypermethrin, bethoxazin, bicyclopyrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, bilanafos-sodium, binapacryl, bingqingxiao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismerthiazol, bispyribac, bispyribac-sodium, bistrifluron, bitertanol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, brassinolide, brassinolide-ethyl, brevicomin, brodifacoum, brofenvalerate, brofluthrinate, bromacil, bromacil-lithium, bromacil-sodium, bromadiolone, bromethalin, bromethrin, bromfenvinfos, bromoacetamide, bromobonil, bromobutide, bromocyclen, bromo-DDT, bromofenoxim, bromophos, bromophos-ethyl, bromopropylate, bromothalonil, bromoxynil, bromoxynil butyrate, bromoxynil heptanoate, bromoxynil octanoate, bromoxynil-potassium, brompyrazon, bromuconazole, bronopol, bucarpolate, bufencarb, buminafos, bupirimate, buprofezin, Burgundy mixture, busulfan, butacarb, butachlor, butafenacil, butamifos, butathiofos, butenachlor, butethrin, buthidazole, buthiobate, buthiuron, butocarboxim, butonate, butopyronoxyl, butoxycarboxim, butralin, butroxydim, buturon, butylamine, butylate, cacodylic acid, cadusafos, cafenstrole, calcium arsenate, calcium chlorate, calcium cyanamide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbamorph, carbanolate, carbaryl, carbasulam, carbendazim, carbendazim benzenesulfonate, carbendazim sulfite, carbetamide, carbofuran, carbon disulfide, carbon tetrachloride, carbophenothion, carbosulfan, carboxazole, carboxide, carboxin, carfentrazone, carfentrazone-ethyl, carpropamid, cartap, cartap hydrochloride, carvacrol, carvone, CDEA, cellocidin, CEPC, ceralure, Cheshunt mixture, chinomethionat, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloramben, chloramben-ammonium, chloramben-diolamine, chloramben-methyl, chloramben-methylammonium, chloramben-sodium, chloramine phosphorus, chloramphenicol, chloraniformethan, chloranil, chloranocryl, chlorantraniliprole, chlorazifop, chlorazifop-propargyl, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlordimeform hydrochloride, chlorempenthrin, chlorethoxyfos, chloreturon, chlorfenac, chlorfenac-ammonium, chlorfenac-sodium, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfluazuron, chlorflurazole, chlorfluren, chlorfluren-methyl, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlormephos, chlormequat, chlormequat chloride, chlomidine, chlornitrofen, chlorobenzilate, chlorodinitronaphthalenes, chloroform, chloromebuform, chloromethiuron, chloroneb, chlorophacinone, chlorophacinone-sodium, chloropicrin, chloropon, chloropropylate, chlorothalonil, chlorotoluron, chloroxuron, chloroxynil, chlorphonium, chlorphonium chloride, chlorphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlorthal, chlorthal-dimethyl, chlorthal-monomethyl, chlorthiamid, chlorthiophos, chlozolinate, choline chloride, chromafenozide, cinerin I, cinerin II, cinerins, cinidon-ethyl, cinmethylin, cinosulfuron, ciobutide, cisanilide, cismethrin, clethodim, climbazole, cliodinate, clodinafop, clodinafop-propargyl, cloethocarb, clofencet, clofencet-potassium, clofentezine, clofibric acid, clofop, clofop-isobutyl, clomazone, clomeprop, cloprop, cloproxydim, clopyralid, clopyralid-methyl, clopyralid-olamine, clopyralid-potassium, clo-

pyralid-tris(2-hydroxypropyl)ammonium, cloquintocet, cloquintocet-mexyl, cloransulam, cloransulam-methyl, closantel, clothianidin, clotrimazole, cloxyfonac, cloxyfonac-sodium, CMA, codlelure, colophonate, copper acetate, copper acetoarsenite, copper arsenate, copper carbonate, basic, copper hydroxide, copper naphthenate, copper oleate, copper oxychloride, copper silicate, copper sulfate, copper zinc chromate, coumachlor, coumafuryl, coumaphos, coumatetralyl, coumithoate, coumoxystrobin, CPMC, CPMF, CPPC, credazine, cresol, crimidine, crotamiton, crotoxyphos, crufomate, cryolite, cue-lure, cufraneb, cumyluron, cuprobam, cuprous oxide, curcumenol, cyanamide, cyanatryn, cyanazine, cyanofenphos, cyanophos, cyanthoate, cyantraniliprole, cyazofamid, cybutryne, cyclafuramid, cyclanilide, cyclethrin, cycloate, cyclohexamide, cycloprate, cycloprothrin, cyclosulfamuron, cycloxaprid, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop, cyhalofop-butyl, cyhalothrin, cyhexatin, cymiazole, cymiazole hydrochloride, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyperquat chloride, cyphenothrin, cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram, cypromid, cyprosulfamide, cyromazine, cythioate, daimuron, dalapon, dalapon-calcium, dalapon-magnesium, dalapon-sodium, daminozide, dayoutong, dazomet, dazomet-sodium, DB CP, d-camphor, DCIP, DCPTA, DDT, debacarb, decafentin, decarbofuran, dehydroacetic acid, delachlor, deltamethrin, demephion, demephion-O, demephion-S, demeton, demeton-methyl, demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulphon, desmedipham, desmetryn, d-fanshiluquebingjuzhi, diafenthiuron, dialifos, di-allate, diamidafos, diatomaceous earth, diazinon, dibutyl phthalate, dibutyl succinate, dicamba, dicamba-diglycolamine, dicamba-dimethylammonium, dicamba-diolamine, dicamba-isopropylammonium, dicamba-methyl, dicamba-olamine, dicamba-potassium, dicamba-sodium, dicamba-trolamine, dicapthon, dichlobenil, dichlofenthion, dichlofluanid, dichlone, dichloralurea, dichlorbenzuron, dichlorflurenol, dichlorflurenol-methyl, dichlormate, dichlormid, dichlorophen, dichlorprop, dichlorprop-2-ethylhexyl, dichlorprop-butotyl, dichlorprop-dimethylammonium, dichlorprop-ethylammonium, dichlorprop-isoctyl, dichlorprop-methyl, dichlorprop-P, dichlorprop-P-2-ethylhexyl, dichlorprop-P-dimethylammonium, dichlorprop-potassium, dichlorprop-sodium, dichlorvos, dichlozoline, diclobutrazol, diclocymet, diclofop, diclofop-methyl, diclomezine, diclomezine-sodium, dicloran, diclosulam, dicofol, dicoumarol, dicresyl, dicrotophos, dicyclanil, dicyclonon, dieldrin, dienochlor, diethamquat, diethamquat dichloride, diethatyl, diethatyl-ethyl, diethofencarb, dietholate, diethyl pyrocarbonate, diethyltoluamide, difenacoum, difenoconazole, difenopenten, difenopenten-ethyl, difenoxuron, difenzoquat, difenzoquat metilsulfate, difethialone, diflovidazin, diflubenzuron, diflufenican, diflufenzopyr, diflufenzopyr-sodium, diflumetorim, dikegulac, dikegulac-sodium, dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimepiperate, dimetachlone, dimetan, dimethacarb, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimethirimol, dimethoate, dimethomorph, dimethrin, dimethyl carbate, dimethyl phthalate, dimethylvinphos, dimetilan, dimexano, dimidazon, dimoxystrobin, dinex, dinex-diclexine, dingjunezuo, diniconazole, diniconazole-M, dinitramine, dinobuton, dinocap, dinocap-4, dinocap-6, dinocton, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dinoseb acetate, dinoseb-ammonium, dinoseb-diolamine, dinoseb-sodium, dinoseb-trolamine, dinosulfon, dinotefuran, dinoterb, dinoterb acetate, dinoterbon, diofenolan, dioxabenzofos, dioxacarb, dioxathion, diphacinone, diphacinone-sodium, diphenamid, diphenyl sulfone, diphenylamine, dipropalin, dipropetryn, dipyrithione, diquat, diquat dibromide, disparlure, disul, disulfiram, disulfoton, disul-sodium, ditalimfos, dithianon, dithicrofos, dithioether, dithiopyr, diuron, d-limonene, DMPA, DNOC, DNOC-ammonium, DNOC-potassium, DNOC-sodium, dodemorph, dodemorph acetate, dodemorph benzoate, dodicin, dodicin hydrochloride, dodicin-sodium, dodine, dofenapyn, dominicalure, doramectin, drazoxolon, DSMA, dufulin, EBEP, EBP, ecdysterone, edifenphos, eglinazine, eglinazine-ethyl, emamectin, emamectin benzoate, EMPC, empenthrin, endosulfan, endothal, endothal-diammonium, endothal-dipotassium, endothal-disodium, endothion, endrin, enestroburin, EPN, epocholeone, epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaoan, esdépallélthrine, esfenvalerate, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin, ethiprole, ethirimol, ethoate-methyl, ethofumesate, ethohexadiol, ethoprophos, ethoxyfen, ethoxyfen-ethyl, ethoxyquin, ethoxysulfuron, ethychlozate, ethyl formate, ethyl α-naphthaleneacetate, ethyl-DDD, ethylene, ethylene dibromide, ethylene dichloride, ethylene oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mercaptide, ethylmercury acetate, ethylmercury bromide, ethylmercury chloride, ethylmercury phosphate, etinofen, etnipromid, etobenzanid, etofenprox, etoxazole, etridiazole, etrimfos, eugenol, EXD, famoxadone, famphur, fenamidone, fenaminosulf, fenamiphos, fenapanil, fenarimol, fenasulam, fenazaflor, fenazaquin, fenbuconazole, fenbutatin oxide, fenchlorazole, fenchlorazole-ethyl, fenchlorphos, fenclorim, fenethacarb, fenfluthrin, fenfuram, fenhexamid, fenitropan, fenitrothion, fenjuntong, fenobucarb, fenoprop, fenoprop-3-butoxypropyl, fenoprop-butometyl, fenoprop-butotyl, fenoprop-butyl, fenoprop-isoctyl, fenoprop-methyl, fenoprop-potassium, fenothiocarb, fenoxacrim, fenoxanil, fenoxaprop, fenoxaprop-ethyl, fenoxaprop-P, fenoxaprop-P-ethyl, fenoxasulfone, fenoxycarb, fenpiclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenridazon, fenridazon-potassium, fenridazon-propyl, fenson, fensulfothion, fenteracol, fenthiaprop, fenthiaprop-ethyl, fenthion, fenthion-ethyl, fentin, fentin acetate, fentin chloride, fentin hydroxide, fentrazamide, fentrifanil, fenuron, fenuron TCA, fenvalerate, ferbam, ferimzone, ferrous sulfate, fipronil, flamprop, flamprop-isopropyl, flamprop-M, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, flocoumafen, flometoquin, flonicamid, florasulam, fluacrypyrim, fluazifop, fluazifop-butyl, fluazifop-methyl, fluazifop-P, fluazifop-P-butyl, fluazinam, fluazolate, fluazuron, flubendiamide, flubenzimine, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flucofuron, flucycloxuron, flucythrinate, fludioxonil, fluenetil, fluensulfone, flufenacet, flufenerim, flufenican, flufenoxuron, flufenprox, flufenpyr, flufenpyr-ethyl, flufiprole, flumethrin, flumetover, flumetralin, flumetsulam, flumezin, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, flumorph, fluometuron, fluopicolide, fluopyram, fluorbenside, fluoridamid, fluoroacetamide, fluorodifen, fluoroglycofen, fluoroglycofen-ethyl, fluoroimide, fluoromidine, fluoronitrofen, fluothiuron, fluotrimazole, fluoxastrobin, flupoxam, flupropacil, flupropadine, flupropanate, flupropanate-sodium, flupyradifurone, flupyrsulfuron, flupyrsulfuron-methyl, flupyrsulfuron-methyl-sodium, fluquinconazole, flurazole, flurenol, flurenol-butyl, flurenol-methyl, fluridone, fluorochloridone, fluroxypyr, fluroxypyr-butometyl, fluroxypyr-

meptyl, flurprimidol, flursulamid, flurtamone, flusilazole, flusulfamide, fluthiacet, fluthiacet-methyl, flutianil, flutolanil, flutriafol, fluvalinate, fluxapyroxad, fluxofenim, folpet, fomesafen, fomesafen-sodium, fonofos, foramsulfuron, forchlorfenuron, formaldehyde, formetanate, formetanate hydrochloride, formothion, formparanate, formparanate hydrochloride, fosamine, fosamine-ammonium, fosetyl, fosetyl-aluminium, fosmethilan, fospirate, fosthiazate, fosthietan, frontalin, fuberidazole, fucaojing, fucaomi, funaihecaoling, fuphenthiourea, furalane, furalaxyl, furamethrin, furametpyr, furathiocarb, furcarbanil, furconazole, furconazole-cis, furethrin, furfural, furilazole, furmecyclox, furophanate, furyloxyfen, gamma-cyhalothrin, gamma-HCH, genit, gibberellic acid, gibberellins, gliftor, glufosinate, glufosinate-ammonium, glufosinate-P, glufosinate-P-ammonium, glufosinate-P-sodium, glyodin, glyoxime, glyphosate, glyphosate-diammonium, glyphosate-dimethylammonium, glyphosate-isopropylammonium, glyphosate-monoammonium, glyphosate-potassium, glyphosate-sesquisodium, glyphosate-trimesium, glyphosine, gossyplure, grandlure, griseofulvin, guazatine, guazatine acetates, halacrinate, halfenprox, halofenozide, halosafen, halosulfuron, halosulfuron-methyl, haloxydine, haloxyfop, haloxyfop-etotyl, haloxyfop-methyl, haloxyfop-P, haloxyfop-P-etotyl, haloxyfop-P-methyl, haloxyfop-sodium, HCH, hemel, hempa, HEOD, heptachlor, heptenophos, heptopargil, heterophos, hexachloroacetone, hexachlorobenzene, hexachlorobutadiene, hexachlorophene, hexaconazole, hexaflumuron, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox, HHDN, holosulf, huancaiwo, huangcaoling, huanjunzuo, hydramethylnon, hydrargaphen, hydrated lime, hydrogen cyanide, hydroprene, hymexazol, hyquincarb, IAA, IBA, icaridin, imazalil, imazalil nitrate, imazalil sulfate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazaquin-methyl, imazaquin-sodium, imazethapyr, imazethapyr-ammonium, imazosulfuron, imibenconazole, imicyafos, imidacloprid, imidaclothiz, iminoctadine, iminoctadine triacetate, iminoctadine trialbesilate, imiprothrin, inabenfide, indanofan, indaziflam, indoxacarb, inezin, iodobonil, iodocarb, iodomethane, iodosulfuron, iodosulfuron-methyl, iodosulfuron-methyl-sodium, iofensulfuron, iofensulfuron-sodium, ioxynil, ioxynil octanoate, ioxynil-lithium, ioxynil-sodium, ipazine, ipconazole, ipfencarbazone, iprobenfos, iprodione, iprovalicarb, iprymidam, ipsdienol, ipsenol, IPSP, isamidofos, isazofos, isobenzan, isocarbamid, isocarbophos, isocil, isodrin, isofenphos, isofenphos-methyl, isolan, isomethiozin, isonoruron, isopolinate, isoprocarb, isopropalin, isoprothiolane, isoproturon, isopyrazam, isopyrimol, isothioate, isotianil, isouron, isovaledione, isoxaben, isoxachlortole, isoxadifen, isoxadifen-ethyl, isoxaflutole, isoxapyrifop, isoxathion, ivermectin, izopamfos, japonilure, japothrins, jasmolin I, jasmolin II, jasmonic acid, jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, jodfenphos, juvenile hormone I, juvenile hormone II, juvenile hormone III, kadethrin, karbutilate, karetazan, karetazan-potassium, kasugamycin, kasugamycin hydrochloride, kejunlin, kelevan, ketospiradox, ketospiradox-potassium, kinetin, kinoprene, kresoxim-methyl, kuicaoxi, lactofen, lambda-cyhalothrin, latilure, lead arsenate, lenacil, lepimectin, leptophos, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron, lvdingjunzhi, lvxiancaolin, lythidathion, MAA, malathion, maleic hydrazide, malonoben, maltodextrin, MAMA, mancopper, mancozeb, mandipropamid, maneb, matrine, mazidox, MCPA, MCPA-2-ethylhexyl, MCPA-butotyl, MCPA-butyl, MCPA-dimethylammonium, MCPA-diolamine, MCPA-ethyl, MCPA-isobutyl, MCPA-isoctyl, MCPA-isopropyl, MCPA-methyl, MCPA-olamine, MCPA-potassium, MCPA-sodium, MCPA-thioethyl, MCPA-trolamine, MCPB, MCPB-ethyl, MCPB-methyl, MCPB-sodium, mebenil, mecarbam, mecarbinzid, mecarphon, mecoprop, mecoprop-2-ethylhexyl, mecoprop-dimethylammonium, mecoprop-diolamine, mecoprop-ethadyl, mecoprop-isoctyl, mecoprop-methyl, mecoprop-P, mecoprop-P-2-ethylhexyl, mecoprop-P-dimethylammonium, mecoprop-P-isobutyl, mecoprop-potassium, mecoprop-P-potassium, mecoprop-sodium, mecoprop-trolamine, medimeform, medinoterb, medinoterb acetate, medlure, mefenacet, mefenpyr, mefenpyr-diethyl, mefluidide, mefluidide-diolamine, mefluidide-potassium, megatomoic acid, menazon, mepanipyrim, meperfluthrin, mephenate, mephosfolan, mepiquat, mepiquat chloride, mepiquat pentaborate, mepronil, meptyldinocap, mercuric chloride, mercuric oxide, mercurous chloride, merphos, mesoprazine, mesosulfuron, mesosulfuron-methyl, mesotrione, mesulfen, mesulfenfos, metaflumizone, metalaxyl, metalaxyl-M, metaldehyde, metam, metam-ammonium, metamifop, metamitron, metam-potassium, metam-sodium, metazachlor, metazosulfuron, metazoxolon, metconazole, metepa, metflurazon, methabenzthiazuron, methacrifos, methalpropalin, methamidophos, methasulfocarb, methazole, methfuroxam, methidathion, methiobencarb, methiocarb, methiopyrisulfuron, methiotepa, methiozolin, methiuron, methocrotophos, methometon, methomyl, methoprene, methoprotryne, methoquin-butyl, methothrin, methoxychlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl iodide, methyl isothiocyanate, methylacetophos, methylchloroform, methyldymron, methylene chloride, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophenoxide, methylneodecanamide, metiram, metobenzuron, metobromuron, metofluthrin, metolachlor, metolcarb, metominostrobin, metosulam, metoxadiazone, metoxuron, metrafenone, metribuzin, metsulfovax, metsulfuron, metsulfuron-methyl, mevinphos, mexacarbate, mieshuan, milbemectin, milbemycin oxime, milneb, mipafox, mirex, MNAF, moguchun, molinate, molosultap, monalide, monisouron, monochloroacetic acid, monocrotophos, monolinuron, monosulfuron, monosulfuron-ester, monuron, monuron TCA, morfamquat, morfamquat dichloride, moroxydine, moroxydine hydrochloride, morphothion, morzid, moxidectin, MSMA, muscalure, myclobutanil, myclozolin, N-(ethylmercury)-p-toluenesulphonanilide, nabam, naftalofos, naled, naphthalene, naphthaleneacetamide, naphthalic anhydride, naphthoxyacetic acids, naproanilide, napropamide, naptalam, naptalam-sodium, natamycin, neburon, niclosamide, niclosamide-olamine, nicosulfuron, nicotine, nifluridide, nipyraclofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen, nitrofluorfen, nitrostyrene, nitrothal-isopropyl, norbormide, norflurazon, nomicotine, noruron, novaluron, noviflumuron, nuarimol, OCH, octachlorodipropyl ether, octhilinone, ofurace, omethoate, orbencarb, orfralure, ortho-dichlorobenzene, orthosulfamuron, oryctalure, orysastrobin, oryzalin, osthol, ostramone, oxabetrinil, oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazon, oxapyrazon-dimolamine, oxapyrazon-sodium, oxasulfuron, oxaziclomefone, oxine-copper, oxolinic acid, oxpoconazole, oxpoconazole fumarate, oxycarboxin, oxydemeton-methyl, oxydeprofos, oxydisulfoton, oxyfluorfen, oxymatrine, oxytetracycline, oxytetracycline hydrochloride, paclobutrazol, paichongding, para-dichlorobenzene, parafluron, paraquat, paraquat dichloride, paraquat dimetilsulfate, parathion, parathion-methyl, parinol, pebulate, pefurazoate, pel-

argonic acid, penconazole, pencycuron, pendimethalin, penflufen, penfluron, penoxsulam, pentachlorophenol, pentanochlor, penthiopyrad, pentmethrin, pentoxazone, perfluidone, permethrin, pethoxamid, phenamacril, phenazine oxide, phenisopham, phenkapton, phenmedipham, phenmedipham-ethyl, phenobenzuron, phenothrin, phenproxide, phenthoate, phenylmercuriurea, phenylmercury acetate, phenylmercury chloride, phenylmercury derivative of pyrocatechol, phenylmercury nitrate, phenylmercury salicylate, phorate, phosacetim, phosalone, phosdiphen, phosfolan, phosfolan-methyl, phosglycin, phosmet, phosnichlor, phosphamidon, phosphine, phosphocarb, phosphorus, phostin, phoxim, phoxim-methyl, phthalide, picloram, picloram-2-ethylhexyl, picloram-isoctyl, picloram-methyl, picloram-olamine, picloram-potassium, picloram-triethylammonium, picloram-tris(2-hydroxypropyl)ammonium, picolinafen, picoxystrobin, pindone, pindone-sodium, pinoxaden, piperalin, piperonyl butoxide, piperonyl cyclonene, piperophos, piproctanyl, piproctanyl bromide, piprotal, pirimetaphos, pirimicarb, pirimioxyphos, pirimiphos-ethyl, pirimiphos-methyl, plifenate, polycarbamate, polyoxins, polyoxorim, polyoxorim-zinc, polythialan, potassium arsenite, potassium azide, potassium cyanate, potassium gibberellate, potassium naphthenate, potassium polysulfide, potassium thiocyanate, potassium α-naphthaleneacetate, pp'-DDT, prallethrin, precocene I, precocene II, precocene III, pretilachlor, primidophos, primisulfuron, primisulfuron-methyl, probenazole, prochloraz, prochloraz-manganese, proclonol, procyazine, procymidone, prodiamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, proglinazine, proglinazine-ethyl, prohexadione, prohexadione-calcium, prohydrojasmon, promacyl, promecarb, prometon, prometryn, promurit, propachlor, propamidine, propamidine dihydrochloride, propamocarb, propamocarb hydrochloride, propanil, propaphos, propaquizafop, propargite, proparthrin, propazine, propetamphos, propham, propiconazole, propineb, propisochlor, propoxur, propoxycarbazone, propoxycarbazone-sodium, propyl isome, propyrisulfuron, propyzamide, proquinazid, prosuler, prosulfalin, prosulfocarb, prosulfuron, prothidathion, prothiocarb, prothiocarb hydrochloride, prothioconazole, prothiofos, prothoate, protrifenbute, proxan, proxan-sodium, prynachlor, pydanon, pymetrozine, pyracarbolid, pyraclofos, pyraclonil, pyraclostrobin, pyraflufen, pyraflufen-ethyl, pyrafluprole, pyramat, pyrametostrobin, pyraoxystrobin, pyrasulfotole, pyrazolynate, pyrazophos, pyrazosulfuron, pyrazosulfuron-ethyl, pyrazothion, pyrazoxyfen, pyresmethrin, pyrethrin I, pyrethrin II, pyrethrins, pyribambenz-isopropyl, pyribambenz-propyl, pyribencarb, pyribenzoxim, pyributicarb, pyriclor, pyridaben, pyridafol, pyridalyl, pyridaphenthion, pyridate, pyridinitril, pyrifenox, pyrifluquinazon, pyriftalid, pyrimethanil, pyrimidifen, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrimitate, pyrinuron, pyriofenone, pyriprole, pyripropanol, pyriproxyfen, pyrithiobac, pyrithiobac-sodium, pyrolan, pyroquilon, pyroxasulfone, pyroxsulam, pyroxychlor, pyroxyfur, quassia, quinacetol, quinacetol sulfate, quinalphos, quinalphos-methyl, quinazamid, quinclorac, quinconazole, quinmerac, quinoclamine, quinonamid, quinothion, quinoxyfen, quintiofos, quintozene, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, quwenzhi, quyingding, rabenzazole, rafoxanide, rebemide, resmethrin, rhodethanil, rhodojaponin-III, ribavirin, rimsulfuron, rotenone, ryania, saflufenacil, saijunmao, saisentong, salicylanilide, sanguinarine, santonin, schradan, scilliroside, sebuthylazine, secbumeton, sedaxane, selamectin, semiamitraz, semiamitraz chloride, sesamex, sesamolin, sethoxydim, shuangjiaancaolin, siduron, siglure, silafluofen, silatrane, silica gel, silthiofam, simazine, simeconazole, simeton, simetryn, sintofen, SMA, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium orthophenylphenoxide, sodium pentachlorophenoxide, sodium polysulfide, sodium thiocyanate, sodium α-naphthaleneacetate, sophamide, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, spiroxamine, streptomycin, streptomycin sesquisulfate, strychnine, sulcatol, sulcofuron, sulcofuron-sodium, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramid, sulfometuron, sulfometuron-methyl, sulfosulfuron, sulfotep, sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulprofos, sultropen, swep, tau-fluvalinate, tavron, tazimcarb, TCA, TCA-ammonium, TCA-calcium, TCA-ethadyl, TCA-magnesium, TCA-sodium, TDE, tebuconazole, tebufenozide, tebufenpyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, teflubenzuron, tefluthrin, tefuryltrione, tembotrione, temephos, tepa, TEPP, tepraloxydim, terallethrin, terbacil, terbucarb, terbuchlor, terbufos, terbumeton, terbuthylazine, terbutryn, tetcyclacis, tetrachloroethane, tetrachlorvinphos, tetraconazole, tetradifon, tetrafluron, tetramethrin, tetramethylfluthrin, tetramine, tetranactin, tetrasul, thallium sulfate, thenylchlor, theta-cypermethrin, thiabendazole, thiacloprid, thiadifluor, thiamethoxam, thiapronil, thiazafluron, thiazopyr, thicrofos, thicyofen, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thifluzamide, thiobencarb, thiocarboxime, thiochlorfenphim, thiocyclam, thiocyclam hydrochloride, thiocyclam oxalate, thiodiazole-copper, thiodicarb, thiofanox, thiofluximate, thiohempa, thiomersal, thiometon, thionazin, thiophanate, thiophanate-methyl, thioquinox, thiosemicarbazide, thiosultap, thiosultap-diammonium, thiosultap-disodium, thiosultap-monosodium, thiotepa, thiram, thuringiensin, tiadinil, tiaojiean, tiocarbazil, tioclorim, tioxymid, tirpate, tolclofos-methyl, tolfenpyrad, tolylfluanid, tolylmercury acetate, topramezone, tralkoxydim, tralocythrin, tralomethrin, tralopyril, transfluthrin, transpermethrin, tretamine, triacontanol, triadimefon, triadimenol, triafamone, tri-allate, triamiphos, triapenthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazoxide, tribenuron, tribenuron-methyl, tribufos, tributyltin oxide, tricamba, trichlamide, trichlorfon, trichlormetaphos-3, trichloronat, triclopyr, triclopyr-butotyl, triclopyr-ethyl, triclopyr-triethylammonium, tricyclazole, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, trifloxysulfuron, trifloxysulfuron-sodium, triflumizole, triflumuron, trifluralin, triflusulfuron, triflusulfuron-methyl, trifop, trifop-methyl, trifopsime, triforine, trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, trinexapac-ethyl, triprene, tripropindan, triptolide, tritac, triticonazole, tritosulfuron, trunc-call, uniconazole, uniconazole-P, urbacide, uredepa, valerate, validamycin, valifenalate, valone, vamidothion, vangard, vaniliprole, vernolate, vinclozolin, warfarin, warfarin-potassium, warfarin-sodium, xiaochongliulin, xinjunan, xiwojunan, XMC, xylachlor, xylenols, xylylcarb, yishijing, zarilamid, zeatin, zengxiaoan, zeta-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zineb, ziram, zolaprofos, zoxamide, zuomihuanglong, α-chlorohydrin, α-ecdysone, α-multistriatin, and α-naphthaleneacetic acid. For more information consult the "Compendium of Pesticide Common Names" located at http://www.alanwood.net/pesticides/index.html. Also consult "The Pesticide Manual" 14th Edition, edited by C D S Tomlin, copyright 2006 by British Crop Production Council, or its prior or more recent editions.

Biopesticides

Molecules of Formula One may also be used in combination (such as in a compositional mixture, or a simultaneous or sequential application) with one or more biopesticides. The term "biopesticide" is used for microbial biological pest control agents that are applied in a similar manner to chemical pesticides. Commonly these are bacterial, but there are also examples of fungal control agents, including *Trichoderma* spp. and *Ampelomyces quisqualis* (a control agent for grape powdery mildew). *Bacillus subtilis* are used to control plant pathogens. Weeds and rodents have also been controlled with microbial agents. One well-known insecticide example is *Bacillus thuringiensis*, a bacterial disease of Lepidoptera, Coleoptera, and Diptera. Because it has little effect on other organisms, it is considered more environmentally friendly than synthetic pesticides. Biological insecticides include products based on:

1. entomopathogenic fungi (e.g. *Metarhizium anisopliae*);
2. entomopathogenic nematodes (e.g. *Steinemema feltiae*); and
3. entomopathogenic viruses (e.g. *Cydia pomonella* granulovirus).

Other examples of entomopathogenic organisms include, but are not limited to, baculoviruses, bacteria and other prokaryotic organisms, fungi, protozoa and Microsproridia. Biologically derived insecticides include, but not limited to, rotenone, veratridine, as well as microbial toxins; insect tolerant or resistant plant varieties; and organisms modified by recombinant DNA technology to either produce insecticides or to convey an insect resistant property to the genetically modified organism. In one embodiment, the molecules of Formula One may be used with one or more biopesticides in the area of seed treatments and soil amendments. *The Manual of Biocontrol Agents* gives a review of the available biological insecticide (and other biology-based control) products. Copping L. G. (ed.) (2004). *The Manual of Biocontrol Agents* (formerly the *Biopesticide Manual*) 3rd Edition. British Crop Production Council (BCPC), Farnham, Surrey UK.

Other Active Compounds

Molecules of Formula One may also be used in combination (such as in a compositional mixture, or a simultaneous or sequential application) with one or more of the following:

1. 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;
2. 3-(4'-chloro-2,4-dimethyl[1,1'-biphenyl]-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;
3. 4-[[(6-chloro-3-pyridinyl)methyl]methylamino]-2(5H)-furanone;
4. 4-[[(6-chloro-3-pyridinyl)methyl]cyclopropylamino]-2(5H)-furanone;
5. 3-chloro-N2-[(1S)-1-methyl-2-(methylsulfonyl)ethyl]-N1-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1,2-benzenedicarboxamide;
6. 2-cyano-N-ethyl-4-fluoro-3-methoxy-benenesulfonamide;
7. 2-cyano-N-ethyl-3-methoxy-benzenesulfonamide;
8. 2-cyano-3-difluoromethoxy-N-ethyl-4-fluoro-benzenesulfonamide;
9. 2-cyano-3-fluoromethoxy-N-ethyl-benzenesulfonamide;
10. 2-cyano-6-fluoro-3-methoxy-N,N-dimethyl-benzenesulfonamide;
11. 2-cyano-N-ethyl-6-fluoro-3-methoxy-N-methyl-benzenesulfonamide;
12. 2-cyano-3-difluoromethoxy-N,N-dimethylbenzenesulfon-amide;
13. 3-(difluoromethyl)-N-[2-(3,3-dimethylbutyl)phenyl]-1-methyl-1H-pyrazole-4-carboxamide;
14. N-ethyl-2,2-dimethylpropionamide-2-(2,6-dichloro-α,α,α-trifluoro-p-tolyl) hydrazone;
15. N-ethyl-2,2-dichloro-1-methylcyclopropane-carboxamide-2-(2,6-dichloro-α,α,α-trifluoro-p-tolyl) hydrazone nicotine;
16. O-{(E-)-[2-(4-chloro-phenyl)-2-cyano-1-(2-trifluoromethylphenyl)-vinyl]}S-methyl thiocarbonate;
17. (E)-N1-[(2-chloro-1,3-thiazol-5-ylmethyl)]-N2-cyano-N1-methylacetamidine;
18. 1-(6-chloropyridin-3-ylmethyl)-7-methyl-8-nitro-1,2,3,5,6,7-hexahydro-imidazo[1,2-a]pyridin-5-ol;
19. 4-[4-chlorophenyl-(2-butylidine-hydrazono)methyl)] phenyl mesylate; and
20. N-Ethyl-2,2-dichloro-1-methylcyclopropanecarboxamide-2-(2,6-dichloro-alpha,alpha,alpha-trifluoro-p-tolyl) hydrazone.

Synergistic Mixtures

Molecules of Formula One may be used with certain active compounds to form synergistic mixtures where the mode of action of such compounds compared to the mode of action of the molecules of Formula One are the same, similar, or different. Examples of modes of action include, but are not limited to: acetylcholinesterase inhibitor; sodium channel modulator; chitin biosynthesis inhibitor; GABA and glutamate-gated chloride channel antagonist; GABA and glutamate-gated chloride channel agonist; acetylcholine receptor agonist; acetylcholine receptor antagonist; MET I inhibitor; Mg-stimulated ATPase inhibitor; nicotinic acetylcholine receptor; Midgut membrane disrupter; oxidative phosphorylation disrupter, and ryanodine receptor (RyRs). Generally, weight ratios of the molecules of Formula One in a synergistic mixture with another compound are from about 10:1 to about 1:10, in another embodiment from about 5:1 to about 1:5, and in another embodiment from about 3:1, and in another embodiment about 1:1.

Formulations

A pesticide is rarely suitable for application in its pure form. It is usually necessary to add other substances so that the pesticide can be used at the required concentration and in an appropriate form, permitting ease of application, handling, transportation, storage, and maximum pesticide activity. Thus, pesticides are formulated into, for example, baits, concentrated emulsions, dusts, emulsifiable concentrates, fumigants, gels, granules, microencapsulations, seed treatments, suspension concentrates, suspoemulsions, tablets, water soluble liquids, water dispersible granules or dry flowables, wettable powders, and ultra-low volume solutions. For further information on formulation types see "Catalogue of Pesticide Formulation Types and International Coding System" Technical Monograph n° 2, 5th Edition by CropLife International (2002).

Pesticides are applied most often as aqueous suspensions or emulsions prepared from concentrated formulations of such pesticides. Such water-soluble, water-suspendable, or emulsifiable formulations are either solids, usually known as wettable powders, or water dispersible granules, or liquids usually known as emulsifiable concentrates, or aqueous suspensions. Wettable powders, which may be compacted to form water dispersible granules, comprise an intimate mixture of the pesticide, a carrier, and surfactants. The concentration of the pesticide is usually from about 10% to about 90% by weight. The carrier is usually selected from among the attapulgite clays, the montmorillonite clays, the diatomaceous earths, or the purified silicates. Effective surfactants, comprising from about 0.5% to about 10% of the wettable powder, are found among sulfonated lignins, condensed naphthalenesulfonates, naphthalenesulfonates, alkylbenze-

nesulfonates, alkyl sulfates, and non-ionic surfactants such as ethylene oxide adducts of alkyl phenols.

Emulsifiable concentrates of pesticides comprise a convenient concentration of a pesticide, such as from about 50 to about 500 grams per liter of liquid dissolved in a carrier that is either a water miscible solvent or a mixture of water-immiscible organic solvent and emulsifiers. Useful organic solvents include aromatics, especially xylenes and petroleum fractions, especially the high-boiling naphthalenic and olefinic portions of petroleum such as heavy aromatic naphtha. Other organic solvents may also be used, such as the terpenic solvents including rosin derivatives, aliphatic ketones such as cyclohexanone, and complex alcohols such as 2-ethoxyethanol. Suitable emulsifiers for emulsifiable concentrates are selected from conventional anionic and non-ionic surfactants.

Aqueous suspensions comprise suspensions of water-insoluble pesticides dispersed in an aqueous carrier at a concentration in the range from about 5% to about 50% by weight. Suspensions are prepared by finely grinding the pesticide and vigorously mixing it into a carrier comprised of water and surfactants. Ingredients, such as inorganic salts and synthetic or natural gums may also be added, to increase the density and viscosity of the aqueous carrier. It is often most effective to grind and mix the pesticide at the same time by preparing the aqueous mixture and homogenizing it in an implement such as a sand mill, ball mill, or piston-type homogenizer.

Pesticides may also be applied as granular compositions that are particularly useful for applications to the soil. Granular compositions usually contain from about 0.5% to about 10% by weight of the pesticide, dispersed in a carrier that comprises clay or a similar substance. Such compositions are usually prepared by dissolving the pesticide in a suitable solvent and applying it to a granular carrier which has been pre-formed to the appropriate particle size, in the range of from about 0.5 to about 3 mm Such compositions may also be formulated by making a dough or paste of the carrier and compound and crushing and drying to obtain the desired granular particle size.

Dusts containing a pesticide are prepared by intimately mixing the pesticide in powdered form with a suitable dusty agricultural carrier, such as kaolin clay, ground volcanic rock, and the like. Dusts can suitably contain from about 1% to about 10% of the pesticide. They can be applied as a seed dressing or as a foliage application with a dust blower machine.

It is equally practical to apply a pesticide in the form of a solution in an appropriate organic solvent, usually petroleum oil, such as the spray oils, which are widely used in agricultural chemistry.

Pesticides can also be applied in the form of an aerosol composition. In such compositions the pesticide is dissolved or dispersed in a carrier, which is a pressure-generating propellant mixture. The aerosol composition is packaged in a container from which the mixture is dispensed through an atomizing valve.

Pesticide baits are formed when the pesticide is mixed with food or an attractant or both. When the pests eat the bait they also consume the pesticide. Baits may take the form of granules, gels, flowable powders, liquids, or solids. They can be used in pest harborages.

Fumigants are pesticides that have a relatively high vapor pressure and hence can exist as a gas in sufficient concentrations to kill pests in soil or enclosed spaces. The toxicity of the fumigant is proportional to its concentration and the exposure time. They are characterized by a good capacity for diffusion and act by penetrating the pest's respiratory system or being absorbed through the pest's cuticle. Fumigants are applied to control stored product pests under gas proof sheets, in gas sealed rooms or buildings or in special chambers.

Pesticides can be microencapsulated by suspending the pesticide particles or droplets in plastic polymers of various types. By altering the chemistry of the polymer or by changing factors in the processing, microcapsules can be formed of various sizes, solubility, wall thicknesses, and degrees of penetrability. These factors govern the speed with which the active ingredient within is released, which in turn, affects the residual performance, speed of action, and odor of the product.

Oil solution concentrates are made by dissolving pesticide in a solvent that will hold the pesticide in solution. Oil solutions of a pesticide usually provide faster knockdown and kill of pests than other formulations due to the solvents themselves having pesticidal action and the dissolution of the waxy covering of the integument increasing the speed of uptake of the pesticide. Other advantages of oil solutions include better storage stability, better penetration of crevices, and better adhesion to greasy surfaces.

Another embodiment is an oil-in-water emulsion, wherein the emulsion comprises oily globules which are each provided with a lamellar liquid crystal coating and are dispersed in an aqueous phase, wherein each oily globule comprises at least one compound which is agriculturally active, and is individually coated with a monolamellar or oligolamellar layer comprising: (1) at least one non-ionic lipophilic surface-active agent, (2) at least one non-ionic hydrophilic surface-active agent and (3) at least one ionic surface-active agent, wherein the globules having a mean particle diameter of less than 800 nanometers. Further information on the embodiment is disclosed in U.S. patent publication 20070027034 published Feb. 1, 2007, having patent application Ser. No. 11/495,228. For ease of use, this embodiment will be referred to as "OIWE".

For further information consult "Insect Pest Management" 2nd Edition by D. Dent, copyright CAB International (2000). Additionally, for more detailed information consult "Handbook of Pest Control—The Behavior, Life History, and Control of Household Pests" by Arnold Mattis, 9th Edition, copyright 2004 by GIE Media Inc.

Other Formulation Components

Generally, when the molecules disclosed in Formula One are used in a formulation, such formulation can also contain other components. These components include, but are not limited to, (this is a non-exhaustive and non-mutually exclusive list) wetters, spreaders, stickers, penetrants, buffers, sequestering agents, drift reduction agents, compatibility agents, anti-foam agents, cleaning agents, and emulsifiers. A few components are described forthwith.

A wetting agent is a substance that when added to a liquid increases the spreading or penetration power of the liquid by reducing the interfacial tension between the liquid and the surface on which it is spreading. Wetting agents are used for two main functions in agrochemical formulations: during processing and manufacture to increase the rate of wetting of powders in water to make concentrates for soluble liquids or suspension concentrates; and during mixing of a product with water in a spray tank to reduce the wetting time of wettable powders and to improve the penetration of water into water-dispersible granules. Examples of wetting agents used in wettable powder, suspension concentrate, and water-dispersible granule formulations are: sodium lauryl sulfate; sodium dioctyl sulfosuccinate; alkyl phenol ethoxylates; and aliphatic alcohol ethoxylates.

A dispersing agent is a substance which adsorbs onto the surface of particles and helps to preserve the state of dispersion of the particles and prevents them from reaggregating. Dispersing agents are added to agrochemical formulations to facilitate dispersion and suspension during manufacture, and to ensure the particles redisperse into water in a spray tank. They are widely used in wettable powders, suspension concentrates and water-dispersible granules. Surfactants that are used as dispersing agents have the ability to adsorb strongly onto a particle surface and provide a charged or steric barrier to reaggregation of particles. The most commonly used surfactants are anionic, non-ionic, or mixtures of the two types. For wettable powder formulations, the most common dispersing agents are sodium lignosulfonates. For suspension concentrates, very good adsorption and stabilization are obtained using polyelectrolytes, such as sodium naphthalene sulfonate formaldehyde condensates. Tristyrylphenol ethoxylate phosphate esters are also used. Non-ionics such as alkylarylethylene oxide condensates and EO-PO block copolymers are sometimes combined with anionics as dispersing agents for suspension concentrates. In recent years, new types of very high molecular weight polymeric surfactants have been developed as dispersing agents. These have very long hydrophobic 'backbones' and a large number of ethylene oxide chains forming the 'teeth' of a 'comb' surfactant. These high molecular weight polymers can give very good long-term stability to suspension concentrates because the hydrophobic backbones have many anchoring points onto the particle surfaces. Examples of dispersing agents used in agrochemical formulations are: sodium lignosulfonates; sodium naphthalene sulfonate formaldehyde condensates; tristyrylphenol ethoxylate phosphate esters; aliphatic alcohol ethoxylates; alkyl ethoxylates; EO-PO block copolymers; and graft copolymers.

An emulsifying agent is a substance which stabilizes a suspension of droplets of one liquid phase in another liquid phase. Without the emulsifying agent the two liquids would separate into two immiscible liquid phases. The most commonly used emulsifier blends contain alkylphenol or aliphatic alcohol with twelve or more ethylene oxide units and the oil-soluble calcium salt of dodecylbenzenesulfonic acid. A range of hydrophile-lipophile balance ("HLB") values from 8 to 18 will normally provide good stable emulsions. Emulsion stability can sometimes be improved by the addition of a small amount of an EO-PO block copolymer surfactant.

A solubilizing agent is a surfactant which will form micelles in water at concentrations above the critical micelle concentration. The micelles are then able to dissolve or solubilize water-insoluble materials inside the hydrophobic part of the micelle. The types of surfactants usually used for solubilization are non-ionics, sorbitan monooleates, sorbitan monooleate ethoxylates, and methyl oleate esters.

Surfactants are sometimes used, either alone or with other additives such as mineral or vegetable oils as adjuvants to spray-tank mixes to improve the biological performance of the pesticide on the target. The types of surfactants used for bioenhancement depend generally on the nature and mode of action of the pesticide. However, they are often non-ionics such as: alkyl ethoxylates; linear aliphatic alcohol ethoxylates; aliphatic amine ethoxylates.

A carrier or diluent in an agricultural formulation is a material added to the pesticide to give a product of the required strength. Carriers are usually materials with high absorptive capacities, while diluents are usually materials with low absorptive capacities. Carriers and diluents are used in the formulation of dusts, wettable powders, granules and water-dispersible granules.

Organic solvents are used mainly in the formulation of emulsifiable concentrates, oil-in-water emulsions, suspoemulsions, and ultra-low volume formulations, and to a lesser extent, granular formulations. Sometimes mixtures of solvents are used. The first main groups of solvents are aliphatic paraffinic oils such as kerosene or refined paraffins. The second main group (and the most common) comprises the aromatic solvents such as xylene and higher molecular weight fractions of C9 and C10 aromatic solvents. Chlorinated hydrocarbons are useful as cosolvents to prevent crystallization of pesticides when the formulation is emulsified into water. Alcohols are sometimes used as cosolvents to increase solvent power. Other solvents may include vegetable oils, seed oils, and esters of vegetable and seed oils.

Thickeners or gelling agents are used mainly in the formulation of suspension concentrates, emulsions and suspoemulsions to modify the rheology or flow properties of the liquid and to prevent separation and settling of the dispersed particles or droplets. Thickening, gelling, and anti-settling agents generally fall into two categories, namely water-insoluble particulates and water-soluble polymers. It is possible to produce suspension concentrate formulations using clays and silicas. Examples of these types of materials, include, but are not limited to, montmorillonite, bentonite, magnesium aluminum silicate, and attapulgite. Water-soluble polysaccharides have been used as thickening-gelling agents for many years. The types of polysaccharides most commonly used are natural extracts of seeds and seaweeds or are synthetic derivatives of cellulose. Examples of these types of materials include, but are not limited to, guar gum; locust bean gum; carrageenam; alginates; methyl cellulose; sodium carboxymethyl cellulose (SCMC); hydroxyethyl cellulose (HEC). Other types of anti-settling agents are based on modified starches, polyacrylates, polyvinyl alcohol and polyethylene oxide. Another good anti-settling agent is xanthan gum.

Microorganisms can cause spoilage of formulated products. Therefore preservation agents are used to eliminate or reduce their effect. Examples of such agents include, but are not limited to: propionic acid and its sodium salt; sorbic acid and its sodium or potassium salts; benzoic acid and its sodium salt; p-hydroxybenzoic acid sodium salt; methyl p-hydroxybenzoate; and 1,2-benzisothiazolin-3-one (BIT).

The presence of surfactants often causes water-based formulations to foam during mixing operations in production and in application through a spray tank. In order to reduce the tendency to foam, anti-foam agents are often added either during the production stage or before filling into bottles. Generally, there are two types of anti-foam agents, namely silicones and non-silicones. Silicones are usually aqueous emulsions of dimethyl polysiloxane, while the non-silicone anti-foam agents are water-insoluble oils, such as octanol and nonanol, or silica. In both cases, the function of the anti-foam agent is to displace the surfactant from the air-water interface.

"Green" agents (e.g., adjuvants, surfactants, solvents) can reduce the overall environmental footprint of crop protection formulations. Green agents are biodegradable and generally derived from natural and/or sustainable sources, e.g. plant and animal sources. Specific examples are: vegetable oils, seed oils, and esters thereof, also alkoxylated alkyl polyglucosides.

For further information, see "Chemistry and Technology of Agrochemical Formulations" edited by D. A. Knowles, copyright 1998 by Kluwer Academic Publishers. Also see "Insecticides in Agriculture and Environment—Retrospects and Prospects" by A. S. Perry, I. Yamamoto, I. Ishaaya, and R. Perry, copyright 1998 by Springer-Verlag.

Pests

In general, the molecules of Formula One may be used to control pests e.g. beetles, earwigs, cockroaches, flies. aphids, scales, whiteflies, leafhoppers, ants, wasps, termites, moths, butterflies, lice, grasshoppers, locusts, crickets, fleas, thrips, bristletails, mites, ticks, nematodes, and symphylans.

In another embodiment, the molecules of Formula One may be used to control pests in the Phyla Nematoda and/or Arthropoda.

In another embodiment, the molecules of Formula One may be used to control pests in the Subphyla Chelicerata, Myriapoda, and/or Hexapoda.

In another embodiment, the molecules of Formula One may be used to control pests in the Classes of Arachnida, Symphyla, and/or Insecta.

In another embodiment, the molecules of Formula One may be used to control pests of the Order Anoplura. A non-exhaustive list of particular genera includes, but is not limited to, *Haematopinus* spp., *Hoplopleura* spp., *Linognathus* spp., *Pediculus* spp., and *Polyplax* spp. A non-exhaustive list of particular species includes, but is not limited to, *Haematopinus asini, Haematopinus suis, Linognathus setosus, Linognathus ovillus, Pediculus humanus capitis, Pediculus humanus humanus*, and *Pthirus pubis.*

In another embodiment, the molecules of Formula One may be used to control pests in the Order Coleoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Acanthoscelides* spp., *Agriotes* spp., *Anthonomus* spp., *Apion* spp., *Apogonia* spp., *Aulacophora* spp., *Bruchus* spp., *Cerosterna* spp., *Cerotoma* spp., *Ceutorhynchus* spp., *Chaetocnema* spp., *Colaspis* spp., *Ctenicera* spp., Curculio spp., Cyclocephala spp., *Diabrotica* spp., *Hypera* spp., *Ips* spp., *Lyctus* spp., *Megascelis* spp., *Meligethes* spp., *Otiorhynchus* spp., *Pantomorus* spp., *Phyllophaga* spp., *Phyllotreta* spp., *Rhizotrogus* spp., *Rhynchites* spp., *Rhynchophorus* spp., *Scolytus* spp., *Sphenophorus* spp., *Sitophilus* spp., and *Tribolium* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acanthoscelides obtectus, Agrilus planipennis, Anoplophora glabripennis, Anthonomus grandis, Ataenius spretulus, Atomaria linearis, Bothynoderes punctiventris, Bruchus pisorum, Callosobruchus maculatus, Carpophilus hemipterus, Cassida vittata, Cerotoma trifurcata, Ceutorhynchus assimilis, Ceutorhynchus napi, Conoderus scalaris, Conoderus stigmosus, Conotrachelus nenuphar, Cotinis nitida, Crioceris asparagi, Cryptolestes ferrugineus, Cryptolestes pusillus, Cryptolestes turcicus, Cylindrocopturus adspersus, Deporaus marginatus, Dermestes lardarius, Dermestes maculatus, Epilachna varivestis, Faustinus cubae, Hylobius pales, Hypera postica, Hypothenemus hampei, Lasioderma serricorne, Leptinotarsa decemlineata, Liogenys fiiscus, Liogenys suturalis, Lissorhoptrus oryzophilus, Maecolaspis joliveti, Melanotus communis, Meligethes aeneus, Melolontha melolontha, Oberea brevis, Oberea linearis, Oryctes rhinoceros, Oryzaephilus mercator, Oryzaephilus surinamensis, Oulema melanopus, Oulema oryzae, Phyllophaga cuyabana, Popillia japonica, Prostephanus truncatus, Rhyzopertha dominica, Sitona lineatus, Sitophilus granarius, Sitophilus oryzae, Sitophilus zeamais, Stegobium paniceum, Tribolium castaneum, Tribolium confusum, Trogoderma variabile*, and *Zabrus tenebrioides.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Dermaptera.

In another embodiment, the molecules of Formula One may be used to control pests of the Order Blattaria. A non-exhaustive list of particular species includes, but is not limited to, *Blattella germanica, Blatta orientalis, Parcoblatta pennsylvanica, Periplaneta americana, Periplaneta australasiae, Periplaneta brunnea, Periplaneta fuliginosa, Pycnoscelus surinamensis*, and *Supella longipalpa.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Diptera. A non-exhaustive list of particular genera includes, but is not limited to, *Aedes* spp., *Agromyza* spp., *Anastrepha* spp., *Anopheles* spp., *Bactrocera* spp., *Ceratitis* spp., *Chrysops* spp., *Cochliomyia* spp., *Contarinia* spp., *Culex* spp., *Dasineura* spp., *Delia* spp., *Drosophila* spp., *Fannia* spp., *Hylemyia* spp., *Liriomyza* spp., *Musca* spp., *Phorbia* spp., *Tabanus* spp., and *Tipula* spp. A non-exhaustive list of particular species includes, but is not limited to, *Agromyza frontella, Anastrepha suspensa, Anastrepha ludens, Anastrepha obliqa, Bactrocera cucurbitae, Bactrocera dorsalis, Bactrocera invadens, Bactrocera zonata, Ceratitis capitata, Dasineura brassicae, Delia platura, Fannia canicularis, Fannia scalaris, Gasterophilus intestinalis, Gracillia perseae, Haematobia irritans, Hypoderma lineatum, Liriomyza brassicae, Melophagus ovinus, Musca autumnalis, Musca domestica, Oestrus ovis, Oscinella frit, Pegomya betae, Psila rosae, Rhagoletis cerasi, Rhagoletis pomonella, Rhagoletis mendax, Sitodiplosis mosellana*, and *Stomoxys calcitrans.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Hemiptera. A non-exhaustive list of particular genera includes, but is not limited to, *Adelges* spp., *Aulacaspis* spp., *Aphrophora* spp., *Aphis* spp., *Bemisia* spp., *Ceroplastes* spp., *Chionaspis* spp., *Chrysomphalus* spp., *Coccus* spp., *Empoasca* spp., *Lepidosaphes* spp., *Lagynotomus* spp., *Lygus* spp., *Macrosiphum* spp., *Nephotettix* spp., *Nezara* spp., *Philaenus* spp., *Phytocoris* spp., *Piezodorus* spp., *Planococcus* spp., *Pseudococcus* spp., *Rhopalosiphum* spp., *Saissetia* spp., *Therioaphis* spp., *Toumeyella* spp., *Toxoptera* spp., *Trialeurodes* spp., *Triatoma* spp. and *Unaspis* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acrosternum hilare, Acyrthosiphon pisum, Aleyrodes proletella, Aleurodicus dispersus, Aleurothrixus floccosus, Amrasca biguttula biguttula, Aonidiella aurantii, Aphis gossypii, Aphis glycines, Aphis pomi, Aulacorthum solani, Bemisia argentifolii, Bemisia tabaci, Blissus leucopterus, Brachycorynella asparagi, Brevennia rehi, Brevicoryne brassicae, Calocoris norvegicus, Ceroplastes rubens, Cimex hemipterus, Cimex lectularius, Dagbertus fasciatus, Dichelops furcatus, Diuraphis noxia, Diaphorina citri, Dysaphis plantaginea, Dysdercus suturellus, Edessa meditabunda, Eriosoma lanigerum, Eurygaster maura, Euschistus heros, Euschistus servus, Helopeltis antonii, Helopeltis theivora, Icerya purchasi, Idioscopus nitidulus, Laodelphax striatellus, Leptocorisa oratorius, Leptocorisa varicornis, Lygus hesperus, Maconellicoccus hirsutus, Macrosiphum euphorbiae, Macrosiphum granarium, Macrosiphum rosae, Macrosteles quadrilineatus, Mahanarva frimbiolata, Metopolophium dirhodum, Mictis longicornis, Myzus persicae, Nephotettix cinctipes, Neurocolpus longirostris, Nezara viridula, Nilaparvata lugens, Parlatoria pergandii, Parlatoria ziziphi, Peregrinus maidis, Phylloxera vitifoliae, Physokermes piceae, Phytocoris californicus, Phytocoris relativus, Piezodorus guildinii, Poecilocapsus lineatus, Psallus vaccinicola, Pseudacysta perseae, Pseudococcus brevipes, Quadraspidiotus perniciosus, Rhopalosiphum maidis, Rhopalosiphum padi, Saissetia oleae, Scaptocoris castanea, Schizaphis graminum, Sitobion avenae, Sogatella furcifera, Trialeurodes vaporariorum, Trialeurodes abutiloneus, Unaspis yanonensis*, and *Zulia entrerriana.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Hymenoptera. A non-exhaustive list of particular genera includes, but is not

limited to, *Acromyrmex* spp., *Atta* spp., *Camponotus* spp., *Diprion* spp., *Formica* spp., *Monomorium* spp., *Neodiprion* spp., *Pogonomyrmex* spp., *Polistes* spp., *Solenopsis* spp., *Vespula* spp., and *Xylocopa* spp. A non-exhaustive list of particular species includes, but is not limited to, *Athalia rosae, Atta texana, Iridomyrmex humilis, Monomorium minimum, Monomorium pharaonis, Solenopsis invicta, Solenopsis geminata, Solenopsis molesta, Solenopsis richtery, Solenopsis xyloni*, and *Tapinoma sessile.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Isoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Coptotermes* spp., *Cornitermes* spp., *Cryptotermes* spp., *Heterotermes* spp., *Kalotermes* spp., *Incisitermes* spp., *Macrotermes* spp., *Marginitermes* spp., *Microcerotermes* spp., *Procornitermes* spp., *Reticulitermes* spp., *Schedorhinotermes* spp., and *Zootermopsis* spp. A non-exhaustive list of particular species includes, but is not limited to, *Coptotermes curvignathus, Coptotermes frenchi, Coptotermes formosanus, Heterotermes aureus, Microtermes obesi, Reticulitermes banyulensis, Reticulitermes grassei, Reticulitermes flavipes, Reticulitermes hageni, Reticulitermes hesperus, Reticulitermes santonensis, Reticulitermes speratus, Reticulitermes tibialis*, and *Reticulitermes virginicus.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Lepidoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Adoxophyes* spp., *Agrotis* spp., *Argyrotaenia* spp., *Cacoecia* spp., *Caloptilia* spp., *Chilo* spp., *Chrysodeixis* spp., *Colias* spp., *Crambus* spp., *Diaphania* spp., *Diatraea* spp., *Earias* spp., *Ephestia* spp., *Epimecis* spp., *Feltia* spp., *Gortyna* spp., *Helicoverpa* spp., *Heliothis* spp., *Indarbela* spp., *Lithocolletis* spp., *Loxagrotis* spp., *Malacosoma* spp., *Peridroma* spp., *Phyllonorycter* spp., *Pseudaletia* spp., *Sesamia* spp., *Spodoptera* spp., *Synanthedon* spp., and *Yponomeuta* spp. A non-exhaustive list of particular species includes, but is not limited to, *Achaea janata, Adoxophyes orana, Agrotis ipsilon, Alabama argillacea, Amorbia cuneana, Amyelois transitella, Anacamptodes defectaria, Anarsia lineatella, Anomis sabulifera, Anticarsia gemmatalis, Archips argyrospila, Archips rosana, Argyrotaenia citrana, Autographa gamma, Bonagota cranaodes, Borbo cinnara, Bucculatrix thurberiella, Capua reticulana, Carposina niponensis, Chlumetia transversa, Choristoneura rosaceana, Cnaphalocrocis medinalis, Conopomorpha cramerella, Cossus cossus, Cydia caryana, Cydia funebrana, Cydia molesta, Cydia nigricana, Cydia pomonella, Darna diducta, Diatraea saccharalis, Diatraea grandiosella, Earias insulana, Earias vittella, Ecdytolopha aurantianum, Elasmopalpus lignosellus, Ephestia cautella, Ephestia elutella, Ephestia kuehniella, Epinotia aporema, Epiphyas postvittana, Erionota thrax, Eupoecilia ambiguella, Euxoa auxiliaris, Grapholita molesta, Hedylepta indicata, Helicoverpa armigera, Helicoverpa zea, Heliothis virescens, Hellula undalis, Keiferia lycopersicella, Leucinodes orbonalis, Leucoptera coffeella, Leucoptera malifoliella, Lobesia botrana, Loxagrotis albicosta, Lymantria dispar, Lyonetia clerkella, Mahasena corbetti, Mamestra brassicae, Maruca testulalis, Metisa plana, Mythimna unipuncta, Neoleucinodes elegantalis, Nymphula depunctalis, Operophtera brumata, Ostrinia nubilalis, Oxydia vesulia, Pandemis cerasana, Pandemis heparana, Papilio demodocus, Pectinophora gossypiella, Peridroma saucia, Perileucoptera coffeella, Phthorimaea operculella, Phyllocnistis citrella, Pieris rapae, Plathypena scabra, Plodia interpunctella, Plutella xylostella, Polychrosis viteana, Prays endocarpa, Prays oleae, Pseudaletia unipuncta, Pseudoplusia includens, Rachiplusia nu, Scirpophaga incertulas, Sesamia inferens, Sesamia nonagrioides, Setora nitens, Sitotroga cerealella, Sparganothis pilleriana, Spodoptera exigua, Spodoptera frugiperda, Spodoptera eridania, Thecla basilides, Tineola bisselliella, Trichoplusia ni, Tuta absoluta, Zeuzera coffeae*, and *Zeuzera pyrina.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Mallophaga. A non-exhaustive list of particular genera includes, but is not limited to, *Anaticola* spp., *Bovicola* spp., *Chelopistes* spp., *Goniodes* spp., *Menacanthus* spp., and *Trichodectes* spp. A non-exhaustive list of particular species includes, but is not limited to, *Bovicola bovis, Bovicola caprae, Bovicola ovis, Chelopistes meleagridis, Goniodes dissimilis, Goniodes gigas, Menacanthus stramineus, Menopon gallinae*, and *Trichodectes canis.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Orthoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Melanoplus* spp., and *Pterophylla* spp. A non-exhaustive list of particular species includes, but is not limited to, *Anabrus simplex, Gryllotalpa africana, Gryllotalpa australis, Gryllotalpa brachyptera, Gryllotalpa hexadactyla, Locusta migratoria, Microcentrum retinerve, Schistocerca gregaria*, and *Scudderia furcata.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Siphonaptera. A non-exhaustive list of particular species includes, but is not limited to, *Ceratophyllus gallinae, Ceratophyllus niger, Ctenocephalides canis, Ctenocephalides felis*, and *Pulex irritans.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Thysanoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Caliothrips* spp., *Frankliniella* spp., *Scirtothrips* spp., and *Thrips* spp. A non-exhaustive list of particular sp. includes, but is not limited to, *Frankliniella fusca, Frankliniella occidentalis, Frankliniella schultzei, Frankliniella williamsi, Heliothrips haemorrhoidalis, Rhipiphorothrips cruentatus, Scirtothrips citri, Scirtothrips dorsalis*, and *Taeniothrips rhopalantennalis, Thrips hawaiiensis, Thrips nigropilosus, Thrips orientalis, Thrips tabaci.*

In another embodiment, the molecules of Formula One may be used to control pests of the Order Thysanura. A non-exhaustive list of particular genera includes, but is not limited to, *Lepisma* spp. and *Thermobia* spp.

In another embodiment, the molecules of Formula One may be used to control pests of the Order Acarina. A non-exhaustive list of particular genera includes, but is not limited to, *Acarus* spp., *Aculops* spp., *Boophilus* spp., *Demodex* spp., *Dermacentor* spp., *Epitrimerus* spp., *Eriophyes* spp., *Ixodes* spp., *Oligonychus* spp., *Panonychus* spp., *Rhizoglyphus* spp., and *Tetranychus* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acarapis woodi, Acarus siro, Aceria mangiferae, Aculops lycopersici, Aculus pelekassi, Aculus schlechtendali, Amblyomma americanum, Brevipalpus obovatus, Brevipalpus phoenicis, Dermacentor variabilis, Dermatophagoides pteronyssinus, Eotetranychus carpini, Notoedres cati, Oligonychus coffeae, Oligonychus ilicis, Panonychus citri, Panonychus ulmi, Phyllocoptruta oleivora, Polyphagotarsonemus latus, Rhipicephalus sanguineus, Sarcoptes scabiei, Tegolophus perseaflorae, Tetranychus urticae*, and *Varroa destructor.*

In another embodiment, the molecules of Formula One may be used to control pest of the Order Symphyla. A non-exhaustive list of particular sp. includes, but is not limited to, *Scutigerella immaculata.*

In another embodiment, the molecules of Formula One may be used to control pests of the Phylum Nematoda. A non-exhaustive list of particular genera includes, but is not limited to, *Aphelenchoides* spp., *Belonolaimus* spp., *Criconemella* spp., *Ditylenchus* spp., *Heterodera* spp., *Hirschmanniella* spp., *Hoplolaimus* spp., *Meloidogyne* spp., *Pratylenchus* spp., and *Radopholus* spp. A non-exhaustive list of particular sp. includes, but is not limited to, *Dirofilaria immitis, Heterodera zeae, Meloidogyne incognita, Meloidogyne javanica, Onchocerca volvulus, Radopholus similis*, and *Rotylenchulus reniformis.*

For additional information consult "HANDBOOK OF PEST CONTROL—THE BEHAVIOR, LIFE HISTORY, AND CONTROL OF HOUSEHOLD PESTS" by Arnold Mattis, 9th Edition, copyright 2004 by GIE Media Inc.

Applications

Molecules of Formula One are generally used in amounts from about 0.01 grams per hectare to about 5000 grams per hectare to provide control. Amounts from about 0.1 grams per hectare to about 500 grams per hectare are generally preferred, and amounts from about 1 gram per hectare to about 50 grams per hectare are generally more preferred.

The area to which a molecule of Formula One is applied can be any area inhabited (or maybe inhabited, or traversed by) a pest, for example: where crops, trees, fruits, cereals, fodder species, vines, turf and ornamental plants, are growing; where domesticated animals are residing; the interior or exterior surfaces of buildings (such as places where grains are stored), the materials of construction used in building (such as impregnated wood), and the soil around buildings. Particular crop areas to use a molecule of Formula One include areas where apples, corn, sunflowers, cotton, soybeans, canola, wheat, rice, sorghum, barley, oats, potatoes, oranges, alfalfa, lettuce, strawberries, tomatoes, peppers, crucifers, pears, tobacco, almonds, sugar beets, beans and other valuable crops are growing or the seeds thereof are going to be planted. It is also advantageous to use ammonium sulfate with a molecule of Formula One when growing various plants.

Controlling pests generally means that pest populations, pest activity, or both, are reduced in an area. This can come about when: pest populations are repulsed from an area; when pests are incapacitated in or around an area; or pests are exterminated, in whole, or in part, in or around an area. Of course, a combination of these results can occur. Generally, pest populations, activity, or both are desirably reduced more than fifty percent, preferably more than 90 percent. Generally, the area is not in or on a human; consequently, the locus is generally a non-human area.

The molecules of Formula One may be used in mixtures, applied simultaneously or sequentially, alone or with other compounds to enhance plant vigor (e.g. to grow a better root system, to better withstand stressful growing conditions). Such other compounds are, for example, compounds that modulate plant ethylene receptors, most notably 1-methylcyclopropene (also known as 1-MCP). Furthermore, such molecules may be used during times when pest activity is low, such as before the plants that are growing begin to produce valuable agricultural commodities. Such times include the early planting season when pest pressure is usually low.

The molecules of Formula One can be applied to the foliar and fruiting portions of plants to control pests. The molecules will either come in direct contact with the pest, or the pest will consume the pesticide when eating leaf, fruit mass, or extracting sap, that contains the pesticide. The molecules of Formula One can also be applied to the soil, and when applied in this manner, root and stem feeding pests can be controlled. The roots can absorb a molecule taking it up into the foliar portions of the plant to control above ground chewing and sap feeding pests.

Generally, with baits, the baits are placed in the ground where, for example, termites can come into contact with, and/or be attracted to, the bait. Baits can also be applied to a surface of a building, (horizontal, vertical, or slant surface) where, for example, ants, termites, cockroaches, and flies, can come into contact with, and/or be attracted to, the bait. Baits can comprise a molecule of Formula One.

The molecules of Formula One can be encapsulated inside, or placed on the surface of a capsule. The size of the capsules can range from nanometer size (about 100-900 nanometers in diameter) to micrometer size (about 10-900 microns in diameter).

Because of the unique ability of the eggs of some pests to resist certain pesticides, repeated applications of the molecules of Formula One may be desirable to control newly emerged larvae.

Systemic movement of pesticides in plants may be utilized to control pests on one portion of the plant by applying (for example by spraying an area) the molecules of Formula One to a different portion of the plant. For example, control of foliar-feeding insects can be achieved by drip irrigation or furrow application, by treating the soil with for example pre- or post-planting soil drench, or by treating the seeds of a plant before planting.

Seed treatment can be applied to all types of seeds, including those from which plants genetically modified to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* or other insecticidal toxins, those expressing herbicide resistance, such as "Roundup Ready" seed, or those with "stacked" foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, drought resistance, or any other beneficial traits. Furthermore, such seed treatments with the molecules of Formula One may further enhance the ability of a plant to better withstand stressful growing conditions. This results in a healthier, more vigorous plant, which can lead to higher yields at harvest time. Generally, about 1 gram of the molecules of Formula One to about 500 grams per 100,000 seeds is expected to provide good benefits, amounts from about 10 grams to about 100 grams per 100,000 seeds is expected to provide better benefits, and amounts from about 25 grams to about 75 grams per 100,000 seeds is expected to provide even better benefits.

It should be readily apparent that the molecules of Formula One may be used on, in, or around plants genetically modified to express specialized traits, such as *Bacillus thuringiensis* or other insecticidal toxins, or those expressing herbicide resistance, or those with "stacked" foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, or any other beneficial traits.

The molecules of Formula One may be used for controlling endoparasites and ectoparasites in the veterinary medicine sector or in the field of non-human animal keeping. The molecules of Formula One are applied, such as by oral administration in the form of, for example, tablets, capsules, drinks, granules, by dermal application in the form of, for example, dipping, spraying, pouring on, spotting on, and dusting, and by parenteral administration in the form of, for example, an injection.

The molecules of Formula One may also be employed advantageously in livestock keeping, for example, cattle, sheep, pigs, chickens, and geese. They may also be employed advantageously in pets such as, horses, dogs, and cats. Par-

ticular pests to control would be fleas and ticks that are bothersome to such animals. Suitable formulations are administered orally to the animals with the drinking water or feed. The dosages and formulations that are suitable depend on the species.

The molecules of Formula One may also be used for controlling parasitic worms, especially of the intestine, in the animals listed above.

The molecules of Formula One may also be employed in therapeutic methods for human health care. Such methods include, but are limited to, oral administration in the form of, for example, tablets, capsules, drinks, granules, and by dermal application.

Pests around the world have been migrating to new environments (for such pest) and thereafter becoming a new invasive species in such new environment. The molecules of Formula One may also be used on such new invasive species to control them in such new environment.

The molecules of Formula One may also be used in an area where plants, such as crops, are growing (e.g. pre-planting, planting, pre-harvesting) and where there are low levels (even no actual presence) of pests that can commercially damage such plants. The use of such molecules in such area is to benefit the plants being grown in the area. Such benefits, may include, but are not limited to, improving the health of a plant, improving the yield of a plant (e.g. increased biomass and/or increased content of valuable ingredients), improving the vigor of a plant (e.g. improved plant growth and/or greener leaves), improving the quality of a plant (e.g. improved content or composition of certain ingredients), and improving the tolerance to abiotic and/or biotic stress of the plant.

Before a pesticide can be used or sold commercially, such pesticide undergoes lengthy evaluation processes by various governmental authorities (local, regional, state, national, and international). Voluminous data requirements are specified by regulatory authorities and must be addressed through data generation and submission by the product registrant or by a third party on the product registrant's behalf, often using a computer with a connection to the World Wide Web. These governmental authorities then review such data and if a determination of safety is concluded, provide the potential user or seller with product registration approval. Thereafter, in that locality where the product registration is granted and supported, such user or seller may use or sell such pesticide.

A molecule according to Formula One can be tested to determine its efficacy against pests. Furthermore, mode of action studies can be conducted to determine if said molecule has a different mode of action than other pesticides. Thereafter, such acquired data can be disseminated, such as by the internet, to third parties.

The headings in this document are for convenience only and must not be used to interpret any portion hereof.

TABLE SECTION

BAW, CEW & CL Rating Table

% Control (or Mortality)	Rating
50-100	A
More than 0-Less than 50	B
Not Tested	C
No activity noticed in this bioassay	D

GPA Rating Table

% Control (or Mortality)	Rating
80-100	A
More than 0-Less than 80	B
Not Tested	C
No activity noticed in this bioassay	D

TABLE 1

Structures for Compounds

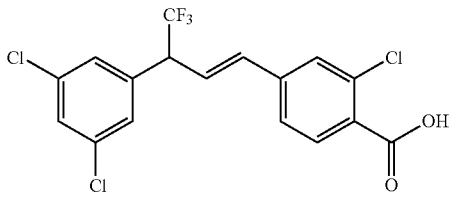
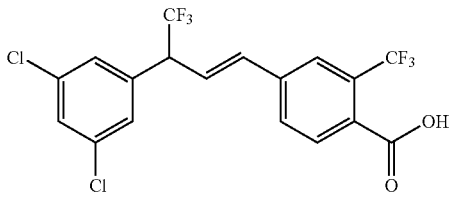
Compound Number	Structure
AI34	
AI36	

TABLE 1-continued

Structures for Compounds

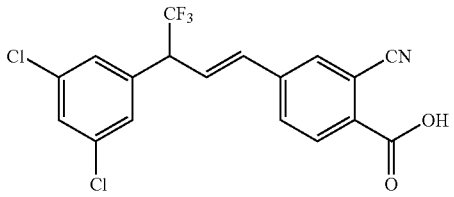
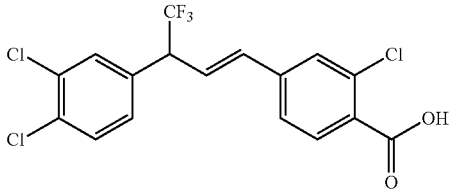
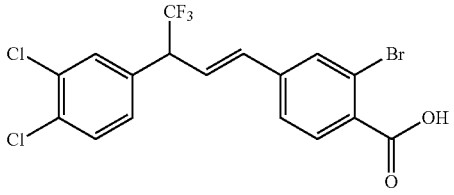
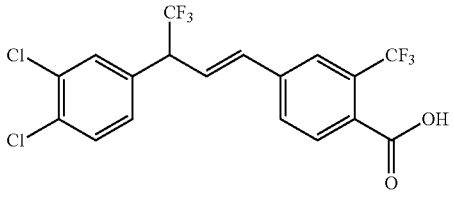
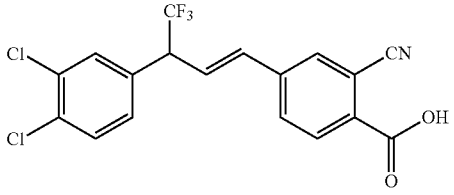
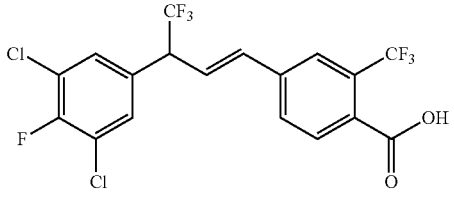
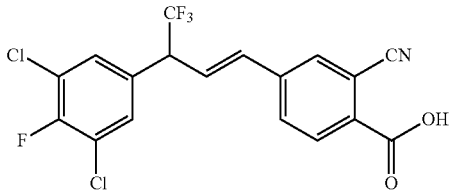
Compound Number	Structure
AI37	
AI38	
AI39	
AI40	
AI41	
AI44	
AI45	

TABLE 1-continued

Structures for Compounds

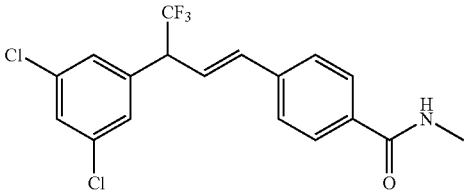
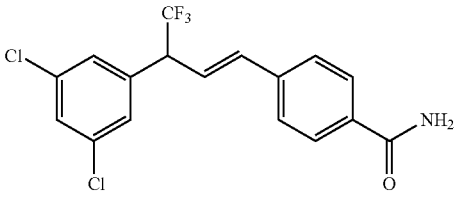
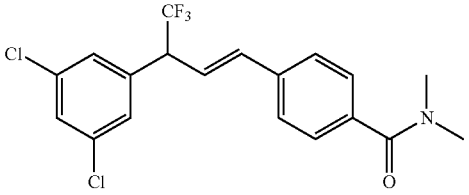
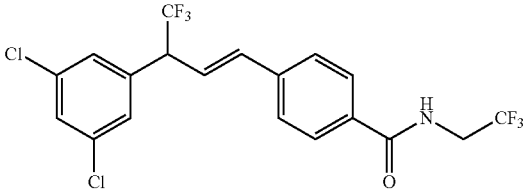
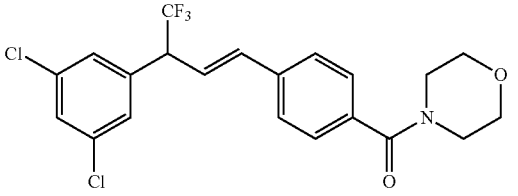
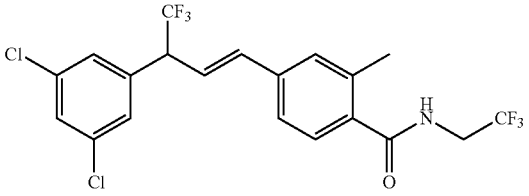
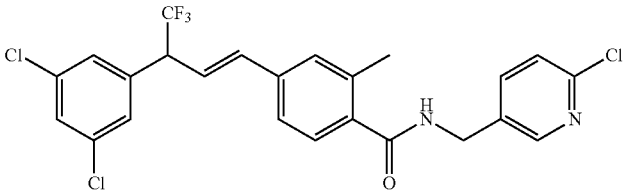
Compound Number	Structure
AC1	
AC2	
AC3	
AC4	
AC5	
AC6	
AC7	

TABLE 1-continued

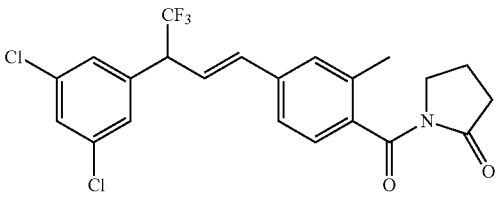
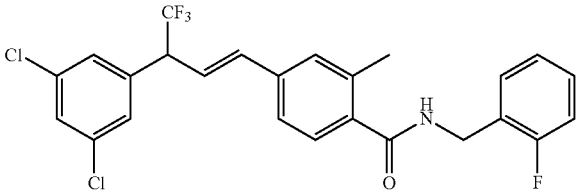
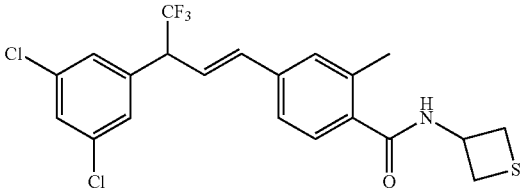
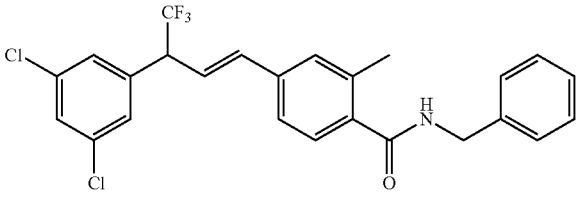
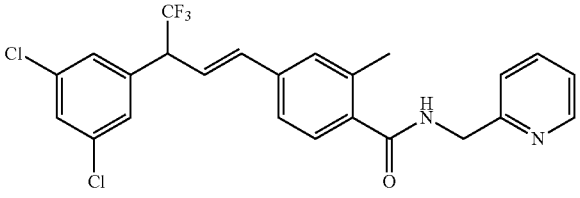
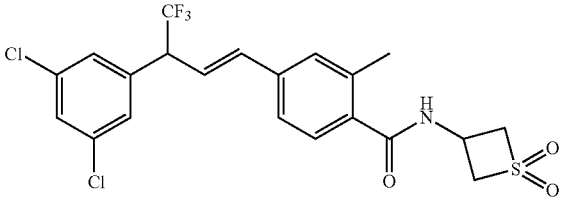
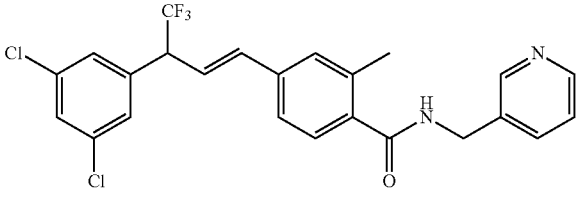
Compound Number	Structure
AC8	
AC9	
AC10	
AC11	
AC12	
AC13	
AC14	

TABLE 1-continued

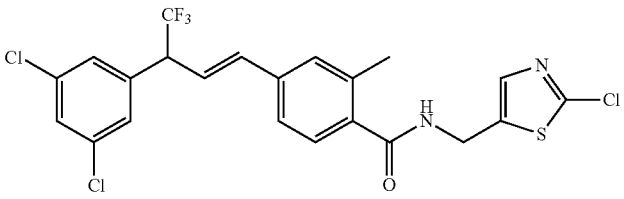
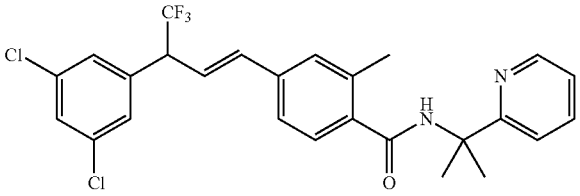
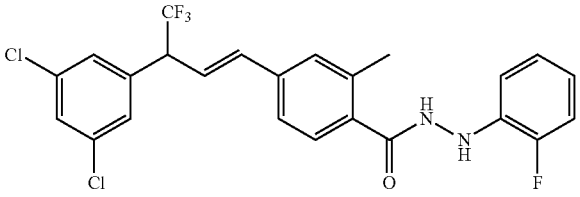
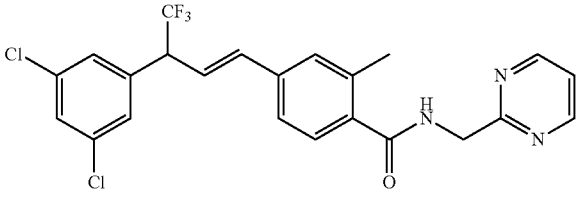
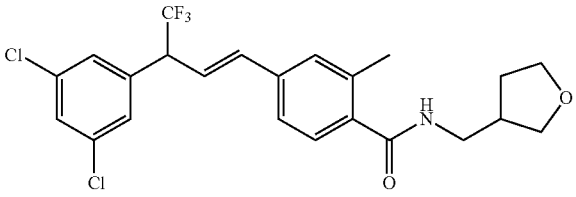
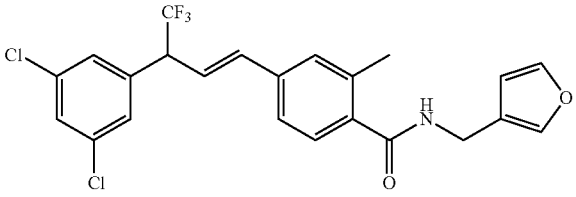
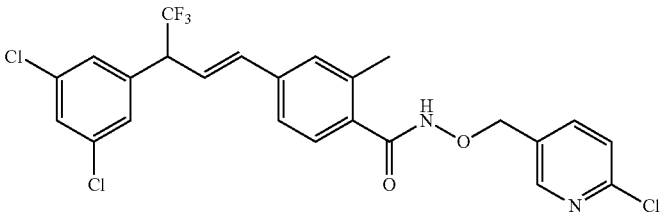
Compound Number	Structure
AC15	
AC16	
AC17	
AC18	
AC19	
AC20	
AC21	

TABLE 1-continued

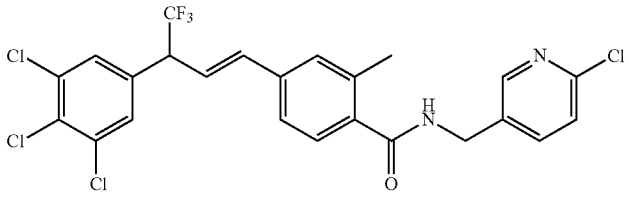
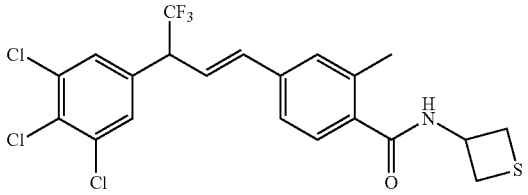
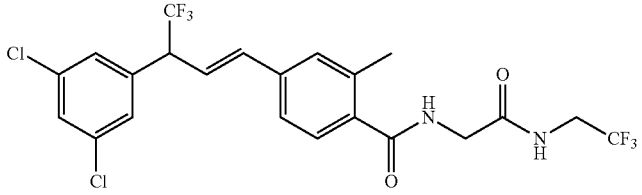
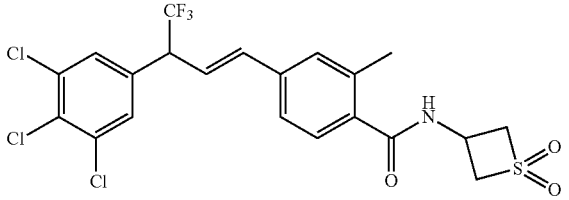
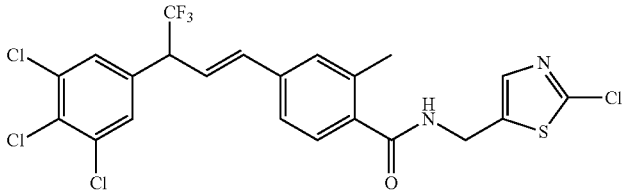
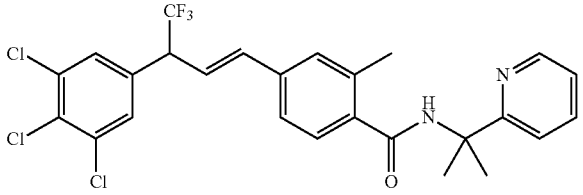
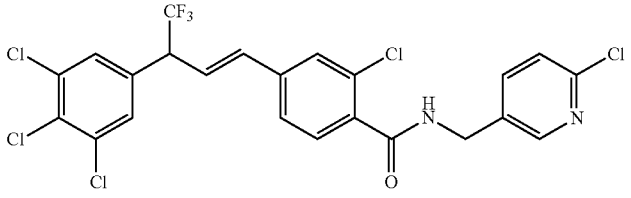
Structures for Compounds	
Compound Number	Structure
AC22	
AC23	
AC24	
AC25	
AC26	
AC27	
AC28	

TABLE 1-continued

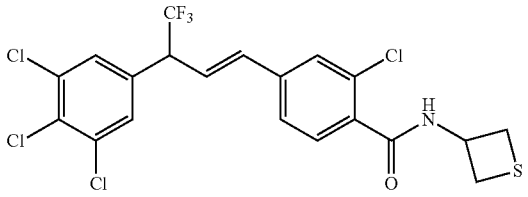
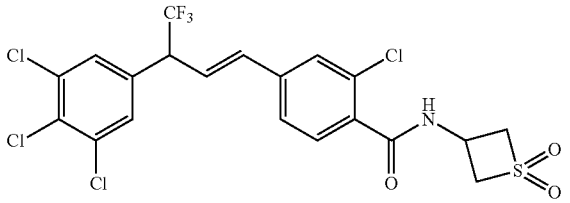
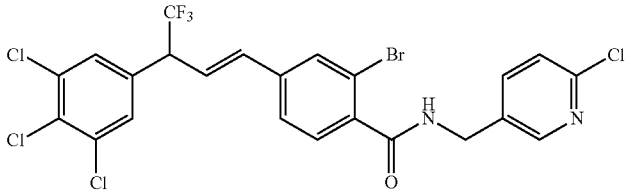
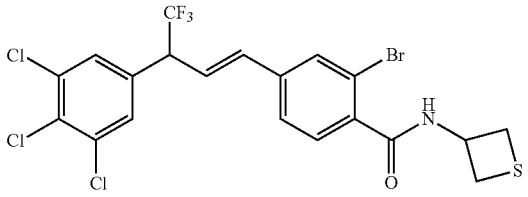
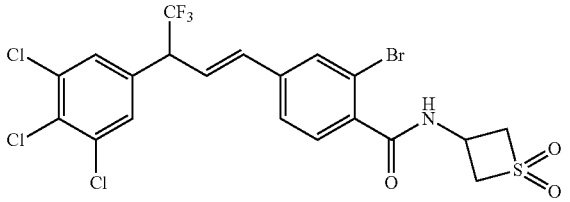
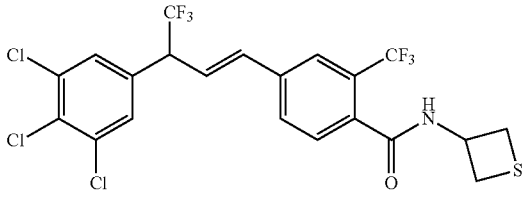
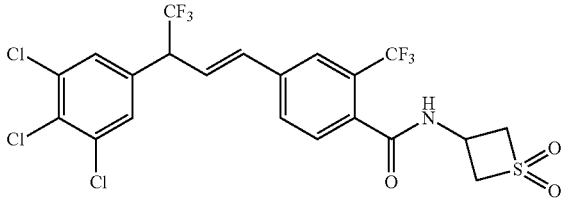
Compound Number	Structure
AC29	
AC30	
AC31	
AC32	
AC33	
AC34	
AC35	

TABLE 1-continued

Structures for Compounds

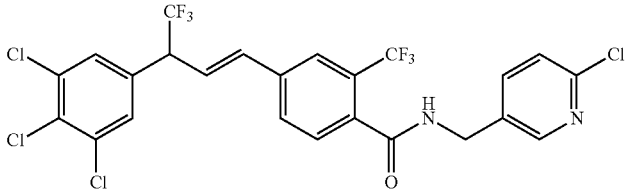
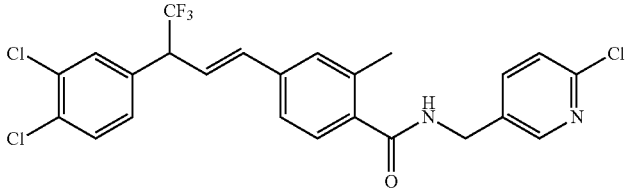
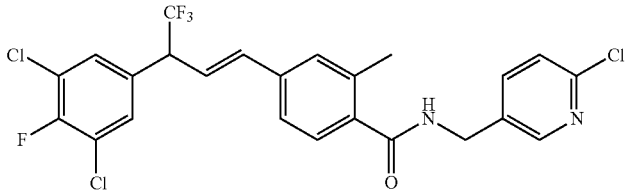
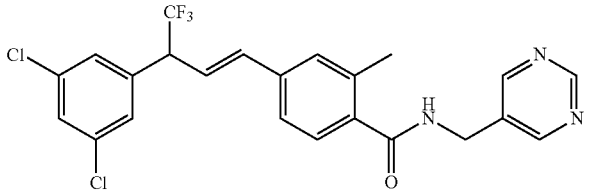
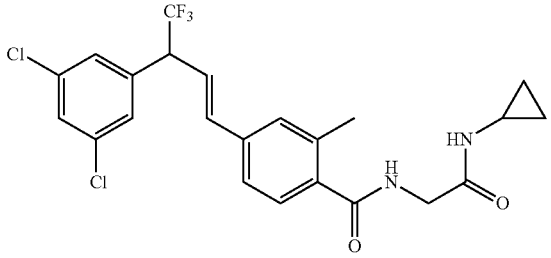
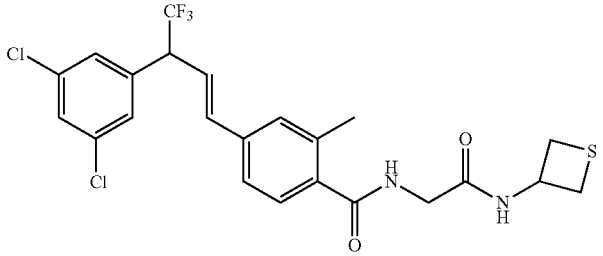
Compound Number	Structure
AC36	
AC37	
AC38	
AC39	
AC40	
AC41	

TABLE 1-continued

Structures for Compounds

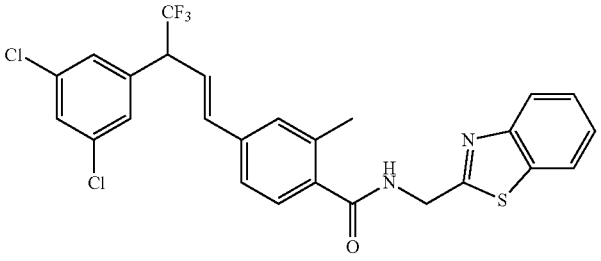
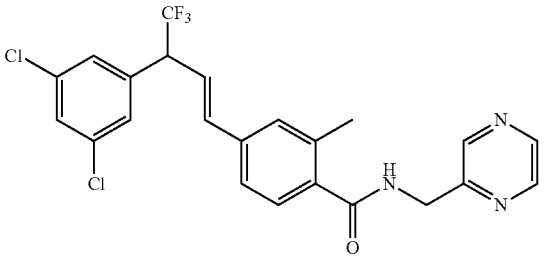
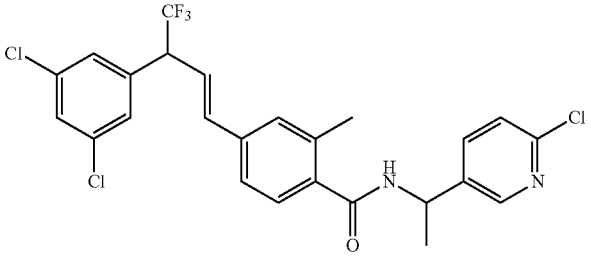
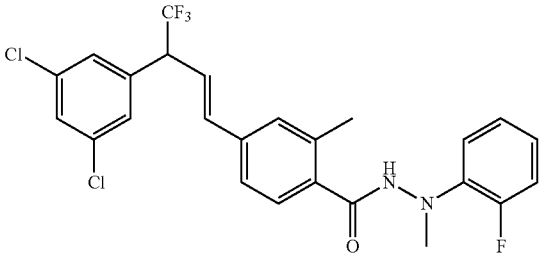
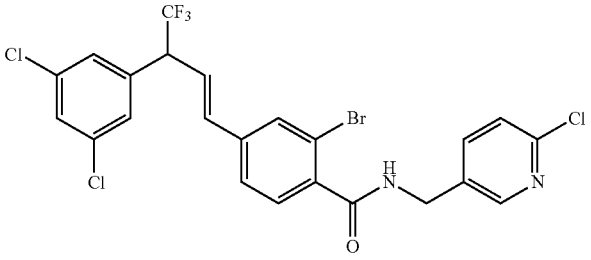
Compound Number	Structure
AC42	
AC43	
AC44	
AC45	
AC46	

TABLE 1-continued

Structures for Compounds

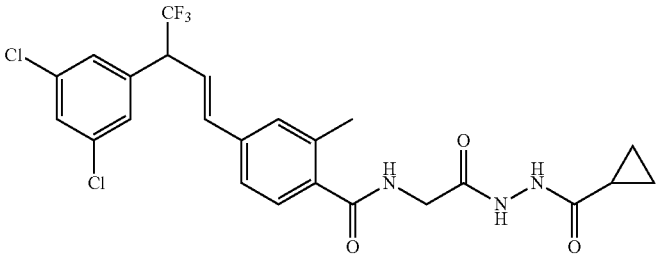
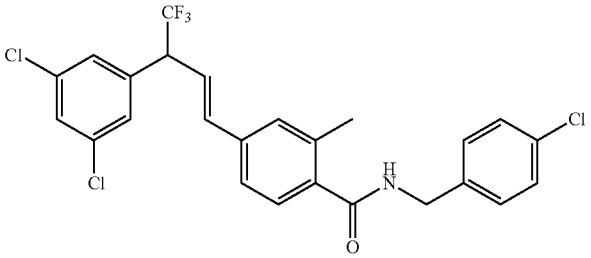
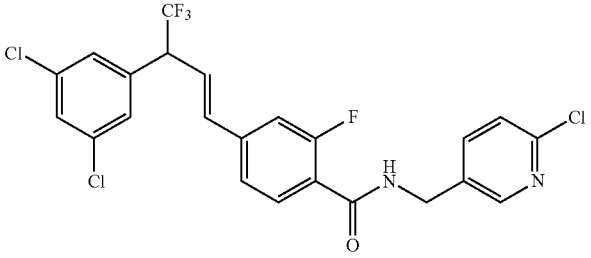
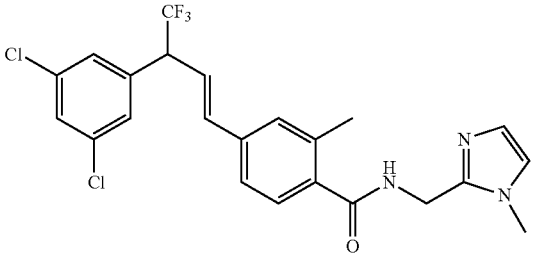
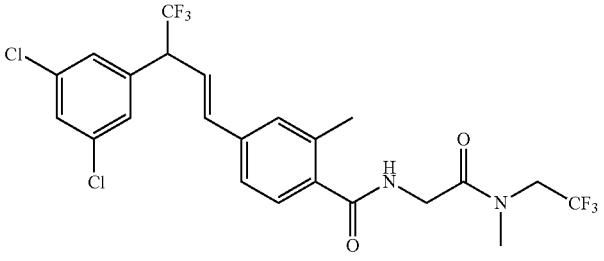
Compound Number	Structure
AC47	
AC48	
AC49	
AC50	
AC51	

TABLE 1-continued

Structures for Compounds

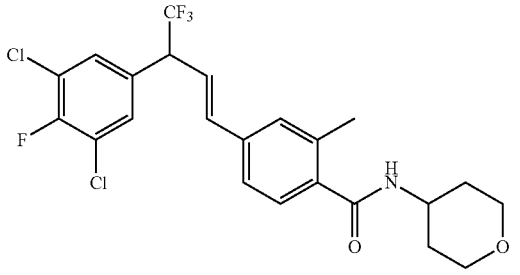
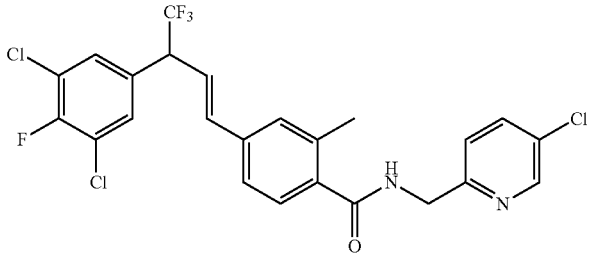
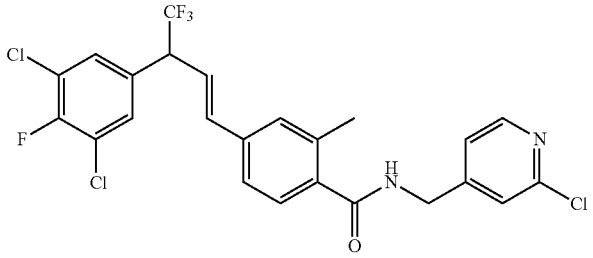
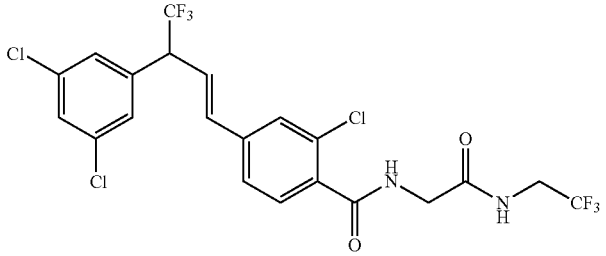
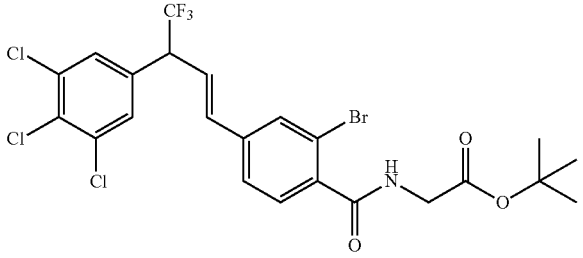
Compound Number	Structure
AC52	
AC53	
AC54	
AC57	
AC58	

TABLE 1-continued

Structures for Compounds

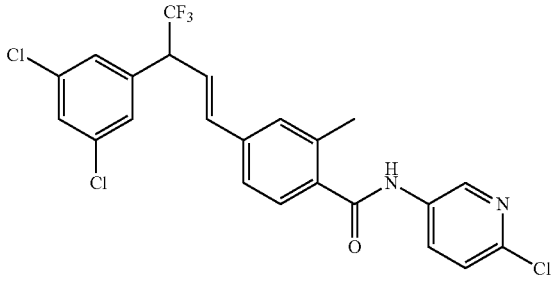
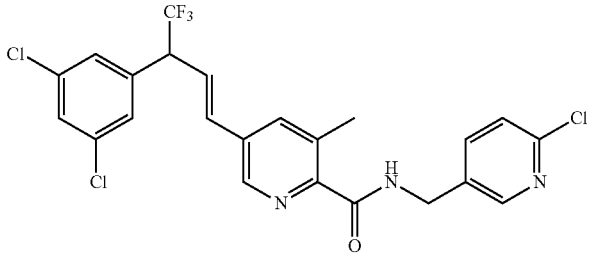
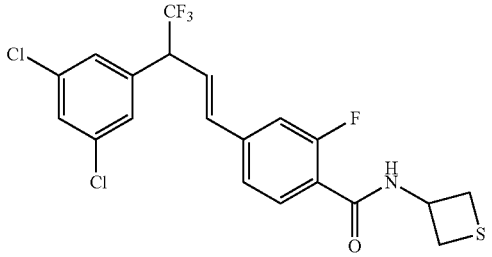
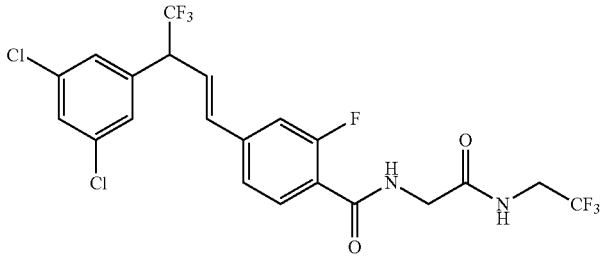
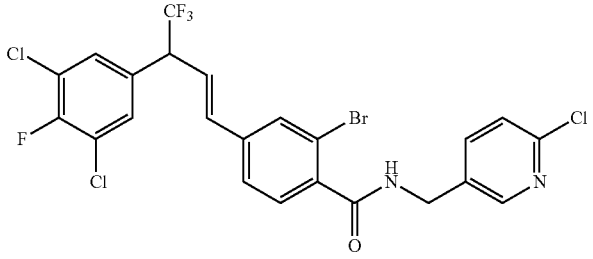
Compound Number	Structure
AC59	
AC60	
AC61	
AC62	
AC63	

TABLE 1-continued

Structures for Compounds

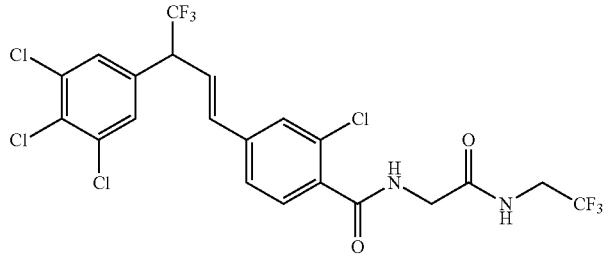
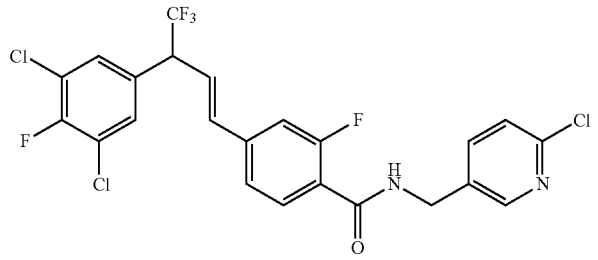
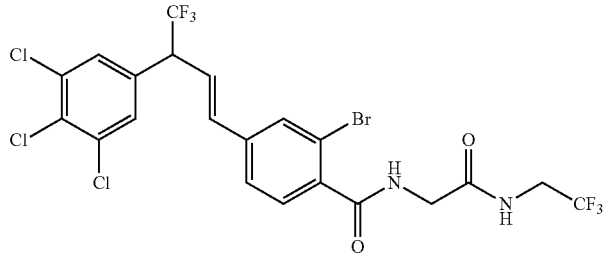
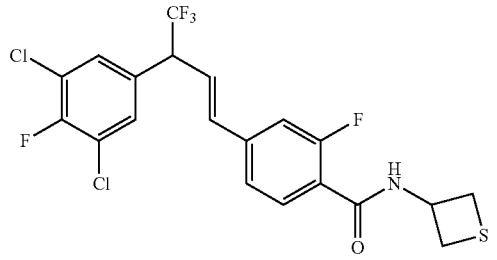
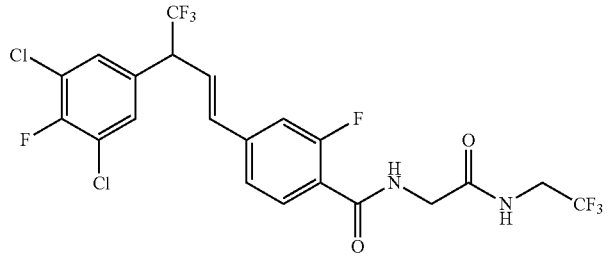
Compound Number	Structure
AC64	
AC65	
AC66	
AC67	
AC68	

TABLE 1-continued

Structures for Compounds

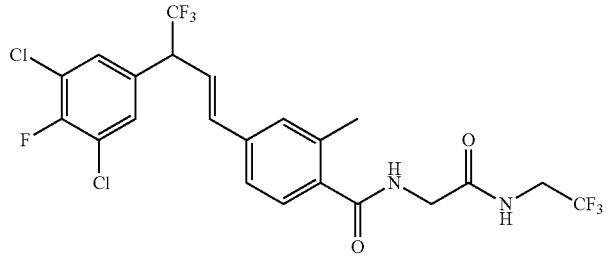
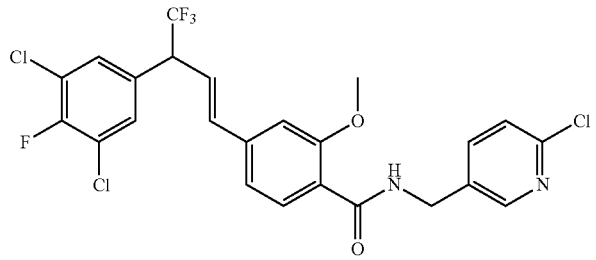
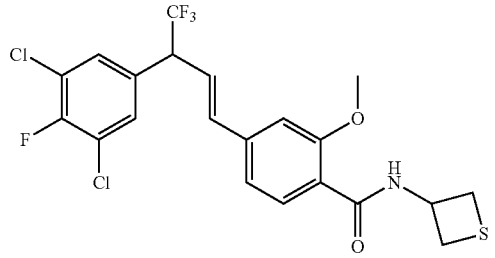
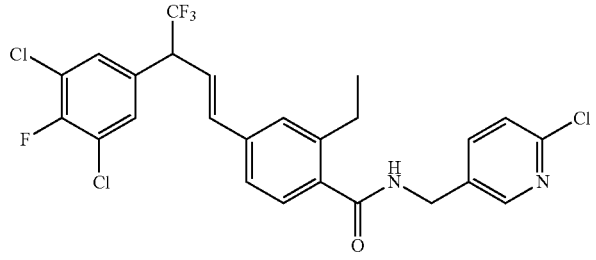
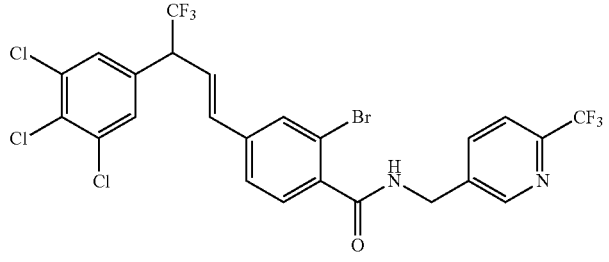
Compound Number	Structure
AC69	
AC70	
AC71	
AC72	
AC75	

TABLE 1-continued

Structures for Compounds

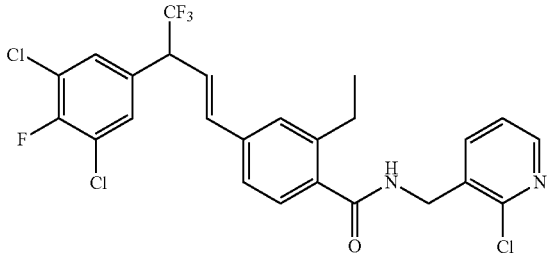
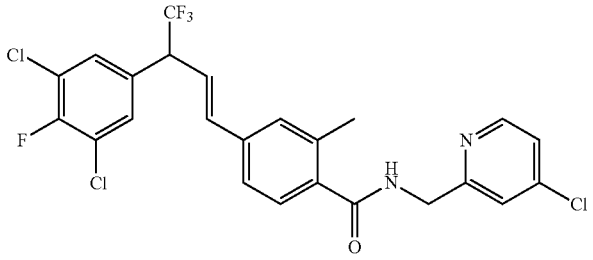
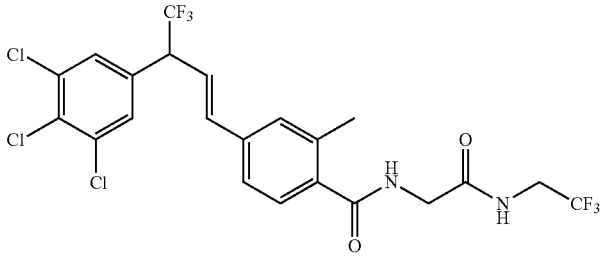
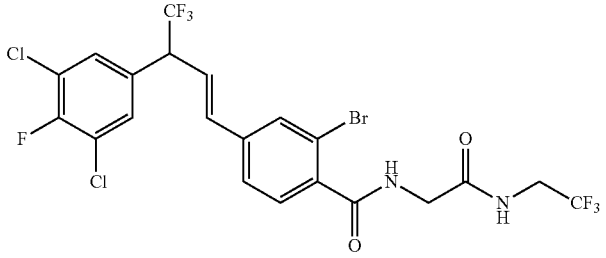
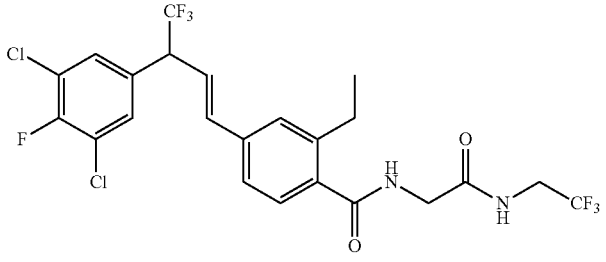
Compound Number	Structure
AC76	
AC77	
AC78	
AC79	
AC80	

TABLE 1-continued

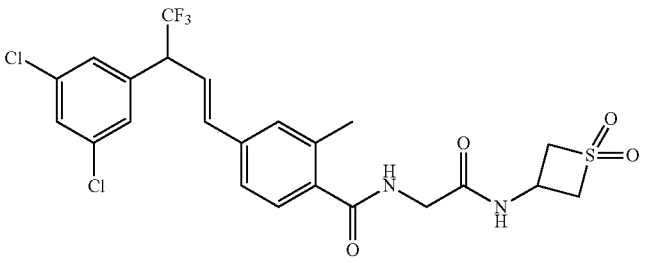
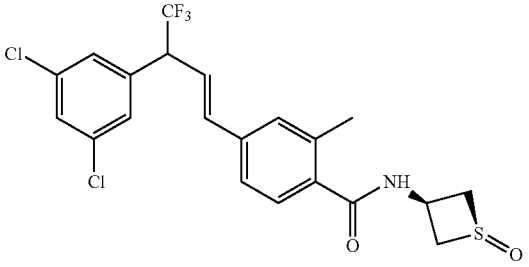
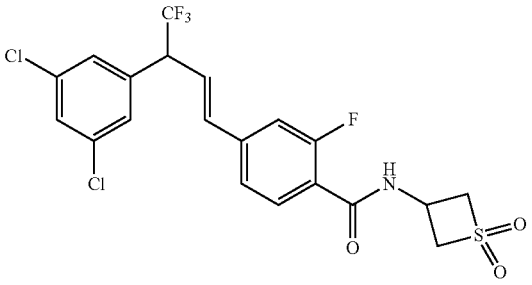
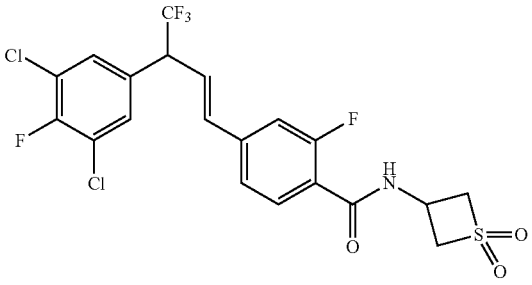
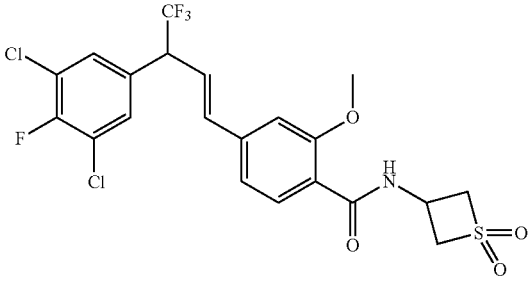
Compound Number	Structure
AC81	
AC82	
AC83	
AC84	
AC85	

TABLE 1-continued

Structures for Compounds

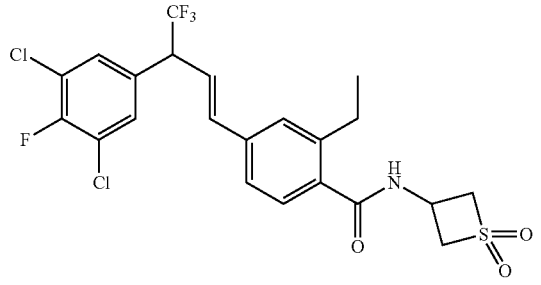
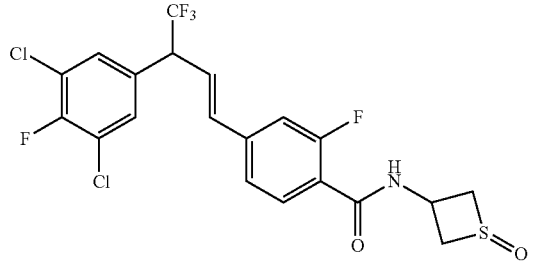
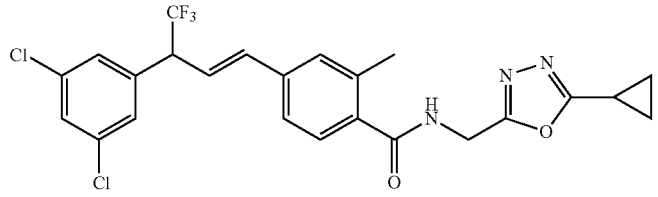
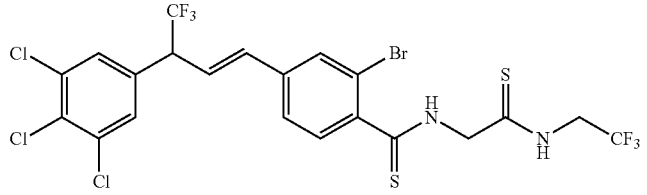
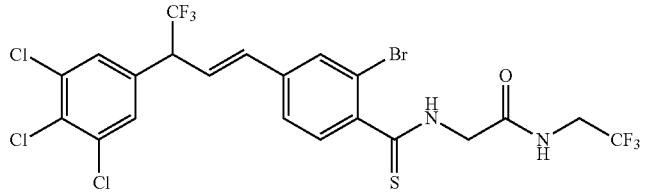
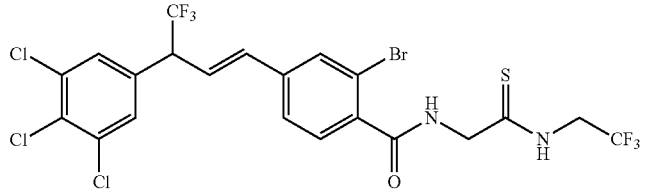
Compound Number	Structure
AC86	
AC87	
AC89	
AC90	
AC91	
AC92	

TABLE 1-continued

Structures for Compounds

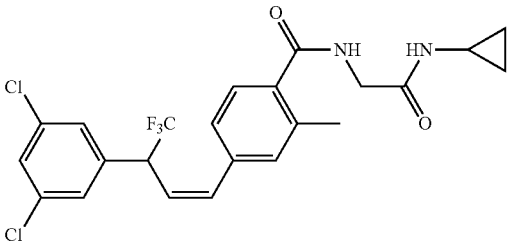
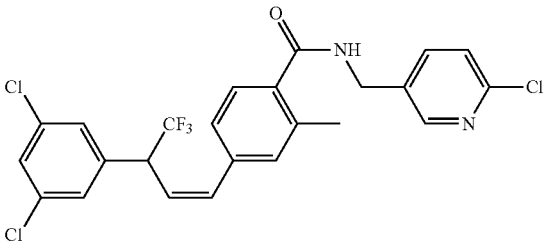
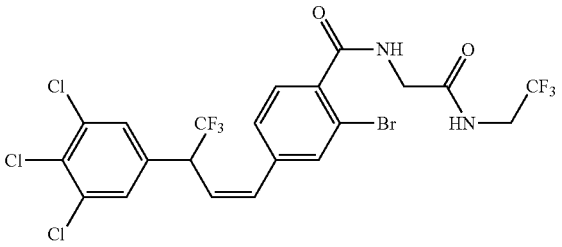
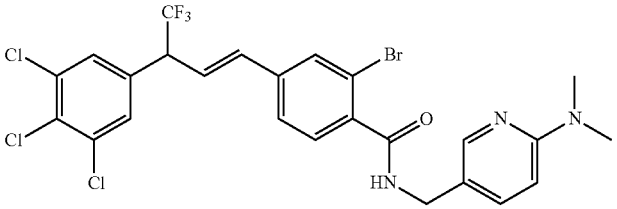
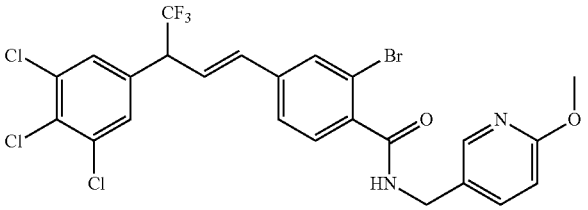
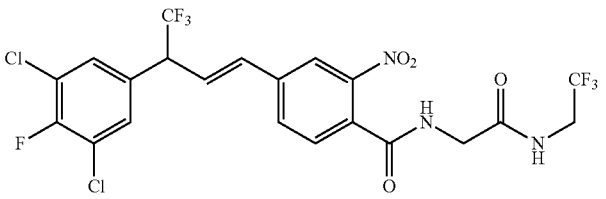
Compound Number	Structure
AC93	
AC94	
AC95	
AC96	
AC97	
AC98	

TABLE 1-continued

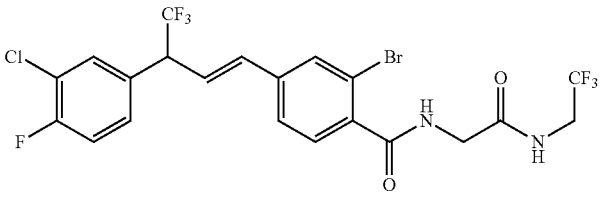
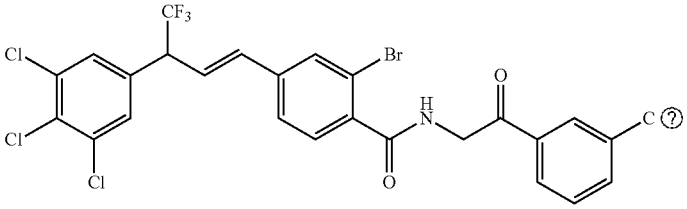
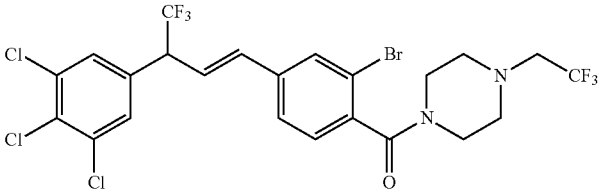
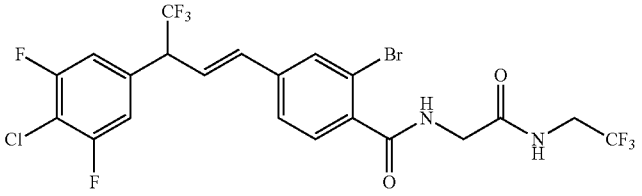
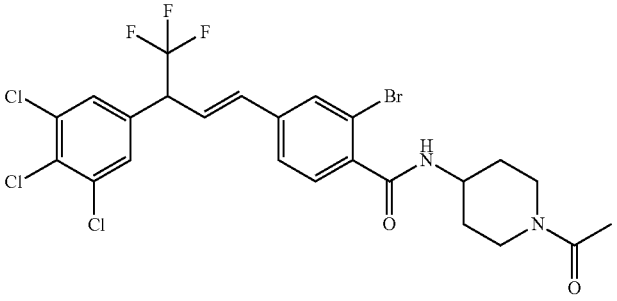
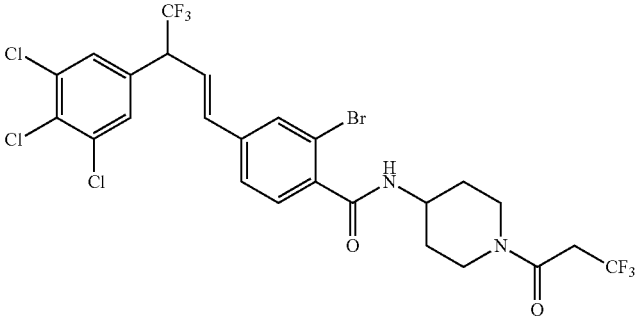
Compound Number	Structure
AC99	
AC100	
AC101	
AC102	
AC103	
AC104	

TABLE 1-continued

Structures for Compounds

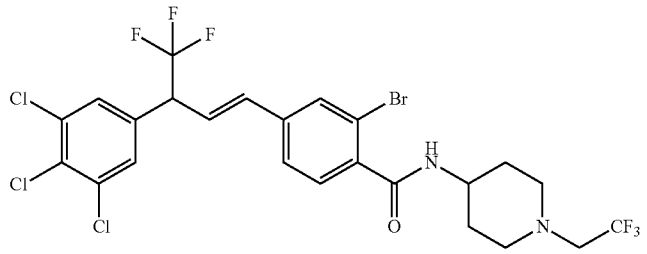
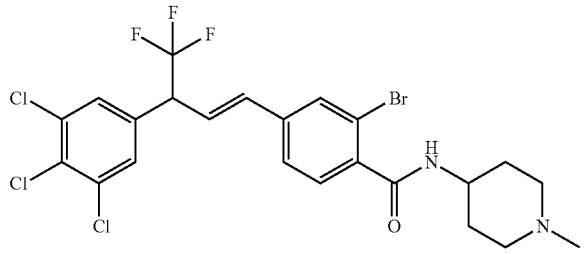
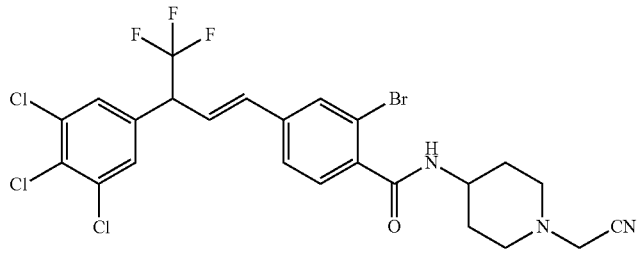
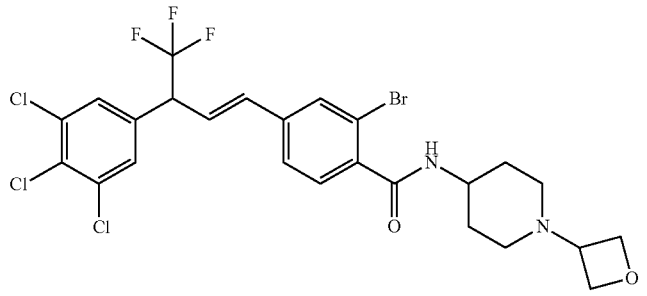
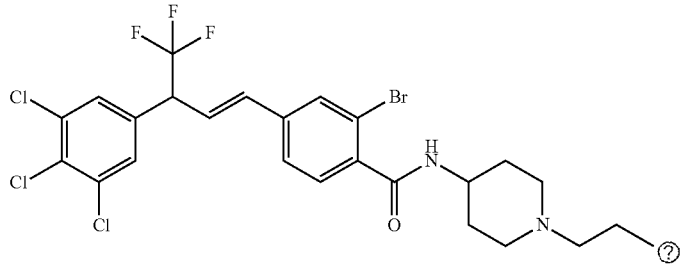
Compound Number	Structure
AC105	
AC106	
AC107	
AC108	
AC109	

TABLE 1-continued

Structures for Compounds

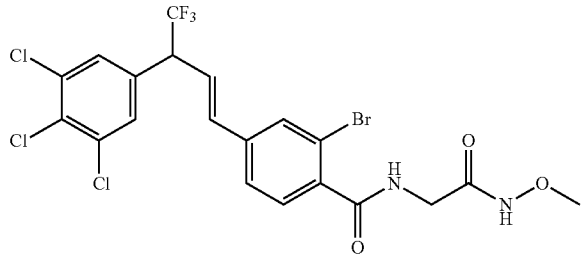
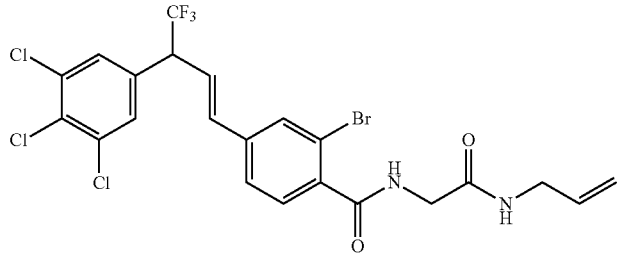
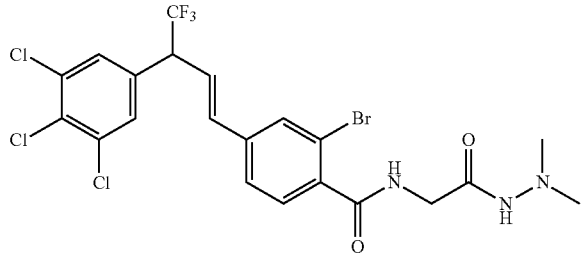
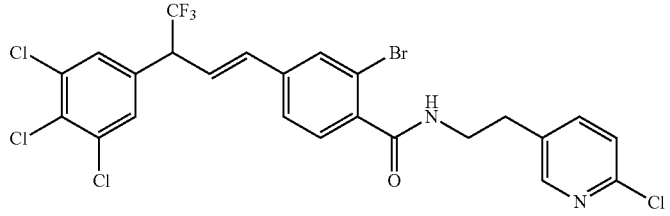
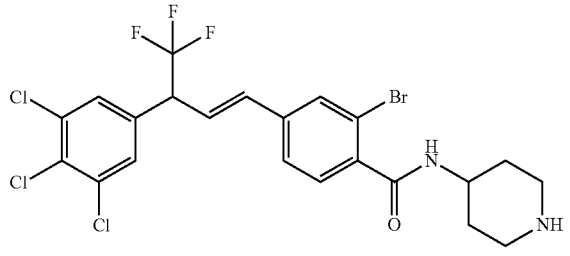
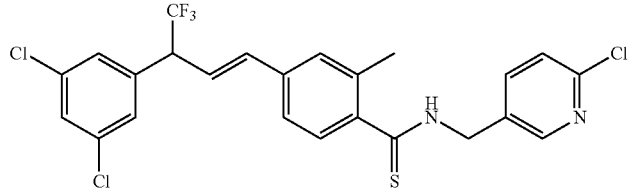
Compound Number	Structure
AC110	
AC111	
AC112	
AC113	
AC114	
AC115	

TABLE 1-continued

Structures for Compounds

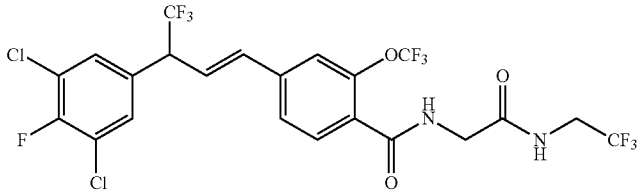
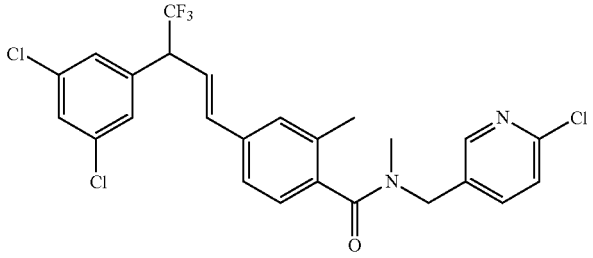
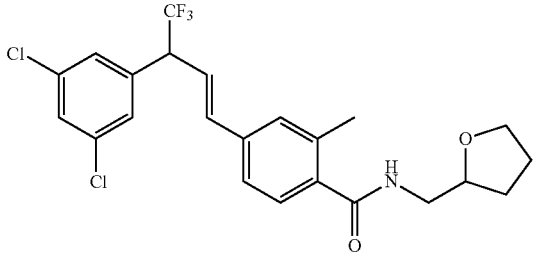
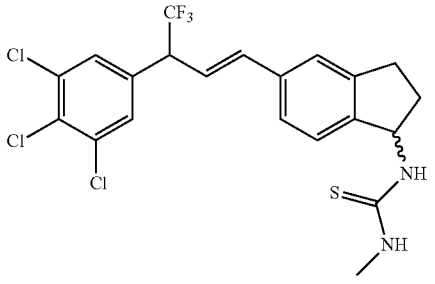
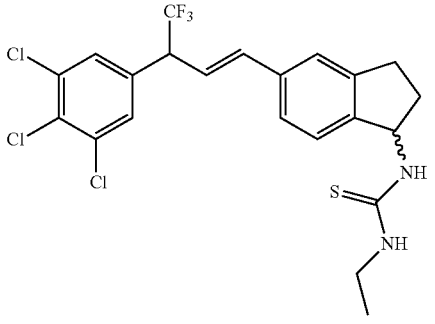
Compound Number	Structure
AC116	
AC117	
AC118	
BC1	
BC2	

TABLE 1-continued

Structures for Compounds

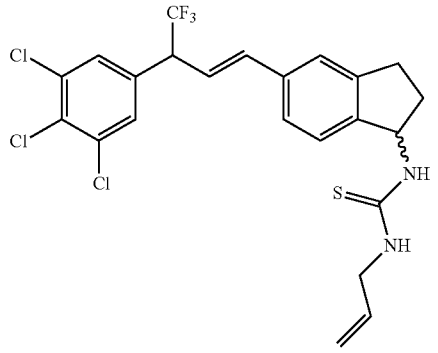
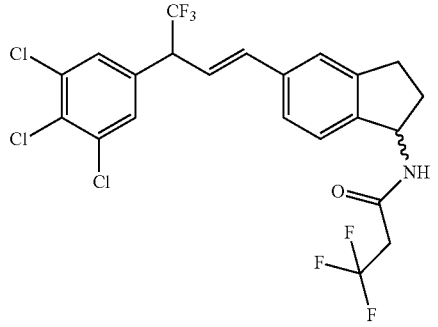
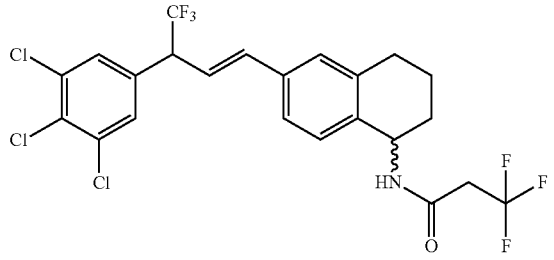
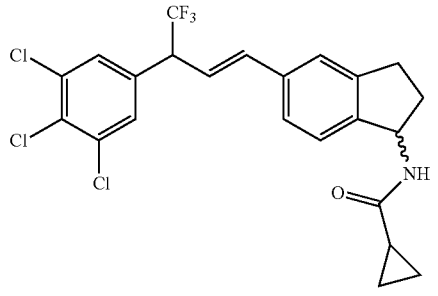
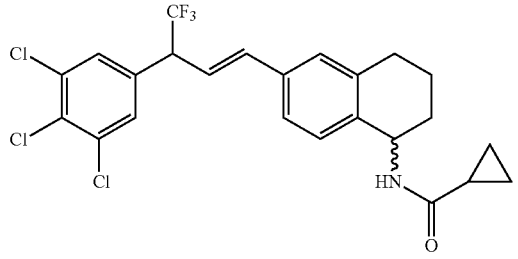
Compound Number	Structure
BC3	
BC4	
BC5	
BC6	
BC7	

TABLE 1-continued

Structures for Compounds

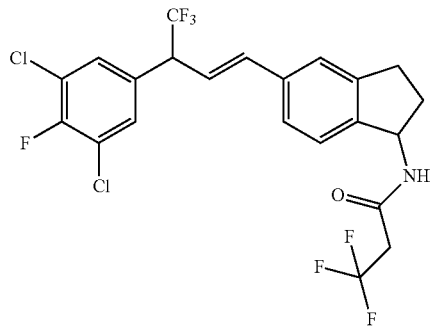
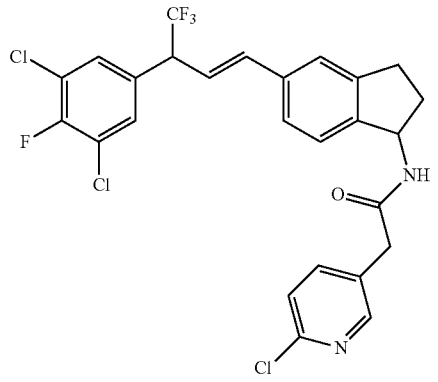
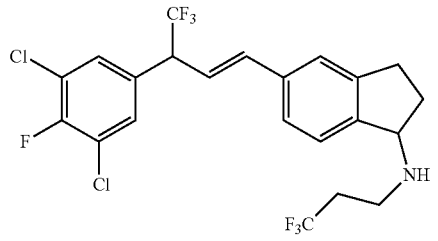
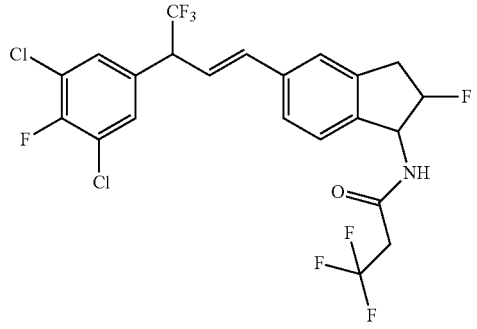
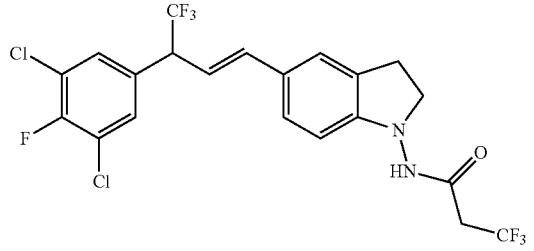
Compound Number	Structure
BC8	
BC9	
BC10	
BC11	
BC12	

TABLE 1-continued

Structures for Compounds

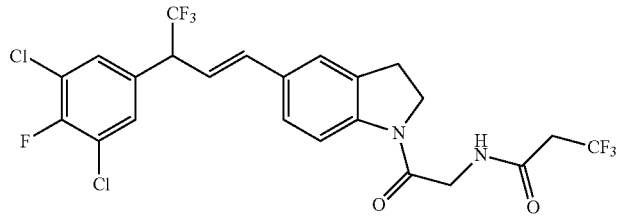
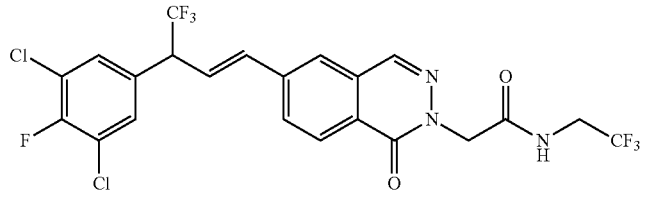
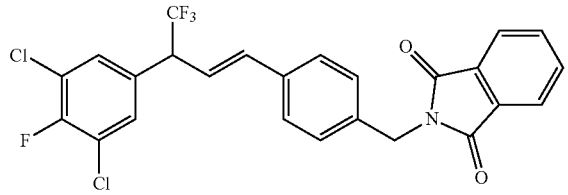
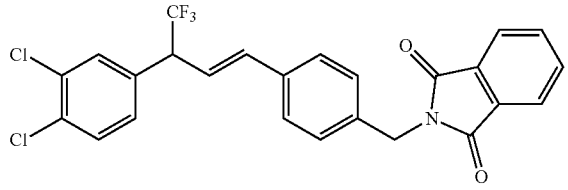
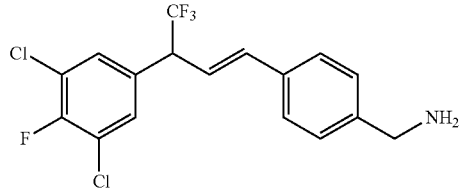
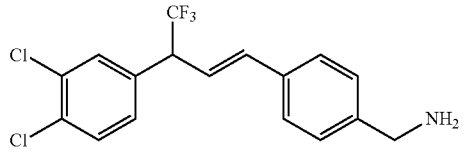
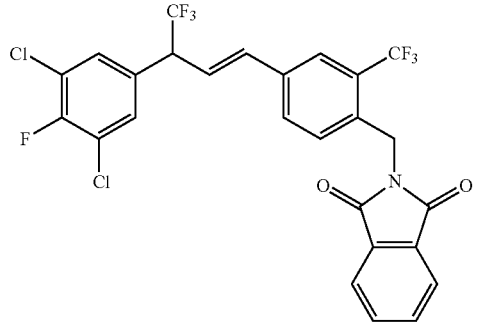
Compound Number	Structure
BC13	
BC14	
CI4	
CI5	
CI8	
CI9	
CI34	

TABLE 1-continued

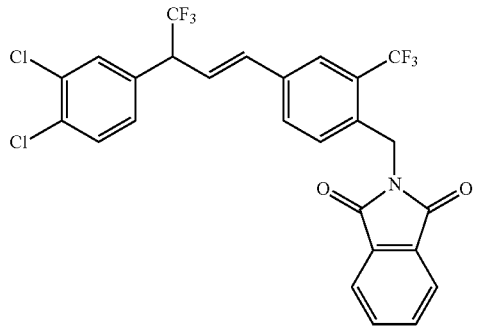
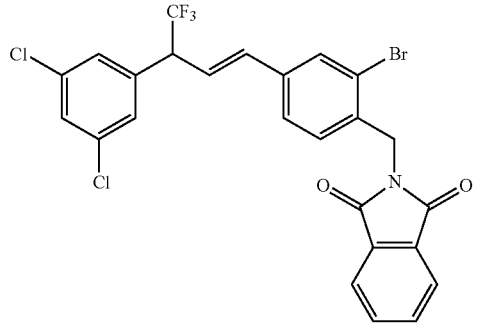
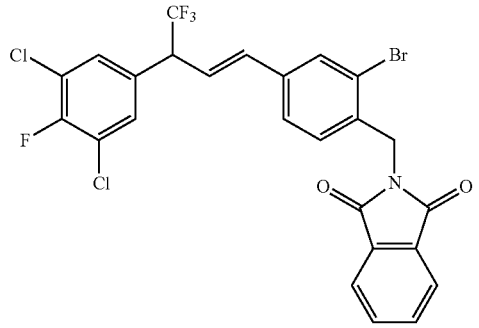
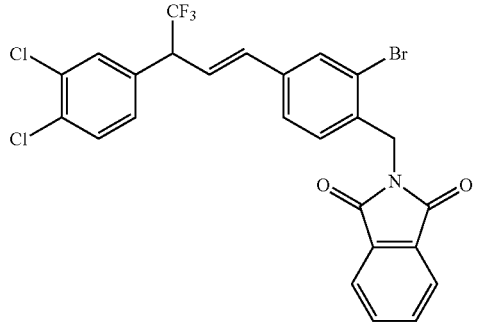
Structures for Compounds	
Compound Number	Structure
CI35	
CI36	
CI37	
CI38	

TABLE 1-continued

Structures for Compounds

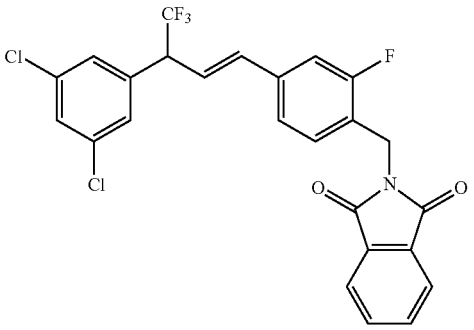
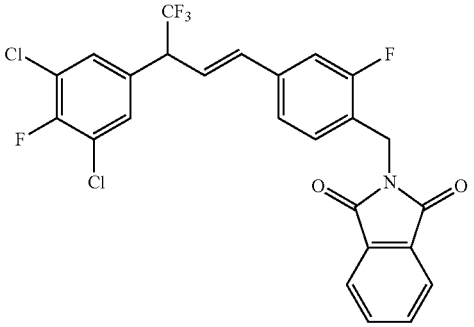
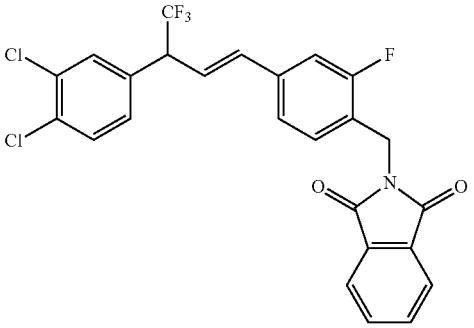
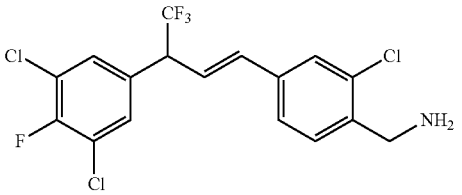
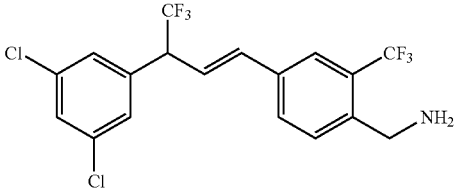
Compound Number	Structure
CI39	
CI40	
CI41	
CI49	
CI50	

TABLE 1-continued

Structures for Compounds

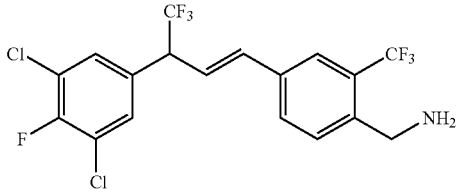
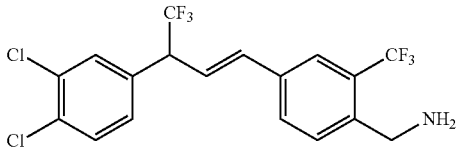
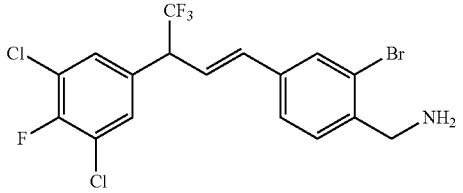
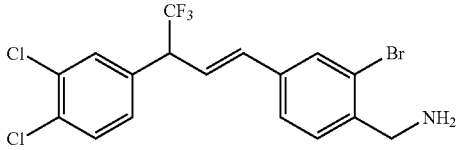
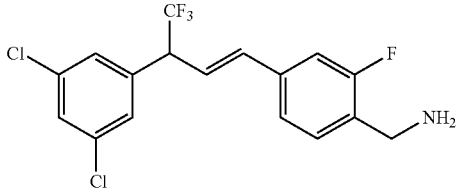
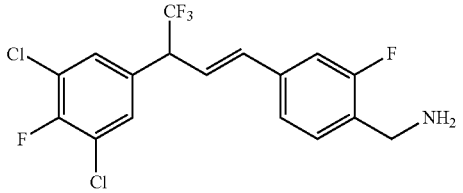
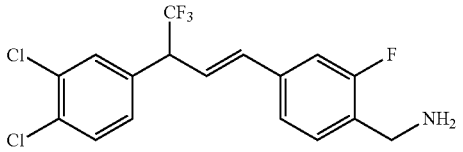
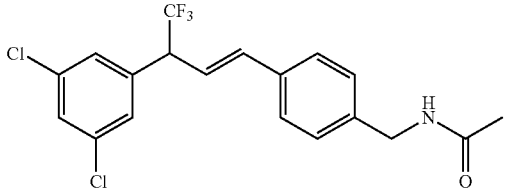
Compound Number	Structure
CI51	
CI52	
CI53	
CI54	
CI55	
CI56	
CI57	
CC1	

TABLE 1-continued

Structures for Compounds

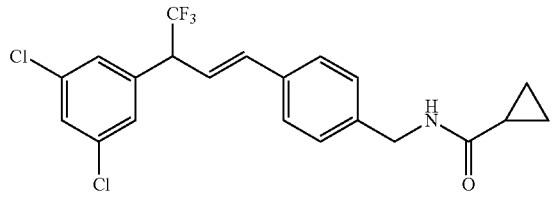
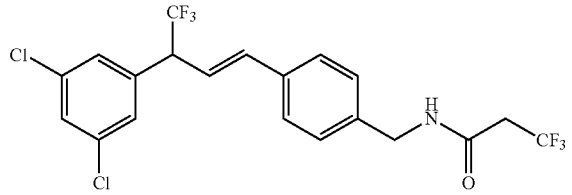
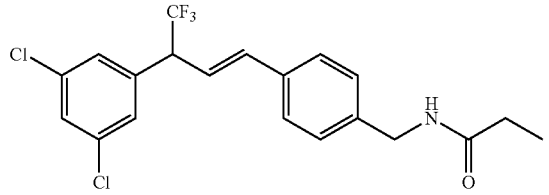
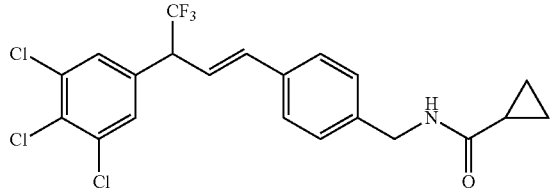
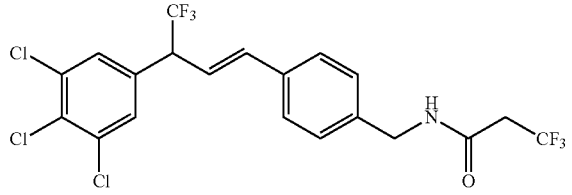
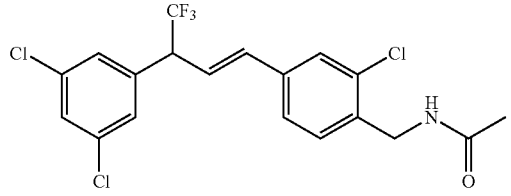
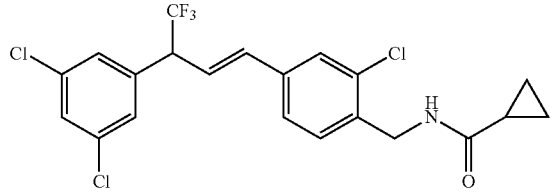
Compound Number	Structure
CC2	
CC3	
CC4	
CC5	
CC6	
CC7	
CC8	

TABLE 1-continued

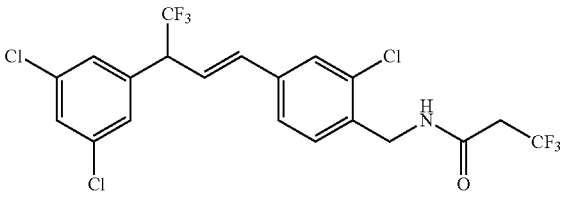
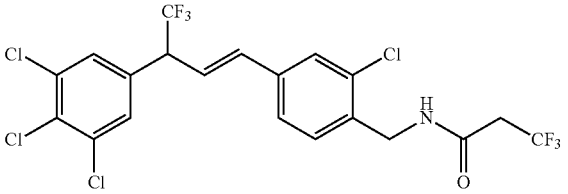
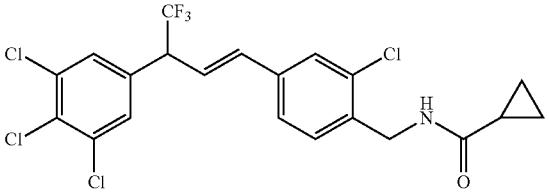
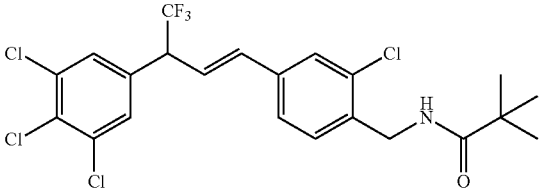
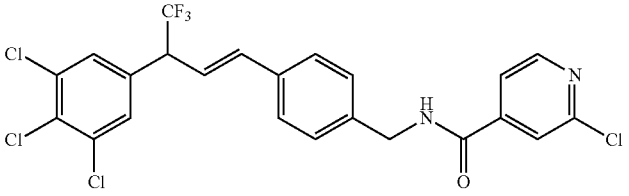
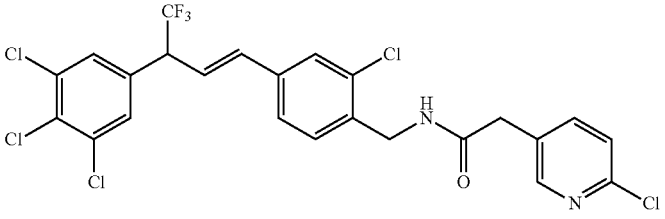
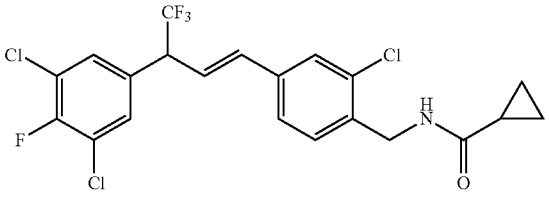
Compound Number	Structure
CC9	
CC10	
CC11	
CC12	
CC13	
CC14	
CC15	

TABLE 1-continued

Structures for Compounds

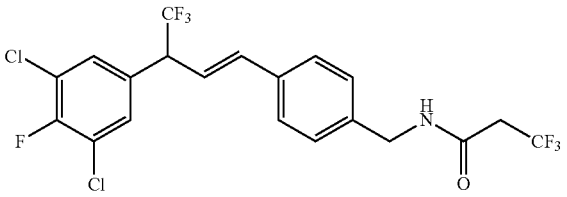
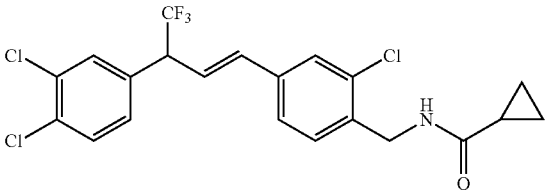
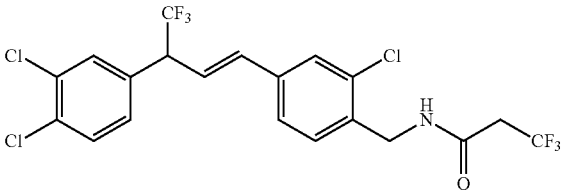
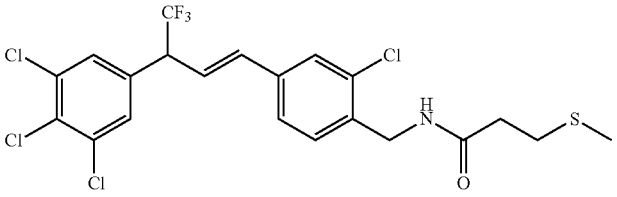
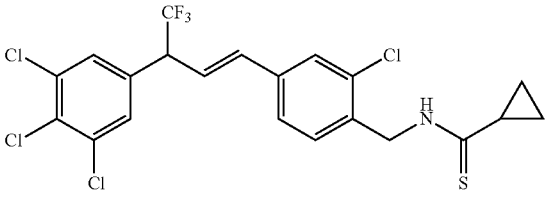
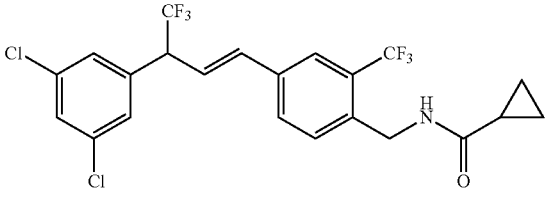
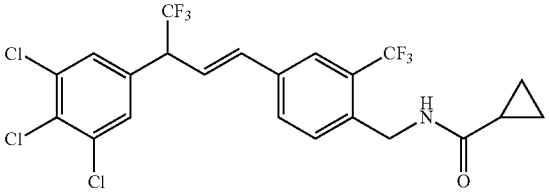
Compound Number	Structure
CC16	
CC17	
CC18	
CC19	
CC20	
CC21	
CC22	

TABLE 1-continued

Structures for Compounds

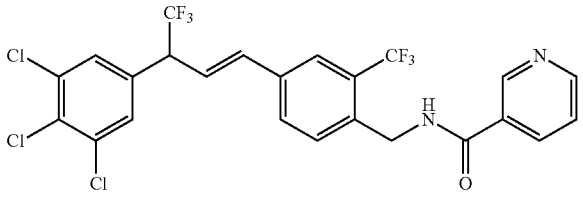
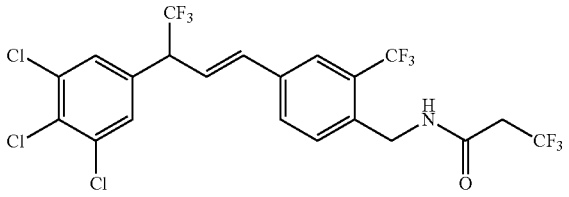
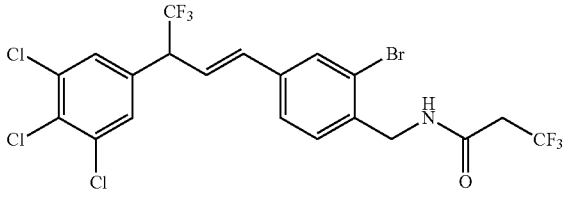
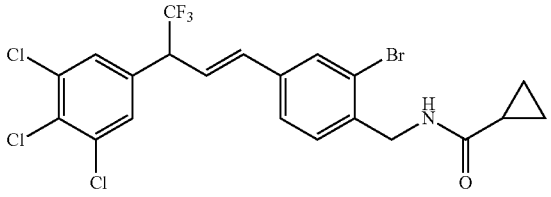
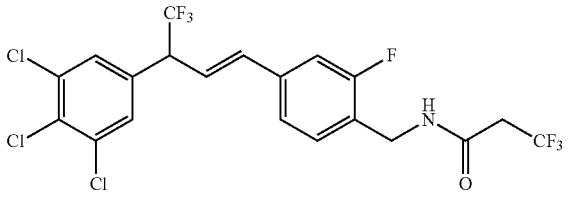
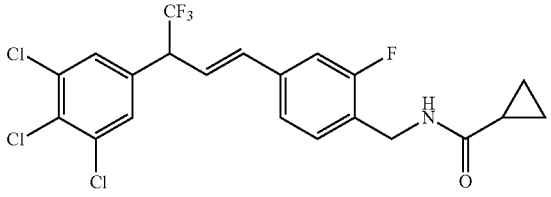
Compound Number	Structure
CC23	
CC24	
CC25	
CC26	
CC27	
CC28	

TABLE 1-continued

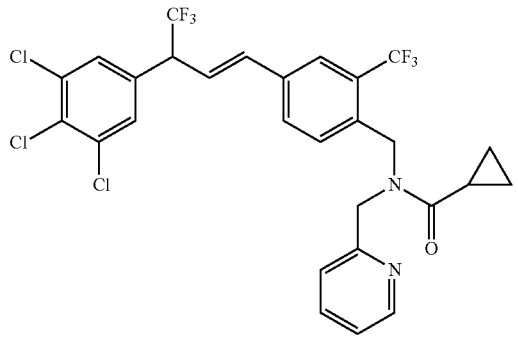
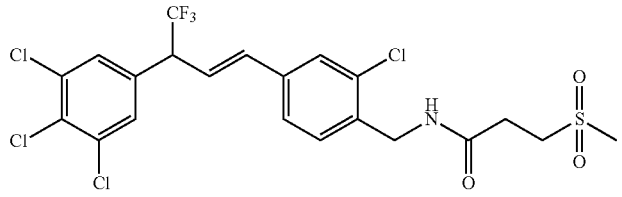
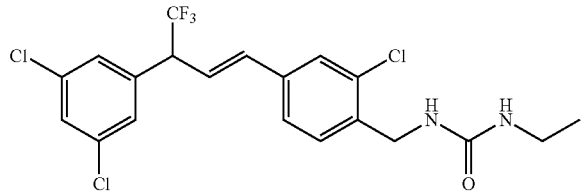
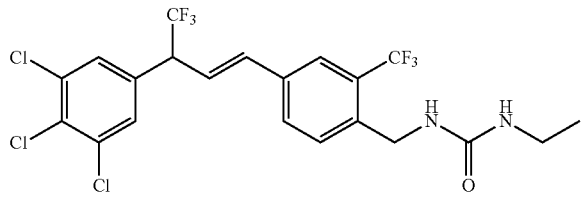
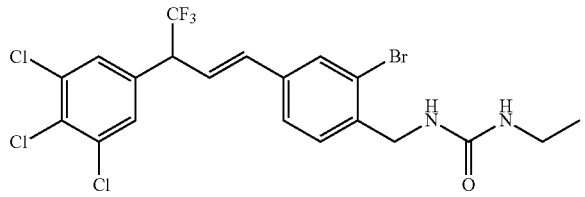
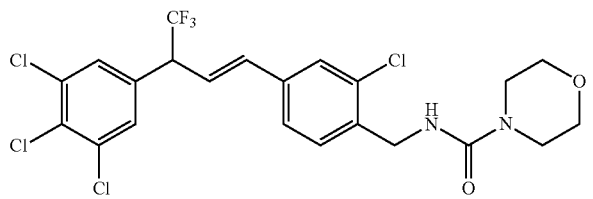
Compound Number	Structure
CC29	
CC30	
CC31	
CC32	
CC33	
CC34	

TABLE 1-continued

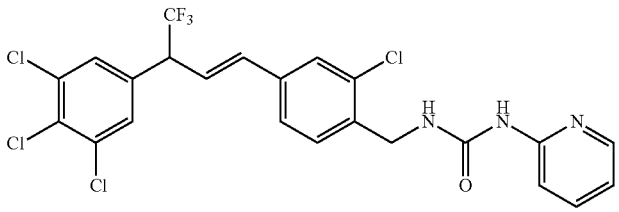
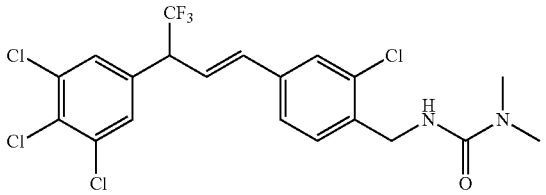
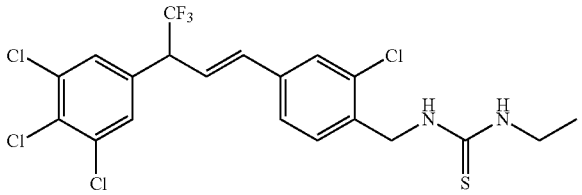
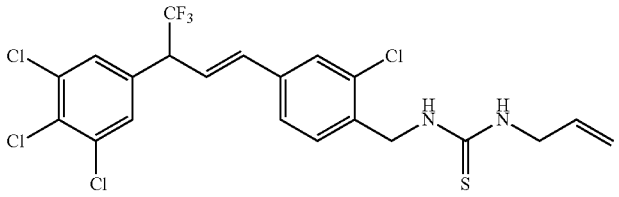
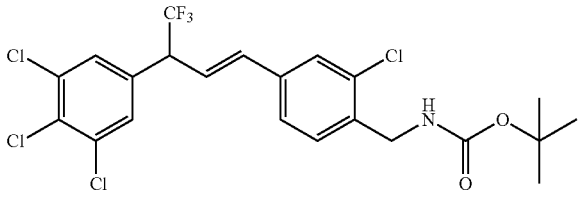
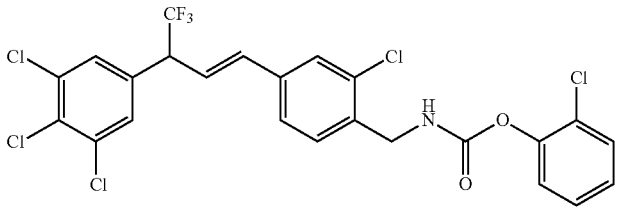
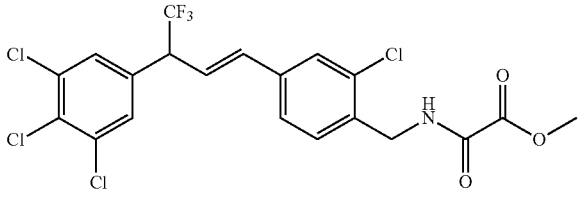
Structures for Compounds	
Compound Number	Structure
CC35	
CC36	
CC37	
CC38	
CC39	
CC40	
CC41	

TABLE 1-continued

Structures for Compounds

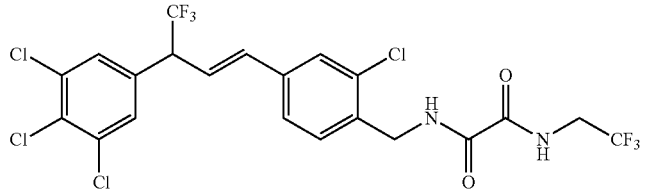
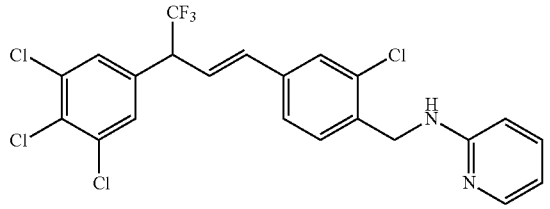
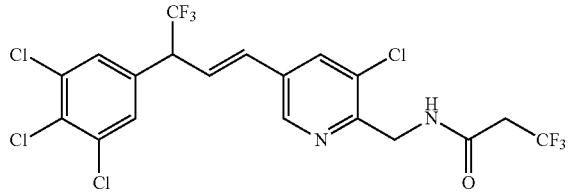
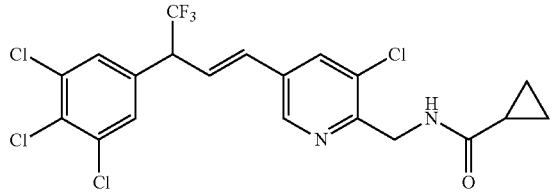
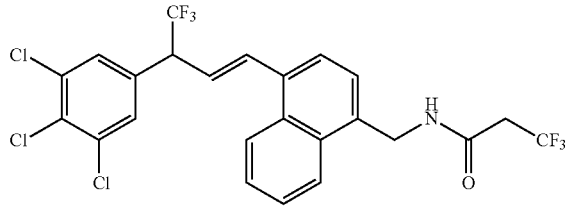
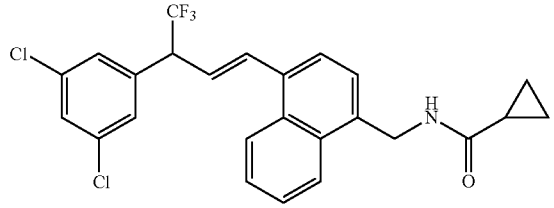
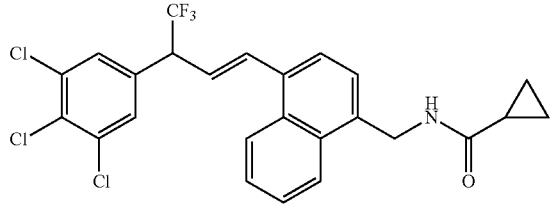
Compound Number	Structure
CC42	
CC43	
CC44	
CC45	
CC46	
CC47	
CC48	

TABLE 1-continued

Structures for Compounds

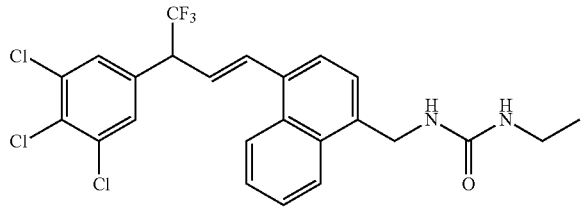
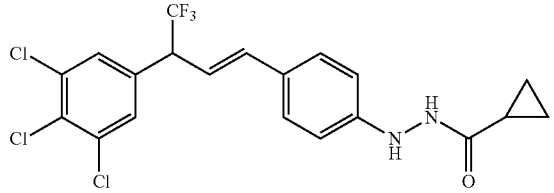
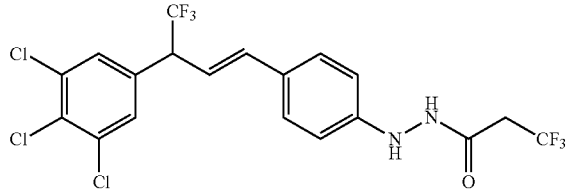
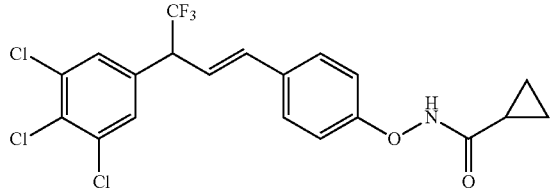
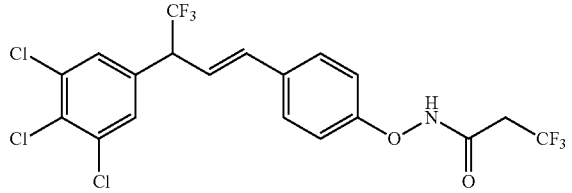
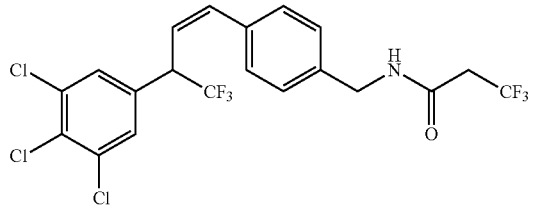
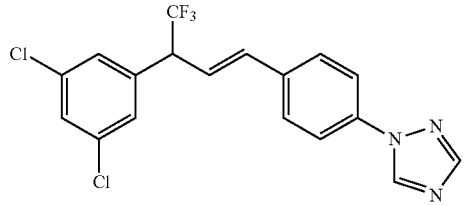
Compound Number	Structure
CC49	
CC50	
CC51	
CC52	
CC53	
CC54	
DC1	

TABLE 1-continued

Structures for Compounds

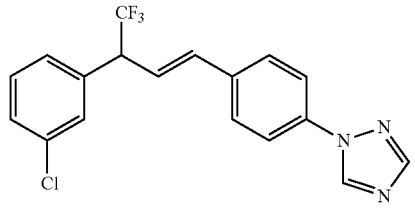
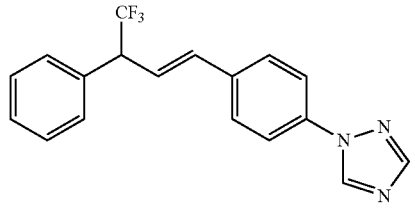
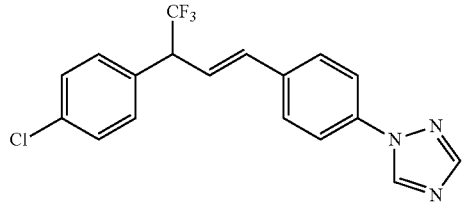
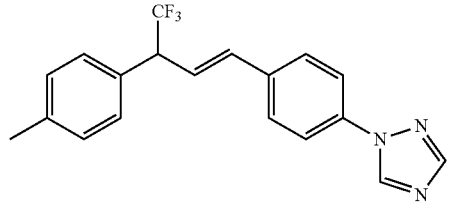
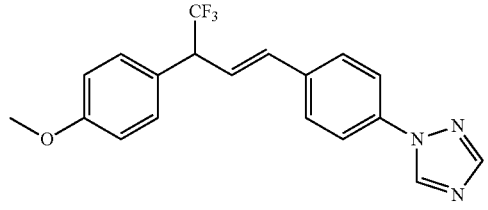
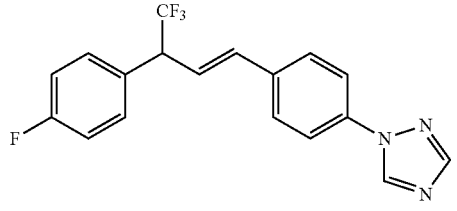
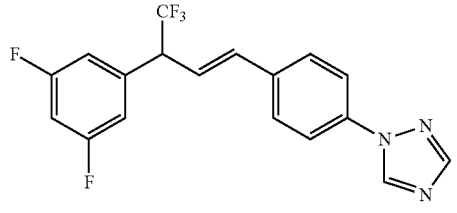
Compound Number	Structure
DC2	
DC3	
DC4	
DC5	
DC6	
DC7	
DC8	

TABLE 1-continued

Structures for Compounds

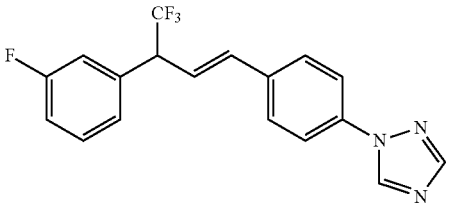
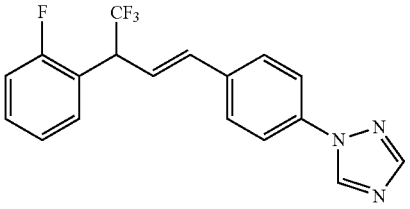
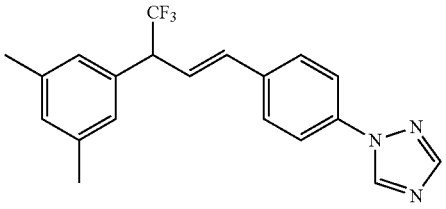
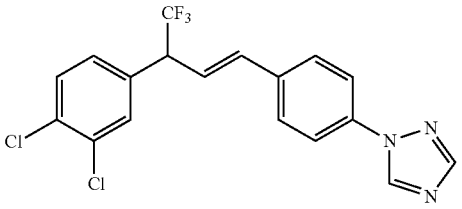
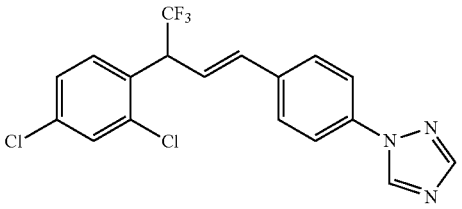
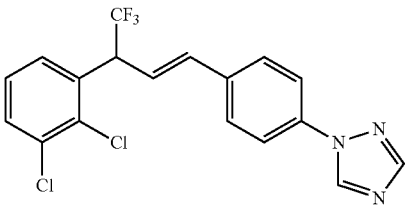
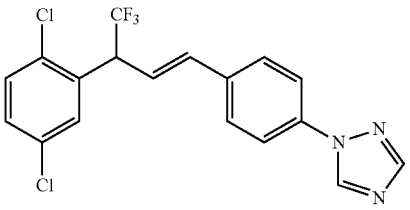
Compound Number	Structure
DC9	
DC10	
DC11	
DC12	
DC13	
DC14	
DC15	

TABLE 1-continued

Structures for Compounds

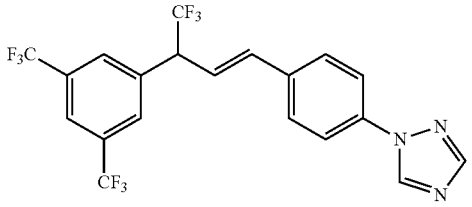
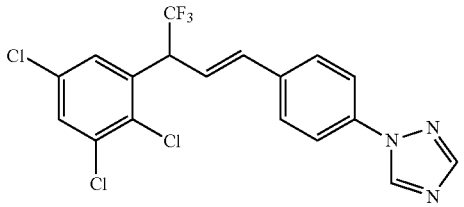
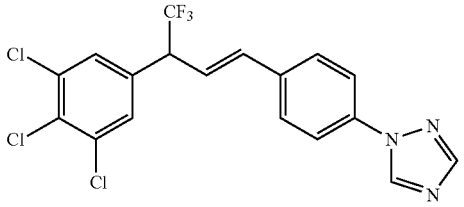
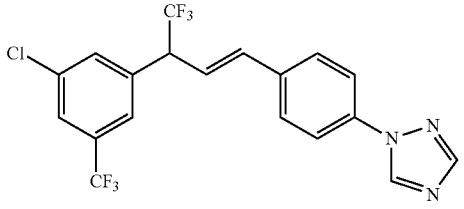
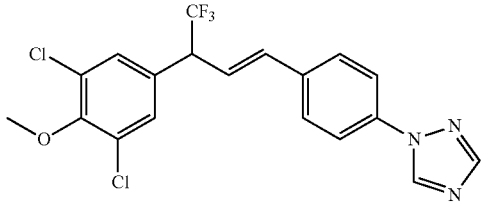
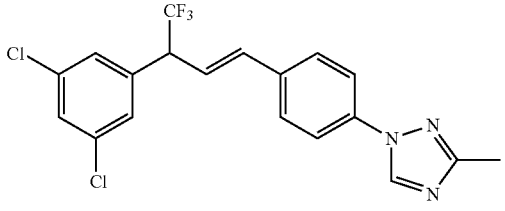
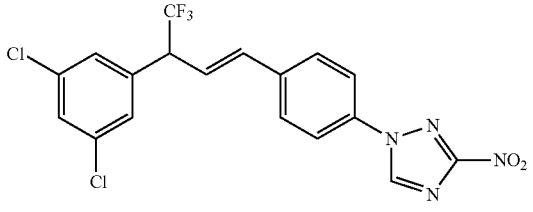
Compound Number	Structure
DC16	
DC17	
DC18	
DC19	
DC20	
DC21	
DC22	

TABLE 1-continued

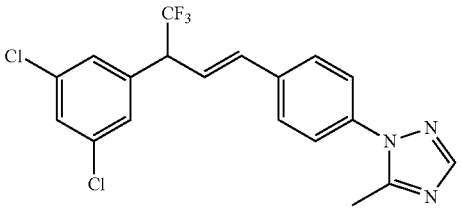
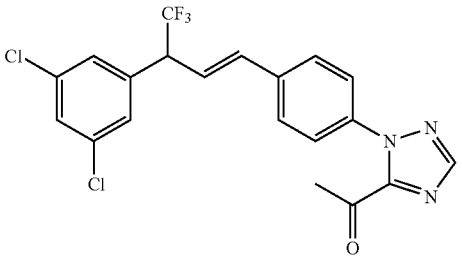
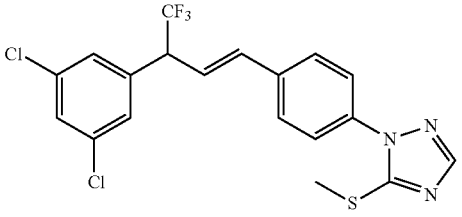
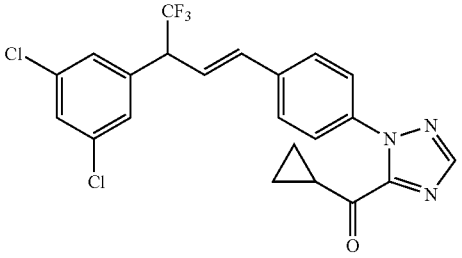
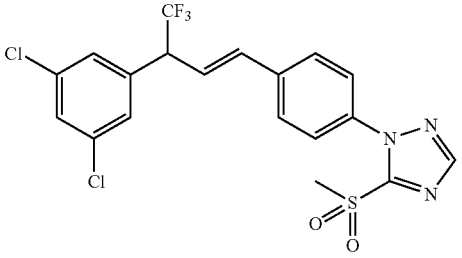
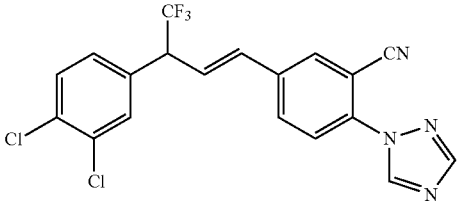
Compound Number	Structure
DC23	
DC24	
DC25	
DC26	
DC27	
DC28	

TABLE 1-continued

Structures for Compounds

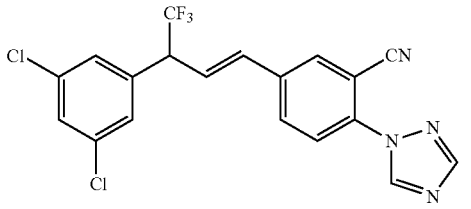
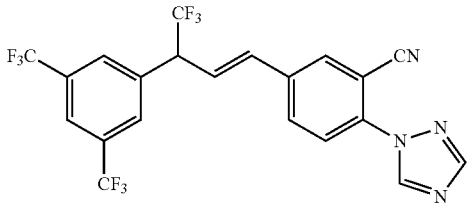
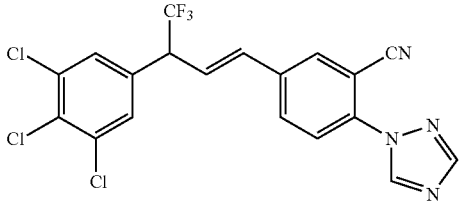
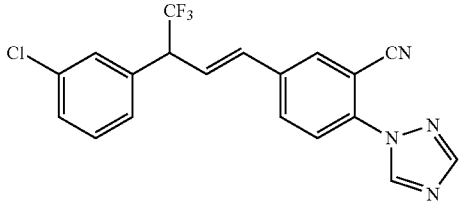
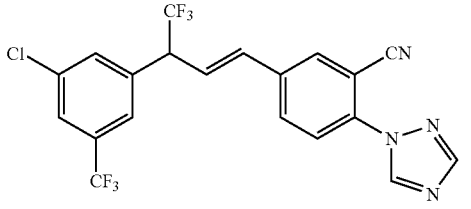
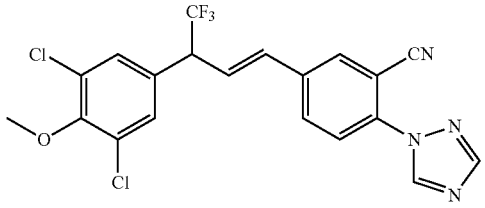
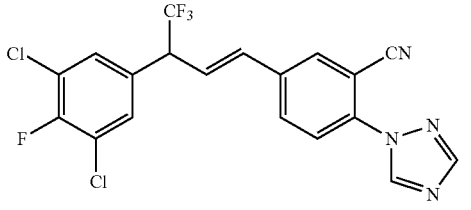
Compound Number	Structure
DC29	
DC30	
DC31	
DC32	
DC33	
DC34	
DC35	

TABLE 1-continued

Structures for Compounds

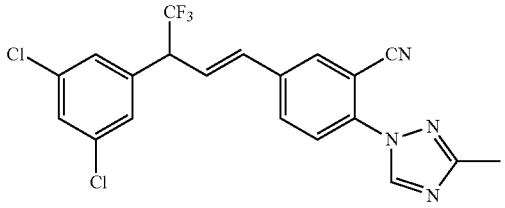
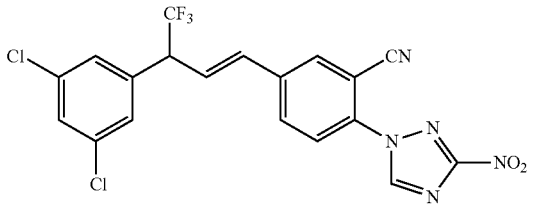
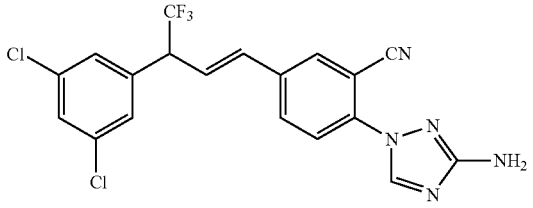
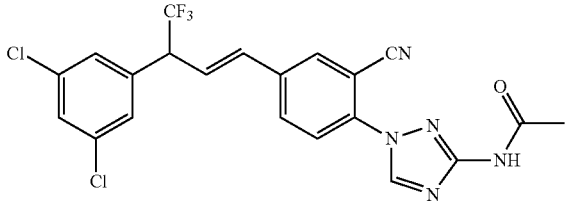
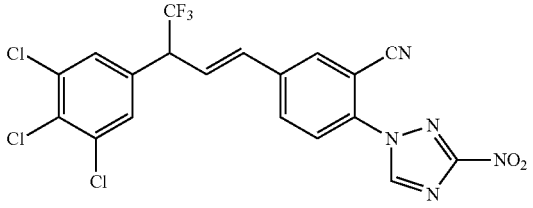
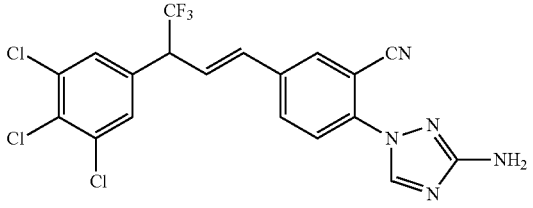
Compound Number	Structure
DC36	
DC37	
DC38	
DC39	
DC40	
DC41	

TABLE 1-continued

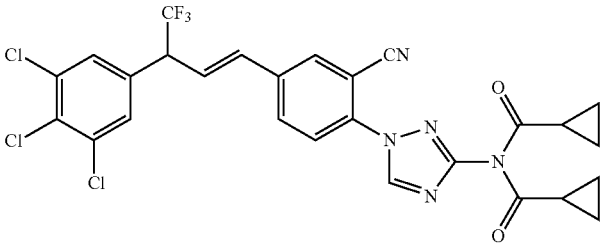
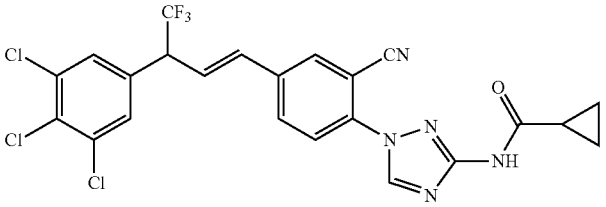
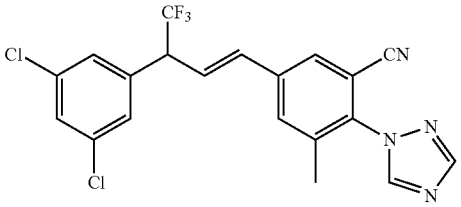
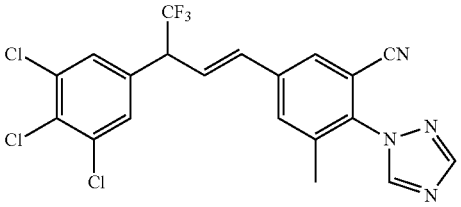
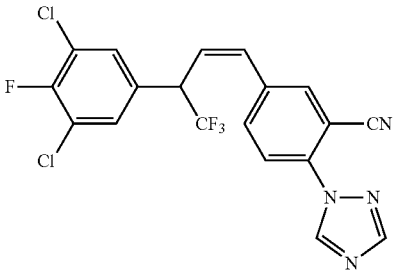
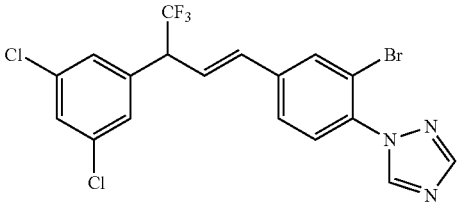
Compound Number	Structure
DC42	
DC43	
DC44	
DC45	
DC46	
DC47	

TABLE 1-continued

Structures for Compounds

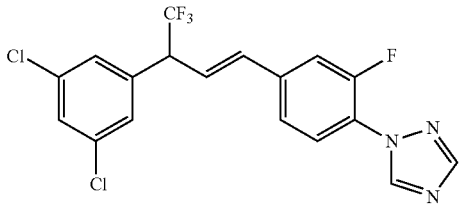
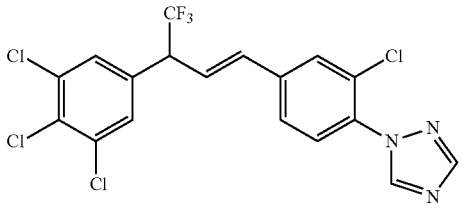
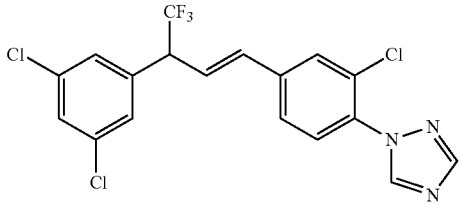
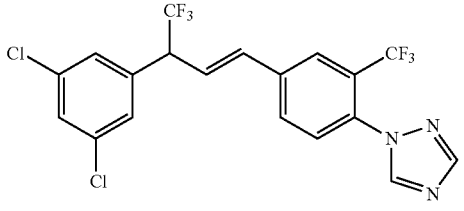
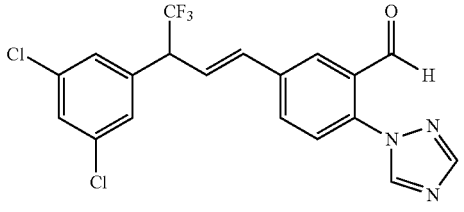
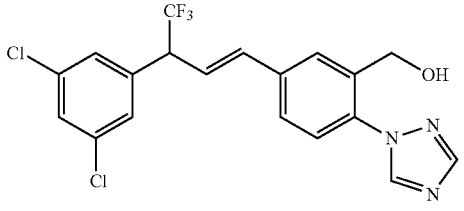
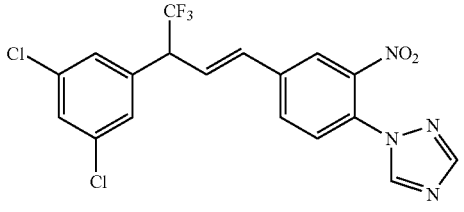
Compound Number	Structure
DC48	
DC49	
DC50	
DC51	
DC52	
DC53	
DC54	

TABLE 1-continued

Structures for Compounds

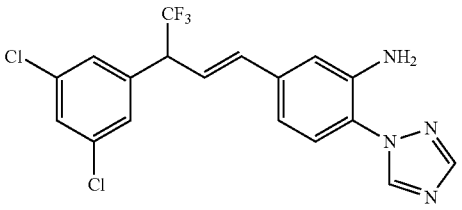
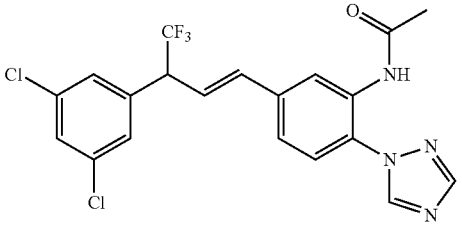
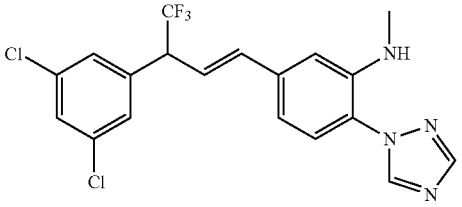
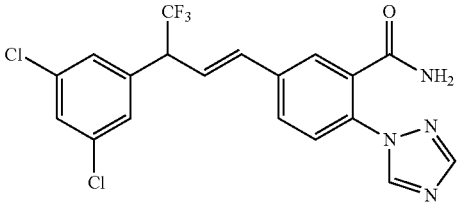
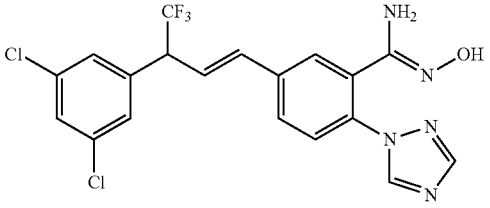
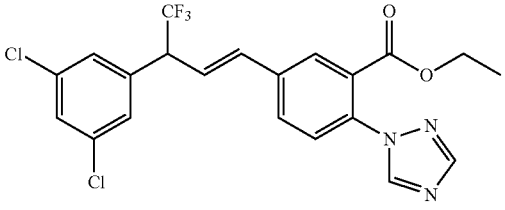
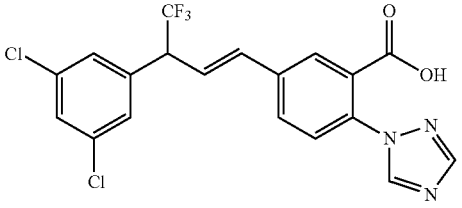
Compound Number	Structure
DC55	
DC56	
DC57	
DC58	
DC59	
DC60	
DC61	

TABLE 1-continued

Structures for Compounds

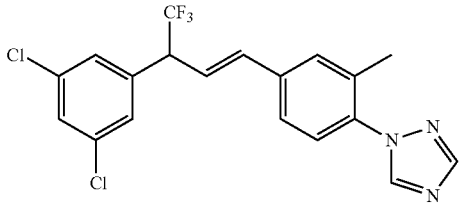
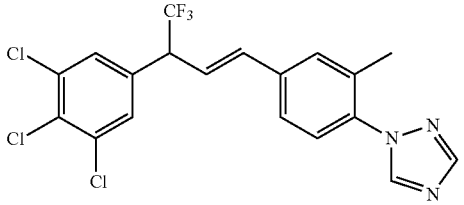
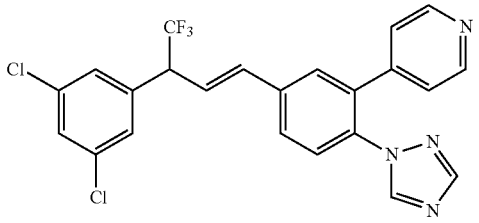
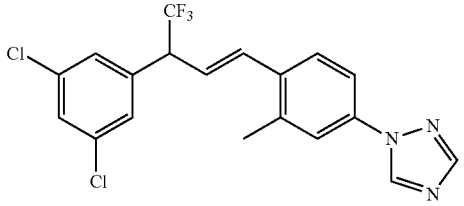
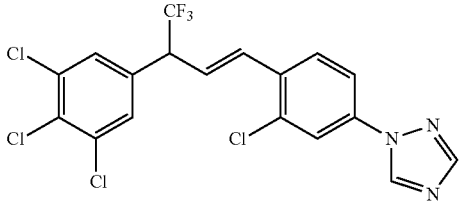
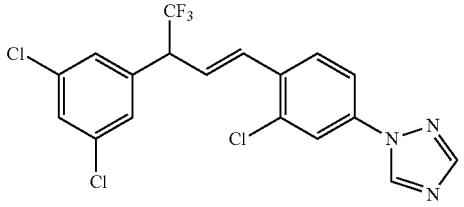
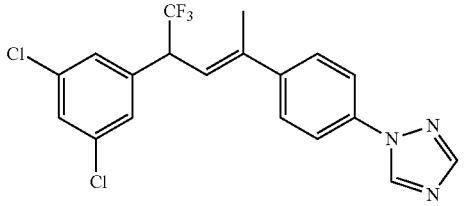
Compound Number	Structure
DC62	
DC63	
DC64	
DC65	
DC66	
DC67	
DC68	

TABLE 1-continued

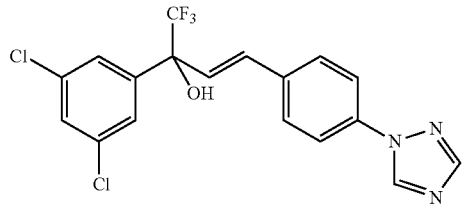
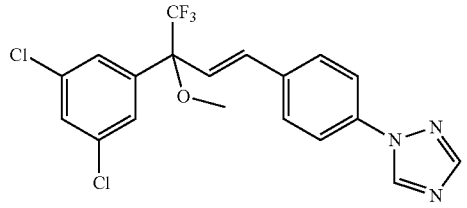
Structures for Compounds	
Compound Number	Structure
DC69	
DC70	

TABLE 1A

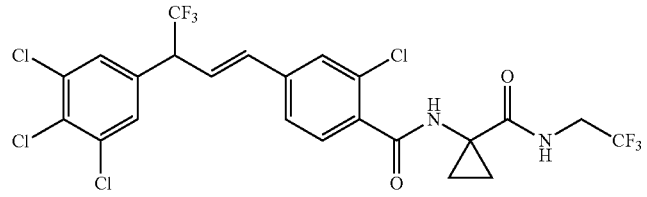
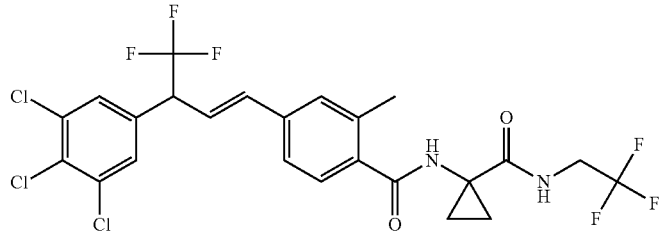
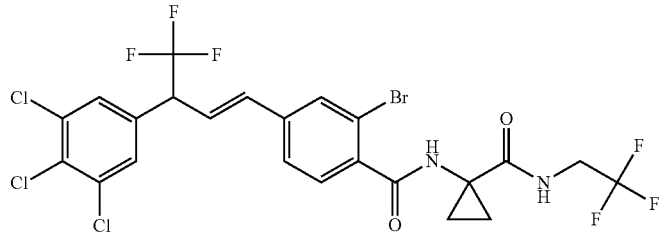
Structures for F Compounds			
Compound Number	Structure	Appearance	Prepared as in Example:
F1		brown solid	128
F2		off-white solid	15
F3		light green gum	15

TABLE 1A-continued

Structures for F Compounds

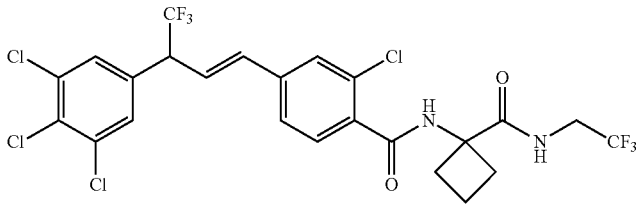
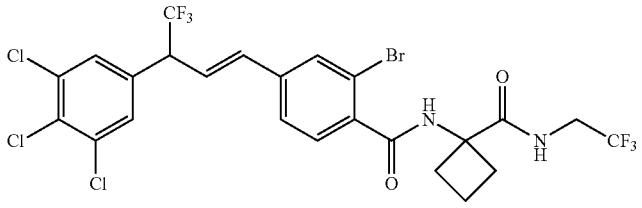
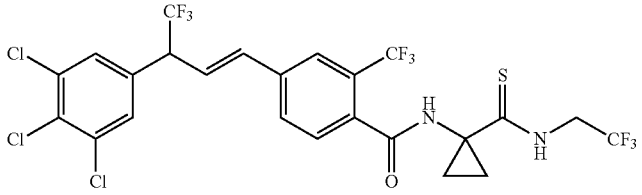
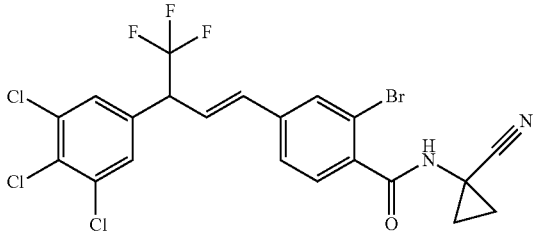
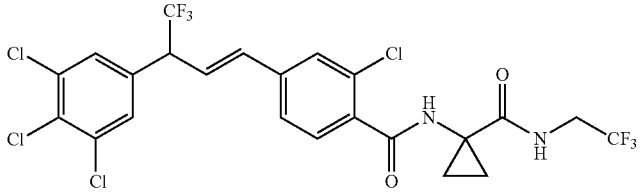
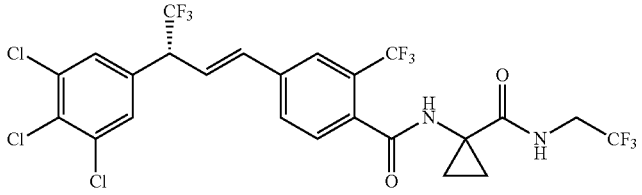
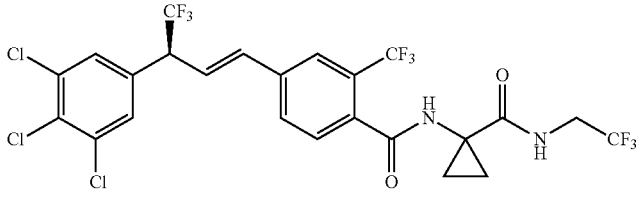
Compound Number	Structure	Appearance	Prepared as in Example:
F4		brown gum	15
F5		off-white solid	15
F6		pale yellow solid	133
F7		white solid	129
F8		yellow solid	128
F8A		yellow solid	134
F8B		off-white solid	134

TABLE 1B

Structures of Prophetic Compounds Subsequently Exemplified

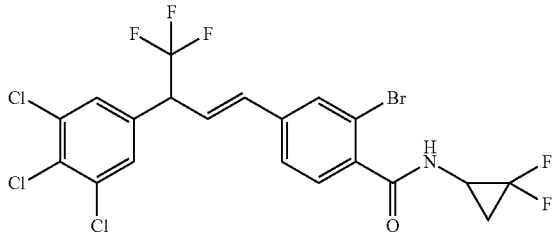
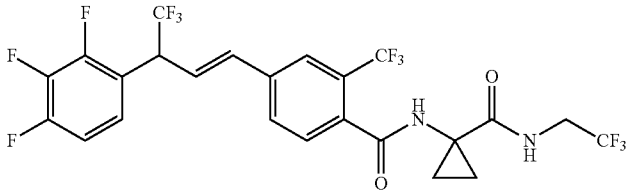
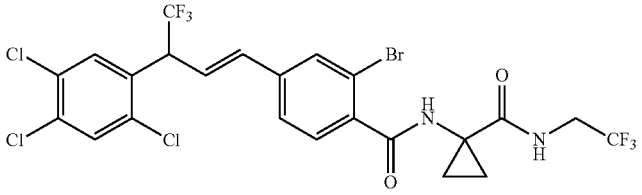
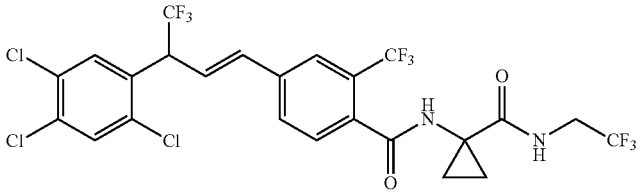
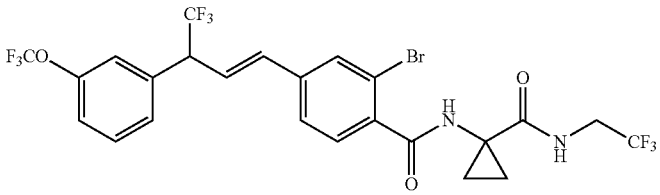
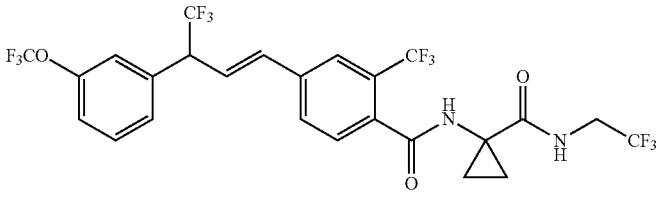
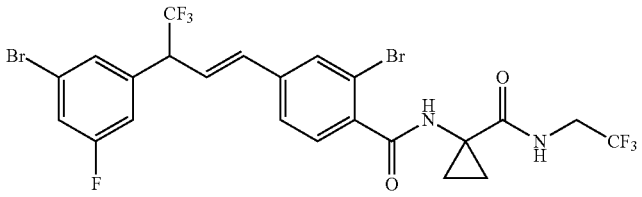
Compound Number	Structure	Appearance	Prepared as in Example:
P31		oil	129
P65		off-white solid	128
P108		brown gum	128
P110		pale brown solid	128
P153		brown gum	128
P155		brown gum	128
P198		yellow solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

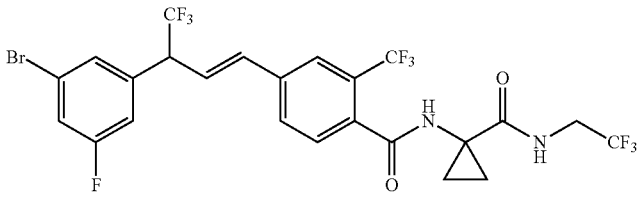
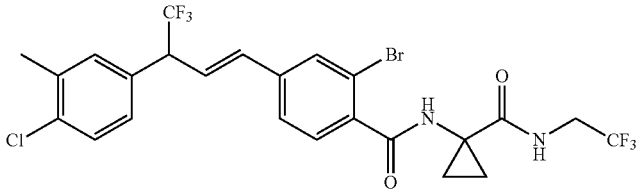
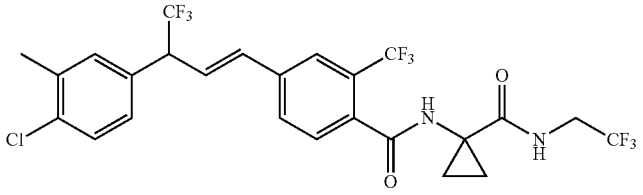
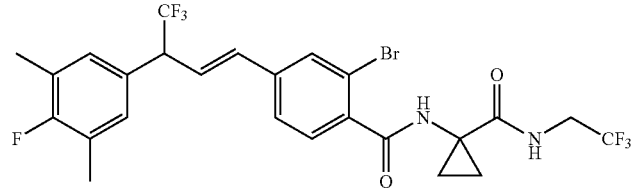
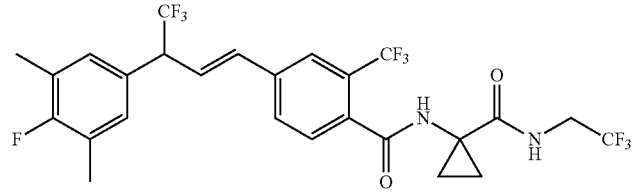
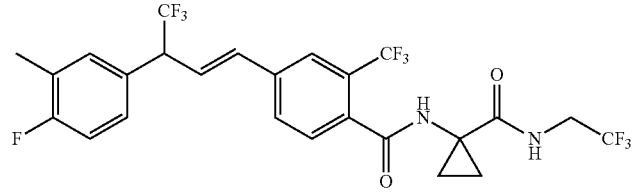
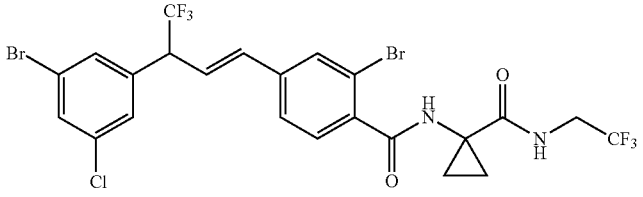
Compound Number	Structure	Appearance	Prepared as in Example:
P200		pale yellow solid	128
P243		brown gummy liquid	128
P245		brown gummy liquid	128
P333		off white solid	128
P335		brown solid	128
P336		pale brown solid	128
P378		brown solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

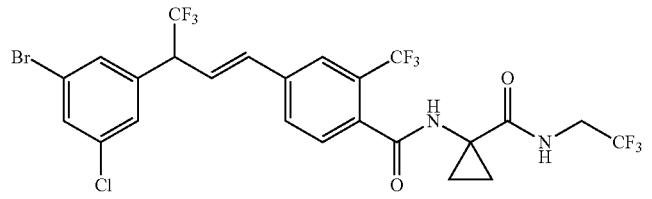
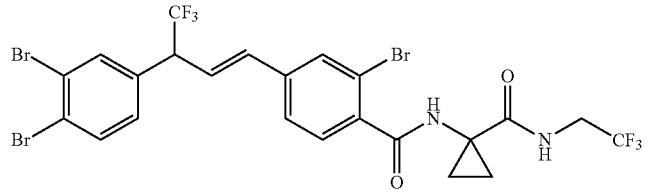
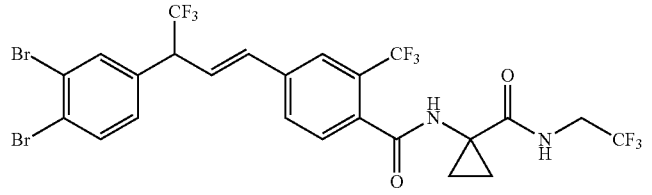
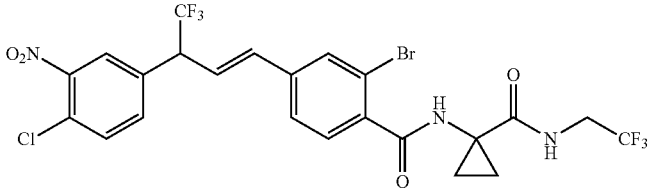
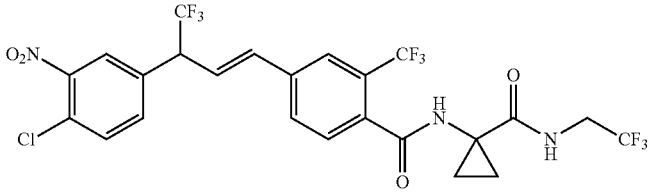
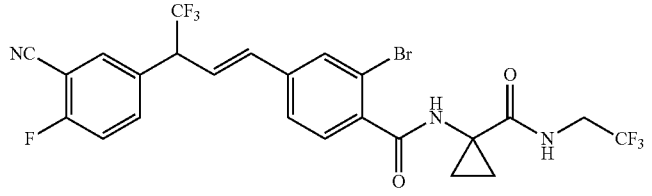
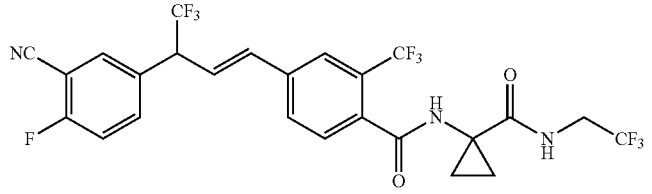
Compound Number	Structure	Appearance	Prepared as in Example:
P380		brown gum	128
P423		pale yellow solid	128
P425		pale yellow solid	128
P468		brown semi solid	128
P470		brown gum	128
P513		brown gummy liquid	128
P515		yellow solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

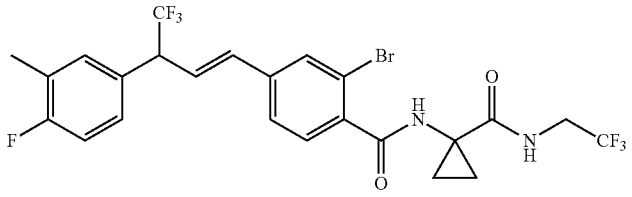
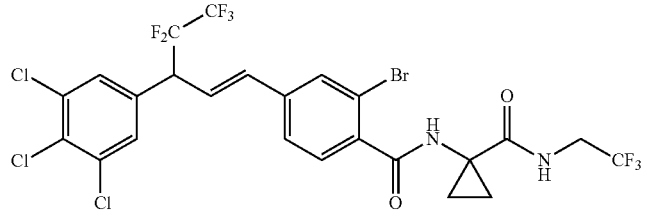
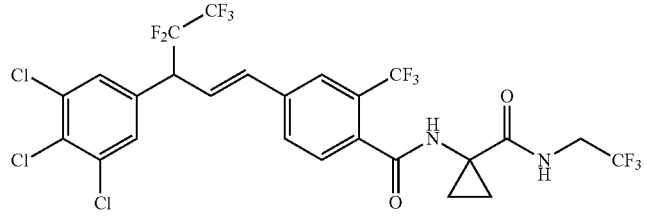
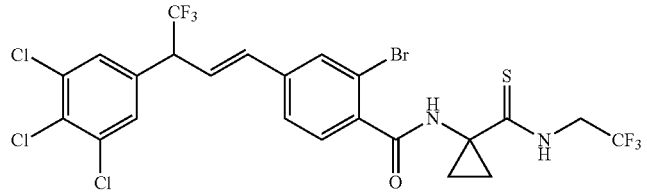
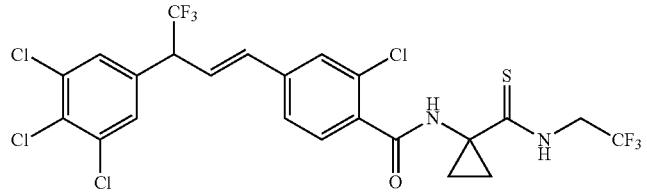
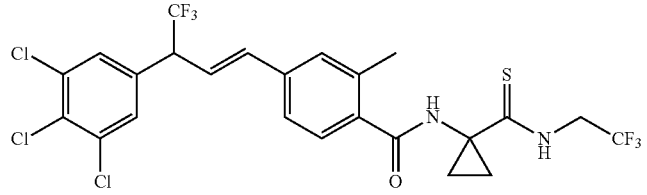
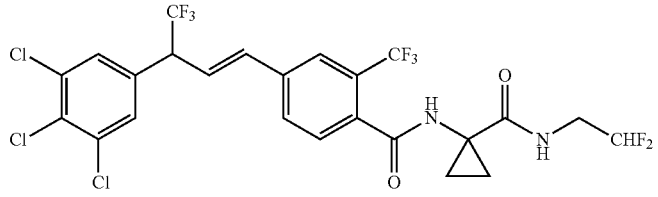
Compound Number	Structure	Appearance	Prepared as in Example:
P693		pale brown solid	128
P1003		brown solid	128
P1005		off white solid	128
P1009		dark brown solid	128
P1010		yellow solid	128
P1011		pale yellow solid	128
P1015		brown solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

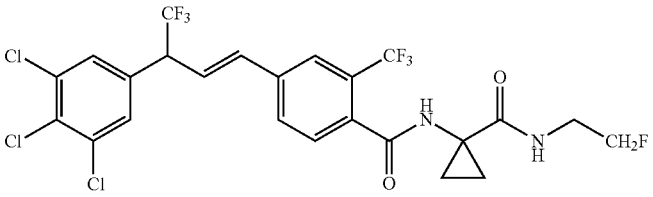
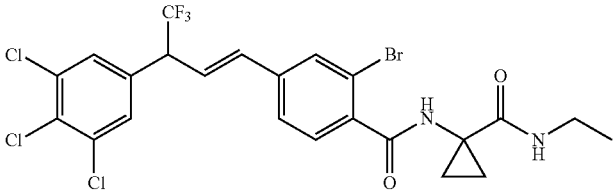
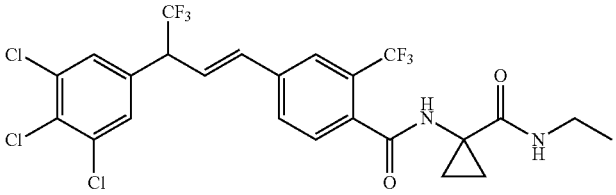
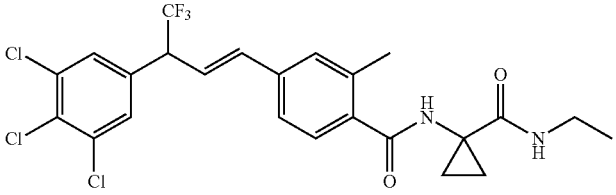
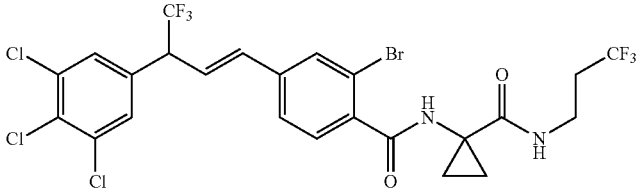
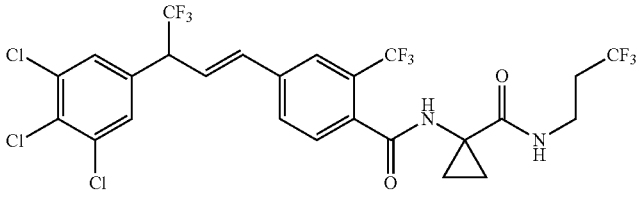
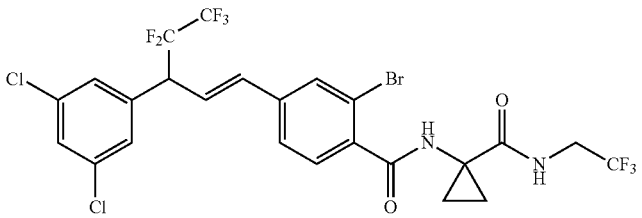
Compound Number	Structure	Appearance	Prepared as in Example:
P1020		brown solid	128
P1023		brown semi solid	128
P1025		pale brown solid	128
P1026		brown gummy solid	128
P1033		brown gum	128
P1035		brown solid	128
P1043		brown gummy solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

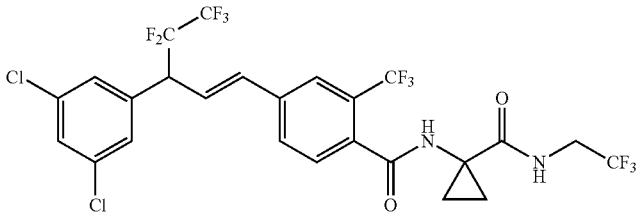
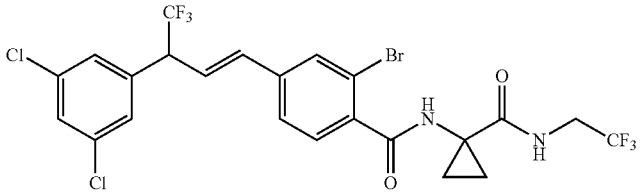
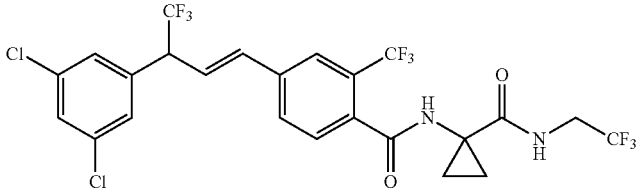
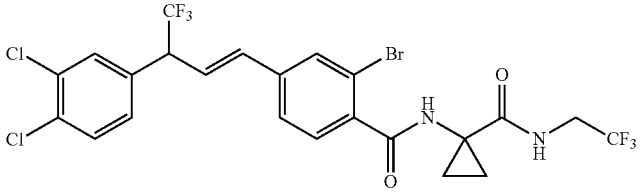
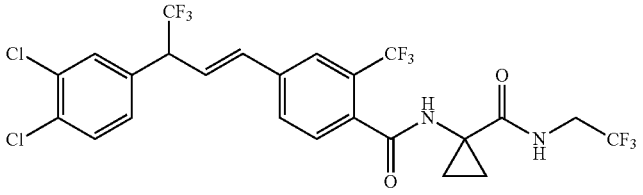
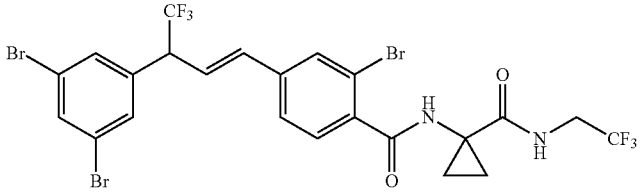
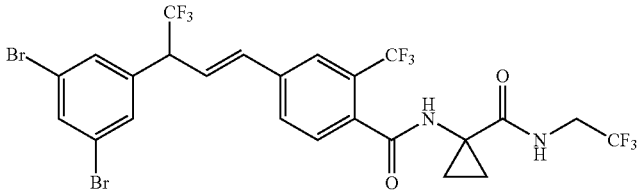
Compound Number	Structure	Appearance	Prepared as in Example:
P1045		pale green solid	128
P1048		brown gummy liquid	128
P1050		off white solid	128
P1093		yellow gum	128
P1095		brown gum	128
P1183		off white solid	128
P1198		brown semi solid	128

TABLE 1B-continued

Structures of Prophetic Compounds Subsequently Exemplified

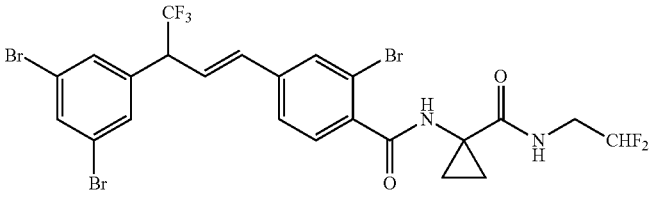
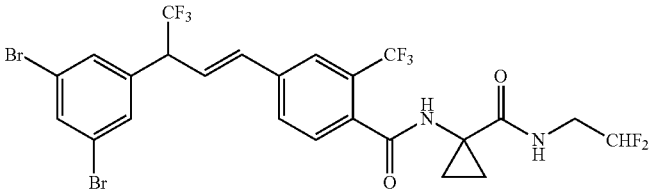
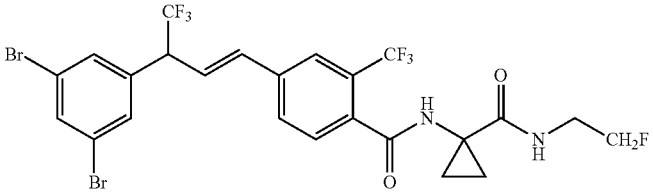
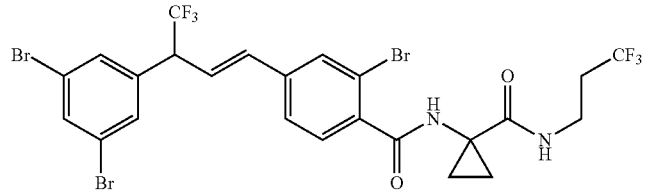
Compound Number	Structure	Appearance	Prepared as in Example:
P1193		brown solid	128
P1195		brown gum	128
P1200		brown solid	128
P1213		brown solid	128

TABLE 2

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC1	156-161	386.09 ([M − H]$^-$)	7.83 (m, 2H), 7.68-7.63 (m, 5H), 6.93 (dd, J = 15.6, 8.0 Hz, 1H), 6.81 (d J = 15.6 Hz, 1H,), 4.15 (m, 1H), 2.80 (s, 3H)	
AC2	110-112	374 ([M + H]$^+$)	7.80 (d, J = 8.4 Hz, 2H), 7.48 (d, J = 8.0 Hz, 2H), 7.38 (m, 1H), 7.30 (s, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.46 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	
AC3	162-166	402.24 ([M + H]$^+$)	7.42 (m, 4H), 7.37 (t, J = 1.8 Hz, 1H), 7.28 (s, 2H), 6.63 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.4 Hz, 1H), 4.15 (m, 1H), 3.20 (s, 3H), 3.00 (s, 3H)	
AC4	122-126	454 ([M − H]$^-$)	7.79 (d, J = 1.2 Hz, 2H), 7.48 (d, J = 8.4 Hz, 2H), 7.38 (t, J = 1.8 Hz, 1H), 7.30 (s, 2H), 6.64 (d, J = 15.6 Hz, 1H), 6.40 (dd,	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			J = 15.6, 8.0 Hz, 1H), 6.30 (m, 1H), 4.15 (m, 3H)	
AC5		444.12 ([M + H]$^+$)	7.67 (s, 3H), 7.64 (d, J = 8.0 Hz, 2H), 7.42 (d, J = 8.0 Hz, 2H), 6.91 (dd, J = 15.6, 8.0 Hz, 1H), 6.80 (d, J = 15.6 Hz, 1H), 4.80 (m, 1H), 3.60 (br s, 8H)	
AC6		468.40 ([M − H]$^-$)	7.40 (m, 2H), 7.26 (m, 3H), 6.56 (d, J = 16.0 Hz, 1H), 6.48 (dd, J = 16.0, 8.0 Hz, 1H), 5.82 (br s, 1H), 4.08 (m, 3H), 2.52 (s, 3H)	1657, 1113, 804
AC7		511.02 ([M − H]$^-$)	8.39 (s, 1H), 7.74 (m, 1H), 7.39 (m, 3H), 7.24 (m, 4H), 6.58 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 6.16 (br s, 1H), 4.63 (m, 2H), 4.12 (m, 1H), 2.41 (s, 3H)	3276, 1645, 1111, 801
AC8		454.11 ([M − H]$^-$)	7.39 (s, 1H), 7.22 (m, 2H), 7.19 (m, 3H), 6.53 (d, J = 16.0 Hz, 1H), 6.39-6.34 (dd, J = 16.0, 8.0 Hz, 1H), 4.22 (m, 1H), 3.95 (t, J = 7.0 Hz, 2H), 2.62 (t, J = 8.0 Hz, 2H), 2.30 (s, 3H), 2.18 (m, 2H)	1748, 1112, 801
AC9		494.02 ([M − H]$^-$)	7.45 (t, J = 7.6 Hz, 1H), 7.36 (m, 2H), 7.21 (m, 3H), 7.15 (m, 4H), 6.56 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.4 Hz, 1H), 6.08 (br s, 1H), 4.68 (d, J = 5.6 Hz, 2H), 4.11 (m, 1H), 2.44 (s, 3H)	3276, 1645, 1112, 801
A10	140-143	458.00 ([M − H]$^-$)	7.38 (t, J = 1.6 Hz, 1H), 7.34 (d, J = 7.6 Hz, 1H), 7.27 (m, 2H), 7.24 (m, 2H), 6.57 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 6.16 (m 1H), 5.44 (m, 1H), 4.12 (m, 1H), 3.51 (m, 2H), 3.40 (m, 2H), 2.44 (s, 3H)	
AC11		476.17 ([M − H]$^-$)	7.39-7.29 (m, 9H), 7.24 (m, 2H), 6.56 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 5.99 (br s, 1H), 4.63 (d, J = 6.0 Hz, 1H), 4.11 (m, 1H), 2.47 (s, 3H)	3287, 1644, 1112, 801
AC12		479.30 ([M + H]$^+$)	8.63 (d, J = 4.4 Hz, 1H), 7.71 (m, 1H), 7.47 (d, J = 8.4 Hz, 1H), 7.37 (m, 2H), 7.32 (m, 2H), 7.23 (m, 2H), 7.13 (m, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.75 (d, J = 4.8 Hz, 2H), 4.12 (m, 1H), 2.49 (s, 3H)	3293, 1653, 1112, 800
AC13	75-78	490.04 ([M − H]$^-$)	7.38 (m, 2H), 7.27 (m, 3H), 7.23 (br s, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.45 (m 1H), 6.42 (dd, J = 16.0, 8.4 Hz, 1H), 4.91 (m 1H),	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			4.64 (m, 2H), 4.14 (m, 1H), 4.04 (m, 2H), 2.46 (s, 3H)	
AC14		480.99 ([M + 2H]$^+$)	8.63 (s, 2H), 7.76 (d, J = 8.0 Hz, 1H), 7.36 (m, 3H), 7.22 (m, 1H), 7.13 (m, 2H), 6.57 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.66 (d, J = 5.6 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3293, 1645, 1113, 800
AC15	59-61	516.86 ([M − H]$^-$)	7.45 (s, 1H), 7.37 (m, 1H), 7.34 (m, 1H), 7.26 (m, 3H), 7.22 (m, 1H), 6.57 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 6.18 (m, 1H), 4.71 (d, J = 6.4 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3246, 1635, 1112, 801
AC16		506.93 ([M + H]$^+$)	8.47 (m, 1H), 8.19 (s, 1H), 7.76 (m, 1H), 7.47 (m, 2H), 7.37 (m, 1H), 7.28 (m, 2H), 7.24 (m, 1H), 7.21 (m, 1H), 6.59 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.4 Hz, 1H), 4.12 (m, 1H), 2.48 (s, 3H), 1.88 (s, 6H)	1657, 1113, 801
AC17	70-73	494.98 ([M − H]$^-$)	7.49 (m, 2H), 7.38 (m, 1H), 7.29 (m, 4H), 7.08 (m, 3H), 6.91 (m, 1H), 6.61 (d, J = 16.0 Hz, 1H), 6.48 (m, 1H), 6.43 (dd, J = 16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.49 (s, 3H)	
AC18	155-158	480.44 ([M + H]$^+$)	8.73 (d, J = 4.8 Hz, 2H), 7.53 (d, J = 8.4 Hz, 1H), 7.37 (m, 1H), 7.27 (m, 4H), 7.23 (m, 1H), 7.11 (m, 1H), 6.60 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.0 Hz, 1H), 4.90 (d, J = 4.8 Hz, 2H), 4.13 (m, 1H), 2.52 (s, 3H)	
AC19	55-57	471.66 ([M + H]$^+$)	7.37 (m, 1H), 7.33 (d, J = 7.6 Hz, 1H), 7.27 (m, 2H), 7.22 (m, 2H), 6.57 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.0 Hz, 1H), 6.10 (brs, 1H), 4.13 (m, 2H), 3.94 (m, 1H), 3.79 (m, 2H), 3.35 (m, 1H), 2.45 (s, 3H), 2.14 (m, 1H), 1.71 (m, 2H), 1.65 (m, 1H).	
AC20		467.68 ([M + H]$^+$)	7.37 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.57 (d, J = 16.0 Hz, 1H), 6.38 (m, 3H), 6.01 (m, 1H), 4.63 (d, J = 5.6 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3437, 1664, 1265, 1114, 746

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC21	61-64	528.78 ([M + H]$^+$)	8.44 (s, 1H), 8.18 (s, 1H), 7.83 (br s, 1H), 7.38 (m, 2H), 7.27 (m, 2H), 7.25 (m, 2H), 7.21 (m, 1H), 6.57 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 5.01 (s, 2H), 4.11 (m, 1H), 2.43 (s, 3H)	
AC22		545.08 ([M − H]$^-$)	8.39 (s, 1H), 7.73 (m, 1H), 7.40 (s, 1H), 7.35 (m, 2H), 7.22 (m, 3H), 6.57 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 7.6 Hz, 1H), 6.14 (br s, 1H), 4.62 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3270, 1642, 1111, 809
AC23		492.35 ([M − H]$^-$)	7.42 (s, 2H), 7.36 (m, 1H), 7.24 (m, 2H), 6.59 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 6.20 (br s, 1H), 5.46 (m, 1H), 4.15 (m, 1H), 3.52 (m, 2H), 3.41 (m, 2H), 2.45 (s, 3H)	3273, 1641, 1250, 1113, 807
AC24	129-132	526.98 ([M + H]$^+$)	7.40 (m, 2H), 7.27 (m, 2H), 7.25 (m, 2H), 6.92 (br s, 2H), 6.60 (m, 1H), 6.48 (dd, J = 16.0, 8.0 Hz, 1H), 4.19 (d, J = 5.2, 2H), 4.08 (m, 1H), 3.99 (m, 2H), 2.46 (s, 3H)	3298, 1664, 1113, 803
AC25		542.24 ([M − H]$^-$)	7.41 (m, 3H), 7.27 (m, 2H), 6.58 (d, J = 15.6 Hz, 1H), 6.42 (m, 2H), 4.92 (m, 1H), 4.65 (m, 2H), 4.14 (m, 1H), 4.09 (m, 2H), 2.46 (s, 3H)	3257, 1652, 1316, 1109, 807
AC26		550.69 ([M − H]$^-$)	7.45 (s, 1H), 7.40 (s, 2H), 7.34 (d, J = 8.0 Hz, 1H), 7.22 (m, 2H), 6.54 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 4.71 (d, J = 6.0 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3255, 1638, 1113, 809
AC27		541.00 ([M − H]$^-$)	8.46 (d, J = 4.0 Hz, 1H), 8.20 (s, 1H), 7.76 (m, 1H), 7.47 (m, 2H), 7.41 (s, 2H), 7.23 (m, 2H), 7.21 (m, 1H), 6.59 (d, J = 16.0 Hz, 1H), 6.37 (dd, J = 16.0, 8.4 Hz, 1H), 4.11 (m, 1H), 2.48 (s, 3H), 1.88 (s, 6H)	1653, 1113, 809
AC28	65-67	564.84 ([M − H]$^-$)	8.40 (s, 1H), 7.74 (m, 2H), 7.42 (m, 3H), 7.36 (m, 2H), 6.72 (br s, 1H), 6.52 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 8.0 Hz, 1H), 4.66 (d, J = 6.4 Hz, 2H), 4.12 (m, 1H)	3267, 1650, 1112, 809
AC29	75-78	511.78 ([M − H]$^-$)	7.71 (d, J = 8.4 Hz, 1H), 7.42 (m, 3H), 7.35 (m, 1H), 6.75 (br s, 1H), 6.56 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 8.0 Hz, 1H), 5.49 (m, 1H), 4.14 (m, 1H), 3.50 (m, 4H)	
AC30	110-113	543.72 ([M − H]$^-$)	7.42 (d, J = 8.4 Hz, 1H), 7.44 (s, 1H), 7.40 (s,	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			1H), 7.38 (m, 1H), 7.06 (br s, 1H), 6.58 (d, J = 15.6 Hz, 1H), 6.45 (dd, J = 15.6, 8.0 Hz, 1H), 4.93 (m, 1H), 4.65 (m, 2H), 4.13 (m, 3H)	
AC31	68-70	610.73 ([M + H]$^+$)	8.42 (s, 1H), 7.76 (m, 1H), 7.61 (m, 2H), 7.39 (m, 4H), 6.54-6.39 (m, 3H), 4.66 (d, J = 6.0 Hz, 2H), 4.12 (m, 1H)	
AC32	78-80	555.89 ([M − H]$^-$)	7.61 (m, 2H), 7.40 (m, 3H), 6.54 (m, 2H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 5.46 (m, 1H), 4.14 (m, 1H), 3.50 (m, 4H)	
AC33	182-184	587.68 ([M − H]$^-$)	7.62 (s, 1H), 7.58 (d, J = 8.0 Hz, 1H), 7.40 (m, 3H), 6.84 (br s, 1H), 6.55 (d, J = 15.6 Hz, 1H), 6.45 (dd, J = 15.6, 7.6 Hz, 1H), 4.93 (m 1H), 4.65 (m, 2H), 4.13 (m, 4H)	
AC34	151-153	545.83 ([M − H]$^-$)	7.67 (s, 1H), 7.61 (d, J = 6.0 Hz, 1H), 7.53 (m, 1H), 7.41 (s, 2H), 6.64 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 6.18 (br s, 1H), 5.44 (m, 1H), 4.14 (m, 1H), 3.50 (m, 2H), 3.40 (m, 2H)	
AC35	100-102	577.71 ([M − H]$^-$)	7.70 (s, 1H), 7.63 (m, 1H), 7.53 (d, J = 7.6 Hz, 1H), 7.41 (s, 2H), 6.53 (d, J = 16.0 Hz, 1H), 6.49 (m, 2H), 4.93 (m, 1H), 4.64 (m, 2H), 4.13 (m, 1H), 4.03 (m, 2H)	3257, 1655, 1113, 808
AC36	81-83	600.83 ([M + H]$^+$)	8.40 (s, 1H), 7.73 (m, 2H), 7.61 (d, J = 8.4 Hz, 1H), 7.52 (d, J = 8.0 Hz, 1H), 7.40 (s, 2H), 7.35 (d, J = 8.0 Hz, 1H), 6.63 (d, J = 16.0 Hz, 1H), 6.46 (dd, J = 16.0, 7.6 Hz, 1H), 6.14 (m, 1H), 4.63 (d, J = 6.0 Hz, 2H), 4.14 (m, 1H)	
AC37		512.68 ([M + H]$^+$)	8.39 (s, 1H), 7.73 (m, 1H), 7.48 (m, 2H), 7.34 (d, J = 7.6 Hz, 1H), 7.24 (m, 3H), 6.55 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 7.6 Hz, 1H), 6.12 (m, 1H), 4.62 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3268, 1644, 1109, 820
AC38	79-80	528.85 ([M − H]$^-$)	8.46 (m, 1H), 7.73 (m, 1H), 7.35 (m, 4H), 7.22 (m, 2H), 6.56 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 4.62 (d, J = 6.0 Hz, 2H), 4.10 (m, 1H), 2.45 (s, 3H)	
AC39	141-144	477.83 ([M − H]$^-$)	9.19 (s, 1H), 8.79 (s, 2H), 7.37 (m, 2H), 7.23 (m, 2H), 7.21 (m, 1H), 6.57 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz 1H), 6.21 (m, 1H), 4.65 (s, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC40	69-72	484.67 ([M + H]$^+$)	8.33 (t, J = 5.6 Hz, 1H), 8.61 (m, 1H), 7.68 (m, 3H), 7.48 (m, 2H), 6.86 (dd, J = 15.6, 8.2 Hz 1H), 6.74 (d, J = 15.6 Hz, 1H), 4.44 (m, 1H), 3.76 (d, J = 6.0 Hz, 2H), 2.54 (m, 1H), 2.67 (s, 3H), 0.59 (m, 2H), 0.54 (m, 2H)	
AC41	196-199	515.00 ([M − H]$^-$)	8.66 (d, J = 7.6 Hz, 1H), 8.39 (t, J = 5.6 Hz, 1H), 7.65 (s, 3H), 7.45 (m, 3H), 6.86 (dd, J = 15.6, 8.8 Hz, 1H), 6.74 (d, J = 15.6 Hz, 1H), 5.01 (m, 1H), 4.99 (m, 1H), 3.78 (d, J = 6.0 Hz, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.37 (m, 3H)	
AC42	79-82	534.72 ([M + H]$^+$)	7.99 (d, J = 8.0 Hz, 1H), 7.89 (d, J = 8.0 Hz, 1H), 7.51 (m, 2H), 7.44 (m, 2H), 7.27 (m, 4H), 6.71 (t, J = 5.2 Hz, 1H), 6.59 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.0 Hz, 1H), 5.05 (d, J = 1.6 Hz, 2H), 4.12 (m, 1H), 2.52 (m, 3H)	
AC43		481.75 ([M + H]$^+$)	8.69 (s, 1H), 8.52 (s, 2H), 7.45 (d, J = 7.6 Hz, 1H), 7.37 (d, J = 2.0 Hz, 1H), 7.26 (m, 2H), 7.21 (m, 1H), 6.83 (s, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.4 Hz, 1H), 4.81 (d, J = 5.6 Hz, 2H), 4.12 (t, J = 8.4 Hz 1H), 2.45 (s, 3H)	1663, 1608, 1168, 1114, 801
AC44		528.01 ([M + H]$^+$)	8.44 (d, J = 2.4 Hz, 1H), 7.69 (d, J = 2.4 Hz, 1H), 7.37 (m, 1H), 7.33 (s, 1H), 7.31 (s, 1H), 7.26 (m, 1H), 7.24 (m, 3H), 6.57 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.0 Hz, 1H), 5.96 (d, J = 7.2 Hz, 1H), 5.32 (t, J = 7.2 Hz, 1H), 4.11 (t, J = 8.4 Hz, 1H), 2.41 (s, 3H), 1.61 (d, J = 7.2 Hz, 3H)	1640, 1166, 1112, 800
AC45		512.88 ([M + H]$^+$)	7.66 (s, 1H), 7.37 (d, J = 6.8 Hz, 2H), 7.26 (m, 3H), 7.18 (m, 1H), 7.11 (m, 2H), 6.99 (m, 1H), 6.57 (d, J = 15.6 Hz, 1H), 6.39 (dd, J = 15.6, 8.0 Hz, 1H), 4.11 (t, J = 8.4 Hz, 1H), 3.36 (s, 3H), 2.43 (s, 3H)	1657, 1167, 1106, 800
AC46	61-64	575.93 ([M + H]$^+$)	8.42 (d, J = 2.0 Hz, 1H), 7.76 (d, J = 2.4 Hz, 1H), 7.61 (m, 2H), 7.39 (m, 3H), 7.26 (s, 2H), 6.54 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 16.0, 7.6 Hz, 1H), 4.65 (d, J = 6.0 Hz, 2H), 4.14 (m, 1H)	
AC47		525.89 ([M − H]$^-$)	10.02 (s, 1H), 9.87 (s, 1H), 8.47 (t, J = 6.0 Hz, 1H), 7.66 (s, 3H), 7.44 (s, 1H), 7.40 (d, J = 3.6 Hz, 2H), 6.86 (dd, J = 15.6,	3280, 1640

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			9.2 Hz, 1H), 6.74 (d, J = 15.6 Hz, 1H), 4.82 (t, J = 9.6 Hz, 2H), 3.88 (d, J = 6.0 Hz, 2H), 2.36 (s, 3H), 1.63 (m, 1H), 0.76 (m, 4H)	
AC48		509.96 ([M − H]$^-$)	7.37 (m, 7H), 7.34 (m, 3H),, 6.57 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.0 Hz, 1H), 6.01 (m, 1H), 4.60 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 2.46 (s, 3H)	3275, 1642
AC49		518.85 ([M + H]$^+$)	8.39 (d, J = 2.0 Hz, 1H), 8.11 (m, 1H), 7.71 (d, J = 2.4 Hz, 1H), 7.41 (m, 3H), 7.17 (m, 3H), 6.59 (d, J = 16.0 Hz, 1H), 6.47 (dd, J = 16.0, 8.0 Hz, 1H), 4.66 (d, J = 5.6 Hz, 2H), 4.14 (m, 1H)	1658, 1112, 1025, 2219
AC50		481.88 ([M + H]$^+$)	8.72 (m, 1H), 7.67 (s, 3H), 7.46 (s, 1H), 7.40 (m, 2H), 7.08 (s, 1H), 6.82 (m, 2H), 6.55 (d, J = 7.6 Hz, 1H), 4.82 (m, 1H), 4.48 (s, 2H), 3.65 (s, 3H), 2.38 (s, 3H)	1654, 1112, 800, 3069
AC51		540.83 ([M + H]$^+$)	7.45 (d, J = 7.6 Hz, 1H), 7.38 (m, 1H), 7.27 (m, 2H), 7.22 (m, 2H), 6.85 (m, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.33 (m, 2H), 4.14 (m, 3H), 3.18 (s, 3H), 2.48 (s, 3H)	1652, 1571, 802, 1114, 2926
AC52		488.29 ([M − H]$^-$)	7.33 (m, 2H), 7.25 (m, 3H), 6.56 (d, J = 15.6 Hz, 1H), 6.37 (dd, J = 15.6, 8.0 Hz, 1H), 5.61 (d, J = 8.0 Hz, 1H), 4.21 (m, 1H), 4.01 (m, 1H), 4.08 (m, 2H), 3.56 (t, J = 10.0 Hz, 2H), 2.48 (m, 2H), 2.08 (m, 2H), 1.5 (m, 3H)	1635, 11134, 813, 2927
AC53		532.92 ([M + H]$^+$)	8.49 (d, J = 2.0 Hz, 1H), 7.69 (d, J = 2.4 Hz, 1H), 7.43 (d, J = 8.0 Hz, 1H), 7.34 (m, 3H), 7.26 (m, 2H), 6.95 (m, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 4.72 (d, J = 5.2 Hz, 2H), 4.09 (m, 1H), 2.47 (s, 3H)	1651, 3027, 815, 1113
AC54		529.06 ([M − H]$^-$)	8.37 (d, J = 5.2 Hz, 1H), 7.41 (d, J = 8.0 Hz, 1H), 7.36 (m, 3H), 7.31 (m, 1H), 7.26 (m, 2H), 6.58 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz, 1H), 5.20 (t, J = 5.6 Hz, 1H), 4.63 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 2.18 (s, 3H)	1654, 3434, 814, 1112
AC57		464.96 ([M + H]$^+$)	8.69 (t, J = 6.0 Hz, 1H), 8.58 (t, J = 6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, J = 6.4 Hz, 2H), 7.62 (d, J = 8.4 Hz, 1H), 7.45 (d, J = 8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, J = 15.6 Hz, 1H), 6.76 (dd, J = 15.6,	3417, 1658, 1165, 817

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			8.0 Hz, 1H), 4.01 (m, J = 8.0 Hz, 1H), 3.71 (m, 2H), 3.49 (m, 2H)	
AC58	124.4-126.9	599.76 ([M + H]$^+$)	7.62 (m, 2H), 7.40 (s, 2H), 7.37 (d, J = 1.6 Hz, 1H), 6.61 (t, J = 4.8 Hz, 1H), 6.55 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 7.6 Hz, 1H), 4.16 (d, J = 6.0 Hz, 2H), 4.01 (m, 1H), 1.56 (s, 9H)	
AC59	80-83	497.40 ([M − H]$^-$)	8.42 (d, J = 2.1 Hz, 1H), 8.29 (d, J = 7.5 Hz, 1H), 7.51 (m, 2H), 7.39 (m, 1H), 7.36 (m, 4H), 7.28 (m, 1H), 6.61 (d, J = 15.9 Hz, 1H), 6.45 (dd, J = 15.9, 7.8 Hz 1H), 4.14 (t, J = 8.4 Hz, 1H), 2.51 (s, 3H)	
AC60		515.09 ([M + H]$^-$)	8.52 (s, 1H), 8.39 (d, J = 1.8 Hz, 2H), 7.70 (d, J = 2.1 Hz, 1H), 7.62 (s, 1H), 7.43 (s, 1H), 7.35 (m, 3H), 6.62 (d, J = 16.2 Hz, 1H), 6.52 (dd, J = 16.2, 7.5 Hz, 1H), 4.62 (d, J = 6.3 Hz, 2H), 4.19 (m, 1H), 2.76 (s, 3H)	1668, 1589, 1167, 1113, 802
AC61		461.90 ([M − H]$^-$)	8.07 (t, J = 8.0 Hz, 1H), 7.39 (t, J = 2.0 Hz, 1H), 7.28 (d, J = 1.2 Hz, 3H), 7.17 (d, J = 1.6 Hz, 1H), 7.11 (m, 1H), 6.59 (d, J = 15.6 Hz, 1H), 6.47 (dd, J = 15.6, 7.6 Hz, 1H), 5.49 (m, 1H), 4.14 (t, J = 8.4 Hz, 1H), 3.48 (m, 4H)	1658, 1114, 801
AC62	105-108	528.88 ([M − H]$^-$)	8.62 (t, J = 6.4 Hz, 1H), 8.46 (m, 1H), 7.73 (m, 5H), 7.48 (d, J = 7.6 Hz, 1H), 7.03 (dd, J = 15.6, 9.2 Hz, 1H), 6.81 (d, J = 15.6 Hz, 1H), 4.86 (m, 1H), 3.97 (m, 4H)	
AC63	77-80	594.67 ([M + H]$^+$)	8.43 (s, 1H), 7.76 (d, J = 2.4 Hz, 1H), 7.60 (m, 2H), 7.38 (d, J = 7.6 Hz, 1H), 7.33 (d, J = 6.4 Hz, 3H), 6.54 (d, J = 16.0 Hz, 1H), 6.46 (m, 1H), 6.41 (dd, J = 16.0 8.0 Hz, 1H), 4.65 (d, J = 6.0 Hz, 2H), 4.15 (m, 1H)	3257, 1653
AC64	83-85	580.72 ([M − H]$^-$)	7.72 (d, J = 8.0 Hz, 1H), 7.44 (s, 1H), 7.40 (s, 2H), 7.36 (d, J = 6.8 Hz, 1H), 7.05 (t, J = 5.2 Hz, 1H), 6.70 (t, J = 5.2 Hz, 1H), 6.57 (d, J = 15.6 Hz, 1H), 6.44 (dd, J = 15.6, 8.0 Hz, 1H), 4.23 (d, J = 5.6 Hz, 2H), 4.15 (m, 1H), 4.01 (m, 2H)	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC65		534.72 ([M − H]$^-$)	8.39 (d, J = 2.0 Hz, 1H), 8.12 (t, J = 8.4 Hz, 1H), 7.71 (d, J = 2.4 Hz, 1H), 7.34 (m, 3H), 7.26 (m, 1H), 7.11 (m, 2H), 6.59 (d, J = 16.0 Hz, 1H), 6.46 (dd, J = 16.0, 8.0 Hz, 1H), 4.66 (d, J = 5.2 Hz, 2H), 4.13 (m, 1H)	1658, 1113, 817, 2925
AC66	73-75	624.61 ([M − H]$^-$)	7.88 (s, 1H), 7.63 (d, J = 1.6 Hz, 1H), 7.57 (d, J = 8.0 Hz, 1H), 7.40 (m, 2H), 6.80 (t, J = 5.6 Hz, 1H), 6.70 (t, J = 5.6 Hz, 1H), 6.56 (d, J = 16.0 Hz, 1H), 6.44 (dd, J = 16.0, 8.0 Hz, 1H), 4.22 (m, 2H), 4.12 (m, 1H), 4.01 (m, 2H)	
AC67		479.82 ([M − H]$^-$)	8.07 (t, J = 8.0 Hz, 1H), 7.34 (d, J = 6.0 Hz, 2H), 7.28 (s, 1H), 7.17 (s, 2H), 6.59 (d, J = 15.6 Hz, 1H), 6.46 (dd, J = 15.6, 8.0 Hz, 1H), 5.49 (m, 1H),, 4.12 (m, 1H), 3.49 (m, 4H).	3272, 1644
AC68	90-93	546.80 ([M − H]$^-$)	8.6 (t, J = 6.4 Hz, 1H), 8.45 (m, 1H), 7.86 (d, J = 6.4 Hz, 2H), 7.75 (t, J = 8.0 Hz, 1H), 7.63 (d, J = 12.0 Hz, 1H), 7.48 (d, J = 8.0 Hz, 1H), 7.03 (dd, J = 15.6, 9.6 Hz, 1H), 6.80 (d, J = 15.6 Hz, 1H), 4.88 (m, 1H), 3.96 (m, 4H)	3315, 1684
AC69		542.82 ([M − H]$^-$)	7.41 (d, J = 8.0 Hz, 1H), 7.34 (d, J = 5.6 Hz, 2H), 7.26 (m, 1H), 7.23 (m, 1H), 6.81 (s, 1H), 6.57 (d, J = 15.6 Hz, 1H), 6.55 (s, 1H), 6.39 (dd, J = 15.6, 8.0 Hz, 1H), 4.18 (m, 2H), 4.13 (m, 1H), 3.97 (m, 2H), 2.46 (s, 3H)	3294, 1685
AC70	176-178	545.23 ([M − H]$^-$)	8.38 (d, J = 2.4 Hz, 1H), 8.22 (d, J = 6.8 Hz, 2H), 7.71 (d, J = 2.4 Hz, 1H), 7.35 (d, J = 6.0 Hz, 2H), 7.30 (d, J = 7.6 Hz, 1H), 7.15 (d, J = 1.6 Hz, 1H), 6.93 (d, J = 1.2 Hz, 1H), 6.60 (d, J = 15.6 Hz, 1H), 6.43 (dd, J = 15.6, 7.6 Hz, 1H), 4.66 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 3.98 (s, 3H)	
AC71		492.20 ([M − H]$^-$)	8.24 (d, J = 7.6 Hz, 1H), 8.15 (d, J = 8.4 Hz, 1H), 7.35 (d, J = 6.0 Hz, 2H), 7.13 (d, J = 1.2 Hz, 1H), 6.92 (s, 1H), 6.61 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 7.6 Hz, 1H), 5.48 (m, 1H), 4.13 (m, 1H), 4.03 (s, 3H), 3.48 (m, 4H)	1639, 3079, 858
AC72		543.05 ([M − H]$^-$)	8.42 (d, J = 2.4 Hz, 1H), 7.75 (d, J = 2.4 Hz, 1H), 7.34 (m, 4H), 7.20 (m, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.0 Hz, 1H),	1642, 3246, 814, 1113

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			6.12 (t, J = 5.6 Hz, 1H), 4.62 (d, J = 6.0 Hz, 2H), 4.20 (m, 1H), 2.82 (m, 2H), 1.45 (t, J = 5.6 Hz, 3H)	
AC75		644.78 ([M + H]$^+$)	8.72 (s, 1H), 7.97 (d, J = 7.2 Hz, 1H), 7.70 (d, J = 8.4 Hz, 1H), 7.61 (m, 2H), 7.40 (m, 2H), 6.55 (m, 2H), 6.42 (dd, J = 16.0, 8.0 Hz, 1H), 4.76 (d, J = 6.0 Hz, 2H), 4.12 (m, 1H)	3431, 1652, 1171, 809
AC76		531.34 ([M + H]$^+$)	8.87 (t, J = 6.0 Hz, 1H), 8.34 (d, J = 2.1 Hz, 1H), 7.85 (d, J = 6.3 Hz, 3H), 7.48 (m, 4H), 6.57 (d, J = 15.6 Hz, 1H), 6.45 (dd, J = 15.6, 9.0 Hz, 1H), 4.84 (m, 1H), 4.49 (d, J = 5.7 Hz, 2H), 2.82 (m, 2H), 2.36 (t, J = 5.6 Hz, 3H)	3120, 1708, 1171
AC77		531.1 ([M + H]$^+$)	8.87 (t, J = 6.0 Hz, 1H), 8.34 (d, J = 2.1 Hz, 1H), 7.85 (d, J = 6.3 Hz, 3H), 7.48 (m, 4H), 6.57 (d, J = 15.6 Hz, 1H), 6.45 (dd, J = 15.6, 8.0 Hz, 1H), 4.84 (m, 1H), 4.49 (d, J = 5.7 Hz, 2H), 2.36 (s, 3H)	3444, 1648, 1114, 814
AC78		561.06 ([M + H]$^+$)	8.59 (t, J = 6.4 Hz, 1H), 8.47 (t, J = 5.6 Hz, 1H), 7.89 (s, 2H), 7.45 (m, 3H), 6.87 (m, 1H), 6.75 (d, J = 15.6 Hz, 1H), 4.85 (t, J = 8.0 Hz 1H), 3.98 (m, 4H), 2.58 (s, 3H)	3432, 1631, 1161, 840
AC79		610.97 ([M + H]$^+$)	8.69 (t, J = 6.0 Hz, 1H), 8.58 (t, J = 6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, J = 6.4 Hz, 2H), 7.62 (d, J = 8.4 Hz, 1H), 7.45 (d, J = 8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, J = 15.6 Hz, 1H) 4.83 (t, J = 8.0 Hz, 1H), 3.98 (m, 4H)	3303, 1658, 1166, 817
AC80		561.06 ([M + H]$^+$)	7.37 (m, 3H), 7.26 (m, 1H), 7.24 (m, 1H), 6.59 (d, J = 15.6 Hz, 1H), 6.39 (dd, J = 15.6, 8.0 Hz, 1H), 4.24 (m, 4H), 3.90 (m, 1H), 2.83 (m, 2H), 1.26 (m, 3H)	3412, 1624, 1157, 825
AC81	9-92	546.93 ([M − H]$^-$)	8.73 (d, J = 5.6 Hz, 1H), 8.45 (t, J = 6.0 Hz, 1H), 7.76 (s, 3H), 7.45 (m, 3H), 6.86 (dd, J = 16.0, 9.2 Hz, 1H), 4.83 (m, 1H), 4.56 (m, 2H), 4.51 (m, 1H), 4.10 (m, 2H), 3.85 (d, J = 6.0 Hz, 2H), 2.50 (m, 3H)	
AC82		477.69 ([M + H]$^+$)	7.38 (d, J = 1.8 Hz, 2H), 7.33 (s, 1H), 7.27 (s, 3H), 6.58 (d, J = 16.0 Hz, 1H), 6.42 (d, J = 8.1 Hz, 1H), 6.36 (dd, J = 16.0, 7.8 Hz, 1H), 4.71 (m, 1H), 4.23 (m, 3H), 3.26 (m, 2H), 2.45 (s, 3H)	1646, 1353, 1196, 1112, 800

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC83		493.83 ([M − H]$^-$)	8.07 (t, J = 8.4 Hz, 1H), 7.39 (t, J = 1.6 Hz, 1H), 7.31 (d, J = 1.2 Hz, 1H), 7.26 (m, 2H), 7.23 (m, 1H), 7.19 (d, J = 1.6 Hz, 1H), 6.60 (d, J = 16.8 Hz, 1H), 6.49 (dd, J = 16.8, 7.6 Hz, 1H), 4.90 (m, 1H), 4.64 (m, 2H), 4.14 (m, 2H), 4.10 (m, 1H)	1527, 1113, 801, 1167, 1321
AC84		511.75 ([M − H]$^-$)	8.07 (t, J = 8.0 Hz, 1H), 7.34 (m, 3H), 7.19 (d, J = 13.2 Hz, 1H), 6.60 (d, J = 16.4 Hz, 1H), 6.48 (dd, J = 16.4, 8.0 Hz, 1H), 4.88 (m, 1H), 4.62 (m, 2H), 4.12 (m, 3H)	1645, 1113, 804, 3030, 1245
AC85		523.83 ([M − H]$^-$)	8.60 (d, J = 6.8 Hz, 1H), 8.15 (d, J = 8.4 Hz, 1H), 7.35 (d, J = 6.0 Hz, 1H), 7.15 (d, J = 7.2 Hz, 1H), 6.94 (s, 1H), 6.60 (d, J = 15.6 Hz, 1H), 6.44 (dd, J = 7.6, 7.6 Hz, 1H), 4.93 (m, 1H), 4.62 (m, 2H), 4.13 (m, 6H)	1652, 3039, 802, 1114
AC86		524.36 ([M + H]$^+$)	7.35 (d, J = 6.3 Hz, 3H), 7.26 (m, 2H), 7.20 (m, 1H), 6.60 (d, J = 15.9 Hz, 1H), 6.47 (dd, J = 15.9, 6.6 Hz, 1H), 4.86 (m, 1H), 4.65 (m, 2H), 4.13 (m, 3H), 2.84 (q, 2.8 Hz, 2H), 1.26 (m, 3H)	3333, 1651, 815
AC87		495.82 ([M − H]$^-$)	8.07 (t, J = 8.0 Hz, 1H), 7.52 (m, 3H), 7.19 (d, J = 13.2 Hz, 1H), 6.59 (d, J = 16.4 Hz, 1H), 6.47 (dd, J = 16.4, 8.0 Hz, 1H), 4.69 (m, 1H), 4.23 (m, 3H), 3.29 (m, 2H)	1623, 1114, 816
AC89		509.89 ([M + H]$^+$)	7.43 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.58 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 7.6 Hz, 1H), 4.79 (d, J = 5.6 Hz, 2H), 4.14 (m, 1H), 2.48 (s, 3H), 2.18 (m, 1H), 1.16 (m, 4H)	1666, 1166, 1112, 800
AC90		656.9 ([M − H]$^-$)	8.34 (m, 1H), 8.27 (m, 1H), 7.60 (d, J = 1.6 Hz, 1H), 7.49 (d, J = 8.0 Hz, 2H), 7.40 (s, 2H), 7.36 (dd, J = 8.2, 1.7 Hz, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 15.9, 7.9 Hz, 1H), 4.89 (d, J = 8.4 Hz, 2H), 4.48 (d, J = 9.0 Hz, 2H), 4.11 (m, 1H)	
AC91		640.9 ([M − H]$^-$)	8.18 (t, J = 5.0 Hz, 1H), 7.58 (d, J = 1.6 Hz, 1H), 7.47 (d, J = 8.0 Hz, 1H), 7.40 (s, 2H), 7.34 (dd, J = 8.1, 1.6 Hz, 1H), 6.52 (m, 2H), 6.37 (dd, J = 15.9, 7.9 Hz, 1H), 4.54 (d, J = 4.9 Hz, 2H), 4.12 (m, 1H), 3.99 (qd, J = 8.9, 6.5 Hz, 2H)	
AC92		640.9 ([M − H]$^-$)	9.16 (d, J = 6.1 Hz, 1H), 7.65 (d, J = 1.6 Hz, 1H), 7.57 (d, J = 8.0 Hz, 1H),	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			7.41 (m, 3H), 7.21 (t, J = 5.6 Hz, 1H), 6.55 (d, J = 15.9 Hz, 1H), 6.41 (dd, J = 15.9, 7.8 Hz, 1H), 4.59 (d, J = 5.6 Hz, 2H), 4.45 (qd, J = 9.0, 6.0 Hz, 2H), 4.12 (q, J = 7.2 Hz, 1H)	
AC93		485.5 ([M + H]$^+$)	7.52-7.41 (d, J = 8.2 Hz, 1H), 7.39-7.34 (m, 1H), 7.24-7.17 (d, J = 1.8 Hz, 2H), 7.02-6.92 (m, 2H), 6.90-6.83 (d, J = 11.4 Hz, 1H), 6.71 (br s, 1H), 6.17 (br s, 1H), 6.12-6.01 (dd, J = 11.4, 10.3 Hz, 1H), 4.44-4.38 (d, J = 4.2 Hz, 1H), 4.35-4.27 (m, 1H), 4.10-3.99 (d, J = 5.1 Hz, 2H), 2.78-2.67 (m, 1H), 2.44 (s, 3H), 0.88-0.78 (m, 2H), 0.60-0.45 (m, 2H)	^{13}C NMR (δ)[3] 169.91, 169.84, 138.23, 137.41, 136.84, 134.79, 134.69, 131.07, 128.69, 127.49, 127.43, 126.72, 126.61 (q, J = 212.10 Hz), 125.61, 123.76, 47.89 (q, J = 28.28 Hz), 43.46, 22.65, 19.97, 8.21
AC94		511.6 ([M]$^-$)	8.36-8.24 (d, J = 2.4 Hz, 1H), 7.75-7.64 (m, 1H), 7.38-7.24 (m, 3H), 7.24-7.09 (d, J = 1.8 Hz, 2H), 6.99-6.90 (m, 2H), 6.89-6.74 (d, J = 11.4 Hz, 1H), 6.63-6.43 (m, 1H), 6.14-5.98 (m, 1H), 4.69-4.51 (d, J = 6.1 Hz, 2H), 4.37-4.20 (m, 1H), 2.46-2.31 (s, 3H)	3262, 1607, 1247, 1164, 1111
AC95	48-61	626.9 ([M + H]$^+$)	7.58 (d, J = 7.9 Hz, 1H), 7.44-7.29 (m, 3H), 7.14 (dd, J = 7.9, 1.6 Hz, 1H), 6.86 (d, J = 11.4 Hz, 1H), 6.76 (t, J = 5.9 Hz, 1H), 6.59 (br s, 1H), 6.21-6.04 (m, 1H), 4.23 (d, J = 5.5 Hz, 1H), 3.98 (qd, J = 9.0, 6.5 Hz, 2H)	
AC96		619.6 ([M + H]$^+$)	8.83 (s, 1H), 8.06 (br, 1H), 7.90 (s, 2H), 7.63 (d, J = 8.1 Hz, 2H), 7.53 (m, 1H), 6.94 (m, 1H), 6.77 (d, J = 15.3 Hz, 1H), 6.63 (d, J = 9.3 Hz, 1H), 4.84 (m, 1H), 4.30 (d, J = 5.6 Hz, 2H), 2.99 (s, 6H)	1616, 1114
AC97		606.6 ([M + H]$^+$)	8.20 (d, J = 2.1 Hz, 1H), 7.73 (d, J = 2.7 Hz, 1H), 7.60 (m, 2H), 7.39 (s, 2H), 7.29 (m, 1H), 6.79 (d, J = 8.4 Hz, 1H), 6.55 (d, J = 15.9 Hz, 1H), 6.40 (m, 2H), 4.60 (d, J = 2.7 Hz, 2H), 4.13 (m, 1H), 3.95 (s, 3H)	1644, 1113
AC98		577.87 ([M + H]$^+$)	9.04 (t, J = 6.0 Hz, 1H), 8.60 (t, J = 6.6 Hz, 1H), 8.25 (s, 1H), 7.97 (d, J = 8.1 Hz, 1H), 7.87 (d, J = 6.3 Hz, 2H), 7.69 (d, J = 7.5 Hz, 1H), 7.15 (dd, J = 15.9, 9.3 Hz, 1H),	1663, 1168

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			6.89 (d, J = 15.9 Hz, 1H), 4.86 (m, 1H), 3.98 (m, 4H).	
AC99		574.81 ([M + H]$^+$)	8.69 (t, J = 6.0 Hz, 1H), 8.58 (t, J = 6.6 Hz, 1H), 7.91 (s, 1H), 7.85 (m, 1H), 7.61 (m, 2H), 7.52 (m, 2H), 6.98 (dd, J = 15.3, 9.0 Hz, 1H), 6.76 (d, J = 15.3 Hz, 1H), 4.81 (m, 1H), 4.01 (m, 4H)	1650, 1164
AC100		673.80 ([M + H]$^+$)	8.29 (s, 1H), 8.22 (d, J = 8.1 Hz, 1H), 7.93 (d, J = 7.8 Hz, 1H), 7.72 (m, 1H), 7.65 (m, 2H), 7.40 (s, 2H), 7.18 (br, 1H), 6.59 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 7.6 Hz, 1H), 5.02 (d, J = 1.2 Hz, 2H), 4.12 (m, 1H)	3403, 1659
AC101		636.83 ([M + H]$^+$)	7.56 (d, J = 9.0 Hz, 1H), 7.39 (d, J = 6.0 Hz, 2H), 7.26 (m, 2H), 6.54 (d, J = 15.9 Hz, 1H), 6.37 (dd, J = 8.0, 15.9 Hz, 1H), 4.01 (m, 1H), 3.84 (m, 2H), 3.33 (m, 2H), 3.04 (m, 2H), 2.84 (m, 3H), 2.62 (m, 1H)	1637, 1113
AC102		592.84 ([M + H]$^+$)	7.60 (m, 2H), 7.32 (m, 1H), 7.03 (d, J = 7.2 Hz, 2H), 6.74 (br, 1H), 6.62 (br, 1H), 6.56 (d, J = 16.2 Hz, 1H), 6.41 (dd, J = 16.2, 7.8 Hz, 1H), 4.22 (d, J = 5.4 Hz, 2H), 4.14 (m, 1H), 4.01 (m, 2H)	1668, 1167
AC103	99.2-105.0	612.7 ([M + H]$^+$)	8.40 (d, J = 8.0 Hz, 1H), 7.92 (d, J = 5.2 Hz, 1H), 7.59 (d, J = 8.0 Hz, 1H), 7.35 (d, J = 8.0 Hz, 1H), 6.99 (dd, J = 16.0, 7.6 Hz, 1H), 6.76 (d, J = 16.0 Hz, 1H), 4.84 (m, 1H), 4.23 (d, J = 13.2 Hz, 1H), 3.97 (m, 1H), 3.79 (d, J = 13.6 Hz, 1H), 3.16 (t, J = 11.2 Hz, 1H), 2.77 (t, J = 11.2 Hz, 1H), 1.99 (s, 3H), 1.88 (m, 2H), 1.45 (m, 2H)	1634, 1113, 809
AC104		680.97 ([M + H]$^+$)	7.60 (m, 2H), 7.40 (m 3H), 6.55 (d, J = 15.6 Hz, 1H), 6.41 (dd, J = 15.6, 7.8 Hz, 1H), 4.24 (m, 1H), 3.34 (m, 2H), 2.90 (m, 1H), 2.24 (m, 2H), 1.52 (m, 2H), 1.34 (m, 4H)	3437, 1644, 1113, 807, 511
AC105		609.9 ([M + H]$^+$)	7.59 (s, 1H), 7.55 (m, 1H), 7.50 (m, 1H), 7.40 (m, 2H), 6.54 (d, J = 16.0 Hz, 1H), 6.50 (J = 16.0, 8.0 Hz, 1H), 4.14 (m, 2H), 3.08 (m, 4H), 2.67 (m, 2H), 2.12 (m, 2H), 1.70 (m, 2H).	3303, 1649, 1115, 2242, 809, 506
AC106		584.95 ([M + H]$^+$)	7.59 (s, 1H), 7.51 (d, J = 8.4 Hz, 1H), 7.40 (s, 2H), 7.36 (d, J = 6.8 Hz, 1H), 6.54 (d, J = 16.0 Hz,	3417, 1648, 1112, 805, 555

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 6.03 (d, J = 8.0 Hz, 1H), 4.11 (m, 2H), 3.10 (m, 2H), 2.50 (m, 2H), 2.50 (s, 3H) (m, 2H), 1.94 (m, 2H)	
AC107		609.9 ([M + H]$^+$)	8.41 (d, J = 7.8 Hz, 1H), 7.90 (s, 2H), 7.62 (m, 2H), 7.51 (m, 1H), 6.92 (dd, J = 15.9, 9.0 Hz, 1H), 6.77 (d, J = 15.9 Hz, 1H), 4.81 (m, 1H), 3.73 (s, 2H), 3.31 (m, 1H), 3.28 (m, 1H), 2.82 (t, J = 11.4 Hz, 2H), 2.82 (m, 2H), 2.30 (m, 2H), 1.88 (m, 2H), 1.57 (m, 2H)	3303, 1645, 1115, 2243, 810, 507
AC108		626.9 ([M + H]$^+$)	7.60 (m, 2H) 7.39 (s, 2H), 7.28 (m, 1H), 6.56 (d, J = 15.6 Hz, 1H), 6.40 (dd, J = 15.6, 7.8 Hz, 1H), 5.91 (m, 1H), 4.65 (m, 2H), 4.10 (m, 1H), 4.07 (m, 2H), 3.59 (m, 1H), 2.74 (m, 2H), 2.13 (m, 4H), 2.07 (m, 1H)	3420, 1649, 1113, 809, 554
AC109		614.6 ([M + H]$^+$)	7.56 (m, 2H), 7.39 (s, 2H), 7.29 (s, 1H), 6.50 (d, J = 15.9 Hz, 1H), 6.41 (dd, J = 15.9, 8.0 Hz 1H), 4.09 (m, 1H), 3.88 (m, 2H), 3.49 (m, 2H), 2.92 (m, 2H), 2.81 (m, 1H), 2.74 (m, 2H), 2.25 (m, 4H)	1647, 1113
AC110		572.6 ([M + H]$^+$)	11.20 (s, 1H), 8.66 (br, 1H), 7.92 (m, 3H), 7.62 (d, J = 8.0 Hz, 1H), 7.45 (d, J = 8.0 Hz, 1H), 6.77 (dd, J = 15.6, 9.2 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.85 (m, 1H), 3.74 (d, J = 5.2 Hz, 2H), 3.61 (s, 3H)	3412, 1690, 1114, 846, 559
AC111		582.79 ([M + H]$^+$)	8.63 (t, J = 6.0 Hz, 1H), 8.04 (t, J = 6.0 Hz, 1H), 7.92 (m, 3H), 7.62 (d, J = 1.2 Hz, 1H), 7.47 (d, J = 7.6 Hz, 1H), 7.00 (dd, J = 15.6, 8.8 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 5.19 (d, J = 1.6 Hz, 1H), 5.01 (d, J = 1.2 Hz, 1H), 4.85 (m, 1H), 3.86 (d, J = 5.6 Hz, 2H), 3.75 (t, J = 5.6 Hz, 2H)	3419, 1659, 843, 557
AC112		582.79 ([M + H]$^+$)	8.84 (br, 1H), 8.58 (m, 1H), 8.30 (m, 1H), 7.91 (s, 2H), 7.61 (d, J = 8.1 Hz, 1H), 7.42 (d, J = 7.8 Hz, 1H), 7.00 (dd, J = 15.6, 9.3 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.85 (m, 1H), 4.11 (d, J = 5.6 Hz, 1H), 3.73 (d, J = 5.6 Hz, 1H), 3.04 (s, 6H)	3399, 1662, 1114, 807, 582
AC113		626.88 ([M + H]$^+$)	8.48 (t, J = 5.2 Hz, 1H), 8.3 (s, 1H), 7.90 (s, 2H), 7.79 (dd, J = 2.0, 2.0 Hz 2H), 7.58 (d, J = 8.4 Hz, 1H) 7.46 (d, J = 7.6 Hz,	3431, 1651, 1113, 808, 554

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			1H) 7.26 (d, J = 7.6 Hz, 1H), 6.98 (m, 1H), 6.75 (d, J = 15.6 Hz, 1H), 4.85 (m, 1H), 3.49 (d, J = 6.4 Hz, 2H) 2.87 (t, J = 6.4 Hz, 2H)	
AC114	113.7-117.5	570.7 ([M + H]$^+$)	8.77 (s, 1H), 8.58 (d, J = 7.2 Hz, 2H), 7.93 (d, J = 7.2 Hz, 2H), 7.60 (dd, J = 1.2, 0.8 Hz, 1H), 7.37 (d, J = 7.6 Hz, 1H), 6.99 (m, 1H), 6.77 (d, J = 16 Hz, 1H), 4.85 (m, 1H), 4.10 (m, 1H) 3.29 (m, 2H), 3.05 (m, 2H), 2.0 (m, 2H), 1.76 (m, 2H)	
AC115		529.00 ([M + H]$^+$)	8.43 (s, 1H), 7.79 (d, J = 8.0 Hz, 1H), 7.51 (m, 1H), 7.36 (d, J = 8.4 Hz, 3H), 7.21 (m, 3H), 6.55 (d, J = 15.6 Hz, 1H), 6.36 (dd, J = 15.6, 8.0 Hz, 1H), 5.04 (d, J = 5.6 Hz, 2H), 4.10 (m, 1H), 2.35 (s, 3H)	1589, 3459, 801, 1110
AC116		614.87 ([M + H]$^+$)	7.99 (d, J = 8.4 Hz, 1H), 7.46 (d, J = 1.6 Hz, 1H), 7.34 (d, J = 6.4 Hz, 2H), 7.28 (m, 2H), 6.62 (m, 2H), 6.47 (dd, J = 16.0, 7.2 Hz, 1H), 4.23 (m, 2H), 4.12 (m, 1H), 4.00 (m, 2H)	3424, 1657, 1165
AC117		525.42 ([M − H]$^-$)	8.39 (br, 1H), 7.85 (br, 1H), 7.62 (m, 3H), 7.53 (d, J = 8.0 Hz, 1H), 7.46 (s, 1H), 7.40 (d, J = 8.0 Hz, 1H), 7.17 (m, 1H), 6.78 (dd, J = 16.0, 8.8 Hz, 1H), 6.70 (m, 1H), 4.77 (m, 1H), 4.66 (s, 1H), 4.32 (s, 1H), 2.97 (s, 3H), 2.16 (s, 3H)	3401, 1636, 1113, 750
AC118		471.79 ([M + H]$^+$)	7.36 (d, J = 8.0 Hz, 2H), 7.27 (m, 2H), 7.22 (m, 2H), 6.57 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 6.10 (br, 1H), 4.15 (m, 2H), 3.89 (m, 1H), 3.80 (m, 2H), 3.35 (m, 1H), 2.46 (s, 3H), 2.06 (s, 1H), 1.96 (m, 2H), 1.65 (m, 1H)	3437, 1655, 1262, 1105, 802
BC1		492.17 ([M + H]$^+$)	7.39 (s, 2H), 7.25-7.18 (m, 3H), 6.58 (d, J = 16.0 Hz, 1H), 6.30 (dd, J = 16.0, 8.4 Hz, 1H), 5.91-5.70 (br, 2H), 4.05 (m, 1H), 3.05-2.80 (m, 6H), 2.70 (m, 1H), 1.81 (m, 1H)	3211, 1569, 1113, 806
BC2		506.4 ([M + H]$^+$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.4 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.52 (dd, J = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H), 3.38 (m, 2H), 2.98 (m, 2H), 2.71 (m, 1H), 2.04 (m, 2H), 1.54 (s, 3H).	2923, 1542, 1033, 805
BC3		518.04 ([M − H]$^-$)	7.40 (s, 2H), 7.33-7.22 (m, 3H), 6.61 (d, J = 16.0 Hz, 1H), 6.34-6.28 (dd, J = 16.0, 8.0 Hz,	3120, 1592, 1146, 895

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			1H), 5.96-5.80 (m, 3H), 5.22 (m, 4H), 4.01 (m, 2H), 2.84-2.99 (m, 2H), 2.71 (m, 1H), 1.86 (m, 1H)	
BC4		529.02 ([M + H]$^+$)	7.39 (s, 2H), 7.25-7.20 (m, 3H), 6.34 (d, J = 16.0 Hz, 1H), 6.30 (dd, J = 16.0, 8.0 Hz, 1H), 5.81 (br, 1H), 5.48 (m, 1H), 4.10 (m, 1H), 3.10 (m, 2H), 2.86-3.07 (m, 2H), 2.86 (m, 1H), 1.81 (m, 1H);	3283, 1652, 1241, 811
BC5		544.25 ([M − H]$^-$)	7.40 (s, 2H), 7.21 (s, 1H), 7.12 (m, 1H), 6.56 (d, J = 16.0 Hz, 1H), 6.32 (dd, J = 16.0, 8.4 Hz, 1H), 5.85 (br s, 1H), 5.23 (br s, 1H), 4.12 (m, 1H), 3.18 (m, 3H), 2.80 (m, 3H), 2.08 (m, 2H), 1.83 (m, 5H), 1.25 (m, 2H), 1.01 (m, 3H), 0.78 (m, 2H)	3489, 3291, 1655, 1112, 808
BC6		485.96 ([M − H]$^-$)	7.40 (s, 2H), 7.31-7.18 (m, 3H), 6.58 (d, J = 16.0 Hz, 1H), 6.24-6.28 (dd, J = 16.0, 8.0 Hz, 1H), 5.40 (br, 1H), 4.01 (m, 2H), 2.78-3.01 (m, 2H), 2.51 (s, 1H), 1.86 (m, 1H), 1.20 (m, 2H), 1.01 (m, 2H), 0.78 (m, 2H)	3429, 1114, 804
BC7		500.01 ([M − H]$^-$)	7.40 (s, 2H), 7.31 (s, 1H), 7.18 (m, 1H), 7.18 (s, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.32 (dd, J = 16.0, 8.0 Hz, 1H), 5.78 (br s, 1H), 5.21 (br s, 1H), 4.01 (m, 1H), 2.78 (m, 2H), 2.01 (m, 1H), 1.86 (m, 4H), 1.25 (m, 2H), 1.01 (m, 3H), 0.78 (m, 2H)	3296, 1115, 806
BC8		511.88 ([M − H]$^-$)	7.38-7.20 (m, 5H), 6.62 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.12 (m, 2H), 3.06-2.82 (m, 2H), 2.75 (m, 1H), 1.85 (m, 1H)	1657, 1113, 855
BC9	179-181	556.83 ([M − H]$^-$)	8.30 (s, 1H), 7.68 (d, J = 6.4 Hz, 1H), 7.38-7.20 (m, 5H), 6.60 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 5.63 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.56 (s, 2H), 3.06-2.82 (m, 2H), 2.70 (m, 1H), 1.82 (m, 1H)	
BC10		497.98 ([M − H]$^-$)	7.38-7.20 (m, 5H), 6.62 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.02 (m, 3H), 2.82 (m, 1H), 2.50 (m, 3H), 1.82 (m, 1H), 1.42 (m, 1H)	3027, 1654, 815

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
BC11		530.09 ([M − H]$^-$)	7.80 (m, 1H), 7.48 (m, 2H), 7.32 6.65 (d, J = 16.0 Hz, 1H), 6.54 (dd, J = 16.0, 8.0 Hz, 1H), 5.38 (m, 1H), 4.18 (m, 1H), 3.62 (m, 1H), 3.32 (m, 1H), 2.86 (m, 1H), 1.81 (m, 1H)	1715, 1113, 816
BC12		514.86 ([M + H]$^+$)	7.32, (d, J = 6.0 Hz, 2H) 7.28 (m, 1H), 7.20 (d, J = 8.0, 1H), 7.14 (d, J = 8.8, 1H), 6.70 (d, J = 8.0 Hz, 1H), 6.60 (m, 2H), 4.15 (m, 1H), 3.85 (m, 1H), 3.65 (m, 1H), 3.46 (m, 2H), 3.19 (m, 2H);	3428, 1112, 857
BC13	121-126	553.06 ([M − H]$^-$)	8.33 (br, 1H), 7.59 (s, 1H), 7.45 (m, 3H), 6.72 (d, J = 3.6, 1H), 6.39 (m, 1H), 4.71 (t, J = 7.2 Hz, 2H), 4.15 (m, 2H)	
BC14	172-175	554.0 ([M − H]$^-$)	8.83 (t, J = 6.6 Hz, 1H), 8.42 (t, J = 14.7 Hz, 1H), 8.22 (d, J = 8.1 Hz, 1H), 8.13 (t, J = 6.3 Hz, 1H), 7.98-7.86 (m, 2H), 7.16-7.07 (m, 1H), 7.01-6.93 (m, 1H), 4.96-4.81 (m, 3H), 4.00-3.88 (m, 2H)	
CC1	107-109	402.00 ([M + H]$^+$)	7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.0 Hz, 1H), 5.75 (br s, 1H), 4.46 (d, J = 6 Hz, 2H), 4.01 (m, 1H), 2.11 (s, 3H)	
CC2	118-120	428.11 ([M + H]$^+$)	7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 5.83 (br s, 1H), 4.46 (d, J = 6.0 Hz, 2H), 4.11 (m, 1H), 1.40 (m, 1H), 1.02 (m, 2H), 0.77 (m, 2H)	
CC3	119-122	468.20 ([M − H]$^-$)	7.38 (m, 3H), 7.27 (m, 3H), 6.60 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.4 Hz, 1H), 5.00 (br s, 1H), 4.48 (d, J = 5.6 Hz, 2H), 4.11 (m, 1H), 3.15 (q, J = 10.4 Hz, 2H)	
CC4		414.16 ([M − H]$^-$)	7.37 (m, 3H), 7.28 (m, 3H), 6.60 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 5.69 (br s, 1H), 4.46 (d, J = 6.0 Hz, 2H), 4.21 (m, 1H), 2.29 (q, J = 5.8 Hz, 2H), 1.30 (t, J = 7.2 Hz, 3H)	
CC5		460.28 ([M − H]$^-$)	7.40 (m, 3H), 7.28 (m, 2H), 6.60 (d, J = 15.6 Hz, 1H), 6.33 (dd, J = 15.6, 8.0 Hz, 1H), 5.84 (br s, 1H), 4.46 (d, J = 5.6 Hz, 2H), 4.10 (m, 1H), 1.36 (m, 1H), 1.02 (m, 2H), 0.77 (m, 2H)	
CC6	106-108	504.08 ([M − H]$^-$)	7.40 (m, 3H), 7.26 (m, 1H), 6.60 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H),	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			5.96 (br s, 1H), 4.49 (d, J = 5.6 Hz, 2H), 4.10 (m, 1H), 3.15 (q, J = 10.8 Hz, 2H)	
CC7	127-128	436.03 ([M + H]$^+$)	7.42 (m, 4H), 7.24 (m, 2H), 6.53 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.0 Hz, 1H), 5.86 (br s, 1H), 4.51 (d, J = 6.0 Hz, 2H), 4.05 (m, 1H), 2.02 (s, 3H)	
CC8	129-131	462.15 ([M + H]$^+$)	8.58 (t, J = 5.6 Hz, 1H), 7.72 (m, 1H), 7.66 (m, 3H), 7.49 (d, J = 8.0 Hz, 1H), 7.30 (d, J = 8.0 Hz, 1H), 6.90 (dd, J = 16.0, 8.0 Hz, 1H), 6.73 (d, J = 16 Hz, 1H), 4.81 (m, 1H), 4.33 (d, J = 6.0 Hz, 1H), 1.64 (m, 1H), 0.68 (m, 4H)	
CC9	132-134	504.25 ([M + H]$^+$)	7.41 (m, 3H), 7.26 (m, 3H), 6.54 (d, J = 16.0 Hz, 1H), 6.37 (dd, J = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.56 (d, J = 6.0 Hz, 2H), 4.11 (m, 1H), 3.13 (m, 2H)	
CC10		538.03 ([M + 2H]$^+$)	7.38 (m, 4H), 6.56 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 6.18 (m, 1H), 4.58 (m, 2H), 4.08 (m, 1H), 3.08 (m, 2H)	1651, 1112, 807
CC11	111-112	494.12 ([M − H]$^-$)	7.42 (m, 3H), 7.24 (m, 1H), 6.54 (d, J = 15.6 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 6.03 (m, 1H), 4.53 (d, J = 6.0 Hz, 1H), 4.10 (m, 1H), 1.39 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	
CC12	76-78	510.07 ([M − H]$^-$)	7.39 (s, 4H), 7.34 (d, J = 8.0 Hz, 1H), 7.26 (m, 1H), 6.57 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.10 (br s, 1H), 4.49 (d, J = 6.0 Hz, 2H), 4.10 (m, 1H), 1.20 (s, 9H)	
CC13	73-76	563.37 ([M − H]$^-$)	8.51 (d, J = 5.2 Hz, 1H), 7.63 (s, 1H), 7.51 (m, 1H), 7.45 (m, 2H), 7.39 (s, 2H), 7.28 (m, 1H), 6.58 (m, 2H), 6.37 (dd, J = 16.0, 8.0 Hz, 1H), 4.71 (d, J = 6.0 Hz, 1H), 4.11 (m, 1H)	
CC14		581.45 ([M + 1H]$^+$)	8.51 (m, 1H), 8.30 (d, J = 2.4 Hz, 1H), 7.73 (m, 1H), 7.61 (s, 2H), 7.51 (s, 1H), 7.32 (m, 3H), 6.66 (d, J = 16.0 Hz, 1H), 6.56 (dd, J = 16.0, 8.4 Hz, 1H), 4.50 (m, 1H), 4.45 (d, J = 5.6 Hz, 1H), 3.56 (s, 2H)	3430, 1656, 1109, 806

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
CC15		480.24 ([M + H]$^+$)	7.40 (m, 3H), 7.33 (m, 1H), 7.22 (m, 2H), 6.54 (d, J = 15.6 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 6.03 (br s, 1H), 4.53 (d, J = 6.0 Hz, 2H), 4.13 (m, 1H), 1.41 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1651, 1543, 1114, 812
CC16		520.33 ([M − H]$^-$)	7.42 (s, 1H), 7.37 (m, 3H), 7.22 (m, 1H), 6.54 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.0 Hz, 1H), 6.19 (br s, 1H), 4.51 (d, J = 6.0 Hz, 2H), 4.21 (m, 1H), 3.33 (m, 2H)	3307, 1665, 1114, 813
CC17	117-119	459.83 ([M − H]$^-$)	7.51 (m, 2H), 7.39 (m, 2H), 7.24 (m, 2H), 6.52 (d, J = 15.6 Hz, 1H), 6.38 (dd, J = 15.6, 7.6 Hz, 1H), 6.02 (br s, 1H), 4.53 (d, J = 6.0 Hz, 2H), 4.14 (m, 1H), 1.38 (m, 1H)), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1633, 1110, 820
CC18	119-123	501.88 ([M − H]$^-$)	7.48 (m, 2H), 7.41 (s, 1H), 7.36 (d, J = 8.0 Hz, 1H), 7.23 (m, 2H), 6.52 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.56 (d, J = 6.0 Hz, 2H), 4.15 (m, 1H), 3.13 (m, 2H)	3435, 1644, 1111, 817
CC19		530 ([M + H]$^+$)	7.41 (m, 2H), 7.24 (m, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.97 (s, 3H), 2.78 (m, 2H)	3435, 1644, 1111, 817
CC20		512 ([M + H]$^+$)	7.42 (m, 3H), 7.24 (m, 1H), 6.54 (d, J = 15.6 Hz, 1H), 6.34 (dd, J = 15.6, 8.0 Hz, 1H), 6.03 (m 1H), 4.53 (d, J = 6.0 Hz, 1H), 4.10 (m, 1H), 1.19 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1633, 1110, 820
CC21	55-58	493.99 ([M − H]$^-$)	(DMSO-d_6) 8.62 (m, 1H), 7.95 (s, 1H), 7.85 (m, 1H), 7.66 (m, 3H), 7.47 (d, J = 8.0 Hz, 1H), 6.98 (dd, J = 16.0, 8.0 Hz, 1H), 6.84 (d, J = 16.0 Hz, 1H), 4.83 (m, 1H), 4.44 (s, 2H), 1.68 (m, 1H), 0.71 (m, 4H)	
CC22	67-69	530.01 ([M + H]$^+$)	8.62 (m, 1H), 7.90 (s, 3H), 7.82 (m, 1H), 7.45 (m, 1H), 6.98 (m, 1H), 6.84 (d, J = 16.0 Hz, 1H), 4.82 (m, 1H), 4.4 (s, 2H), 1.66 (m, 1H), 0.72 (m, 4H)	
CC23	69-71	564.99 ([M − H]$^-$)	9.02 (br s, 1H), 8.54 (br s, 1H), 8.26 (br s, 1H), 7.48-7.54 (m, 3H), 7.22-7.42 (m, 3H), 6.59-6.62 (m, 2H), 6.38-6.42 (m, 1H), 4.82 (m, 2H), 4.19 (s, 1H)	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
CC24	125-127	570.26 ([M − H]$^-$)	7.64 (s, 1H), 7.54 (s, 2H), 7.46 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.4 Hz, 1H), 6.03 (m, 1H), 4.65 (d, J = 6.4 Hz, 2H), 4.14 (m, 1H,), 3.13 (q, J = 10.6 Hz, 2H)	
CC25		579.86 ([M − H]$^-$)	7.60 (s, 1H), 7.40 (s, 2H), 7.37 (d, J = 8.0 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 6.53 (d, 1H, J = 16.0 Hz), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.17 (br s, 1H), 4.56 (d, J = 6.4 Hz, 2H), 4.12 (m, 1H), 3.15 (q, J = 10.6 Hz, 2H)	3297, 1663, 1114, 809
CC26	129-131	539.89 ([M + H]$^+$)	7.59 (s, 1H), 7.39 (m, 2H), 7.30 (s, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.06 (br s, 1H), 4.42 (d, J = 4.4 Hz, 2H), 4.12 (m, 1H), 1.35 (br s, 1H), 0.95 (br s, 2H), 0.75 (m, 2H)	
CC27		519.95 ([M − H]$^-$)	7.39 (s, 2H), 7.33 (t, J = 7.6 Hz, 1H), 7.14 (m, 2H), 6.56 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 7.6 Hz, 1H), 6.06 (br s, 1H), 4.52 (d, J = 16.0 Hz, 2H), 4.08 (m, 1H), 3.90 (s, 2H), 3.13 (m, 2H)	3306, 1786
CC28		477.93 ([M − H]$^-$)	7.39 (s, 2H), 7.35 (m, 1H), 7.14 (m, 2H), 6.55 (d, J = 15.6 Hz, 1H), 6.33 (dd, J = 15.6, 8.0 Hz, 1H), 5.93 (br s, 1H), 4.49 (d, J = 16.0 Hz, 2H), 4.10 (m, 1H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3625, 1747
CC29		620.86 ([M − H]$^-$)	8.58 (d, J = 4.6 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 16.0, 8.0 Hz, 1H), 5.02 (s, 1H), 4.8 (s, 1H), 4.8 (d, J = 10 Hz, 2H), 4.10 (m, 1H), 1.8 (m, 1H), 1.2 (m, 2H), 0.6 (m, 2H)	1645, 1115, 808
CC30	101-104	559.75 ([M − H]$^-$)	7.41 (m, 4H), 7.24 (m, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.12 (br s, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.91 (s, 3H), 2.78 (m, 2H)	
CC31	177-178	463 ([M − H]$^-$)	7.58 (m, 2H), 7.41 (m, 3H), 7.24 (m, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 4.70 (br s, 1H), 4.43 (s, 2H), 4.08 (m, 1H), 3.21 (m, 2H), 1.25 (m, 3H);	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
CC32	141-142	532.99 ([M + H]$^+$)	7.66 (m, 2H), 7.54 (m, 1H), 7.41 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.59 (s, 3H), 4.19 (m, 1H), 3.25 (m, 2H), 1.15 (m, 2H)	
CC33		540.88 ([M − H]$^-$)	7.57 (s, 1H), 7.40 (m, 2H), 7.30 (s, 1H), 7.20 (br s, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.33 (dd, J = 16.0, 8.0 Hz, 1H), 6.06 (br s, 1H), 4.75 (br s, 1H), 4.42 (s, 2H), 4.20 (br s, 1H), 4.15 (m, 2H), 3.20 (m, 2H), 1.15 (m, 3H)	3338, 1631, 1578, 1114, 809
CC34	118-120	541.40 ([M + H]$^+$)	7.42 (m, 3H), 7.28 (m, 2H), 6.54 (d, J = 16.0 Hz, 1H), 6.36 (dd, J = 16.0, 8.0 Hz, 1H), 4.96 (m, 1H), 4.51 (d, J = 5.6 Hz, 2H), 4.12 (m, 1H), 3.69 (t, J = 4.8 Hz, 4H), 3.35 (t, J = 4.8 Hz, 1H)	
CC35	78-79	547.82 ([M + H]$^+$)	9.95 (br s, 1H), 8.17 (d, J = 4.8 Hz, 1H), 7.61 (d, J = 6.4 Hz), 7.43 (m, 3H), 7.24 (m, 2H), 6.90 (t, J = 5.6 Hz, 1H), 6.66 (d, J = 8.4 Hz, 1H), 6.54 (d, J = 16.0 Hz, 1H), 6.33 (dd, J = 16.0, 8.0 Hz, 1H), 4.65 (d, J = 6.0 Hz, 1H), 4.09 (m, 1H)	
CC36		497 ([M − H]$^-$)	7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, J = 6.0 Hz, 2H), 4.10 (m, 1H), 2.9 (s, 3H), 2.7 (s, 3H)	3350, 1705, 1114, 808
CC37	88-91	515.01 ([M + H]$^+$)	7.49 (d, J = 8 Hz, 1H), 7.41 (d, J = 7.2 Hz, 2H), 7.26 (m, 2H), 6.50 (d, J = 16 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.0 (brs, 1H), 5.73 (br s, 1H), 4.80 (br s, 2H), 4.09 (m, 1H), 1.23 (m, 3H)	
CC38	63-66	526.97 ([M + H]$^+$)	7.48 (d, J = 8 Hz, 1H), 7.39 (m, 3H), 7.27 (m, 1H), 6.54 (d, J = 16 Hz, 1H), 6.33 (dd, J = 6.0, 8.0 Hz, 1H), 6.17 (br s, 1H), 5.92 (br s, 1H), 5.83 (m, 2H), 5.29 (t, J = 15.4 Hz, 2H), 4.80 (br s, 2H), 4.12 (m, 1H), 4.02 (br s, 2H)	
CC39		526.09 ([M − H]$^-$)	7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, J = 6.0 Hz, 2H), 4.10 (m, 1H), 1.53 (s, 9H)	3350, 1705, 1114, 808

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
CC40	159-160	580.25 ([M − H]$^-$)	7.46 (m, 5H), 7.29 (m, 1H), 7.20 (m, 3H), 6.55 (d, J = 16.0 Hz, 1H), 6.37 (dd, J = 16.0, 8.0 Hz, 1H), 5.62 (br s, 1H), 4.55 (d, J = 6.4 Hz, 2H), 4.11 (m, 1H)	
CC41		512.22 ([M − H]$^-$)	7.48 (m, 1H), 7.43 (m, 3H), 7.38 (m, 1H), 7.23 (s, 1H), 6.55 (d, J = 16.0 Hz, 1H), 6.36 (d, J = 16.0 Hz, 1H), 4.60 (d, 2H), 4.18 (m, 1H), 3.85 (s, 3H)	1740, 1701, 1114, 808
CC42	161-163	578.96 ([M − H]$^-$)	(DMSO-d_6) 9.45 (br s, 2H), 7.90 (s, 2H), 7.75 (s, 1H), 7.46 (br s, 1H), 7.28 (br s, 1H), 6.93 (m, 1H), 6.75 (br s, 1H), 4.80 (m, 1H), 4.40 (br s, 2H), 3.90 (br s, 2H)	
CC43	140-142	505.39 ([M + H]$^+$)	8.11 (d, J = 4.0 Hz, 1H), 7.40 (m, 5H), 7.22 (m, 1H), 6.61 (m, 2H), 6.35 (m, 2H), 4.94 (br s, 1H) 4.61 (d, J = 6.4 Hz, 2H), 4.11 (m, 1H)	
CC44		536.88 ([M − H]$^-$)	8.41 (s, 1H), 7.77 (s, 1H), 7.47 (br s, 1H), 7.40 (s, 2H), 6.58 (d, J = 16.0 Hz, 1H), 6.45 (dd, J = 16.0, 8.0 Hz, 1H), 4.68 (d, J = 4.0 Hz, 2H), 4.14 (m, 1H), 3.24 (q, J = 10.8 Hz, 2H)	3320, 1674, 1114, 808
CC45		494.88 ([M − H]$^-$)	8.41 (s, 1H), 7.76 (s, 1H), 7.40 (s, 2H), 7.15 (br s, 1H), 6.58 (d, J = 16.0 Hz, 1H), 6.44 (dd, J = 16.0, 8.0 Hz, 1H), 4.67 (d, J = 4.4 Hz, 2H), 4.16 (m, 1H), 1.57 (m, 1H), 1.04 (m, 2H), 0.87 (m, 2H)	3309, 1659, 1115, 808
CC46	151-153	554.04 ([M − H]$^-$)	8.06 (m, 1H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 1H), 6.42 (m, 1H), 5.92 (br s, 1H), 4.92 (m, 2H), 4.24 (m, 1H), 3.12 (m, 2H)	
CC47		478.09 ([M + H]$^+$)	8.06 (m, 2H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3309, 1659, 1115, 808
CC48		511.05 ([M + H]$^+$)	8.06 (m, 2H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3309, 1659, 1115, 808
CC49	84-87	515.33 ([M + H]$^+$).	8.06 (m, 1H), 7.98 (m, 1H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 4.6 (br s, 1H), 4.24 (m, 1H), 3.21 (m, 2H), 1.2 (t, J = 4.6 Hz, 3H)	
CC50	138-140	461.32 ([M − 1H]$^-$)	9.81 (s, 1H), 7.90 (s, 1H), 7.84 (s, 2H),	

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			7.34 (d, J = 8.4 Hz, 2H), 6.65 (d, J = 15.6 Hz, 1H), 6.61 (m, 1H), 6.57 (s, 1H), 6.48 (dd, J = 15.6, 8.8 Hz, 1H), 4.74 (m, 1H), 1.64 (m, 1H), 0.75 (m, 4H);	
CC51	149-150	505.31 ([M − H]$^-$)	7.56 (br s, 1H), 7.4 (s, 3H), 7.3 (m, 3H), 7.05 (br s, 1H), 6.8 (d, J = 6 Hz, 2H), 6.57 (m, 2H), 6.20 (m, 2H), 4.05 (m, 1H), 3.2 (q, J = 10.4 Hz, 2H)	
CC52		464.87 ([M − H]$^-$)	7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.20 (m, 1H), 5.55 (s, 1H), 4.08 (m, 1H), 1.30-1.10 (m, 4H), 1.90 (m, 1H)	3309, 1659, 1115, 808
CC53		506 ([M + H]$^+$)	7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.20 (m, 1H), 5.55 (s, 1H), 4.08 (m, 1H), 3.21 (m, 2H)	3309, 1659, 1115, 808
CC54		504 ([M + H]$^+$)	7.28 (s, 2H), 7.25 (m, 2H), 7.10 (d, J = 8.0 Hz, 2H), 6.89 (d, J = 11.4 Hz, 1H), 6.07 (br s, 1H), 6.01 (m, 1H), 4.51 (d, J = 5.8 Hz, 2H), 4.34 (m, 1H), 3.12 (q, J = 7.5 Hz, 2H)	
DC1	93-97	398.05 ([M + H]$^+$)	8.56 (s, 1H), 8.11 (s, 1H), 7.68 (d, J = 8.4 Hz, 2H), 7.54 (d, J = 8.4 Hz, 2H), 7.38 (t, J = 1.8 Hz, 1H), 7.29 (s, 2H), 6.62 (d, J = 15.6 Hz, 1H), 6.42 (dd, J = 15.6, 8.2 Hz, 1H), 4.15 (m, 1H)	
DC2		363.0746 (363.075)	8.59 (s, 1H), 8.13 (s, 1H), 7.69 (d, J = 8.5 Hz, 2H), 7.55 (d, J = 8.5 Hz, 2H), 7.41-7.29 (m, 4H), 6.64 (d, J = 15.7 Hz, 1H), 6.47 (dd, J = 15.9, 8.0 Hz, 1H), 4.17 (m, 1H)	3121, 1524, 1251, 1165, 1119
DC3		329.1144 (329.114)	8.56 (s, 1H), 8.11 (s, 1H), 7.65 (d, J = 8.4 Hz, 2H), 7.52 (d, J = 8.3 Hz, 2H), 7.40 (m, 5H), 6.61 (d, J = 15.8 Hz, 1H), 6.51 (dd, J = 15.9, 7.7 Hz, 1H), 4.18 (m, 1H)	1521, 1246, 1219, 1162, 1152, 1107
DC4		364.11 ([M + H]$^+$)	8.56 (s, 1H), 8.10 (s, 1H), 7.66 (d, J = 2.0 Hz, 2H), 7.52 (d, J = 8.8 Hz, 2H), 7.38 (d, J = 2.4 Hz, 2H), 7.34 (d, J = 8.4 Hz, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz, 1H), 4.15 (m, 1H)	3147, 1528, 1494, 1246, 1165, 1108

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
DC5		344.25 ([M + H]$^+$)	8.54 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.3 Hz, 2H), 7.50 (d, J = 8.4 Hz, 2H), 7.25 (d, J = 8.3 Hz, 2H), 7.20 (d, J = 8.0 Hz, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.37 (s, 3H)	3122, 3047, 1523, 1252, 1160, 1107
DC6		360.28 ([M + H]$^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.65 (d, J = 8.8 Hz, 2H), 7.52 (d, J = 8.8 Hz, 2H), 7.32 (d, J = 8.8 Hz, 2H), 6.95 (d, J = 8.8 Hz, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.56 (dd, J = 16.0, 7.4 Hz, 1H), 4.15 (m, 1H), 3.82 (s, 3H)	3124, 2936, 1522, 1249, 1160
DC7		348 ([M + H]$^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.8 Hz, 2H), 7.5 (d, J = 8.4 Hz, 2H), 7.38 (m, 2H), 7.12 (m, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz, 1H), 4.15 (m, 1H)	3141, 1512, 1246, 1118
DC8		366.13 ([M + H]$^+$)	8.57 (s, 1H), 8.11 (s, 1H), 7.65 (d, J = 7.2 Hz, 2H), 7.52 (d, J = 8.0 Hz, 2H), 6.95 (m, 2H), 6.82 (m, 1H), 6.65 (d, J = 16.0 Hz, 1H), 6.50 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	3116, 1628, 1524, 1252, 1168, 1118
DC9		348.11 ([M + H]$^+$)	8.71 (s, 1H), 8.20 (s, 1H), 7.70 (d, J = 8.0 Hz, 2H), 7.57 (d, J = 8.0 Hz, 2H), 7.40 (m, 1H), 7.19 (m, 3H), 6.60 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.4 Hz, 1H), 4.15 (m, 1H)	3115, 1525, 1248, 1174
DC10		348.11 ([M + H]$^+$)	8.75 (s, 1H), 8.20 (s, 1H), 7.72 (d, J = 8.4 Hz, 2H), 7.6 (d, J = 8.4 Hz, 2H), 7.20-7.40 (m, 4H), 6.60 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H,), 4.60 (m, 1H)	3114, 1526, 1259, 1238, 1193, 1114
DC11	75.5-78.5	358.14 ([M + H]$^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.65 (d, J = 8.8 Hz, 2H), 7.52 (d, J = 8.4 Hz, 2H), 7.01 (s, 3H), 6.60 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 7.8 Hz, 1H), 4.15 (m, 1H), 2.34 (s, 6H)	
DC12		398.05 ([M + H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.68 (d, J = 8.4 Hz, 2H), 7.53 (m, 4H), 7.2 (s, 1H) 6.62 (d, J = 15.6 Hz, 1H), 6.44 (dd, J = 15.6, 8.0 Hz, 1H), 4.15 (m, 1H)	3055, 2930, 1523, 1250, 1165
DC13		396.16 ([M + H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.4 Hz, 2H), 7.55 (m, 4H), 7.25 (m, 1H), 6.64 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.90 (m, 1H)	3108, 1523, 1249, 1166, 1127
DC14		398.05 ([M + H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.4 Hz, 2H), 7.55 (m, 4H),	3117, 2925, 1526, 1246, 1172, 1117

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			7.25 (m, 1H), 6.67 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 5.00 (m, 1H)	
DC15		397.95 ([M + H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.66 (d, J = 8.0 Hz, 2H), 7.52 (m, 3H), 7.40 (d, J = 8.0 Hz, 1H), 7.30 (dd, J = 8.4, 2.9 Hz, 1H), 6.64 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.90 (m, 1H)	3120, 1524, 1267, 1176, 1112
DC16		466 ([M + H]$^+$)	8.61 (s, 1H), 8.13 (s, 1H), 7.92 (s, 1H), 7.86 (s, 2H), 7.70 (d, J = 7.0 Hz, 2H), 7.54 (d, J = 7.0 Hz, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.46 (dd, J = 16.0, 8.0 Hz, 1H), 4.35 (m, 1H)	
DC17		430.06 ([M + H]$^+$)	8.58 (s, 1H), 8.1 (s, 1H), 7.68 (d, J = 8.4 Hz, 2H), 7.54 (d, J = 8.4 Hz, 2H), 7.51 (s, 1H), 7.42 (s, 1H), 6.68 (d, J = 16.0 Hz, 1H), 6.35 (dd, J = 16.0, 8.0, Hz, 1H), 4.98 (m, 1H)	3122, 3076, 2929, 1523, 1250, 1168, 1114
DC18	92-95	429.91 ([M + H]$^+$)	8.57 (s, 1H), 8.11 (s, 1H), 7.69 (d, J = 8.8 Hz, 2H), 7.54 (d, J = 8.4 Hz, 2H), 7.42 (s, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.10 (m, 1H)	
DC19	97-99	430.321 ([M + H]$^+$)	8.58 (s, 1H), 8.12 (s, 1H), 7.68 (d, J = 8.0 Hz, 2H), 7.64 (s, 1H), 7.59 (s, 1H), 7.55 (m, 3H), 6.60 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.22 (m, 1H)	
DC20		427.0463 (427.0466)	8.58 (s, 1H), 8.15 (s, 1H), 7.70 (d, J = 8.4 Hz, 2H), 7.58 (d, J = 8.4 Hz, 2H), 7.36 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 8.0 Hz, 1H), 4.12 (m, 1H), 3.88 (s, 3H)	2937, 1524, 1482, 1278, 1249, 1166, 1112
DC21		412.04 ([M + H]$^+$)	8.42 (s, 1H), 7.60 (d, J = 8.0 Hz, 2H), 7.50 (d, J = 8.0 Hz, 2H), 7.40 (s, 1H), 7.22 (s, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.5 (s, 3H)	3108, 1572, 1531, 1242, 1172, 1104
DC22	147-149	441.01 ([M − H]$^-$)	8.62 (s, 1H), 7.78 (d, J = 8.0 Hz, 2H), 7.60 (d, J = 8.0 Hz, 2H), 7.40 (s, 1H), 7.30 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.48 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	
DC23		412.05 ([M + H]$^+$)	7.95 (s, 1H), 7.35 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 8.0 Hz, 2H), 7.39 (s, 1H), 7.29 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.45 (dd, J = 16.0, 8.0 Hz, 1H), 4.12 (m, 1H), 2.51 (s, 3H)	1112, 799

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
DC24	133-134	440.03 ([M + H]$^+$)	8.10 (s, 1H), 7.52 (d, J = 8.0 Hz, 2H), 7.42-7.38 (m, 3H), 7.28 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.45 (dd, J = 16.0, 8.0 Hz, 1H), 4.16 (m, 1H), 2.79 (s, 3H)	
DC25		442.02 ([M − H]$^-$)	7.97 (s, 1H), 7.59 (d, J = 8.0 Hz, 2H), 7.53 (d, J = 8.0 Hz, 2H), 7.38 (m, 1H), 7.29 (s, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 16.0, 8.0 Hz, 1H), 4.17 (m, 1H), 2.74 (s, 3H)	1167, 1114, 800
DC26		464.03 ([M − H]$^-$)	8.12 (s, 1H), 7.49 (d, J = 8.0 Hz, 2H), 7.40-7.37 (m 3H), 7.28 (s, 2H), 6.66 (d, J = 16.0 Hz, 1H), 6.44 (dd, J = 16.0, 8.0 Hz, 1H), 4.14 (m, 1H), 3.22 (m, 1H), 1.09-1.16 (m, 4H)	1689, 1253, 1166, 1114, 979, 964
DC27		473.94 ([M − H]$^-$)	8.19 (s, 1H), 7.64 (d, J = 7.2 Hz, 2H), 7.55 (d, 7.2 Hz, 2H), 7.39 (s, 1H), 7.30 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 8.0, 16.0 Hz, 1H), 4.18 (m, 1H), 3.58 (s, 3H)	1571, 1331, 1170, 1113, 764
DC28		421.22 ([M + H]$^+$)	8.79 (s, 1H), 8.18 (s, 1H), 7.80 (m, 3H), 7.52 (m, 2H), 7.24 (m, 1H), 6.63 (d, J = 16.0 Hz, 1H), 6.54 (d, J = 16.0, 7.6 Hz, 1H), 4.19 (m, 1H)	3126, 2233, 1516, 1250, 1165, 1109
DC29		421.22 ([M + H]$^+$)	8.80 (s, 1H), 8.2 (s, 1H), 7.75-7.82 (m, 3H), 7.41 (t, J = 2 Hz, 1H), 7.26 (m, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.52 (dd, J = 16.0, 7.6 Hz, 1H), 4.16 (m, 1H)	3005, 1716, 1363, 1223
DC30		489.17 ([M + H]$^+$)	8.81 (s, 1H), 8.20 (s, 1H), 7.94 (s, 1H), 7.85 (m, 3H), 7.79 (m, 2H), 6.70 (d, J = 16.0 Hz, 1H), 6.58 (dd, J = 16.0, 8.0 Hz, 1H), 4.35 (m, 1H)	2964, 2234, 1289, 1166, 1136
DC31	117-118	455.27 ([M + H]$^+$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.4 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.52 (dd, J = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H)	
DC32		388.0705 (388.0703)	8.82 (s, 1H), 8.22 (s, 1H), 7.82-7.78 (m, 3H), 7.38-7.30 (m, 3H), 6.62 (d, J = 16.1 Hz, 1H), 6.56 (dd, J = 16.1, 6.8 Hz, 1H), 4.18 (m, 1H)	3126, 2234, 1520, 1280, 1164, 1112
DC33		455.22 ([M − H]$^-$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82-7.80 (m, 3H), 7.70-7.50 (m, 3H), 6.65 (d, J = 16.9 Hz, 1H), 6.54 (dd, J = 16.9, 6.8 Hz, 1H), 4.25 (m, 1H)	3122, 3086, 2234, 1517, 1327, 1168, 1113

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
DC34		452.0412 (452.0419)	8.85 (s, 1H), 8.23 (br s, 1H), 7.83-7.78 (m, 3H), 7.33 (s, 2H), 6.69 (d, J = 14.9 Hz, 1H), 6.50 (dd, J = 14.9, 7.2 Hz, 1H), 4.15 (m, 1H), 3.90 (s, 3H)	3122, 2934, 2231, 1516, 1480, 1248, 1211, 1165, 1111
DC35		439.01 ([M − H]$^-$)	8.60 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.28 (m, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.48 (dd, J = 16.0, 8.0 Hz, 1H), 4.20 (m, 1H)	2233, 1518, 1250, 1169, 1035, 817
DC36		437.25 ([M + H]$^+$)	8.70 (s, 1H), 7.80 (m, 3H), 7.40 (s, 1H), 7.28 (s, 2H), 6.63 (d, J = 16.0 Hz, 1H), 6.50 (dd, J = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H), 2.50 (s, 1H)	2927, 2233, 1572, 1531, 1248, 1166, 1112
DC37	109-111	466.10 ([M − H]$^-$)	8.86 (s, 1H), 7.89 (m, 3H), 7.40 (s, 1H), 7.30 (s, 2H), 6.68 (d, J = 16.0 Hz, 1H), 6.57 (dd, J = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H)	
DC38	96-98	436.11 ([M − H]$^-$)	8.58 (s, 1H), 7.75 (m, 3H), 7.40 (s, 1H), 7.28 (s, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 16.0, 8.2 Hz, 1H), 4.40 (br s, 2H), 4.15 (m, 1H)	
DC39	224-226	480.30 ([M + H]$^+$)	8.65 (s, 1H), 8.18 (br s, 1H), 7.80-7.70 (m, 3H), 7.40 (s, 1H), 7.27 (s, 2H), 7.36 (m, 1H), 7.28 (m, 2H), 6.60 (d, J = 16.8 Hz, 1H), 6.47 (m, 1H), 4.16 (m, 1H), 2.40 (br s, 3H)	3352, 2237, 1707, 1163, 841
DC40	70-73	436.11 ([M − 2H]$^-$)	8.86 (s, 1H), 7.88 (m, 3H), 7.44 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.56 (dd, J = 16.0 7.6 Hz, 1H), 4.19 (m, 1H)	
DC41	72-75	469.95 ([M − H]$^-$)	(DMSO-d_6) 8.72 (s, 1H), 8.26 (s, 1H), 8.01 (d, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.77 (d, J = 8.4 Hz, 1H), 6.42 (dd, J = 15.6, 9.2 Hz, 1H), 6.83 (d, J = 15.6 Hz, 1H), 5.87 (s, 2H), 4.89 (m, 1H)	
DC42	104-107	609.98 ([M + H]$^+$)	8.78 (s, 2H), 7.83 (s, 1H), 7.80 (m, 2H), 7.42 (s, 2H), 6.65 (d, J = 16.4 Hz, 1H), 6.51 (dd, J = 16.4, 7.8 Hz, 1H), 4.17 (m, 1H), 42.16 (m, 2H), 1.25 (m, 4H), 1.00 (m, 4H),	2234, 1714, 1114, 807
DC43	109-112	540.04 ([M + H]$^+$)	(DMSO-d_6) 10.94 (br s, 1H), 8.36 (s, 1H), 8.08 (m, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.84 (d, J = 8.4 Hz, 1H), 7.13 (dd, J = 15.6, 9.2 Hz, 1H), 6.87 (d, J = 15.6 Hz, 1H), 4.92 (m, 1H), 1.99 (br s, 1H), 0.82 (s, 4H)	3233, 2233, 1699, 1114, 807
DC44		435.26 [M − H]$^-$	8.33 (s, 1H), 8.23 (s, 1H), 7.66 (s, 1H), 7.60 (s, 1H), 7.41 (m, 1H), 7.28 (m, 2H), 6.62 (d, J = 16.0 Hz, 1H),	2236, 1510, 1114, 801

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			6.51 (dd, J = 16.0, 7.8 Hz, 1H), 4.16 (m, 1H), 2.20 (s, 3H)	
DC45	75-78	468.87 [M − H]$^-$	8.36 (s, 1H), 8.23 (s, 1H), 7.66 (s, 1H), 7.60 (s, 1H), 7.41 (s, 2H), 6.62 (d, J = 16.4 Hz, 1H), 6.51 (dd, J = 16.4, 7.6 Hz, 1H), 4.16 (m, 1H), 2.20 (s, 3H)	
DC46		411.4 ([M]$^+$)	8.83 (s, 1H), 8.21 (s, 1H), 7.83 (d, J = 8.5 Hz, 1H), 7.61 (d, J = 1.9 Hz, 1H), 7.52 (dd, J = 8.4, 1.9 Hz, 1H), 7.28 (d, J = 3.8 Hz, 2H), 6.93 (d, J = 11.5 Hz, 1H), 6.26-6.20 (m, 1H), 4.22 (m, 1H)	^{13}C NMR (δ)[3] 155.63, 153.27, 153.12, 143.01, 137.89, 136.25, 134.03, 133.88, 132.23, 131.23, 131.18, 129.20, 126.17, 125.04, 124.99
DC47	139-141	474.16 ([M − H]$^-$)	8.51 (s, 1H), 8.14 (s, 1H), 7.75 (s, 1H), 7.5 (m, 2H), 7.4 (s, 1H), 7.30 (m, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.50 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	
DC48	124-126	414.05 [M − H]$^-$	8.69 (s, 1H), 8.14 (s, 1H), 7.96 (d, J = 4.8 Hz, 1H), 7.39-7.27 (m, 5H), 6.95 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 7.6 Hz, 1H), 4.13 (m, 1H)	
DC49	81-83	463.96 [M − H]$^-$	8.57 (s, 1H), 8.14 (s, 1H), 7.60 (m, 2H), 7.44 (m, 3H), 6.95 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 7.6 Hz, 1H), 4.13 (m, 1H)	
DC50	140-143	430.07 [M − H]$^-$)	8.56 (s, 1H), 8.13 (s, 1H), 7.59 (d, J = 1.2 Hz, 2H), 7.44 (m, 2H), 7.28 (m, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.47 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	1110, 803
DC51	118-121	464.22 ([M − H]$^-$)	8.32 (s, 1H), 8.15 (s, 1H), 7.82 (s, 1H), 7.73 (d, J = 8.4 Hz, 1H), 7.53 (d, J = 8.4 Hz, 1H), 7.41 (s, 1H), 7.29 (s, 2H), 6.70 (d, J = 15.6 Hz, 1H), 6.50 (dd, J = 15.6, 8.0 Hz, 1H), 4.20 (m, 1H)	
DC52			9.99 (s, 1H), 8.42 (s, 1H), 8.12 (s, 1H), 8.01 (s, 1H), 7.68 (m, 1H), 7.44 (m, 1H), 7.33 (m, 1H), 7.22 (s, 2H), 6.62 (d, J = 16.7 Hz, 1H), 6.45 (dd, J = 16.7, 9.3 Hz, 1H), 4.10 (m, 1H)	3123, 3079, 2925, 1692, 1571, 1512, 1253, 1164, 1111
DC53			8.30 (m, 1H), 8.00 (br s, 1H), 7.75 (m, 1H), 7.68 (m, 1H), 7.55 (m, 1H), 7.36 (m, 1H), 7.28 (m, 2H), 6.70 (m, 1H),	3250, 3043, 1683, 1116

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			6.58 (br s, 1H), 6.33 (m, 1H), 5.88 (m, 2H), 4.10 (m, 1H)	
DC54	56-58	441.07 ([M − H]$^-$)	8.40 (s, 1H), 8.13 (s, 1H), 8.02 (s, 1H), 7.76 (d, J = 8.4 Hz, 1H), 7.59 (d, J = 8.0 Hz, 1H), 7.4 (s, 1H), 7.29 (m, 2H), 6.69 (d, J = 15.6 Hz, 1H), 6.57 (dd, J = 15.6, 7.8 Hz, 1H), 4.15 (m, 1H)	
DC55		412.97 ([M + H]$^+$)	8.37 (s, 1H), 8.18 (s, 1H), 7.39 (s, 1H), 7.30 (m, 2H), 7.19 (d, J = 8.0 Hz, 1H), 6.90 (m, 2H), 6.55 (d, J = 15.6 Hz, 1H), 6.38 (dd, J = 15.6, 8.2 Hz, 1H), 4.20 (m, 1H), 2.50 (br s, 2H)	
DC56	175-177	453 ([M − H]$^-$)	9.59 (br s, 1H), 8.55 (s, 1H), 8.47 (s, 2H), 8.23 (s, 1H), 7.30 (m, 4H), 6.62 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.20 (s, 3H)	
DC57		426.0627 (426.0626)	8.33 (s, 1H), 8.16 (s, 1H), 7.38 (s, 1H), 7.29 (s, 2H), 7.15 (d, J = 7.6 Hz, 1H), 6.80 (d, J = 7.6 Hz, 1H), 6.74 (m, 1H), 6.60 (d, J = 15.6 Hz, 1H), 6.35 (dd, J = 15.6, 8.4 Hz, 1H), 5.40 (br s, 1H), 4.15 (m, 1H), 2.90 (s, 3H)	3342, 3112, 2931, 1606, 1583, 1574, 1528, 1153
DC58	94-97	440.0424 (440.0419)	(DMSO-d_6) 8.76 (s, 1H), 8.16 (s, 1H), 7.90 (br s, 1H), 7.83 (s, 1H), 7.70 (d, J = 7.9 Hz, 1H), 7.71-7.67 (m, 3H), 7.58 (d, J = 7.9 Hz, 1H), 7.52 (br s, 1H), 7.00 (dd, J = 15.8, 8.7 Hz, 1H), 6.85 (d, J = 15.8 Hz, 1H), 4.85 (m, 1H)	3403, 3304, 3178, 1674, 1571, 1169, 1108
DC59	87-90		(DMSO-d_6) 9.00 (s, 1H), 8.63 (s, 1H), 8.17 (s, 1H), 7.70-7.59 (m, 5H), 7.00 (dd, J = 16.2, 9.7 Hz, 1H), 6.85 (d, J = 16.2 Hz, 1H), 5.90 (br s 2H), 4.83 (m, 1H)	
DC60		469.0577 (469.0572)	8.32 (s, 1H), 8.10 (s, 1H), 7.97 (s, 1H), 7.65 (d, J = 8.1 Hz, 1H), 7.47 (d, J = 8.1 Hz, 1H), 7.40 (m, 1H), 7.28 (s, 2H), 6.62 (d, J = 16.5 Hz, 1H), 6.49 (dd, J = 16.5, 7.7 Hz, 1H), 4.23-4.04 (m, 3H), 1.15 (t, J = 8.0 Hz, 3H)	2987, 1725, 1518, 1275, 1166, 1113
DC61	130-132	442.15 ([M + H]$^+$)	(DMSO-d_6) 9.90 (s, 1H), 8.17 (s, 1H), 8.15 (m, 1H), 7.90 (m, 1H), 7.71 (m, 2H), 7.67 (m, 1H), 7.62 (d, J = 7.3 Hz, 1H), 7.03 (dd, J = 16.5, 8.3 Hz, 1H), 6.62 (d, J = 16.5 Hz, 1H), 4.87 (m, 1H)	
DC62		412.10 ([M + H]$^+$)	8.27 (s, 1H), 8.23 (s, 1H), 7.40 (m, 3H),	1513, 1252, 1166, 1112,

TABLE 2-continued

Analytical Data for Compounds in Table 1.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
			7.30 (m, 3H), 6.64 (d, J = 16.0 Hz, 1H), 6.45 (dd, J = 16.0, 8.0 Hz, 1H), 4.19 (m, 1H), 2.21 (s, 3H)	801
DC63		446.01 ([M + H]$^+$)	8.26 (s, 1H), 8.12 (s, 1H), 7.42 (s, 2H), 7.18-7.28 (m, 3H), 6.62 (d, J = 15.6 Hz, 1H), 6.39 (dd, J = 15.6, 9.4 Hz, 1H), 4.10 (m, 1H), 2.25 (s, 3H)	2928, 2525, 1249, 1169, 1114, 809
DC64		475.03 ([M + H]$^+$)	8.84 (d, J = 5.8 Hz, 2H), 8.33 (s, 1H), 8.20 (s, 1H), 7.75 (m, 1H), 7.60 (d, J = 28.6 Hz, 1H), 7.58-7.48 (m, 3H), 7.42 (m, 1H), 7.28 (s, 2H), 6.71 (d, J = 16.9 Hz, 1H), 6.39 (dd, J = 16.9, 8.2 Hz, 1H), 4.15 (m, 1H)	1683, 1167, 650, 479
DC65		412.05 ([M + H]$^+$)	8.55 (s, 1H), 8.12 (s, 1H), 7.55 (m, 3H), 7.39 (m, 1H), 7.30 (d, J = 1.6 Hz, 1H), 6.85 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.0 Hz, 1H), 4.17 (m, 1H), 2.40 (s, 3H)	722, 111
DC66	60-61	468.26 ([M + H]$^+$)	8.59 (s, 1H), 8.14 (s, 1H), 7.94 (s, 1H), 7.70 (d, J = 8.0 Hz, 1H), 7.61 (d, J = 8.0 Hz, 1H), 7.43 (s, 2H), 7.23 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.0 Hz, 1H), 4.20 (m, 1H)	
DC67	133-134	432.30 ([M + H]$^+$)	8.59 (s, 1H), 8.12 (s, 1H), 7.78 (br s, 1H), 7.71 (m, 1H), 7.62 (m, 1H), 7.39 (s, 1H), 7.32 (s, 2H), 7.03 (d, J = 16.0 Hz, 1H), 6.43 (dd, J = 16.0, 8.0 Hz, 1H), 0.21 (m, 1H)	800, 114
DC68		412.03 ([M + H]$^+$)	8.71 (s, 1H), 8.18 (s, 1H), 7.71 (d, J = 8.0 Hz, 2H), 7.55 (d, J = 8.0 Hz, 2H), 7.37 (s, 1H), 7.28 (m, 2H), 6.08 (d, J = 16.0 Hz, 1H), 4.26 (m, 1H), 2.05 (s, 3H)	
DC69	162-168	414.03 ([M + H]$^+$)	8.56 (s, 1H), 8.11 (s, 1H), 7.70 (d, J = 8.5 Hz, 2H), 7.56 (d, J = 8.5 Hz, 2H), 7.54 (m, 2H), 7.40 (m, 1H), 6.91 (d, J = 16.5 Hz, 1H), 6.66 (d, J = 16.5 Hz, 1H)	
DC70	99-103	428.05 ([M + H]$^+$)	8.58 (s, 1H), 8.13 (s, 1H), 7.73 (d, J = 8.7 Hz, 2H), 7.60 (d, J = 8.7 Hz, 2H), 7.46 (m, 2H), 7.42 (m, 1H), 6.85 (d, J = 16.2 Hz, 1H), 6.40 (d, J = 16.2 Hz, 1H), 3.42 (s, 3H)	

[a]^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted. HRMS data are noted observed value (theoretical value).

TABLE 2A

Analytical Data for Compounds in Table 1A.

Compound Number	mp (° C.); $[\alpha]_D^{25}$	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
F1		606.91 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.96 (bs, 1H), 8.14 (t, J = 6.6 Hz, 1H), 7.90 (s, 2H), 7.77 (s,1H), 7.68 (d, J = 8.1 Hz 1H), 7.59 (d, J = 7.8 Hz, 1H), 7.02 (dd, J = 15.9, 9.3 Hz, 1H), 6.78 (d, J = 15.6 Hz, 1H), 4.84-4.80 (m, 1H), 3.96-3.87 (m, 2H), 1.40-1.33 (m, 2H), 1.10-1.04 (m, 2H)	3427, 1667, 1162,749
F2		587.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.71 (s, 1H), 8.25 (t, J = 6.3 Hz, 1H), 7.89 (s, 2H), 7.53 (d, J = 8.1 Hz, 1H), 7.45 (s, 1H), 7.42 (d, J = 8.4 Hz, 1H), 6.89 (dd, J = 15.9, 8.7 Hz, 1H), 6.75 (d, J = 15.5 Hz, 1H), 4.85-4.77 (m, 1H), 3.94-3.82 (m, 2H), 2.35 (s, 3H), 1.37 (d, J = 2.7 Hz, 2H), 1.05 (d, J = 2.7 Hz, 2H)	3339, 1668, 1162, 810
F3		650.87 ([M + H]$^+$)	(300 MHz, CDCl$_3$) δ 7.61 (s, 1H), 7.51 (d, J = 8.1 Hz, 1H), 7.40-7.39 (m, 2H), 7.14-7.09 (m, 1H), 6.56 (d, J = 15.6 Hz, 1H), 6.43 (dd, J = 15.9, 7.8 Hz, 1H), 4.13-4.08 (m, 1H), 3.99-3.91 (m, 2H), 1.25-1.20 (m, 4H)	3424, 1674, 1162, 807
F4		620.95 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.01 (s, 1H), 7.99 (t, J = 6.3 Hz, 1H), 7.89 (s, 2H), 7.78-7.75 (m, 1H), 7.61-7.54 (m, 2H), 7.01 (dd, J = 15.9, 9.3 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.85-4.79 (m, 1H), 3.92-3.83 (m, 2H), 2.48-2.41 (m, 2H), 2.23-2.17 (m, 2H), 1.93-1.80 (m, 2H)	3433, 1642, 1162, 750
F5		664.85 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.03 (s,1H), 8.00 (t, J = 6.3 Hz, 1H), 7.94-7.91 (m , 3H), 7.64-7.56 (m, 2H), 7.02 (dd, J = 9.0 Hz, 1H), 6.78 (d, J = 15.3 Hz, 1H), 4.86-4.79 (m, 1H), 3.94-3.85 (m, 2H), 2.51-2.49 (m, 2H), 2.30-2.20 (m, 2H), 1.88-1.82 (m, 2H)	3292, 1681, 1163, 745, 558
F6		656.98 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.62 (t, J = 12.0 Hz, 1H), 9.09 (bs, 1H), 8.01 (s, 1H), 7.96-7.87 (m, 4H), 7.11 (dd, J = 15.9, 9.3 Hz, 1H), 6.89 (d, J = 15.9 Hz, 1H), 4.89-4.83 (m, 1H), 4.62-4.64 (m, 2H), 1.85-1.82 (m, 2H), 1.27-1.23 (m, 2H)	3401, 1672, 1171, 806
F7	158-160	553 ([M + H]$^+$)	7.61 (d, J = 8.0 Hz, 1H), 7.60 (d, J = 1.6 Hz, 1H), 7.39 (m, 3H), 6.57 (s, 1H), 6.53 (d, J = 15.9 Hz, 1H), 6.40 (dd, J = 15.9, 7.8 Hz, 1H), 4.10 (p, J = 9.1, 8.6 Hz, 1H), 1.68 (m, 2H), 1.42 (m, 2H)	
F8		640.9 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.02 (s, 1H), 8.11 (t, J = 6.4 Hz, 1H), 8.0 (s, 1H), 7.94-7.88 (m, 4H), 7.10 (dd, J = 15.6, 9.2 Hz, 1H), 6.89 (d, J = 16.4 Hz, 1H), 4.89-4.84 (m, 1H), 3.98-3.89 (m, 2H), 1.39-1.36 (m, 2H), 1.26-1.24 (m, 2H)	3461, 1676, 1165, 808
F8A	$[\alpha]_D^{25}$ = −35.4 (c, 0.5% in CH_2Cl_2)	641.1 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.02 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.99 (s, 1H), 7.94-7.87 (m, 4H), 7.09 (dd, J = 15.6 Hz, 9.2 Hz, 1H, 6.88 (d, J = 15.6 Hz, 1H), 4.88-4.84 (m, 1H), 3.95-3.88 (m, 2H), 1.39-1.36 (m, 2H), 1.02-0.99 (m, 2H)	3444, 1672, 1165, 808
F8B	$[\alpha]_D^{25}$ = +36.4 (c, 0.5% in CH_2Cl_2)	641.0 ([M + H]$^+$)	(400 MHz DMSO-d$_6$) δ 9.01 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.99 (s, 1H), 7.94-7.87 (m, 4H), 7.09 (dd, J = 15.6 Hz, 8.8 Hz, 1H), 6.88 (d, J = 15.6 Hz, 1H), 4.88-4.84 (m, 1H), 3.95-3.91 (m, 2H), 1.39-1.36 (m, 2H), 1.02-0.99 (m, 2H)	3459, 1672 1166, 807

[a]^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted.

HRMS data are noted observed value (theoretical value).

TABLE 2B

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
P31		561.9 ([M − H]$^-$)	7.61 (d, J = 1.7 Hz, 1H), 7.59 (d, J = 8.0 Hz, 1H), 7.40 (m, 3H), 6.53 (d, J = 15.9 Hz, 1H), 6.39 (m, 2H), 4.10 (p, J = 8.6 Hz, 1H), 3.55 (dddd, J = 15.8, 8.3, 6.1, 3.1 Hz, 1H), 1.93 (m, 1H), 1.50 (m, 1H)	^{19}F NMR (376 MHz, $CDCl_3$) δ −68.61, −131.43 (d, J = 163.1 Hz), −143.05 (d, J = 162.9 Hz)
P65		593.1 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.02 (bs, 1H), 8.13 (t, J = 6.6 Hz, 1H), 7.96-7.87 (m, 3H), 7.63 (d, J = 8.1 Hz, 1H), 7.51 (dd, J = 15.9, 8.7 Hz, 1H), 7.01-6.94 (m, 2H), 5.00-4.94 (m, 1H), 4.04-3.87 (m, 2H), 1.27-1.24 (m, 2H), 1.01-0.98 (m, 2H)	3379, 1678, 1161
P108		651.0 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 8.95 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.96-7.93	3421, 1671, 1114, 664, 574

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
			(m, 3H), 7.67-7.60 (m, 2H), 7.03 (dd, J = 15.6, 8.4 Hz, 1H), 6.93 (d, J = 15.6 Hz, 1H), 5.09-5.05 (m, 1H), 3.96-3.89 (m, 2H), 1.39-1.37 (m, 2H), 1.10-1.07 (m, 2H)	
F110		641.0 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.02 (s, 1H), 8.10 (t, J = 6.0 Hz, 1H), 8.00-7.88 (m, 5H), 7.09-7.01 (m, 2H), 5.12 (m, 1H), 3.95-3.91 (m, 2H), 1.39-1.37 (m, 2H), 1.01-1.00 (m, 2H)	3293, 1673, 1115, 736
P153		632.79 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (bs, 1H), 8.12 (t, J = 6.0 Hz, 1H), 7.90 (s, 1H), 7.67-7.57 (m, 5H), 7.41 (d, J = 7.5 Hz, 1H), 6.99 (dd, J = 15.9, 9.3 Hz, 1H), 6.78 (d, J = 15.6 Hz, 1H), 4.82-4.79 (m, 1H), 4.01-3.83 (m, 2H), 1.40-1.36 (m, 2H), 1.11-1.07 (m, 2H)	3413, 1668, 1161, 564
P155		622.97 ([M + H]$^+$)	300 MHz, DMSO-d$_6$) δ 9.01 (bs, 1H), 8.10 (t, J = 6.0 Hz, 1H), 7.97 (s, 1H), 7.92-7.87 (m, 2H), 7.61-7.56 (m, 3H), 7.42 (t, J = 8.1 Hz, 1H), 7.09 (dd, J = 15.6, 8.7 Hz, 1H), 6.90 (d, J = 15.9 Hz, 1H), 4.89-4.85 (m, 1H), 3.98-3.90 (m, 2H), 1.39-1.33 (m, 2H), 1.11-1.01 (m, 2H)	3413, 1668, 1161, 564
P198		645.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.95 (s, 1H), 8.12 (t, J = 6.0 Hz, 1H), 7.91 (d, J = 0.9 Hz, 1H), 7.67-7.60 (m, 4H), 7.54 (d, J = 9.9 Hz, 1H), 6.99 (dd, J = 15.6, 9.0 Hz, 1H), 6.77 (d, J = 15.3 Hz, 1H), 4.83-4.77 (m, 1H), 3.96-3.91 (m, 2H), 1.40-1.36 (m, 2H), 1.11-1.07 (m, 2H)	3280, 1668, 1164, 523
P200		635.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.02 (s, 1H), 8.13 (d, J = 6.6 Hz, 1H), 7.99-7.87 (m, 3H), 7.69 (s, 1H), 7.63-7.55 (m, 1H), 7.55 (d, J = 9.3 Hz, 1H), 7.09 (dd, J = 15.9, 9.3 Hz, 1H), 6.89 (d, J = 15.6 Hz, 1H), 4.86-4.80 (m, 1H), 3.96-3.87 (m, 2H), 1.41-1.36 (m, 2H), 1.03-0.99 (m, 2H)	3297, 1675, 1166, 565
P243		597.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.10 (t, J = 6.0 Hz, 1H), 7.86 (s, 1H), 7.66-7.58 (m, 2H), 7.52-7.45 (m, 2H), 7.39-7.36 (m, 1H), 6.91 (dd, J = 15.6, 8.4 Hz, 1H), 6.75 (d, J = 8.4 Hz, 1H), 4.66-4.62 (m, 1H), 4.01-3.85 (m, 2H), 2.35 (s, 3H), 1.37-1.33 (m, 2H), 1.09-1.02 (m, 2H)	3281, 2929, 1679, 1161, 739, 563
P245		587.2 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.01 (s, 1H), 8.12 (t, J = 6.3 Hz, 1H), 7.91-7.86 (m, 3H), 7.53 (s, 1H), 7.49 (d, J = 8.1 Hz, 1H), 7.40 (d, J = 7.2 Hz, 1H), 7.01 (dd, J = 16.2, 8.4 Hz, 1H), 6.81-6.85 (d, J = 15.9 Hz, 1H), 4.72-4.65 (m, 1H), 3.99-3.90 (m, 2H), 2.36 (s, 3H), 1.41-1.35 (m, 2H), 1.12-1.11 (m, 2H)	3280, 2925, 1668, 1163, 750
P333		594.94 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (bs, 1H), 8.12 (t, J = 6.0 Hz, 1H), 7.85 (s, 1H), 7.66-7.57 (m, 2H), 7.26 (d, J = 6.6 Hz, 2H), 6.89 (dd, J = 15.9, 8.9 Hz, 1H), 6.73 (d, J = 15.9 Hz, 1H), 4.55-4.52 (m, 1H), 3.96-3.87 (m, 2H), 2.23 (s, 6H), 1.40-1.36 (m, 2H), 1.10-1.07 (m, 2H)	3252, 1667, 1163
P335		585.4 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.09 (bs, 1H), 8.12 (t, J = 5.7 Hz, 1H), 7.95 (s, 1H), 7.92-7.85 (m, 2H), 7.27 (d, J = 6.9 Hz, 2H), 6.98 (dd, J = 15.9, 8.7 Hz, 1H), 6.85 (d, J = 15.9 Hz, 1H), 4.89-4.85 (m, 1H), 3.98-3.90 (m, 2H), 2.24 (s, 6H), 1.39-1.33 (m, 2H), 1.11-1.01 (m, 2H)	3252, 1667, 1163
P336		571.01 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.01 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.93-7.86 (m, 3H), 7.47 (d, J = 7.6 Hz, 1H), 7.40-7.38 (m, 1H), 7.19 (t, J = 9.6 Hz, 1H), 7.00 (dd, J = 16.4, 8.8 Hz, 1H), 6.85 (d, J = 16.0 Hz, 1H), 4.68-4.64 (m, 1H), 3.97-3.88 (m, 2H), 2.26 (s, 3H), 1.39-1.36 (m, 2H), 1.02 -0.99 (m, 2H)	3283, 1667, 1165
P378		659.00 ([M − H]$^-$)	(300 MHz, DMSO-d$_6$) δ 8.94 (bs, 1H), 8.10 (bs, 1H), 7.92 (s, 1H), 7.80-7.78 (m, 2H), 7.71 (s, 1H), 7.64-7.61 (m, 2H), 7.00 (dd, J = 15.6, 9.0 Hz, 1H), 6.76 (d, J = 15.9 Hz, 1H), 4.81-4.80 (m, 1H), 3.96-3.91 (m, 2H), 1.40-1.37 (m, 2H) 1.10-1.07 (m, 2H)	3418, 2926, 1666, 1163, 749
P380		650.93 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.01 (bs, 1H), 8.10 (t, J = 8.8 Hz, 1H), 7.99 (s, 1H), 7.94-7.87 (m, 2H), 7.81-7.78 (m, 2H), 7.73 (s, 1H), 7.09 (dd, J = 15.6, 8.7 Hz, 1H), 6.88 (d, J = 15.6 Hz, 1H), 4.82-4.80 (m, 1H), 3.95-3.91 (m,	3396, 1668, 1164, 772, 566

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
			2H), 1.39-1.33 (m, 2H), 1.02-1.00 (m, 2H)	
P423		704.84 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.10 (t, J = 6.6 Hz, 1H), 7.98-7.97 (m, 1H), 7.90 (s, 1H), 7.85 (d, J = 8.2 Hz, 1H), 7.66-7.59 (m, 2H), 7.51-7.48 (m, 1H), 6.96 (dd, J = 15.9, 9.0 Hz, 1H), 6.75 (d, J = 15.9 Hz, 1H), 4.81-4.75 (m, 1H), 3.96-3.91 (m, 2H), 1.40-1.26 (m, 2H), 1.11-1.07 (m, 2H)	3418, 2925, 1667, 1163
P425		694.89 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.03 (s, 1H), 8.10 (t, J = 6.6 Hz, 1H), 7.99-7.97 (m, 2H), 7.91-7.89 (m, 2H), 7.86 (d, J = 8.4 Hz, 1H), 7.53-7.50 (m, 1H), 7.07 (dd, J = 15.6, 8.8 Hz, 1H), 6.87 (d, J = 15.9 Hz, 1H), 4.84-4.78 (m, 1H), 3.99-3.90 (m, 2H), 1.39-1.35 (m, 2H), 1.03-0.99 (m, 2H)	3373, 2927, 1675, 1165, 565
P468		628.40 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 8.95 (s, 1H), 8.31 (s, 1H), 8.11 (t, J = 6.4 Hz, 1H), 7.92-7.87 (m, 3H), 7.67-7.60 (m, 2H), 6.98 (dd, J = 15.6, 8.7 Hz, 1H), 6.78 (d, J = 15.6 Hz, 1H), 4.99-4.94 (m, 1H), 3.98-3.89 (m, 2H), 1.39-1.33 (m, 2H), 1.09-1.07 (m, 2H)	3417, 1670, 1163, 750, 558
P470		616.40 ([M − H]$^-$)	(400 MHz, DMSO-d$_6$) δ 9.01 (bs, 1H), 8.32 (s, 1H), 8.10 (t, J = 8.4 Hz, 1H), 7.93-7.84 (m, 5H), 7.07 (dd, J = 16.4, 8.8 Hz, 1H), 6.90 (d, J = 15.6 Hz, 1H), 5.02-4.97 (m, 1H), 4.02-3.39 (m, 2H), 1.39-1.33 (m, 2H), 1.04-0.92 (m, 2H)	3372, 1669, 1162, 750
P513		590.1 ([M − H]$^-$)	(300 MHz, DMSO-d$_6$) δ 8.95 (bs, 1H), 8.20-8.18 (m, 1H), 8.10 (bs, 1H), 8.00-7.90 (m, 2H), 7.67-7.60 (m, 3H), 6.99 (dd, J = 15.6, 9.0 Hz, 1H), 6.77 (d, J = 15.9 Hz, 1H), 4.89-4.82 (m, 1H), 3.96-3.91 (m, 2H), 1.40-1.36 (m, 2H) 1.14-1.09 (m, 2H)	3417, 2925, 2237, 1667, 1162, 565
P515		582.31 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.01 (bs, 1H), 8.21-8.19 (m, 1H), 8.10 (d, J = 7.2 Hz, 1H), 8.01-7.94 (m, 2H), 7.89-7.86 (m, 2H), 7.67-7.61 (m, 1H), 7.09 (dd, J = 15.9, 9.0 Hz, 1H), 6.89 (d, J = 15.9 Hz, 1H), 4.91-4.85(m, 1H), 3.95-3.87 (m,	3392, 2928, 2239, 1671

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
			2H), 1.39-1.35 (m, 2H) 1.19-1.08 (m, 2H)	
P693		580.90 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.12 (t, J = 6.3 Hz, 1H), 7.86 (s, 1H), 7.66-7.57 (m, 2H), 7.46-7.38 (m, 2H), 7.22-7.18 (m, 1H), 6.91 (dd, J = 15.6, 8.7 Hz, 1H), 6.74 (d, J = 15.6 Hz, 1H), 4.66-4.60 (m, 1H), 3.99-3.87 (m, 2H), 2.25 (s, 3H), 1.40-1.33 (m, 2H), 1.11-1.07 (m, 2H)	3280, 2927, 1671, 1163, 564
P1003		701.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.95 (s, 1H), 8.14 (t, J = 6.3 Hz, 1H), 7.95-7.92 (m, 3H), 7.67 (d, J = 7.8 Hz, 1H), 7.60 (d, J = 6.6 Hz, 1H), 7.04 (dd, J = 15.0 Hz, 9.0 Hz, 1H), 6.78 (d, J = 15.6 Hz, 1H), 4.87-4.80 (m, 1H), 3.96-3.91 (m, 2H), 1.39-1.33 (m, 2H), 1.09-1.07 (m, 2H)	3422, 1666, 1162, 749, 519
P1005	151-155	690.7 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.0 (s, 1H), 8.11 (t, J = 6.6 Hz, 1H), 7.98 (d, J = 6.9 Hz, 2H), 7.92-7.89 (m, 2H), 7.76 (s, 1H), 7.13 (dd, J = 15.9 Hz, 10.5 Hz, 1H), 6.90 (d, J = 15.9 Hz, 1H), 4.94-4.91 (m, 1H), 3.95-3.90 (m, 2H), 1.39-1.37 (m, 2H), 1.01-1.00 (m, 2H)	
P1009		666.80 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.63 (bs, 1H), 9.00 (s, 1H), 7.93 (s, 2H), 7.90 (s, 1H), 7.66-7.59 (m, 2H), 7.00 (dd, J = 16.0, 9.6 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.86-4.81 (m, 1H), 4.62-4.58 (m, 2H), 1.35-1.22 (m, 4H)	3428, 2924, 1113, 743
P1010		622.97 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.66 (bs, 1H), 9.01 (s, 1H), 7.90 (s, 2H), 7.78 (s, 1H), 7.67-7.58 (m, 2H), 7.01 (dd, J = 16.0, 9.6 Hz, 1H), 6.78 (d, J = 15.6 Hz, 1H), 4.84-4.82 (m, 1H), 4.61-4.57 (m, 2H), 1.35-1.29 (m, 4H)	3401, 1672, 1171, 806
P1011		602.94 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.83 (bs, 1H), 8.76 (s, 1H), 7.90 (s, 2H), 7.72 (d, J = 8.4 Hz, 1H), 7.54-7.40 (m, 2H), 6.89 (dd, J = 15.3, 8.7 Hz, 1H), 6.75 (d, J = 15.9 Hz, 1H), 4.86-4.80 (m, 1H), 4.54-4.52 (m, 2H), 2.36 (s, 3H), 1.35-1.28 (m, 4H)	3401, 1672, 1171, 806
P1015	116-120	623.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.01 (bs, 1H), 7.99 (s, 1H), 7.99-7.86 (m, 5H), 7.10 (dd, J = 15.6, 8.6 Hz, 1H), 6.89 (d, J =	

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
			15.6 Hz, 1H), 6.18-5.81 (m, 1H), 4.89-4.83 (m, 1H), 3.58-3.31 (m, 2H), 1.38-1.34 (m, 2H), 1.00-0.96 (m, 2H)	
P1020	108-112	605.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.96 (bs, 1H), 7.99 (s, 1H), 7.92-7.85 (m, 4H), 7.69 (bs, 1H), 7.10 (dd, J = 15.9, 8.7 Hz, 1H), 6.89 (d, J = 15.9 Hz, 1H), 4.85-4.83 (m, 1H), 4.51 (t, J = 5.7 Hz, 1H), 4.35 (t, J = 5.1 Hz, 1H), 3.50-3.31 (m, 2H), 1.36-1.23 (m, 2H), 0.98-0.85 (m, 2H)	
P1023		596.83 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.86 (bs, 1H), 7.95 (s, 1H), 7.91 (s, 2H), 7.65-7.61 (m, 2H), 7.50 (d, J = 5.7 Hz, 1H), 6.97 (dd, J = 15.6, 6.6 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.83-4.81 (m, 1H), 3.17-3.10 (m, 2H), 1.33-1.30 (m, 2H), 1.05-1.00 (m, 5H)	
P1025		586.90 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.93 (s, 1H), 7.99 (s, 1H), 7.95-7.85 (m, 4H), 7.47 (t, J = 5.7 Hz, 1H), 7.10 (dd, J = 15.6, 9.0 Hz, 1H), 6.89 (d, J = 15.9 Hz, 1H), 4.89-4.83 (m, 1H), 3.19-3.10 (m, 2H), 1.33-1.29 (m, 2H), 1.05-1.00 (m, 3H), 0.95-0.91 (m, 2H)	3448, 2926, 1663, 1114, 700
P1026		532.91 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.68 (s, 1H), 7.89 (s, 1H), 7.63-7.59 (m, 1H), 7.53-7.38 (m, 4H), 6.88 (dd, J = 15.9, 9.0 Hz, 1H), 6.75 (d, J = 15.9 Hz, 1H), 4.85-4.79 (m, 1H), 3.19-3.07 (m, 2H), 2.34 (s, 3H), 1.33-1.28 (m, 2H), 1.02-0.90 (m, 5H)	3337, 1651. 1167, 808
P1033	88-91	662.8 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.90 (bs, 1H), 7.90-7.88 (m, 3H), 7.75 (bs, 1H), 7.66-7.59 (m, 2H), 7.01 (dd, J = 15.3, 8.7 Hz, 1H), 6.77 (d, J = 15.6 Hz, 1H), 4.86-4.80 (m, 1H), 3.40-3.33 (m, 2H), 2.43-2.38 (m, 2H), 1.36-1.32 (m, 2H), 1.04-1.00 (m, 2H)	
P1035	89-93	654.9 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.98 (bs, 1H), 7.99-7.85 (m, 5H), 7.77 (bs, 1H), 7.10 (dd, J = 15.9, 8.7 Hz, 1H), 6.89 (d, J = 16.2 Hz, 1H), 4.89-4.82 (m, 1H), 3.25-3.18 (m, 2H), 2.44-2.36 (m, 2H), 1.35-1.31 (m, 2H), 0.95-0.92 (m, 2H)	

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
P1043		567.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.09 (s, 1H), 7.91 (s, 1H), 7.71-7.57 (m, 5H), 6.94 (dd, J = 15.6, 9.6 Hz, 1H), 6.78 (d, J = 15.3 Hz, 1H), 4.92-4.70 (m, 1H), 3.96-3.91 (m, 2H), 1.42-1.36 (m, 2H), 1.12-1.07 (m, 2H)	3421, 1661, 1163, 802, 516
P1045		657.2 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.02 (d, J = 6.4 Hz, 1H), 8.09 (t, J = 6.4 Hz, 1H), 8.10 (d, J = 11.6 Hz, 1H), 7.93-7.86 (m, 2H), 7.73 (d, J = 1.6 Hz, 1H), 7.67 (m, 2H), 7.13 (dd, J = 14.4, Hz, 1H), 6.92 (d, J = 8.0 Hz, 1H) 5.01-4.95 (m, 1H), 3.95-3.88 (m, 2H), 1.38-1.36 (m, 2H), 1.18-1.00 (m, 2H)	3324, 1659, 1146, 679
P1048		617.0 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.09 (t, J = 6.6 Hz, 1H), 7.67-7.56 (m, 5H), 7.00 (dd, J = 15.9, 9.3 Hz, 1H), 6.77 (d, J = 15.3 Hz, 1H), 6.58 (s, 1H), 4.83-4.73 (m, 1H), 3.99-3.81 (m, 2H), 1.38-1.36 (m, 2H) 1.17-1.07 (m, 2H)	3421.677, 1661, 1163, 749, 509
P1050		607.19 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.01 (s, 1H), 8.10 (t, J = 6.3 Hz, 1H), 8.00 (s, 1H), 7.93-7.86 (m, 2H), 7.69 (m, 3H), 7.10 (dd, J = 15.6, 9.0 Hz, 1H), 6.89 (d, J = 15.6 Hz, 1H), 4.86 (m, 1H), 3.96-3.90 (m, 2H), 1.39-1.33 (m, 2H), 1.03-1.00 (m, 2H)	3445, 1668, 1166, 802
P1093		618.0 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.90-7.87 (m, 2H), 7.73 (d, J = 8.4 Hz, 1H), 7.66-7.60 (m, 2H), 7.56 (d, J = 6.8 Hz, 1H), 6.96 (dd, J = 15.6, 8.8 Hz, 1H), 6.75 (d, J = 15.6 Hz, 1H), 4.82-4.78 (m, 1H), 3.98-3.89 (m, 2H), 1.39-1.36 (m, 2H), 1.10-1.07 (m, 2H)	3275, 1668, 1163, 749
P1095		607.0 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.02 (s, 1H), 8.11 (t, J = 5.6 Hz, 1H), 7.97 (s, 1H), 7.93-7.89 (m, 3H), 7.74 (d, J = 8.0 Hz, 1H), 7.58 (d, J = 8.4 Hz, 1H), 7.06 (dd, J = 15.6, 8.8 Hz, 1H), 6.87 (d, J = 15.6 Hz, 1H), 4.85 (m, 1H), 3.95-3.90 (m, 2H), 1.37-1.37 (m, 2H), 1.01-1.0 (m, 2H)	3459, 1673, 1164, 749
P1183		706.55 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (s, 1H), 8.10 (t, J = 6.0 Hz, 1H), 7.92 (s, 1H), 7.89-7.88 (m, 1H), 7.84 (s, 2H), 7.67-7.60 (m, 2H), 7.00 (dd,	3289, 1665, 1163, 532

TABLE 2B-continued

Analytical Data for Compounds in Table 1B.

Compound Number	mp (° C.)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR (δ)
			J = 15.6, 9.0 Hz, 1H), 6.76 (d, J = 15.6 Hz, 1H), 4.82-4.76 (m, 1H), 3.99-3.88 (m, 2H), 1.40-1.36 (m, 2H), 1.13-1.07 (m, 2H)	
P1198		694.99 ([M + H]$^+$)	(400 MHz, DMSO-d$_6$) δ 9.01 (s, 1H), 8.10 (t, J = 6.4 Hz, 1H), 7.99 (s, 1H), 7.94-7.85 (m, 5H), 7.09 (dd, J = 15.6, 8.8 Hz, 1H), 6.88 (d, J = 15.6 Hz, 1H), 4.85-4.80 (m, 1H), 3.95-3.88 (m, 2H), 1.39-1.33 (m, 2H), 1.02-0.99 (m, 2H)	3289, 1672, 1164, 531
P1193	80-83	687.00 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.94 (bs, 1H), 7.97-7.84 (m, 5H), 7.66-7.60 (m, 2H), 6.99 (dd, J = 15.6, 9.2 Hz, 1H), 6.76 (d, J = 15.6 Hz, 1H), 6.14-5.86 (m, 1H), 4.81-4.76 (m, 1H), 3.59-3.49 (m, 2H), 1.38-1.35 (m, 2H), 1.08-1.06 (m, 2H)	
P1195		676.65 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 9.00 (bs, 1H), 7.99 (bs, 1H), 7.94-7.85 (m, 5H), 7.10 (dd, J = 15.6, 8.7 Hz, 1H), 6.88 (d, J = 15.6 Hz, 1H), 6.18-5.81 (m, 1H), 4.84-4.74 (m, 1H), 3.58-3.46 (m, 2H), 1.38-1.35 (m, 2H), 0.99-0.96 (m, 2H)	3414, 1664, 1114, 537
P1200		659.35 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.98 (bs, 1H), 7.99 (s, 1H), 7.89-7.85 (m, 5H), 7.69 (bs, 1H), 7.05 (dd, J = 15.9, 9.2 Hz, 1H), 6.88 (d, J = 15.9 Hz, 1H), 4.84-4.76 (m, 1H), 4.51-4.49 (m, 1H), 4.37-4.35 (m, 1H), 3.48-3.35 (m, 2H), 1.33-1.32 (m, 2H), 0.96-0.95 (m, 2H)	3450, 1659, 1115, 559
P1213		716.70 ([M + H]$^+$)	(300 MHz, DMSO-d$_6$) δ 8.89 (bs, 1H), 7.92-7.88 (m, 2H), 7.84 (s, 2H), 7.77 (bs, 1H), 7.63-7.62 (m, 2H), 7.00 (dd, J = 15.9, 9.2 Hz, 1H), 6.76 (d, J = 15.6 Hz, 1H), 4.84-4.75 (m, 1H), 3.40-3.36 (m, 2H), 2.42-2.38 (m, 2H), 1.36-1.32 (m, 2H), 1.04-1.00 (m, 2H)	3241, 1659, 1159, 554

[a]^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted.
HRMS data are noted observed value (theoretical value).

TABLE 3

Assay Results Part 1

Compound Number	BAW Rating	CEW Rating	GPA Rating
AC1	D	D	B
AC2	C	C	C
AC3	D	D	B
AC4	D	A	B
AC5	D	D	B
AC6	D	A	B
AC7	A	A	B
AC8	D	B	B
AC9	A	A	B
AC10	A	A	B
AC11	A	A	D
AC12	A	A	D
AC13	A	A	B
AC14	A	B	D
AC15	A	A	B
AC16	A	A	C
AC17	A	A	B
AC18	A	A	B
AC19	D	D	B
AC20	A	A	C
AC21	D	D	C
AC22	A	A	D
AC23	A	A	B
AC24	A	A	D
AC25	A	A	D
AC26	A	A	B
AC27	A	A	B
AC28	A	A	B
AC29	A	A	B
AC30	A	A	B
AC31	A	A	B
AC32	A	A	B
AC33	A	A	B
AC34	A	A	B
AC35	A	A	C
AC36	A	A	B
AC37	A	A	B
AC38	A	A	C
AC39	A	A	C
AC40	A	A	D
AC41	A	D	D
AC42	A	D	D
AC43	A	A	B
AC44	A	A	B
AC45	A	A	D
AC46	A	A	D
AC47	D	D	B
AC48	A	A	B
AC49	A	A	B
AC50	A	D	B
AC51	A	A	B
AC52	A	A	B
AC53	A	A	B
AC54	A	A	B
AC57	A	A	B
AC58	A	A	B
AC59	A	A	B
AC60	A	A	B
AC61	A	A	B
AC62	A	A	D
AC63	A	A	B
AC64	A	A	B
AC65	A	A	B
AC66	A	A	B
AC67	A	A	B
AC68	A	A	D
AC69	A	A	A
AC70	D	D	B
AC71	A	A	B
AC72	A	A	B
AC75	A	A	B
AC76	A	A	D
AC77	A	A	B
AC78	A	A	A
AC79	A	A	A

TABLE 3-continued

Assay Results Part 1

Compound Number	BAW Rating	CEW Rating	GPA Rating
AC80	A	A	B
AC81	A	D	D
AC82	A	A	B
AC83	A	A	B
AC84	A	A	D
AC85	A	A	B
AC86	A	A	D
AC87	A	A	B
AC89	A	A	B
AC90	A	A	C
AC91	A	A	C
AC92	A	A	C
AC93	A	D	C
AC94	D	B	B
AC95	A	A	C
AC96	D	D	C
AC97	D	D	C
AC98	A	A	C
AC99	A	A	C
AC100	C	C	C
AC101	D	D	C
AC102	D	A	C
AC103	A	A	D
AC104	A	A	B
AC105	A	A	D
AC106	A	A	B
AC107	B	A	D
AC108	B	D	D
AC109	D	D	C
AC110	A	A	C
AC111	A	A	C
AC112	A	A	C
AC113	B	A	D
AC114	A	B	D
AC115	A	A	D
AC116	C	C	C
AC117	A	D	B
AC118	A	D	D
BC1	A	A	D
BC2	A	A	D
BC3	A	A	D
BC4	A	A	B
BC5	A	A	B
BC6	A	A	D
BC7	A	A	D
BC8	A	A	B
BC9	A	A	D
BC10	A	A	B
BC11	C	C	C
BC12	C	C	C
BC13	A	A	D
BC14	A	D	D
CC1	D	D	D
CC2	A	A	B
CC3	A	A	D
CC4	A	B	B
CC5	A	A	B
CC6	A	A	B
CC7	A	A	B
CC8	A	A	D
CC9	A	A	B
CC10	A	A	B
CC11	A	A	B
CC12	D	D	B
CC13	A	A	B
CC14	A	D	D
CC15	A	A	B
CC16	A	A	B
CC17	A	A	B
CC18	A	A	B
CC19	A	A	B
CC20	A	A	D
CC21	A	A	D
CC22	A	A	B
CC23	A	A	B

TABLE 3-continued

Assay Results Part 1

Compound Number	BAW Rating	CEW Rating	GPA Rating
CC24	A	A	D
CC25	A	A	B
CC26	A	D	B
CC27	A	A	D
CC28	A	A	D
CC29	A	A	B
CC30	A	A	D
CC31	B	D	C
CC32	A	A	B
CC33	A	A	B
CC34	A	A	B
CC35	D	D	D
CC36	A	A	D
CC37	A	A	D
CC38	A	A	D
CC39	D	D	B
CC40	D	A	D
CC41	D	D	B
CC42	D	D	D
CC43	A	B	B
CC44	A	A	B
CC45	A	A	D
CC46	D	A	C
CC47	D	D	C
CC48	D	D	C
CC49	D	D	D
CC50	A	A	D
CC51	A	A	D
CC52	A	D	D
CC53	D	D	B
CC54	A	A	C
DC1	A	A	D
DC2	D	D	C
DC3	B	D	C
DC4	A	D	C
DC5	D	D	C
DC6	D	D	C
DC7	A	D	C
DC8	A	D	C
DC9	D	D	C
DC10	D	D	C
DC11	A	D	C
DC12	A	A	B
DC13	A	A	C
DC14	D	D	C
DC15	D	D	C
DC16	A	A	C
DC17	A	A	C
DC18	A	A	C
DC19	A	A	C
DC20	A	D	C
DC21	D	D	C
DC22	D	D	C
DC23	D	A	C
DC24	D	D	C
DC25	D	D	C
DC26	D	D	C
DC27	D	D	C
DC28	A	A	B
DC29	A	A	C
DC30	A	A	C
DC31	A	A	B
DC32	D	D	C
DC33	A	A	C
DC34	A	A	B
DC35	A	A	B
DC36	D	D	C
DC37	A	A	C
DC38	A	A	C
DC39	A	A	C
DC40	A	A	C
DC41	A	A	C
DC42	A	A	C
DC43	A	A	C
DC44	A	A	C

TABLE 3-continued

Assay Results Part 1

Compound Number	BAW Rating	CEW Rating	GPA Rating
DC45	A	A	C
DC46	A	A	C
DC47	A	A	C
DC48	A	A	C
DC49	A	A	C
DC50	A	A	C
DC51	A	A	C
DC52	D	D	C
DC53	D	A	C
DC54	D	D	C
DC55	D	D	C
DC56	D	D	C
DC57	A	A	C
DC58	D	D	C
DC59	D	D	C
DC60	A	A	C
DC61	D	D	C
DC62	A	A	C
DC63	A	A	C
DC64	D	D	C
DC65	D	A	C
DC66	A	A	C
DC67	A	A	C
DC68	A	A	C
DC69	D	D	C
DC70	A	A	C

TABLE 4

Assay Results F Compounds

Compound Number	BAW Rating	CL Rating	GPA Rating
F1	A	A	C
F2	A	A	C
F3	A	A	C
F4	A	A	C
F5	A	A	C
F6	A	A	C
F7	A	A	C
F8	A	A	C
F8A	A	A	C
F8B	A	A	C

TABLE 5

Assay Results Prophetic Compounds Subsequently Exemplified

Compound Number	BAW Rating	CL Rating	GPA Rating
P31	A	A	C
P65	A	A	C
P108	A	A	C
P110	A	A	C
P153	A	A	C
P155	A	A	C
P198	A	A	C
P200	A	A	C
P243	A	A	C
P245	A	A	C
P333	A	A	C
P335	A	A	C
P336	A	A	C
P378	A	A	C
P380	A	A	C
P423	A	A	C
P425	A	A	C
P468	A	A	C

TABLE 5-continued

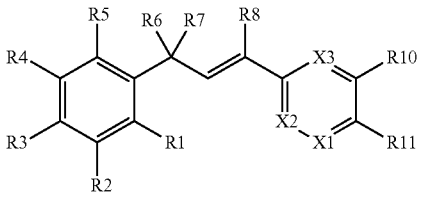
Assay Results Prophetic Compounds Subsequently Exemplified

Compound Number	BAW Rating	CL Rating	GPA Rating
P470	A	A	B
P513	A	A	C
P515	A	A	C
P693	A	A	C
P1003	A	A	D
P1005	A	A	C
P1009	A	A	C
P1010	A	A	C
P1011	A	A	C
P1015	A	A	C
P1020	A	A	C
P1023	A	A	C
P1025	A	A	B
P1026	A	A	B
P1033	A	A	C
P1035	A	A	C
P1043	A	A	C
P1045	A	A	C
P1048	A	A	C
P1050	A	A	C
P1093	A	A	C
P1095	A	A	C
P1183	A	A	C
P1198	A	A	C
P1193	A	A	C
P1195	A	A	C
P1200	A	A	C
P1213	A	A	C

We claim:

1. A molecule according to Formula One:

Formula One



wherein:

(a) R1 is selected from the group consisting of

(1) H, F, Cl, Br, I, ON, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2;

(b) R2 is selected from the group consisting of

(1) H, F, Cl, Br, I, ON, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)

(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2;

(c) R3 is selected from the group consisting of

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2;

(d) R4 is selected from the group consisting of

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2;

(e) R5 is selected from the group consisting of

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN and NO_2,

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of CN and NO_2,

(4) substituted (C_1-C_8)alkoxy, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2, and

(5) substituted halo(C_1-C_8)alkoxy, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from the group consisting of CN and NO_2;

(f) R6 is a (C_1-C_8)haloalkyl;

(g) R7 is selected from the group consisting of H, F, Cl, Br, I, OH, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(h) R8 is selected from the group consisting of H, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, OR14, and N(R14)(R15);

(i) R9 is selected from the group consisting of H, F, Cl, Br, I, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, OR14, and N(R14)(R15);

(j) R10 is selected from the group consisting of

(1) (u), H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), S(O)$_2$(C_1-C_8)alkyl, S(O)$_2$(halo(C_1-C_8)alkyl), NR14R15, C(=O)H, C(=O)N(R14)(R15), CN(R14)(R15)(=NOH), (C=O)O(C_1-C_8)alkyl, (C=O)OH, heterocyclyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl,

(2) substituted (C_1-C_8)alkyl, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of OH, (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, S(O)$_2$(C_1-C_8)alkyl, NR14R15, and

(3) substituted halo(C_1-C_8)alkyl, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from the group consisting of (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, S(O)$_2$(C_1-C_8)alkyl, and N(R14)(R15);

(k) R11 is (C=X5)N(X6)(R14) wherein

X5 is selected from the group consisting of O, S, or NH, and

X6 is selected from the group consisting of halocyclo(C_3-C_6) alkyl, substituted cyclo(C_3-C_6) alkyl, and substituted halocyclo(C_3-C_6) alkyl,

wherein said substituted cyclo(C_3-C_6) alkyl is substituted with one or more substituents selected from the group consisting of CN, NO_2, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R15)(R16), C(=X5)N(R15)(R16), (C_1-C_8)alkyl-C(=X5)N(R15)(R16), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl), C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, and C(=O)H, and

wherein said substituted halocyclo(C_3-C_6) alkyl is substituted with one or more substituents selected from the group consisting of CN, NO_2, (C_1-C_8)alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O—(C_1-C_8)alkyl-aryl, O—(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl-heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R15)(R16), C(=X5)N(R15)(R16), (C_1-C_8)alkyl-C(=X5)N(R15)(R16), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl), C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, and C(=O)H,

wherein each said substituted aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo, and

wherein each said substituted heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), C(═O)$(C_1$-$C_8)$alkyl, C(═O)$(C_3$-$C_6)$cycloalkyl, S(═O)$_2(C_1$-$C_8)$alkyl, NR14R15, and oxo;

(l) R12 is selected from the group consisting of (v), H, F, Cl, Br, I, CN, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, and cyclo$(C_3$-$C_6)$alkyl;

(m) R13 is selected from the group consisting of (v), H, F, Cl, Br, I, CN, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, and halo$(C_1$-$C_8)$alkoxy;

(n) each R14 is independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$alkenyl, substituted $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, substituted halo$(C_1$-$C_8)$alkyl), $(C_1$-$C_8)$alkoxy, cyclo$(C_3$-$C_6)$alkyl, aryl, substituted-aryl, $(C_1$-$C_8)$alkyl-aryl, $(C_1$-$C_8)$alkyl-(substituted-aryl), O—$(C_1$-$C_8)$alkyl-aryl, O—$(C_1$-$C_8)$alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, $(C_1$-$C_8)$alkyl-heterocyclyl, $(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), O—$(C_1$-$C_8)$alkyl-heterocyclyl, O—$(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), N(R16)(R17), $(C_1$-$C_8)$alkyl-C(═O)N(R16)(R17), C(═O)$(C_1$-$C_8)$alkyl, C(═O)(halo$(C_1$-$C_8)$alkyl), C(═O)$(C_3$-$C_6)$cycloalkyl, $(C_1$-$C_8)$alkyl-C(═O)O$(C_1$-$C_8)$alkyl, C(═O)H,

wherein each said substituted $(C_1$-$C_8)$alkyl has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted halo$(C_1$-$C_8)$alkyl), has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, $(C_3$-$C_6)$cycloalkyl S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), heterocyclyl, C(═O)$(C_1$-$C_8)$alkyl, C(═O)O$(C_1$-$C_8)$alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more substituents selected from the group consisting of F, Cl, Br, I, CN, and NO_2);

(o) each R15 is independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$alkenyl, substituted $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, substituted halo$(C_1$-$C_8)$alkyl), $(C_1$-$C_8)$alkoxy, cyclo$(C_3$-$C_6)$alkyl, aryl, substituted-aryl, $(C_1$-$C_8)$alkyl-aryl, $(C_1$-$C_8)$alkyl-(substituted-aryl), O—$(C_1$-$C_8)$alkyl-aryl, O—$(C_1$-$C_8)$alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, $(C_1$-$C_8)$alkyl-heterocyclyl, $(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), O—$(C_1$-$C_8)$alkyl-heterocyclyl, O—$(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), N(R16)(R17), $(C_1$-$C_8)$alkyl-C(═O)N(R16)(R17), C(═O)$(C_1$-$C_8)$alkyl, C(═O)(halo$(C_1$-$C_8)$alkyl), C(═O)$(C_3$-$C_6)$cycloalkyl, $(C_1$-$C_8)$alkyl-C(═O)O$(C_1$-$C_8)$alkyl, C(═O)H

wherein each said substituted $(C_1$-$C_8)$alkyl has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted halo$(C_1$-$C_8)$alkyl), has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, $(C_3$-$C_6)$cycloalkyl S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), heterocyclyl, O—$(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), N(R16)(R17), $(C_1$-$C_8)$alkyl-C(═O)N(R16)(R17), C(═O)$(C_1$-$C_8)$alkyl, C(═O)(halo$(C_1$-$C_8)$alkyl), C(═O)$(C_3$-$C_6)$cycloalkyl, $(C_1$-$C_8)$alkyl-C(═O)O$(C_1$-$C_8)$alkyl, C(═O)H

wherein each said substituted $(C_1$-$C_8)$alkyl has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted halo$(C_1$-$C_8)$alkyl), has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo;

(p) each R16 is independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl, substituted-$(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, substituted-halo$(C_1$-$C_8)$alkyl, cyclo$(C_3$-$C_6)$alkyl, aryl, substituted-aryl, $(C_1$-$C_8)$alkyl-aryl, $(C_1$-$C_8)$alkyl-(substituted-aryl), O—$(C_1$-$C_8)$alkyl-aryl, O—$(C_1$-$C_8)$alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, $(C_1$-$C_8)$alkyl-heterocyclyl, $(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), O—$(C_1$-$C_8)$alkyl-heterocyclyl, O—$(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), O—$(C_1$-$C_8)$alkyl

wherein each said substituted $(C_1$-$C_8)$alkyl has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted halo$(C_1$-$C_8)$alkyl), has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, $(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, $(C_1$-$C_8)$alkoxy, halo$(C_1$-$C_8)$alkoxy, S$(C_1$-$C_8)$alkyl, S(halo$(C_1$-$C_8)$alkyl), N$((C_1$-$C_8)$alkyl$)_2$ (wherein each $(C_1$-$C_8)$alkyl is independently selected), and oxo;

(q) each R17 is independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl, substituted-$(C_1$-$C_8)$alkyl, halo$(C_1$-$C_8)$alkyl, substituted-halo$(C_1$-$C_8)$alkyl, cyclo$(C_3$-$C_6)$alkyl, aryl, substituted-aryl, $(C_1$-$C_8)$alkyl-aryl, $(C_1$-$C_8)$alkyl-(substituted-aryl), O—$(C_1$-$C_8)$alkyl-aryl, O—$(C_1$-$C_8)$alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, $(C_1$-$C_8)$alkyl-heterocyclyl, $(C_1$-$C_8)$alkyl-(substituted-heterocyclyl), O—$(C_1$-$C_8)$alkyl-

heterocyclyl, O—(C_1-C_8)alkyl-(substituted-heterocyclyl), O—(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from the group consisting of CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from the group consisting of F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(r) X1 is selected from the group consisting of N and CR12;

(s) X2 is selected from the group consisting of N, CR9, and CR13;

(t) X3 is selected from the group consisting of N and CR9; and

(v) R12 and R13 together form a linkage containing 3 to 4 atoms selected from the group consisting of C, N, O, and S, wherein said linkage connects back to the ring to form a 5 to 6 member saturated or unsaturated cyclic ring, wherein said linkage has at least one substituent X4 wherein X4 is selected from the group consisting of R14, N(R14)(R15), N(R14)(C(=O)R14), N(R14)(C(=S)R14), N(R14)(C(=O)N(R14)(R14)), N(R14)(C(=S)N(R14)(R14)), N(R14)(C(=O)N(R14)((C_2-C_8)alkenyl)), N(R14)(C(=S)N(R14)((C_2-C_8)alkenyl)), wherein each R14 is independently selected.

2. A molecule according to claim **1** wherein R1 is selected from the group consisting of H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

3. A molecule according to claim **1** wherein R2 is selected from the group consisting of H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

4. A molecule according to claim **1** wherein R3 is selected from the group consisting of H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

5. A molecule according to claim **1** wherein R4 is selected from the group consisting of H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

6. A molecule according to claim **1** wherein R5 is selected from the group consisting of H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

7. A molecule according to claim **1** wherein R2 and R4 are selected from the group consisting of F, Cl, Br, I, CN, and NO_2 and R1, R3, and R5 are H.

8. A molecule according to claim **1** wherein R2, R3, and R4 are selected from the group consisting of F, Cl, Br, I, CN, and NO_2 and R1, and R5 are H.

9. A molecule according to claim **1** wherein R2, R3, and R4 are independently selected from the group consisting of F and CI and R1 and R5 are H.

10. A molecule according to claim **1** wherein R1 is selected from the group consisting of CI and H.

11. A molecule according to claim **1** wherein R2 is selected from the group consisting of CF_3, CH_3, Cl, F, and H.

12. A molecule according to claim **1** wherein R3 is selected from the group consisting of OCH_3, CH_3, F, Cl, or H.

13. A molecule according to claim **1** wherein R4 is selected from the group consisting of CF_3, CH_3, Cl, F, and H.

14. A molecule according to claim **1** wherein R5 is selected from the group consisting of F, Cl, and H.

15. A molecule according to claim **1** wherein R6 is selected from the group consisting of halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

16. A molecule according to claim **1** wherein R6 is trifluoromethyl.

17. A molecule according to claim **1** wherein R7 is selected from the group consisting of H, F, Cl, Br, and I.

18. A molecule according to claim **1** wherein R7 is selected from the group consisting of H, OCH_3, and OH.

19. A molecule according to claim **1** wherein R8 is selected from the group consisting of H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

20. A molecule according to claim **1** wherein R8 is selected from the group consisting of CH_3 and H.

21. A molecule according to claim **1** wherein R9 is selected from the group consisting of H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

22. A molecule according to claim **1** wherein R10 is selected from the group consisting of H, F, Cl, Br, I, CN, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy,

haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, halo(C_8)alkoxy, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

23. A molecule according to claim **1** wherein R10 is selected from the group consisting of H, Cl, Br, CH_3, and CF_3.

24. A molecule according to claim **1** wherein R10 is selected from the group consisting of Br, C(=NOH)NH_2, C(=O)H, C(=O)NH_2, C(=O)OCH_2CH_3, C(=O)OH, CF_3, CH_2CH_3, CH_2OH, CH3, Cl, CN, F, H, NH_2, NHC(=O)H, $NHCH_3$, NO_2, OCH_3, $OCHF_2$, and pyridyl.

25. A molecule according to claim **1** wherein R11 is selected from the group consisting of H(C=O)N(H)(cyclopropyl-(C=O)N(H)(CH_2CF_3)), (C=O)N(H)(cyclopropyl-(C=S)N(H)(CH_2CF_3)), (C=O)N(H)(cyclobutyl-(C=O)N(H)(CH_2CF_3)), and (C=O)N(H)(cyclopropyl-CN).

26. A molecule according to claim **1** wherein R11 is selected from the group consisting of (C=O)N(H)(cyclopropyl-(C=O)N(H)(CH_2CF_3)), (C=O)N(H)(cyclopropyl-(C=S)N(H)(CH_2CF_3)), (C=O)N(H)(cyclobutyl-(C=O)N(H)(CH_2CF_3)), (C=O)N(H)(cyclopropyl-CN), and (C=O)N(H)(difluorocyclopropyl).

27. A molecule according to claim **1** wherein R11 is selected from the group consisting of (C=(O or S)N(H)(cyclopropyl-(C=(O or S))N(H)(halo(C_1-C_6)alkyl)), (C=(O or S)N(H)(cyclobutyl-(C=(O or S))N(H)(halo(C_1-C_6)alkyl)), and (C=(O or S)N(H)(cyclopropyl-(C=(O or S))N(H)(C_1-C_6)alkyl).

28. A molecule according to claim **1** wherein R11 is the group consisting of (C=(O or S)N(H)(cyclobutyl-(C=(O or S))N(H)(halo(C_1-C_6)alkyl)).

29. A molecule according to claim **1** wherein R12 is selected from the group consisting of H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

30. A molecule according to claim **1** wherein R12 is selected from the group consisting of CH_3 and H.

31. A molecule according to claim **1** wherein R13 is selected from the group consisting of H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

32. A molecule according to claim **1** wherein R13 is selected from the group consisting of CH_3, Cl, and H.

33. A molecule according to claim **1** wherein R12-R13 is the hydrocarbyl linkage CH=CHCH=CH.

34. A molecule according to claim **1** wherein R14 and R15 are independently selected from the group consisting of H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), O—(C_8)alkyl-(substituted-heterocyclyl), methyl-C(=O)N(R16)(R17), ethyl-C(=O)N(R16)(R17), (C_3)alkyl-C(=O)N(R16)(R17), (C_4)alkyl-C(=O)N(R16)(R17), (C_5)alkyl-C(=O)N(R16)(R17), (C_6)alkyl-C(=O)N(R16)(R17), (C_7)alkyl-C(=O)N(R16)(R17), and (C_8)alkyl-C(=O)N(R16)(R17).

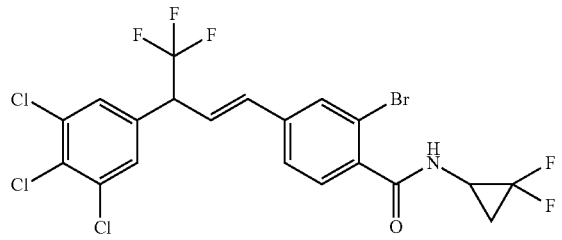
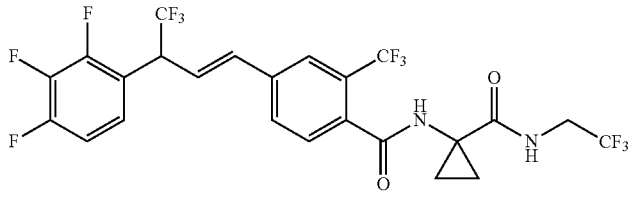
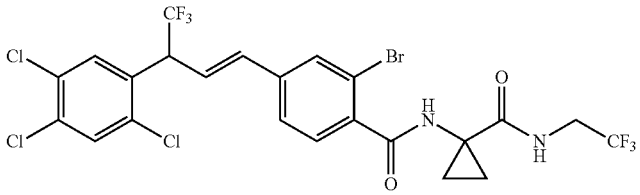
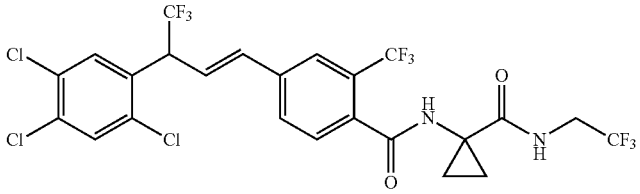
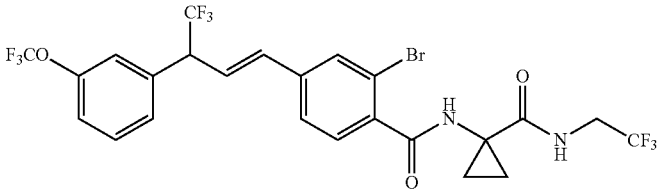
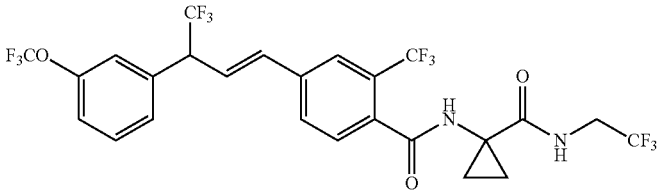
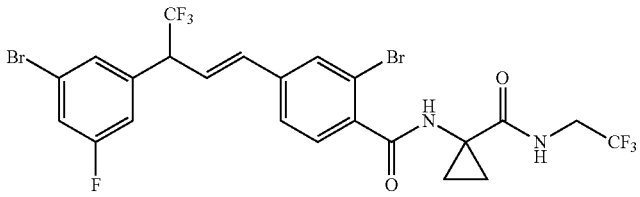
35. A molecule according to claim **1** wherein R14 and R15 are independently selected from the group consisting of H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, C($(CH_3)_2$)-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O—CH_2-halopyridyl, and $CH_2C(=O)N(H)(CH_2CF_3)$.

36. A molecule according to claim **1** wherein R16 and R17 are independently selected from the group consisting of H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O—(C_3)alkyl-aryl, O—(C_4)alkyl-aryl, O—(C_5)alkyl-aryl, O—(C_6)alkyl-aryl, O—(C_7)alkyl-aryl, O—(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O—(C_3)alkyl-(substituted-aryl), O—(C_4)alkyl-(substituted-aryl), O—(C_5)alkyl-(substituted-aryl), O—(C_6)alkyl-(substituted-aryl), O—(C_7)alkyl-(substituted-aryl), O—(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O—(C_3)alkyl-heterocyclyl, O—(C_4)alkyl-heterocyclyl, O—(C_5)alkyl-heterocyclyl, O—(C_6)alkyl-heterocyclyl, O—(C_7)alkyl-heterocyclyl, O—(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O—(C_3)alkyl-(substituted-heterocyclyl), O—(C_4)alkyl-(substituted-heterocyclyl), O—(C_5)alkyl-(substituted-heterocyclyl), O—(C_6)alkyl-(substituted-heterocyclyl), O—(C_7)alkyl-(substituted-heterocyclyl), and O—(C_8)alkyl-(substituted-heterocyclyl).

37. A molecule according to claim 1 wherein R16 and R17 are independently selected from the group consisting of H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl.

38. A molecule according to claim 1 wherein X1 is CR12, X2 is CR13, and X3 is CR9.

39. A molecule according to claim 1 having one of the following structures

Compound Number	Structure
P31	
P65	
P108	
P110	
P153	
P155	
P198	

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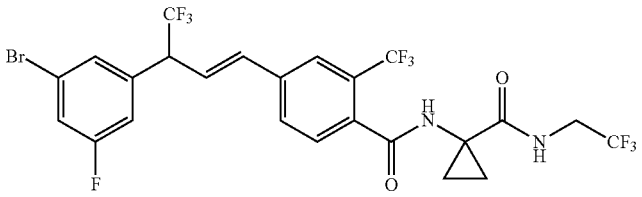
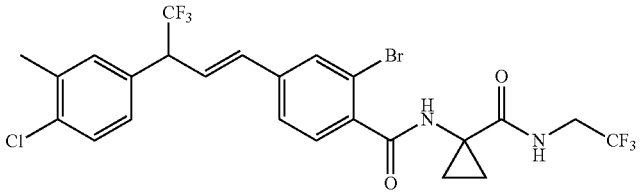
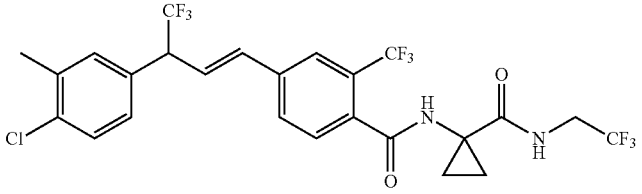
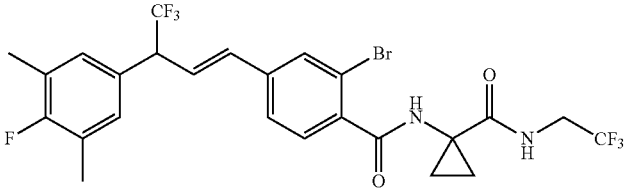
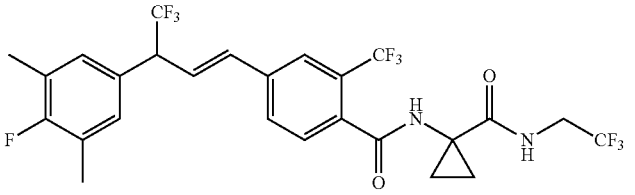
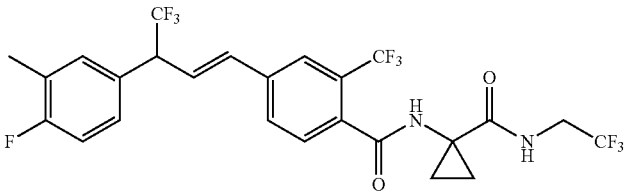
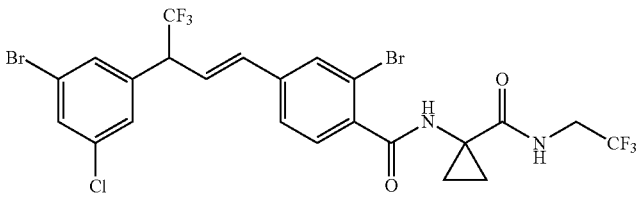
Compound Number	Structure
P200	
P243	
P245	
P333	
P335	
P336	
P378	

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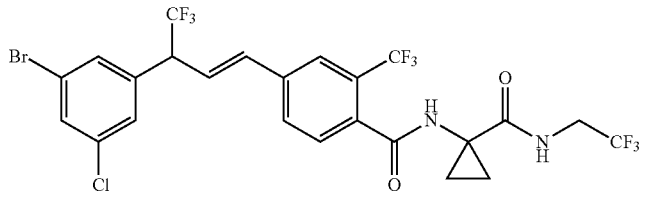
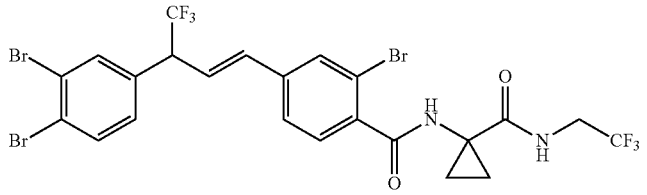
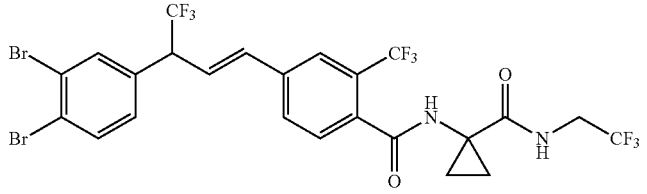
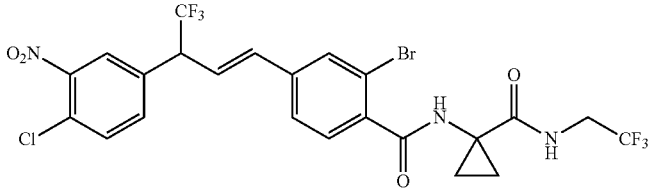
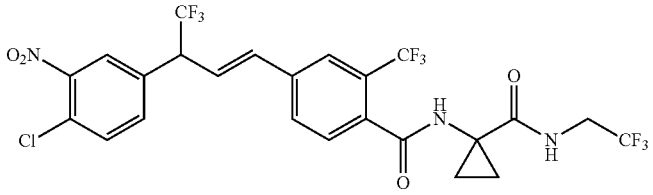
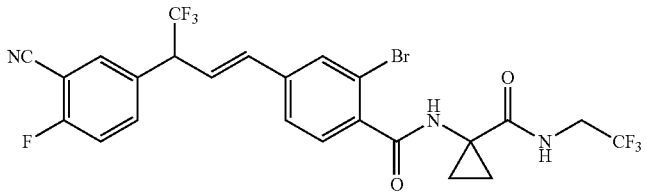
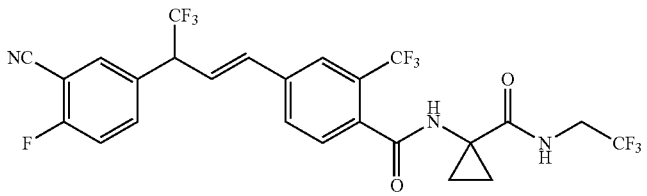
Compound Number	Structure
P380	
P423	
P425	
P468	
P470	
P513	
P515	

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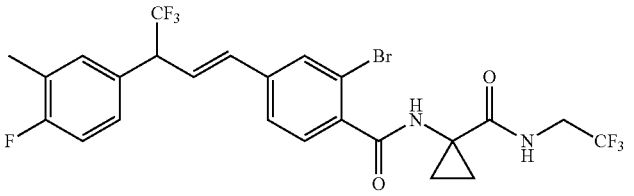
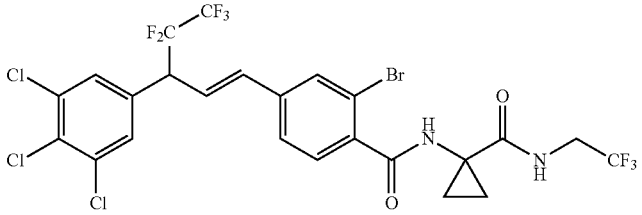
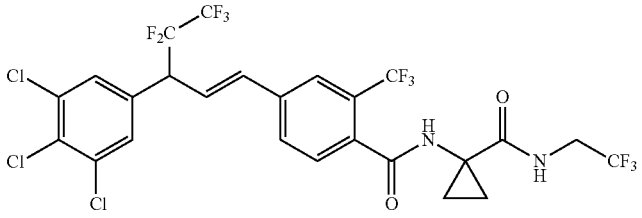
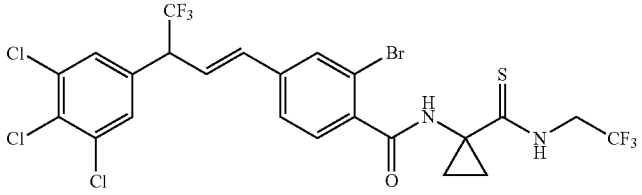
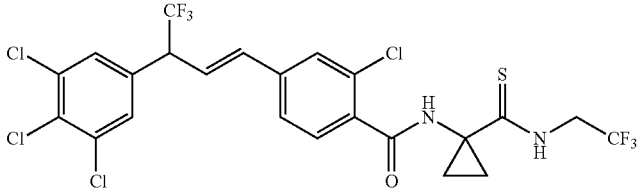
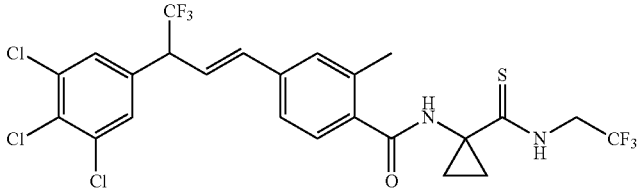
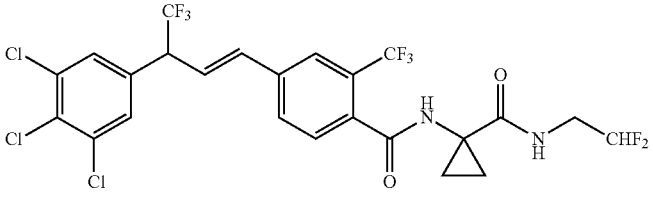
Compound Number	Structure
P693	
P1003	
P1005	
P1009	
P1010	
P1011	
P1015	

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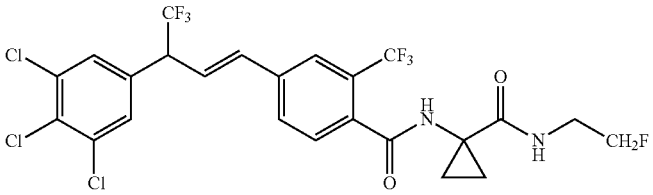
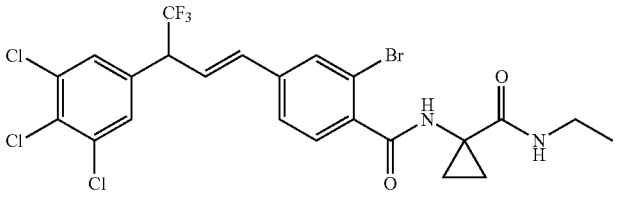
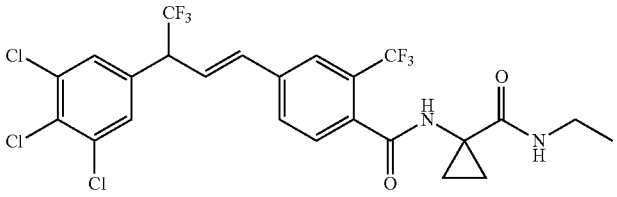
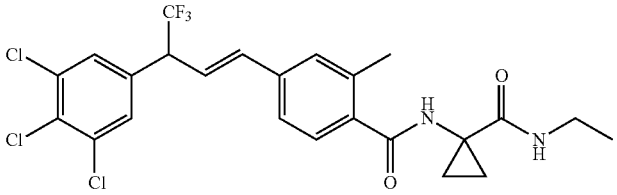
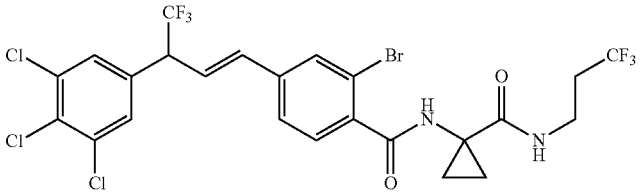
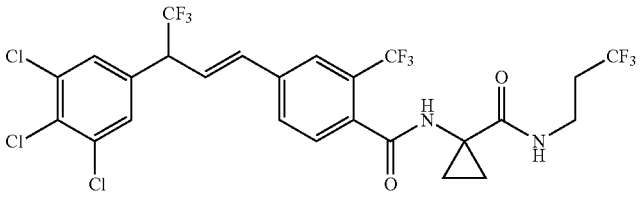
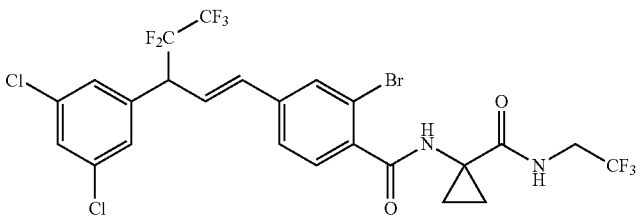
Compound Number	Structure
P1020	
P1023	
P1025	
P1026	
P1033	
P1035	
P1043	

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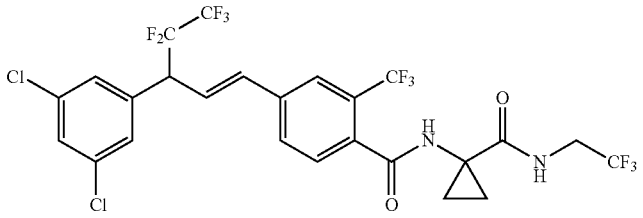
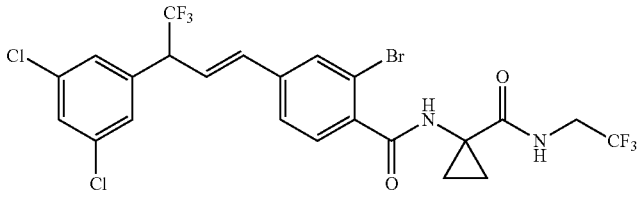
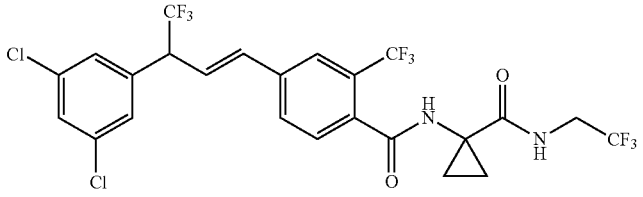
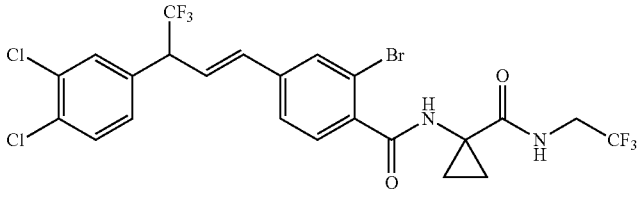
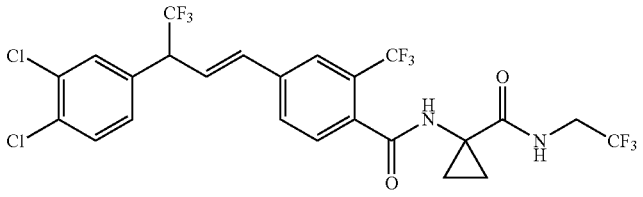
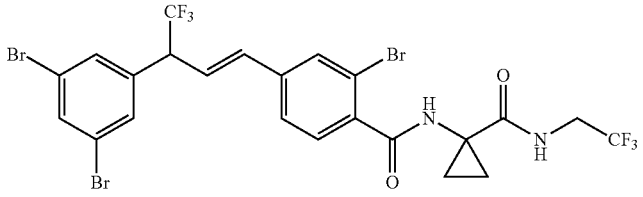
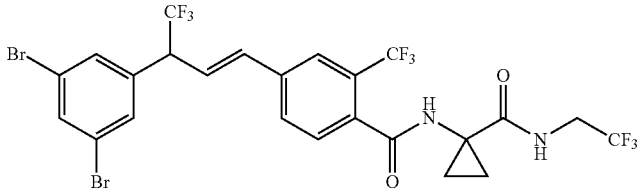
Compound Number	Structure
P1045	
P1048	
P1050	
P1093	
P1095	
P1183	
P1198	

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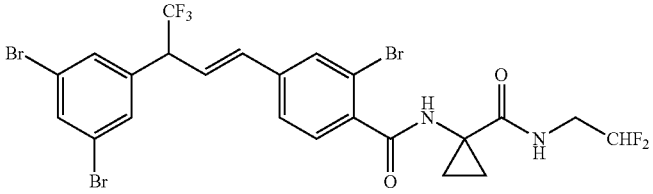
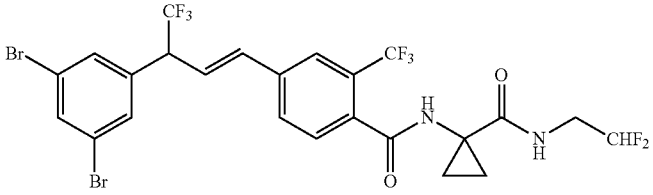
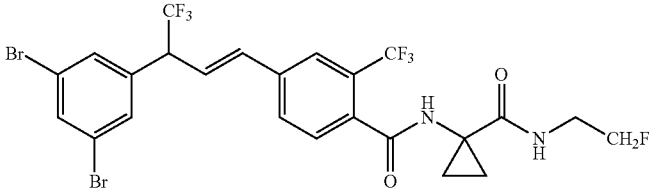
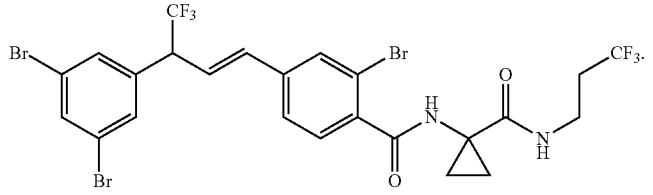
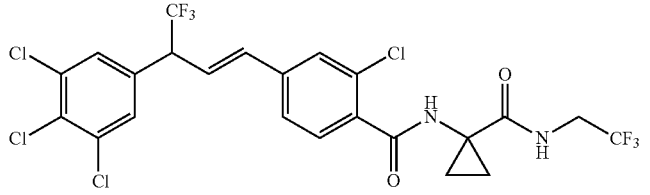
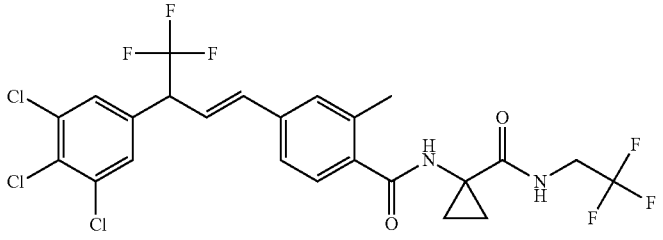
Compound Number	Structure
P1193	
P1195	
P1200	
P1213	

40. A molecule according to claim **1** having one of the following structures

Compound Number	Structure
F1	
F2	

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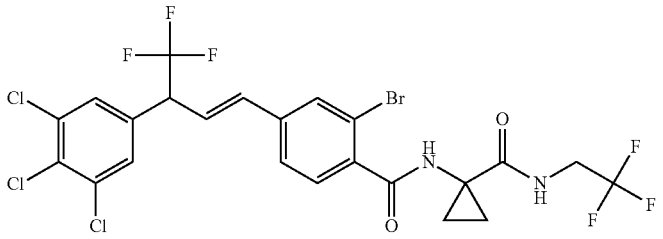
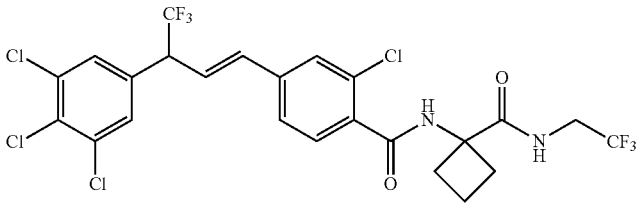
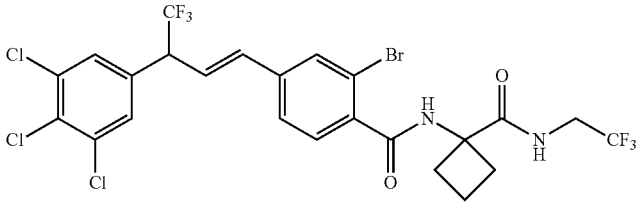
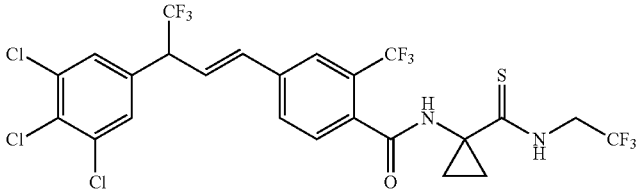
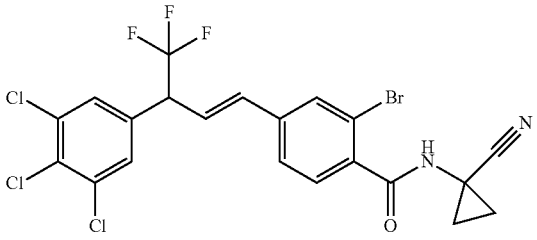
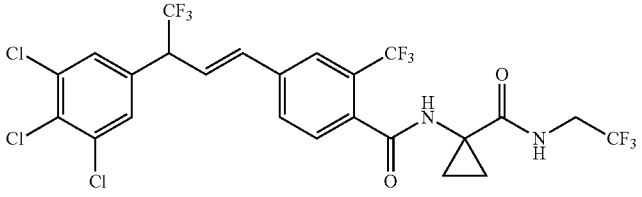
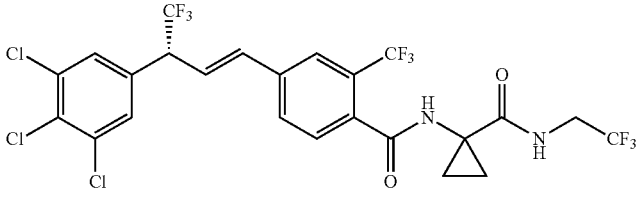
Compound Number	Structure
F3	
F4	
F5	
F6	
F7	
F8	
F8A	

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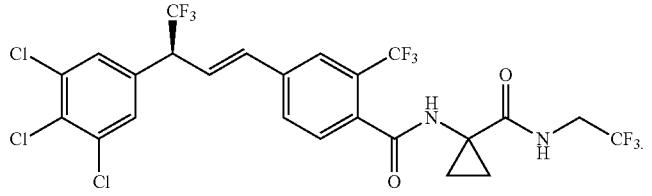
Compound Number	Structure
F8B	

41. A composition according to claim 1 further comprising:

(a) one or more compounds having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematicidal, rodenticidal, or virucidal properties; or

(b) one or more compounds that are antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, or synergists; or

(c) both (a) and (b).

42. A composition according to claim 1 further comprising one or more compounds selected from the group consisting of: (3-ethoxypropyl)mercury bromide, 1,2-dichloropropane, 1,3-dichloropropene, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,3,6-TBA-dimethylammonium, 2,3,6-TBA-lithium, 2,3,6-TBA-potassium, 2,3,6-TBA-sodium, 2,4,5-T, 2,4,5-T-2-butoxypropyl, 2,4,5-T-2-ethylhexyl, 2,4,5-T-3-butoxypropyl, 2,4,5-TB, 2,4,5-T-butometyl, 2,4,5-T-butotyl, 2,4,5-T-butyl, 2,4,5-T-isobutyl, 2,4,5-T-isoctyl, 2,4,5-T-isopropyl, 2,4,5-T-methyl, 2,4,5-T-pentyl, 2,4,5-T-sodium, 2,4,5-T-triethylammonium, 2,4,5-T-trolamine, 2,4-D, 2,4-D-2-butoxypropyl, 2,4-D-2-ethylhexyl, 2,4-D-3-butoxypropyl, 2,4-D-ammonium, 2,4-DB, 2,4-DB-butyl, 2,4-DB-dimethylammonium, 2,4-DB-isoctyl, 2,4-DB-potassium, 2,4-DB-sodium, 2,4-D-butotyl, 2,4-D-butyl, 2,4-D-diethylammonium, 2,4-D-dimethylammonium, 2,4-D-diolamine, 2,4-D-dodecylammonium, 2,4-DEB, 2,4-DEP, 2,4-D-ethyl, 2,4-D-heptylammonium, 2,4-D-isobutyl, 2,4-D-isoctyl, 2,4-D-isopropyl, 2,4-D-isopropylammonium, 2,4-D-lithium, 2,4-D-meptyl, 2,4-D-methyl, 2,4-D-octyl, 2,4-D-pentyl, 2,4-D-potassium, 2,4-D-propyl, 2,4-D-sodium, 2,4-D-tefuryl, 2,4-D-tetradecylammonium, 2,4-D-triethylammonium, 2,4-D-tris(2-hydroxypropyl)ammonium, 2,4-D-trolamine, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 4-aminopyridine, 4-CPA, 4-CPA-potassium, 4-CPA-sodium, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetophos, acetoprole, acibenzolar, acibenzolar-S-methyl, acifluorfen, acifluorfen-methyl, acifluorfen-sodium, aclonifen, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, acypetacs-copper, acypetacs-zinc, alachlor, alanycarb, albendazole, aldicarb, aldimorph, aldoxycarb, aldrin, allethrin, allicin, allidochlor, allosamidin, alloxydim, alloxydim-sodium, allyl alcohol, allyxycarb, alorac, alpha-cypermethrin, alpha-endosulfan, ametoctradin, ametridione, ametryn, amibuzin, amicarbazone, amicarthiazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminocyclopyrachlor-methyl, aminocyclopyrachlor-potassium, aminopyralid, aminopyralid-potassium, aminopyralid-tris(2-hydroxypropyl)ammonium, amiprofos-methyl, amiprophos, amisulbrom, amiton, amiton oxalate, amitraz, amitrole, ammonium sulfamate, ammonium α-naphthaleneacetate, amobam, ampropylfos, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arsenous oxide, asomate, aspirin, asulam, asulam-potassium, asulam-sodium, athidathion, atraton, atrazine, aureofungin, aviglycine, aviglycine hydrochloride, azaconazole, azadirachtin, azafenidin, azamethiphos, azimsulfuron, azinphos-ethyl, azinphos-methyl, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxystrobin, bachmedesh, barban, barium hexafluorosilicate, barium polysulfide, barthrin, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benazolin, benazolin-dimethylammonium, benazolin-ethyl, benazolin-potassium, bencarbazone, benclothiaz, bendiocarb, benfluralin, benfuracarb, benfuresate, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulfuron-methyl, bensulide, bensultap, bentaluron, bentazone, bentazone-sodium, benthiavalicarb, benthiavalicarb-isopropyl, benthiazole, bentranil, benzadox, benzadox-ammonium, benzalkonium chloride, benzamacril, benzamacril-isobutyl, benzamorf, benzfendizone, benzipram, benzobicyclon, benzofenap, benzofluor, benzohydroxamic acid, benzoximate, benzoylprop, benzoylprop-ethyl, benzthiazuron, benzyl benzoate, benzyladenine, berberine, berberine chloride, beta-cyfluthrin, beta-cypermethrin, bethoxazin, bicyclopyrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, bilanafos-sodium, binapacryl, bingqingxiao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismerthiazol, bispyribac, bispyribac-sodium, bistrifluron, bitertanol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, brassinolide, brassinolide-ethyl, brevicomin, brodifacoum, brofenvalerate, brofluthrinate, bromacil, bromacil-lithium, bromacil-sodium, bromadiolone, bromethalin, bromethrin, bromfenvinfos, bromoacetamide, bromobonil, bromobutide, bromocyclen, bromo-DDT, bromofenoxim, bromophos, bromophos-ethyl, bromopropylate, bromothalonil, bromoxynil, bromoxynil butyrate, bromoxynil heptanoate, bromoxynil octanoate, bromoxynil-potassium, brompyrazon, bromuconazole, bronopol, bucarpolate, bufencarb, buminafos, bupirimate, buprofezin, Burgundy mixture, busulfan, butacarb, butachlor, butafenacil, butamifos, butathiofos, butenachlor, butethrin, buthidazole, buthiobate, buthiuron, butocarboxim, butonate, butopyronoxyl, butoxycarboxim, butralin, butroxydim, buturon, butylamine, butylate, cacodylic acid, cadusafos, cafenstrole, calcium arsenate, calcium chlorate, calcium cyanamide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbamorph, carbanolate, carbaryl, carbasulam, carbendazim, carbendazim benzenesulfonate, carbendazim sulfite, carbetamide, carbofuran, carbon disulfide, carbon tetrachloride, carbophenothion, carbosulfan, carboxazole, carboxide, carboxin,

carfentrazone, carfentrazone-ethyl, carpropamid, cartap, cartap hydrochloride, carvacrol, carvone, CDEA, cellocidin, CEPC, ceralure, Cheshunt mixture, chinomethionat, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloramben, chloramben-ammonium, chloramben-diolamine, chloramben-methyl, chloramben-methylammonium, chloramben-sodium, chloramine phosphorus, chloramphenicol, chloraniformethan, chloranil, chloranocryl, chlorantraniliprole, chlorazifop, chlorazifop-propargyl, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlordimeform hydrochloride, chlorempenthrin, chlorethoxyfos, chloreturon, chlorfenac, chlorfenac-ammonium, chlorfenac-sodium, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfluazuron, chlorflurazole, chlorfluren, chlorfluren-methyl, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlormephos, chlormequat, chlormequat chloride, chlornidine, chlornitrofen, chlorobenzilate, chlorodinitronaphthalenes, chloroform, chloromebuform, chloromethiuron, chloroneb, chlorophacinone, chlorophacinone-sodium, chloropicrin, chloropon, chloropropylate, chlorothalonil, chlorotoluron, chloroxuron, chloroxynil, chlorphonium, chlorphonium chloride, chlorphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlorthal, chlorthal-dimethyl, chlorthal-monomethyl, chlorthiamid, chlorthiophos, chlozolinate, choline chloride, chromafenozide, cinerin I, cinerin II, cinerins, cinidon-ethyl, cinmethylin, cinosulfuron, ciobutide, cisanilide, cismethrin, clethodim, climbazole, cliodinate, clodinafop, clodinafop-propargyl, cloethocarb, clofencet, clofencet-potassium, clofentezine, clofibric acid, clofop, clofop-isobutyl, clomazone, clomeprop, cloprop, cloproxydim, clopyralid, clopyralid-methyl, clopyralid-olamine, clopyralid-potassium, clopyralid-tris(2-hydroxypropyl)ammonium, cloquintocet, cloquintocet-mexyl, cloransulam, cloransulam-methyl, closantel, clothianidin, clotrimazole, cloxyfonac, cloxyfonac-sodium, CMA, codlelure, colophonate, copper acetate, copper acetoarsenite, copper arsenate, copper carbonate, basic, copper hydroxide, copper naphthenate, copper oleate, copper oxychloride, copper silicate, copper sulfate, copper zinc chromate, coumachlor, coumafuryl, coumaphos, coumatetralyl, coumithoate, coumoxystrobin, CPMC, CPMF, CPPC, credazine, cresol, crimidine, crotamiton, crotoxyphos, crufomate, cryolite, cue-lure, cufraneb, cumyluron, cuprobam, cuprous oxide, curcumenol, cyanamide, cyanatryn, cyanazine, cyanofenphos, cyanophos, cyanthoate, cyantraniliprole, cyazofamid, cybutryne, cyclafuramid, cyclanilide, cyclethrin, cycloate, cycloheximide, cycloprate, cycloprothrin, cyclosulfamuron, cycloxaprid, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop, cyhalofop-butyl, cyhalothrin, cyhexatin, cymiazole, cymiazole hydrochloride, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyperquat chloride, cyphenothrin, cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram, cypromid, cyprosulfamide, cyromazine, cythioate, daimuron, dalapon, dalapon-calcium, dalapon-magnesium, dalapon-sodium, daminozide, dayoutong, dazomet, dazomet-sodium, DBCP, d-camphor, DCIP, DCPTA, DDT, debacarb, decafentin, decarbofuran, dehydroacetic acid, delachlor, deltamethrin, demephion, demephion-O, demephion-S, demeton, demeton-methyl, demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulphon, desmedipham, desmetryn, d-fanshiluquebingjuzhi, diafenthiuron, dialifos, di-allate, diamidafos, diatomaceous earth, diazinon, dibutyl phthalate, dibutyl succinate, dicamba, dicamba-diglycolamine, dicamba-dimethylammonium, dicamba-diolamine, dicamba-isopropylammonium, dicamba-methyl, dicamba-olamine, dicamba-potassium, dicamba-sodium, dicamba-trolamine, dicapthon, dichlobenil, dichlofenthion, dichlofluanid, dichlone, dichloralurea, dichlorbenzuron, dichlorflurenol, dichlorflurenol-methyl, dichlormate, dichlormid, dichlorophen, dichlorprop, dichlorprop-2-ethylhexyl, dichlorprop-butotyl, dichlorprop-dimethylammonium, dichlorprop-ethylammonium, dichlorprop-isoctyl, dichlorprop-methyl, dichlorprop-P, dichlorprop-P-2-ethylhexyl, dichlorprop-P-dimethylammonium, dichlorprop-potassium, dichlorprop-sodium, dichlorvos, dichlozoline, diclobutrazol, diclocymet, diclofop, diclofop-methyl, diclomezine, diclomezine-sodium, dicloran, diclosulam, dicofol, dicoumarol, dicresyl, dicrotophos, dicyclanil, dicyclonon, dieldrin, dienochlor, diethamquat, diethamquat dichloride, diethatyl, diethatyl-ethyl, diethofencarb, dietholate, diethyl pyrocarbonate, diethyltoluamide, difenacoum, difenoconazole, difenopenten, difenopenten-ethyl, difenoxuron, difenzoquat, difenzoquat metilsulfate, difethialone, diflovidazin, diflubenzuron, diflufenican, diflufenzopyr, diflufenzopyr-sodium, diflumetorim, dikegulac, dikegulac-sodium, dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimepiperate, dimetachlone, dimetan, dimethacarb, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimethirimol, dimethoate, dimethomorph, dimethrin, dimethyl carbate, dimethyl phthalate, dimethylvinphos, dimetilan, dimexano, dimidazon, dimoxystrobin, dinex, dinex-diclexine, dingjunezuo, diniconazole, diniconazole-M, dinitramine, dinobuton, dinocap, dinocap-4, dinocap-6, dinocton, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dinoseb acetate, dinoseb-ammonium, dinoseb-diolamine, dinoseb-sodium, dinoseb-trolamine, dinosulfon, dinotefuran, dinoterb, dinoterb acetate, dinoterbon, diofenolan, dioxabenzofos, dioxacarb, dioxathion, diphacinone, diphacinone-sodium, diphenamid, diphenyl sulfone, diphenylamine, dipropalin, dipropetryn, dipyrithione, diquat, diquat dibromide, disparlure, disul, disulfiram, disulfoton, disul-sodium, ditalimfos, dithianon, dithicrofos, dithioether, dithiopyr, diuron, d-limonene, DMPA, DNOC, DNOC-ammonium, DNOC-potassium, DNOC-sodium, dodemorph, dodemorph acetate, dodemorph benzoate, dodicin, dodicin hydrochloride, dodicin-sodium, dodine, dofenapyn, dominicalure, doramectin, drazoxolon, DSMA, dufulin, EBEP, EBP, ecdysterone, edifenphos, eglinazine, eglinazine-ethyl, emamectin, emamectin benzoate, EMPC, empenthrin, endosulfan, endothal, endothal-diammonium, endothal-dipotassium, endothal-disodium, endothion, endrin, enestroburin, EPN, epocholeone, epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaoan, esdépalléthrine, esfenvalerate, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin, ethiprole, ethirimol, ethoate-methyl, ethofumesate, ethohexadiol, ethoprophos, ethoxyfen, ethoxyfen-ethyl, ethoxyquin, ethoxysulfuron, ethychlozate, ethyl formate, ethyl α-naphthaleneacetate, ethyl-DDD, ethylene, ethylene dibromide, ethylene dichloride, ethylene oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mercaptide, ethylmercury acetate, ethylmercury bromide, ethylmercury chloride, ethylmercury phosphate, etinofen, etnipromid, etobenzanid, etofenprox, etoxazole, etridiazole, etrimfos, eugenol, EXD, famoxadone, famphur, fenamidone, fenaminosulf, fenamiphos, fenapanil, fenarimol, fenasulam, fenazaflor, fenazaquin, fenbuconazole, fenbutatin oxide, fenchlorazole,

fenchlorazole-ethyl, fenchlorphos, fenclorim, fenethacarb, fenfluthrin, fenfuram, fenhexamid, fenitropan, fenitrothion, fenjuntong, fenobucarb, fenoprop, fenoprop-3-butoxypropyl, fenoprop-butometyl, fenoprop-butotyl, fenoprop-butyl, fenoprop-isoctyl, fenoprop-methyl, fenoprop-potassium, fenothiocarb, fenoxacrim, fenoxanil, fenoxaprop, fenoxaprop-ethyl, fenoxaprop-P, fenoxaprop-P-ethyl, fenoxasulfone, fenoxycarb, fenpiclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenridazon, fenridazon-potassium, fenridazon-propyl, fenson, fensulfothion, fenteracol, fenthiaprop, fenthiaprop-ethyl, fenthion, fenthion-ethyl, fentin, fentin acetate, fentin chloride, fentin hydroxide, fentrazamide, fentrifanil, fenuron, fenuron TCA, fenvalerate, ferbam, ferimzone, ferrous sulfate, fipronil, flamprop, flamprop-isopropyl, flamprop-M, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, flocoumafen, flometoquin, flonicamid, florasulam, fluacrypyrim, fluazifop, fluazifop-butyl, fluazifop-methyl, fluazifop-P, fluazifop-P-butyl, fluazinam, fluazolate, fluazuron, flubendiamide, flubenzimine, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flucofuron, flucycloxuron, flucythrinate, fludioxonil, fluenetil, fluensulfone, flufenacet, flufenerim, flufenican, flufenoxuron, flufenprox, flufenpyr, flufenpyr-ethyl, flufiprole, flumethrin, flumetover, flumetralin, flumetsulam, flumezin, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, flumorph, fluometuron, fluopicolide, fluopyram, fluorbenside, fluoridamid, fluoroacetamide, fluorodifen, fluoroglycofen, fluoroglycofen-ethyl, fluoroimide, fluoromidine, fluoronitrofen, fluothiuron, fluotrimazole, fluoxastrobin, flupoxam, flupropacil, flupropadine, flupropanate, flupropanate-sodium, flupyradifurone, flupyrsulfuron, flupyrsulfuron-methyl, flupyrsulfuron-methyl-sodium, fluquinconazole, flurazole, flurenol, flurenol-butyl, flurenol-methyl, fluridone, flurochloridone, fluroxypyr, fluroxypyr-butometyl, fluroxypyr-meptyl, flurprimidol, flursulamid, flurtamone, flusilazole, flusulfamide, fluthiacet, fluthiacet-methyl, flutianil, flutolanil, flutriafol, fluvalinate, fluxapyroxad, fluxofenim, folpet, fomesafen, fomesafen-sodium, fonofos, foramsulfuron, forchlorfenuron, formaldehyde, formetanate, formetanate hydrochloride, formothion, formparanate, formparanate hydrochloride, fosamine, fosamine-ammonium, fosetyl, fosetyl-aluminium, fosmethilan, fospirate, fosthiazate, fosthietan, frontalin, fuberidazole, fucaojing, fucaomi, funaihecaoling, fuphenthiourea, furalane, furalaxyl, furamethrin, furametpyr, furathiocarb, furcarbanil, furconazole, furconazole-cis, furethrin, furfural, furilazole, furmecyclox, furophanate, furyloxyfen, gamma-cyhalothrin, gamma-HCH, genit, gibberellic acid, gibberellins, gliftor, glufosinate, glufosinate-ammonium, glufosinate-P, glufosinate-P-ammonium, glufosinate-P-sodium, glyodin, glyoxime, glyphosate, glyphosate-diammonium, glyphosate-dimethylammonium, glyphosate-isopropylammonium, glyphosate-monoammonium, glyphosate-potassium, glyphosate-sesquisodium, glyphosate-trimesium, glyphosine, gossyplure, grandlure, griseofulvin, guazatine, guazatine acetates, halacrinate, halfenprox, halofenozide, halosafen, halosulfuron, halosulfuron-methyl, haloxydine, haloxyfop, haloxyfop-etotyl, haloxyfop-methyl, haloxyfop-P, haloxyfop-P-etotyl, haloxyfop-P-methyl, haloxyfop-sodium, HCH, hemel, hempa, HEOD, heptachlor, heptenophos, heptopargil, heterophos, hexachloroacetone, hexachlorobenzene, hexachlorobutadiene, hexachlorophene, hexaconazole, hexaflumuron, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox, HHDN, holosulf, huancaiwo, huangcaoling, huanjunzuo, hydramethylnon, hydrargaphen, hydrated lime, hydrogen cyanide, hydroprene, hymexazol, hyquincarb, IAA, IBA, icaridin, imazalil, imazalil nitrate, imazalil sulfate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazaquin-methyl, imazaquin-sodium, imazethapyr, imazethapyr-ammonium, imazosulfuron, imibenconazole, imicyafos, imidacloprid, imidaclothiz, iminoctadine, iminoctadine triacetate, iminoctadine trialbesilate, imiprothrin, inabenfide, indanofan, indaziflam, indoxacarb, inezin, iodobonil, iodocarb, iodomethane, iodosulfuron, iodosulfuron-methyl, iodosulfuron-methyl-sodium, iofensulfuron, iofensulfuron-sodium, ioxynil, ioxynil octanoate, ioxynil-lithium, ioxynil-sodium, ipazine, ipconazole, ipfencarbazone, iprobenfos, iprodione, iprovalicarb, iprymidam, ipsdienol, ipsenol, IPSP, isamidofos, isazofos, isobenzan, isocarbamid, isocarbophos, isocil, isodrin, isofenphos, isofenphos-methyl, isolan, isomethiozin, isonoruron, isopolinate, isoprocarb, isopropalin, isoprothiolane, isoproturon, isopyrazam, isopyrimol, isothioate, isotianil, isouron, isovaledione, isoxaben, isoxachlortole, isoxadifen, isoxadifen-ethyl, isoxaflutole, isoxapyrifop, isoxathion, ivermectin, izopamfos, japonilure, japothrins, jasmolin I, jasmolin II, jasmonic acid, jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, jodfenphos, juvenile hormone I, juvenile hormone II, juvenile hormone III, kadethrin, karbutilate, karetazan, karetazan-potassium, kasugamycin, kasugamycin hydrochloride, kejunlin, kelevan, ketospiradox, ketospiradox-potassium, kinetin, kinoprene, kresoxim-methyl, kuicaoxi, lactofen, lambda-cyhalothrin, latilure, lead arsenate, lenacil, lepimectin, leptophos, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron, lvdingjunzhi, lvxiancaolin, lythidathion, MAA, malathion, maleic hydrazide, malonoben, maltodextrin, MAMA, mancopper, mancozeb, mandipropamid, maneb, matrine, mazidox, MCPA, MCPA-2-ethylhexyl, MCPA-butotyl, MCPA-butyl, MCPA-dimethylammonium, MCPA-diolamine, MCPA-ethyl, MCPA-isobutyl, MCPA-isoctyl, MCPA-isopropyl, MCPA-methyl, MCPA-olamine, MCPA-potassium, MCPA-sodium, MCPA-thioethyl, MCPA-trolamine, MCPB, MCPB-ethyl, MCPB-methyl, MCPB-sodium, mebenil, mecarbam, mecarbinzid, mecarphon, mecoprop, mecoprop-2-ethylhexyl, mecoprop-dimethylammonium, mecoprop-diolamine, mecoprop-ethadyl, mecoprop-isoctyl, mecoprop-methyl, mecoprop-P, mecoprop-P-2-ethylhexyl, mecoprop-P-dimethylammonium, mecoprop-P-isobutyl, mecoprop-potassium, mecoprop-P-potassium, mecoprop-sodium, mecoprop-trolamine, medimeform, medinoterb, medinoterb acetate, medlure, mefenacet, mefenpyr, mefenpyr-diethyl, mefluidide, mefluidide-diolamine, mefluidide-potassium, megatomoic acid, menazon, mepanipyrim, meperfluthrin, mephenate, mephosfolan, mepiquat, mepiquat chloride, mepiquat pentaborate, mepronil, meptyldinocap, mercuric chloride, mercuric oxide, mercurous chloride, merphos, mesoprazine, mesosulfuron, mesosulfuron-methyl, mesotrione, mesulfen, mesulfenfos, metaflumizone, metalaxyl, metalaxyl-M, metaldehyde, metam, metam-ammonium, metamifop, metamitron, metam-potassium, metam-sodium, metazachlor, metazosulfuron, metazoxolon, metconazole, metepa, metflurazon, methabenzthiazuron, methacrifos, methalpropalin, methamidophos, methasulfocarb, methazole, methfuroxam, methidathion, methiobencarb, methiocarb, methiopyrisulfuron, methiotepa, methiozolin, methiuron, methocrotophos, methometon, methomyl, methoprene, methoprotryne, methoquin-butyl, methothrin, methoxychlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl iodide, methyl isothiocyanate, methylacetophos, methylchloroform, meth-

yldymron, methylene chloride, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophenoxide, methylneodecanamide, metiram, metobenzuron, metobromuron, metofluthrin, metolachlor, metolcarb, metominostrobin, metosulam, metoxadiazone, metoxuron, metrafenone, metribuzin, metsulfovax, metsulfuron, metsulfuron-methyl, mevinphos, mexacarbate, mieshuan, milbemectin, milbemycin oxime, milneb, mipafox, mirex, MNAF, moguchun, molinate, molosultap, monalide, monisouron, monochloroacetic acid, monocrotophos, monolinuron, monosulfuron, monosulfuron-ester, monuron, monuron TCA, morfamquat, morfamquat dichloride, moroxydine, moroxydine hydrochloride, morphothion, morzid, moxidectin, MSMA, muscalure, myclobutanil, myclozolin, N-(ethylmercury)-p-toluenesulphonanilide, nabam, naftalofos, naled, naphthalene, naphthaleneacetamide, naphthalic anhydride, naphthoxyacetic acids, naproanilide, napropamide, naptalam, naptalam-sodium, natamycin, neburon, niclosamide, niclosamide-olamine, nicosulfuron, nicotine, nifluridide, nipyraclofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen, nitrofluorfen, nitrostyrene, nitrothal-isopropyl, norbormide, norflurazon, nornicotine, noruron, novaluron, noviflumuron, nuarimol, OCH, octachlorodipropyl ether, octhilinone, ofurace, omethoate, orbencarb, orfralure, ortho-dichlorobenzene, orthosulfamuron, oryctalure, orysastrobin, oryzalin, osthol, ostramone, oxabetrinil, oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazon, oxapyrazon-dimolamine, oxapyrazon-sodium, oxasulfuron, oxaziclomefone, oxine-copper, oxolinic acid, oxpoconazole, oxpoconazole fumarate, oxycarboxin, oxydemeton-methyl, oxydeprofos, oxydisulfoton, oxyfluorfen, oxymatrine, oxytetracycline, oxytetracycline hydrochloride, paclobutrazol, paichongding, para-dichlorobenzene, parafluron, paraquat, paraquat dichloride, paraquat dimetilsulfate, parathion, parathion-methyl, parinol, pebulate, pefurazoate, pelargonic acid, penconazole, pencycuron, pendimethalin, penflufen, penfluron, penoxsulam, pentachlorophenol, pentanochlor, penthiopyrad, pentmethrin, pentoxazone, perfluidone, permethrin, pethoxamid, phenamacril, phenazine oxide, phenisopham, phenkapton, phenmedipham, phenmedipham-ethyl, phenobenzuron, phenothrin, phenproxide, phenthoate, phenylmercuriurea, phenylmercury acetate, phenylmercury chloride, phenylmercury derivative of pyrocatechol, phenylmercury nitrate, phenylmercury salicylate, phorate, phosacetim, phosalone, phosdiphen, phosfolan, phosfolan-methyl, phosglycin, phosmet, phosnichlor, phosphamidon, phosphine, phosphocarb, phosphorus, phostin, phoxim, phoxim-methyl, phthalide, picloram, picloram-2-ethylhexyl, picloram-isoctyl, picloram-methyl, picloram-olamine, picloram-potassium, picloram-triethylammonium, picloram-tris(2-hydroxypropyl)ammonium, picolinafen, picoxystrobin, pindone, pindone-sodium, pinoxaden, piperalin, piperonyl butoxide, piperonyl cyclonene, piperophos, piproctanyl, piproctanyl bromide, piprotal, pirimetaphos, pirimicarb, pirimioxyphos, pirimiphos-ethyl, pirimiphos-methyl, plifenate, polycarbamate, polyoxins, polyoxorim, polyoxorim-zinc, polythialan, potassium arsenite, potassium azide, potassium cyanate, potassium gibberellate, potassium naphthenate, potassium polysulfide, potassium thiocyanate, potassium α-naphthaleneacetate, pp'-DDT, prallethrin, precocene I, precocene II, precocene III, pretilachlor, primidophos, primisulfuron, primisulfuron-methyl, probenazole, prochloraz, prochloraz-manganese, proclonol, procyazine, procymidone, prodiamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, proglinazine, proglinazine-ethyl, prohexadione, prohexadione-calcium, prohydrojasmon, promacyl, promecarb, prometon, prometryn, promurit, propachlor, propamidine, propamidine dihydrochloride, propamocarb, propamocarb hydrochloride, propanil, propaphos, propaquizafop, propargite, proparthrin, propazine, propetamphos, propham, propiconazole, propineb, propisochlor, propoxur, propoxycarbazone, propoxycarbazone-sodium, propyl isome, propyrisulfuron, propyzamide, proquinazid, prosuler, prosulfalin, prosulfocarb, prosulfuron, prothidathion, prothiocarb, prothiocarb hydrochloride, prothioconazole, prothiofos, prothoate, protrifenbute, proxan, proxan-sodium, prynachlor, pydanon, pymetrozine, pyracarbolid, pyraclofos, pyraclonil, pyraclostrobin, pyraflufen, pyraflufen-ethyl, pyrafluprole, pyramat, pyrametostrobin, pyraoxystrobin, pyrasulfotole, pyrazolynate, pyrazophos, pyrazosulfuron, pyrazosulfuron-ethyl, pyrazothion, pyrazoxyfen, pyresmethrin, pyrethrin I, pyrethrin II, pyrethrins, pyribambenz-isopropyl, pyribambenz-propyl, pyribencarb, pyribenzoxim, pyributicarb, pyriclor, pyridaben, pyridafol, pyridalyl, pyridaphenthion, pyridate, pyridinitril, pyrifenox, pyrifluquinazon, pyriftalid, pyrimethanil, pyrimidifen, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrimitate, pyrinuron, pyriofenone, pyriprole, pyripropanol, pyriproxyfen, pyrithiobac, pyrithiobac-sodium, pyrolan, pyroquilon, pyroxasulfone, pyroxsulam, pyroxychlor, pyroxyfur, quassia, quinacetol, quinacetol sulfate, quinalphos, quinalphos-methyl, quinazamid, quinclorac, quinconazole, quinmerac, quinoclamine, quinonamid, quinothion, quinoxyfen, quintiofos, quintozene, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, quwenzhi, quyingding, rabenzazole, rafoxanide, rebemide, resmethrin, rhodethanil, rhodojaponin-Ill, ribavirin, rimsulfuron, rotenone, ryania, saflufenacil, saijunmao, saisentong, salicylanilide, sanguinarine, santonin, schradan, scilliroside, sebuthylazine, secbumeton, sedaxane, selamectin, semiamitraz, semiamitraz chloride, sesamex, sesamolin, sethoxydim, shuangjiaancaolin, siduron, siglure, silafluofen, silatrane, silica gel, silthiofam, simazine, simeconazole, simeton, simetryn, sintofen, SMA, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium orthophenylphenoxide, sodium pentachlorophenoxide, sodium polysulfide, sodium thiocyanate, sodium α-naphthaleneacetate, sophamide, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, spiroxamine, streptomycin, streptomycin sesquisulfate, strychnine, sulcatol, sulcofuron, sulcofuron-sodium, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramid, sulfometuron, sulfometuron-methyl, sulfosulfuron, sulfotep, sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulprofos, sultropen, swep, tau-fluvalinate, tavron, tazimcarb, TCA, TCA-ammonium, TCA-calcium, TCA-ethadyl, TCA-magnesium, TCA-sodium, TDE, tebuconazole, tebufenozide, tebufenpyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, teflubenzuron, tefluthrin, tefuryltrione, tembotrione, temephos, tepa, TEPP, tepraloxydim, terallethrin, terbacil, terbucarb, terbuchlor, terbufos, terbumeton, terbuthylazine, terbutryn, tetcyclacis, tetrachloroethane, tetrachlorvinphos, tetraconazole, tetradifon, tetrafluron, tetramethrin, tetramethylfluthrin, tetramine, tetranactin, tetrasul, thallium sulfate, thenylchlor, theta-cypermethrin, thiabendazole, thiacloprid, thiadifluor, thiamethoxam, thiapronil, thiazafluron, thiazopyr, thicrofos, thicyofen, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thifluzamide, thiobencarb, thiocarboxime, thiochlorfenphim, thiocyclam, thiocyclam hydrochloride, thiocyclam oxalate, thiodiazole-copper, thiodicarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thiometon, thionazin, thiophanate,

thiophanate-methyl, thioquinox, thiosemicarbazide, thiosultap, thiosultap-diammonium, thiosultap-disodium, thiosultap-monosodium, thiotepa, thiram, thuringiensin, tiadinil, tiaojiean, tiocarbazil, tioclorim, tioxymid, tirpate, tolclofos-methyl, tolfenpyrad, tolylfluanid, tolylmercury acetate, topramezone, tralkoxydim, tralocythrin, tralomethrin, tralopyril, transfluthrin, transpermethrin, tretamine, triacontanol, triadimefon, triadimenol, triafamone, tri-allate, triamiphos, triapenthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazoxide, tribenuron, tribenuron-methyl, tribufos, tributyltin oxide, tricamba, trichlamide, trichlorfon, trichlormetaphos-3, trichloronat, triclopyr, triclopyr-butotyl, triclopyr-ethyl, triclopyr-triethylammonium, tricyclazole, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, trifloxysulfuron, trifloxysulfuron-sodium, triflumizole, triflumuron, trifluralin, triflusulfuron, triflusulfuron-methyl, trifop, trifop-methyl, trifopsime, triforine, trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, trinexapac-ethyl, triprene, tripropindan, triptolide, tritac, triticonazole, tritosulfuron, trunc-call, uniconazole, uniconazole-P, urbacide, uredepa, valerate, validamycin, valifenalate, valone, vamidothion, vangard, vaniliprole, vernolate, vinclozolin, warfarin, warfarin-potassium, warfarin-sodium, xiaochongliulin, xinjunan, xiwojunan, XMC, xylachlor, xylenols, xylylcarb, yishijing, zarilamid, zeatin, zengxiaoan, zeta-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zineb, ziram, zolaprofos, zoxamide, zuomihuanglong, α-chlorohydrin, α-ecdysone, α-multistriatin, and α-naphthaleneacetic acid.

43. A composition according to claim **1** further comprising an agriculturally acceptable carrier.

44. A composition according to claim **43**, wherein said composition further comprises ammonium sulfate.

45. A composition according to claim **1** wherein said molecule is in the form of a pesticidally acceptable acid addition salt.

46. A composition according to claim **1** wherein said molecule is in the form of a salt derivative.

47. A composition according to claim **1** wherein said molecule is in the form a hydrate.

48. A composition according to claim **1** wherein said molecule is in the form an ester derivative.

49. A composition according to claim **1** wherein said molecule is in the form a crystal polymorph.

50. A composition according to claim **1** wherein said molecule has a ^{2}H in place of ^{1}H.

51. A composition according to claim **1** wherein said molecule has a ^{14}C in place of a ^{12}C.

52. A composition according to claim **1** further comprising a biopesticide.

53. A composition according to claim **1** further comprising one or more of the following compounds:

(a) 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;

(b) 3-(4'-chloro-2,4-dimethyl[1,1'-biphenyl]-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;

(c) 4-[[(6-chloro-3-pyridinyl)methyl]methylamino]-2(5H)-furanone;

(d) 4-[[(6-chloro-3-pyridinyl)methyl]cyclopropylamino]-2(5H)-furanone;

(e) 3-chloro-N2-[(1S)-1-methyl-2-(methylsulfonyl)ethyl]-N1-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1,2-benzenedicarboxamide;

(f) 2-cyano-N-ethyl-4-fluoro-3-methoxy-benenesulfonamide;

(g) 2-cyano-N-ethyl-3-methoxy-benzenesulfonamide;

(h) 2-cyano-3-difluoromethoxy-N-ethyl-4-fluoro-benzenesulfonamide;

(i) 2-cyano-3-fluoromethoxy-N-ethyl-benzenesulfonamide;

(j) 2-cyano-6-fluoro-3-methoxy-N,N-dimethyl-benzenesulfonamide;

(k) 2-cyano-N-ethyl-6-fluoro-3-methoxy-N-methyl-benzenesulfonamide;

(l) 2-cyano-3-difluoromethoxy-N,N-dimethylbenzenesulfon-amide;

(m) 3-(difluoromethyl)-N-[2-(3,3-dimethylbutyl)phenyl]-1-methyl-1H-pyrazole-4-carboxamide;

(n) N-ethyl-2,2-dimethylpropionamide-2-(2,6-dichloro-α,α,α-trifluoro-p-tolyl) hydrazone;

(o) N-ethyl-2,2-dichloro-1-methylcyclopropane-carboxamide-2-(2,6-dichloro-α,α,α-trifluoro-p-tolyl) hydrazone nicotine;

(p) O-{(E-)-[2-(4-chloro-phenyl)-2-cyano-1-(2-trifluoromethylphenyl)-vinyl]}S-methyl thiocarbonate;

(q) (E)-N1-[(2-chloro-1,3-thiazol-5-ylmethyl)]-N2-cyano-N1-methylacetamidine;

(r) 1-(6-chloropyridin-3-ylmethyl)-7-methyl-8-nitro-1,2,3,5,6,7-hexahydro-imidazo[1,2-a]pyridin-5-ol;

(s) 4-[4-chlorophenyl-(2-butylidine-hydrazono)methyl)] phenyl mesylate; and

(t) N-Ethyl-2,2-dichloro-1-methylcyclopropanecarboxamide-2-(2,6-dichloro-alpha,alpha,alpha-trifluoro-p-tolyl)hydrazone.

54. A composition according to claim **1** further comprising a compound having one or more of the following modes of action: acetylcholinesterase inhibitor; sodium channel modulator; chitin biosynthesis inhibitor; GABA and glutamate-gated chloride channel antagonist; GABA and glutamate-gated chloride channel agonist; acetylcholine receptor agonist; acetylcholine receptor antagonist; MET I inhibitor; Mg-stimulated ATPase inhibitor; nicotinic acetylcholine receptor; Midgut membrane disrupter; oxidative phosphorylation disrupter, and ryanodine receptor (RyRs).

55. A composition according to claim **1** further comprising a seed.

56. A composition according to claim **1** further comprising a seed that has been genetically modified to express one or more specialized traits.

57. A composition according to claim **1** wherein said composition is encapsulated inside, or placed on the surface of, a capsule.

58. A composition according to claim **1** wherein said composition is encapsulated inside, or placed on the surface of, a capsule, wherein said capsule has a diameter of about 100-900 nanometers or about 10-900 microns.

59. A process comprising applying a composition according to claim **1**, to an area to control a pest, in an amount sufficient to control such pest.

60. A process according to claim **59** wherein said pest is selected from the group consisting of beetles, earwigs, cockroaches, flies, aphids, scales, whiteflies, leafhoppers, ants, wasps, termites, moths, butterflies, lice, grasshoppers, locusts, crickets, fleas, thrips, bristletails, mites, ticks, nematodes, and symphylans.

61. A process according to claim **59** wherein said pest is from the phyla Nematoda or Arthropoda.

62. A process according to claim **59** wherein said pest is from the subphyla Chelicerata, Myriapoda, or Hexapoda.

63. A process according to claim **59** wherein said pest is from the class of Arachnida, Symphyla, or Insecta.

64. A process according to claim **59** wherein said pest is from the order Anoplura, order Coleoptera, order Der-

maptera, order Blattaria, order Diptera, order Hemiptera, order Hymenoptera, order Isoptera, order Lepidoptera, order Mallophaga, order Orthoptera, order Siphonaptera, order Thysanoptera, order Thysanura, order Acarina, or order Symphyla.

65. A process according to claim **59** wherein said pest is beet armyworm (BAW), corn earworm (CEW), or green peach aphid (GPA).

66. A process according to claim **59** wherein said amount is from about 0.01 grams per hectare to about 5000 grams per hectare.

67. A process according to claim **59** wherein said amount is from about 0.1 grams per hectare to about 500 grams per hectare.

68. A process according to claim **59** wherein said amount is from about 1 gram per hectare to about 50 grams per hectare.

69. A process according to claim **59** wherein said area is an area where apples, corn, cotton, soybeans, canola, wheat, rice, sorghum, barley, oats, potatoes, oranges, alfalfa, lettuce, strawberries, tomatoes, peppers, crucifers, pears, tobacco, almonds, sugar beets, or beans, are growing, or the seeds thereof are going to be planted.

70. A process according to claim **59** further comprising applying said composition to a genetically modified plant that has been genetically modified to express one or more specialized traits.

71. A process comprising: orally administering; or topically applying; a composition according to claim **1**, to a non-human animal, to control endoparasites, ectoparasites, or both.

72. A process comprising applying a composition according to claim **1** to a plant to enhance the plant's health, yield, vigor, quality, or tolerance, at a time when pest activity is low.

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